# Direct Synthesis of Enaminone Functionalized Biaryl Ethers by CuI-catalyzed *O*-Arylation of Enaminone Functionalized Phenols

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## Contents



**General information.** All experiments were carried out at $N_2$ atmosphere, Enaminones **1** were prepared following literature process,[1] and all other chemicals were obtained from commercial resource and used without further purification. Organic solvents were dried by standard procedure prior to use. $^{1}$H and $^{13}$C NMR were measured in on Bruker AVANCE DMX-500 spectrometry using $CDCl_3$ as solvent at 500 MHz and 125 MHz, respectively. Chemical shift are reported in ppm ($\delta$) relative to internal standard of TMS. Low resolution mass spectra were recorded on a Bruker Esquire 3000plus mass spectrometer (Bruker-Franzen Analytik GmbH Breman, Germany) equipped with ESI interface and ion trap analyzer. HRMS results were obtained on a Bruker 7-tesla FT-ICR MS equipped with an electrospray source (Billelica, MA, USA). Melting point was tested in X-4 apparatus and was not corrected.

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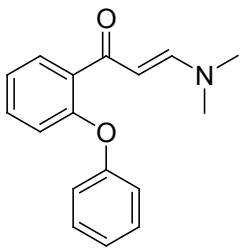
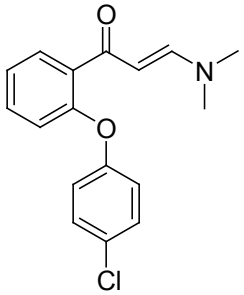
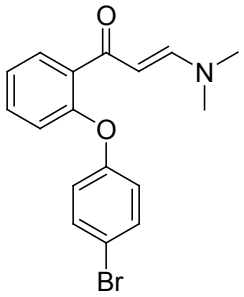
1. El-Taweel, F. M. A. A.; Elnagdi, M. H. *J. Heterocyclic Chem.* **2001**, *38*, 981.



**(*E*)-3-(Dimethylamino)-1-(2-phenoxyphenyl)prop-2-en-1-one** (**3a**) Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.59 (s, 1 H), 7.46 (s, 1 H), 7.23-7.15 (m, 3 H), 7.05 (t, 2 H, $J$ = 7.5 Hz), 6.91 (t, 1 H, $J$ = 7.5 Hz), 6.85-6.81 (m, 3 H), 5.47(d, 1 H, $J$ = 12.4 Hz), 2.88 (s, 3 H), 2.59 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 188.7, 157.4, 154.3, 153.3, 135.0, 132.7, 131.2, 130.4, 124.6, 120.7, 119.6, 115.2, 97.4, 45.1, 37.2; ESI-MS$[M+H]^+$: 268; HRMS: Calcd for $C_{17}H_{17}NO_2Na[M+Na]^+$, 290.1151; Found, 290.1145.

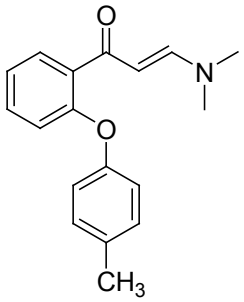



**(*E*)-1-(2-(4-Chlorophenoxy)phenyl)-3-(dimethylamino)prop-2-en-1-one (3b)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.60 (s, 1 H), 7.47 (s, 1 H), 7.29-7.26 (m, 1 H), 7.10-7.17 (m, 3 H), 6.86(d, 1 H, $J$ = 8.2 Hz), 6.81-6.78 (m, 2 H), 5.45 (d, 1 H, $J$ = 12.4 Hz), 2.88 (s, 3 H), 2.68 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 189.6, 156.1, 155.1, 154.7, 134.8, 133.0, 131.6, 130.9, 124.1, 120.3, 119.0, 118.7, 98.3, 45.5, 37.7; ESI-MS$[M+H]^+$: 302; HRMS: Calcd for $C_{17}H_{16}ClNO_2Na[M+Na]^+$, 324.0762; Found, 324.0753.

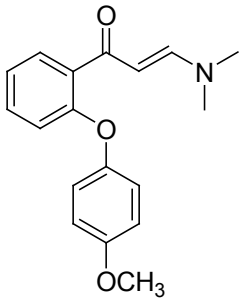



**(*E*)-1-(2-(4-Bromophenoxy)phenyl)-3-(dimethylamino)prop-2-en-1-one (3c)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.55 (s, 1 H), 7.41 (s, 1 H), 7.25-7.18 (m, 3 H), 7.07 (t, 1 H, $J$ = 7.5 Hz), 6.81 (t, 1 H, $J$ = 8.1 Hz), 6.71-6.69 (d, 2 H, $J$ = 8.7 Hz), 5.39 (d, 1 H, $J$ = 12.4 Hz), 2.91 (s, 3 H), 2.62 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 189.2, 157.9, 154.8, 153.7, 139.7, 139.2, 135.5, 133.2, 131.7, 130.9, 125.2, 121.3,

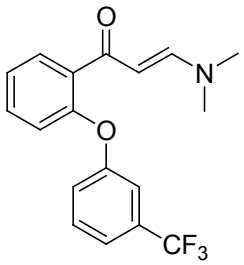
120.1, 115.7, 97.8, 45.6, 37.8; ESI-MS$[M+H]^+$: 346; HRMS: Calcd for $C_{17}H_{17}BrNO_2[M+H]^+$, 346.0437; Found, 346.0429.



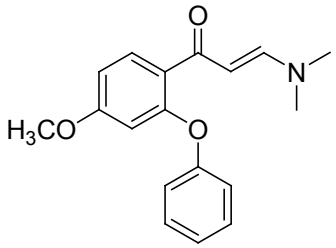
**(*E*)-1-(2-(*p*-Tolyloxy)phenyl)-3-(dimethylamino)prop-2-en-1-one (3d)** Pale yellow oil; $^1$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.57 (s, 1 H), 7.48 (s, 1 H), 7.21-7.17 (m, 1 H), 7.05-6.98 (m, 3 H), 6.79-6.75 (m, 3 H), 5.52 (d, 1 H, $J$ = 12.4 Hz), 2.91 (s, 3 H), 2.63 (s, 3 H), 2.23 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 188.9, 155.4, 154.4, 154.0, 134.1, 132.3, 130.8, 130.1, 125.6, 119.6, 119.0, 118.2, 97.5, 44.8, 37.0; ESI-MS$[M+H]^+$: 282; HRMS: Calcd for $C_{18}H_{20}NO_2[M+H]^+$, 282.1489; Found, 282.1483.



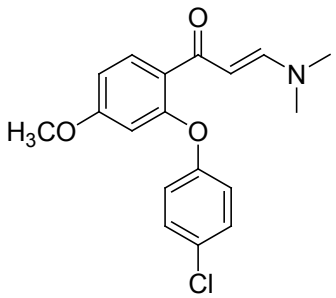
**(*E*)-1-(2-(4-Methoxyphenoxy)phenyl)-3-(dimethylamino)prop-2-en-1-one (3e)** Pale yellow oil; $^1$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.58 (s, 1 H), 7.45 (s, 1 H), 7.19-7.16 (m, 1 H), 6.99 (d, 1 H, $J$ = 8.2 Hz), 6.84-6.82 (d, 2 H, $J$ = 9.0 Hz), 6.75-6.72 (m, 3 H), 5.46 (d, 1 H, $J$ = 12.4 Hz), 3.66 (s, 3H), 2.93 (s, 3 H), 2.66 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 189.2, 155.5, 155.0, 154.0, 150.8, 133.6, 130.8, 130.0, 123.0, 119.9, 118.6, 114.7, 97.5, 55.6, 44.9, 37.0; ESI-MS$[M+Na]^+$: 320; HRMS: Calcd for $C_{18}H_{19}NO_3Na[M+Na]^+$, 320.1257; Found, 320.1250.



**(*E*)-1-(2-(3-(Trifluoromethyl)phenoxy)phenyl)-3-(dimethylamino)prop-2-en-1-on e (3f)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.62 (s, 1 H), 7.47 (s, 1 H), 7.34-7.29 (m, 2 H), 7.21-7.14 (m, 3 H), 6.99 (d, 1 H, $J$ = 7.5 Hz), 6.91 (d, 1 H, $J$ = 8.2 Hz), 5.42 (d, 1 H, $J$ = 12.4 Hz), 2.93 (s, 3 H), 2.68 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 188.8, 158.7, 154.5, 152.9, 135.5, 132.4, 131.9, 130.5, 125.1, 121.2, 119.4, 114.9, 97.6, 45.1, 37.3; ESI-MS$[M+Na]^+$: 358; HRMS: Calcd for $C_{18}H_{17}F_3NO_2[M+H]^+$, 336.1206; Found, 336.1202.

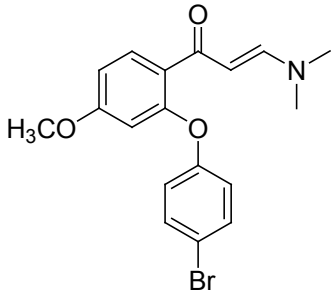


**(*E*)-3-(Dimethylamino)-1-(4-methoxy-2-phenoxyphenyl)prop-2-en-1-one (3g)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.69 (s, 1 H), 7.52 (s, 1 H), 7.20-7.17 (m, 2 H), 6.93 (t, 1 H, $J$ = 7.5 Hz), 6.86 (d, 1 H, $J$ = 7.5 Hz), 6.63-6.61 (m, 1 H), 6.34 (s, 1 H), 5.61 (d, 1 H, $J$ = 12.4 Hz), 3.62 (s, 3 H), 2.90 (s, 3 H), 2.60 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.2, 162.2, 157.5, 155.5, 153.6, 131.9, 129.7, 126.8, 122.8, 117.9, 109.6, 105.8, 97.1, 55.4, 44.8, 36.9; ESI-MS$[M+H]^+$: 298; HRMS: Calcd for $C_{18}H_{19}NO_3Na[M+Na]^+$, 320.1257; Found, 320.1255.



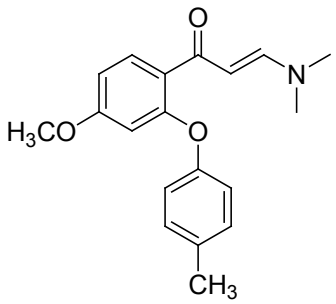
**(*E*)-1-(2-(4-Chlorophenoxy)-4-methoxyphenyl)-3-(dimethylamino)prop-2-en-1-on**

**e (3h)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.79 (s, 1 H), 7.55 (s, 1 H), 7.20-7.15 (m, 2 H), 6.81 (t, 2 H, $J$ = 7.5 Hz), 6.68 (t, 1 H, $J$ = 7.5 Hz), 6.36 (m, 1 H), 5.55 (d, 1 H, $J$ = 12.4 Hz), 3.71 (s, 3H), 2.97 (s, 3 H), 2.67 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.3, 162.4, 156.5, 155.1, 153.8, 132.2, 129.8, 127.9, 127.1, 119.1, 110.2, 106.2, 97.1, 55.7, 45.1, 37.3; ESI-MS$[M+H]^+$: 332; HRMS: Calcd for $C_{18}H_{19}ClNO_3$ $[M+H]^+$, 332.1048; Found, 332.1045.



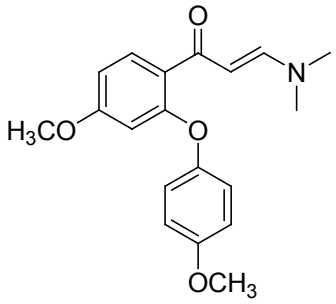

**(*E*)-1-(2-(4-Bromophenoxy)-4-methoxyphenyl)-3-(dimethylamino)prop-2-en-1-on**

**e (3i)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.67 (s, 1 H), 7.52 (s, 1 H), 7.30-7.28 (m, 2 H), 6.75-6.73 (m, 2 H), 6.66 (t, 1 H, $J$ = 7.5 Hz), 6.35 (d, $J$ = 2.4 Hz, 1 H), 5.53 (d, 1 H, $J$ = 12.4 Hz), 3.67 (s, 3 H), 2.94 (s, 3 H), 2.65 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.1, 162.3, 157.0, 154.8, 153.7, 138.6, 132.6, 132.0, 127.0, 119.4, 115.1, 110.2, 106.3, 106.2, 96.9, 55.6, 44.9, 37.1; ESI-MS$[M+H]^+$: 376; HRMS: Calcd for $C_{18}H_{19}BrNO_3[M+H]^+$, 376.0543; Found, 376.0539.

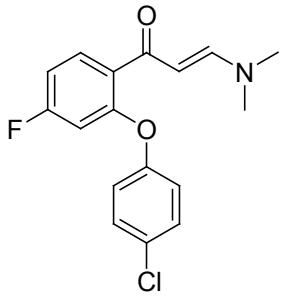



**(*E*)-1-(2-(*p*-Tolyloxy)-4-methoxyphenyl)-3-(dimethylamino)prop-2-en-1-one (3j)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.79 (s, 1 H), 7.66 (s, 1 H), 7.10 (d, 2 H, $J$ = 8.3 Hz), 6.87 (d, 2 H, $J$ = 8.5 Hz), 6.71-6.68 (m, 1 H), 6.39 (s, 1 H), 5.75 (d, 1 H, $J$ = 12.4 Hz), 3.74 (s, 3 H), 3.04 (s, 3 H), 2.74 (s, 3 H), 2.30 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.6, 162.4, 156.4, 155.2, 153.7, 132.2, 130.4, 129.9, 126.6, 118.4, 109.3, 106.6, 105.4, 101.2, 97.5, 55.5, 45.0, 37.3, 20.8; ESI-MS$[M+H]^+$: 312;

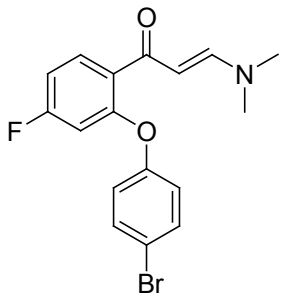
HRMS: Calcd for $C_{19}H_{22}NO_3[M+H]^+$, 312.1594; Found, 312.1587.



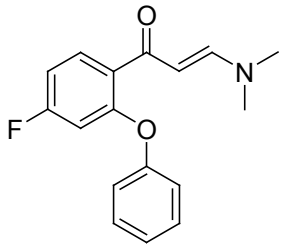
**(*E*)-1-(2-(4-Methoxyphenoxy)-4-methoxyphenyl)-3-(dimethylamino)prop-2-en-1-one (3k)** Pale yellow oil; $^1$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.66 (s, 1 H), 7.7 (s, 1 H), 6.86-6.84 (m, 2 H), 6.76 (t, 2 H, $J$ = 7.5 Hz), 6.57 (t, 1 H, $J$ = 7.5 Hz), 6.24 (d, 1 H, $J$ = 2.3 Hz), 5.67 (d, 1 H, $J$ = 12.4 Hz), 3.67 (s, 3 H), 3.62 (s, 3 H), 2.94 (s, 3 H), 2.67 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.6, 162.1, 156.9, 155.6, 153.5, 150.4, 131.8, 125.9, 119.9, 114.8, 108.5, 104.4, 97.3, 55.6, 55.4, 44.8, 37.0; ESI-MS$[M+H]^+$: 328; HRMS: Calcd for $C_{19}H_{22}NO_4[M+H]^+$, 328.1543; Found, 328.1537.



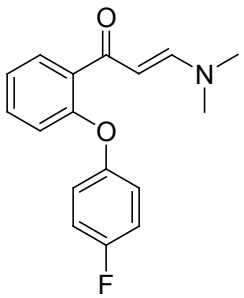
**(*E*)-1-(2-(4-Chlorophenoxy)-4-fluorophenyl)-3-(dimethylamino)prop-2-en-1-one (3l)** Pale yellow oil; $^1$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.65 (s, 1 H), 7.52 (s, 1 H), 7.22-7.20 (m, 2 H), 6.86-6.79 (m, 1 H), 6.52 (q, 1 H, $J$ = 8.0 Hz), 5.48 (d, 1 H, $J$ = 12.4 Hz), 3.00 (s, 3 H), 2.70 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.4, 165.2, 163.2, 155.8, 155.4, 155.0, 154.3, 132.2, 130.7, 130.4, 129.0, 120.2, 111.5, 107.2, 97.0, 45.2, 37.3; ESI-MS$[M+H]^+$: 320; HRMS: Calcd for $C_{17}H_{16}ClFNO_2[M+H]^+$, 320.0848; Found, 320.0838.



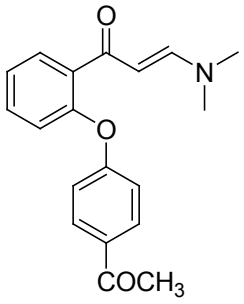

**(*E*)-1-(2-(4-Bromophenoxy)-4-fluorophenyl)-3-(dimethylamino)prop-2-en-1-one (3m)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.65 (s, 1 H), 7.52 (s, 1 H), 7.47 (d, 2 H, $J$ = 8.5 Hz), 6.83-6.78 (m, 2 H), 6.54 (q, 1 H, $J$ = 8.2 Hz), 5.48 (d, 1 H, $J$ = 12.4 Hz), 3.00 (s, 3 H), 2.70 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.3, 165.2, 163.2, 156.4, 155.2, 154.3, 139.3, 139.0, 133.7, 132.2, 120.3, 116.2, 111.4, 107.4, 97.0, 45.2, 37.3; ESI-MS$[M+H]^+$: 364; HRMS: Calcd for $C_{17}H_{16}BrFNO_2[M+H]^+$,364.0343; Found, 364.0337.



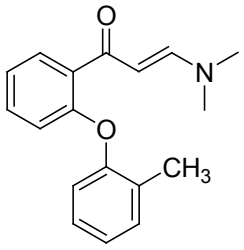

**(*E*)-3-(Dimethylamino)-1-(4-fluoro-2-phenoxyphenyl)prop-2-en-1-one (3n)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.67 (s, 1 H), 7.54 (s, 1 H), 7.26-7.23 (m, 2 H), 7.02 (t, 1 H, $J$ = 8.0 Hz), 6.91 (d, 2 H, $J$ = 8.1 Hz), 6.78-6.74 (m, 1 H), 6.49 (q, 1 H, $J$ = 8.0 Hz), 5.46 (d, 1 H, $J$ = 12.4 Hz), 2.96 (s, 3 H), 2.66 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.4, 165.1, 163.1, 156.8, 155.8, 154.2, 132.0, 130.0, 128.6, 126.8, 123.8, 118.8, 110.8, 106.9, 97.2, 45.1, 37.2; ESI-MS$[M+H]^+$: 286; HRMS: Calcd for $C_{17}H_{17}FNO_2[M+H]^+$, 286.1238; Found, 286.1232.



**(*E*)-1-(2-(4-Fluorophenoxy)phenyl)-3-(dimethylamino)prop-2-en-1-one (3o)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.57 (s, 1 H), 7.48 (s, 1 H), 7.25 (m, 1 H), 7.07 (q, 1 H, $J$ = 8.3 Hz), 6.91-6.79 (m, 5 H), 5.47 (d, 1 H, $J$ = 12.4 Hz), 2.96 (s, 3 H), 2.68 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 188.9, 159.5, 157.8, 154.2, 153.7, 134.4, 131.0, 130.2, 123.9, 119.8, 119.6, 119.5, 116.3, 116.1, 97.4, 45.0, 37.2; ESI-MS$[M+H]^+$: 286; HRMS: Calcd for $C_{17}H_{17}FNO_2[M+H]^+$,286.1238; Found, 286.1237.

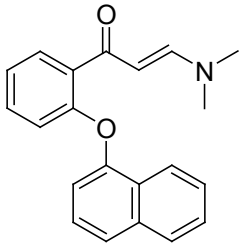



**(*E*)-1-(2-(4-Acetylphenoxy)phenyl)-3-(dimethylamino)prop-2-en-1-one (3p)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.83 (s, 1 H), 7.81 (s, 1 H), 7.64 (d, 1 H, $J$ = 6.0 Hz), 7.35-7.32 (m, 1H), 7.20 (q, 1 H, $J$ = 8.0 Hz), 6.95 (d, 1 H, $J$ = 8.0 Hz), 6.86-6.84 (d, 2 H, $J$ = 8.8 Hz), 5.40 (d, 1 H, $J$ = 12.4 Hz), 2.96 (s, 3 H), 2.66 (s, 3 H), 2.46 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 196.9, 188.3, 162.5, 154.4, 152.1, 135.4, 132.0, 131.7, 131.4, 130.5, 129.9, 129.3, 125.4, 121.8, 116.7, 97.0, 45.1, 37.2, 26.6; ESI-MS$[M+H]^+$: 310; HRMS: Calcd for $C_{19}H_{20}NO_3[M+H]^+$,310.1438; Found, 310.1430.

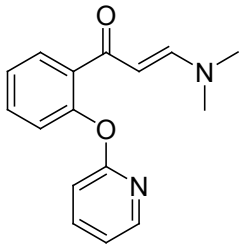



**(*E*)-1-(2-(*o*-Tolyloxy)phenyl)-3-(dimethylamino)prop-2-en-1-one (3q)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.61 (s, 1 H), 7.48 (s, 1 H), 7.25-7.08 (m, 1 H), 7.08-7.01 (m, 3 H), 6.82-6.78 (m, 3 H), 5.57 (d, 1 H, $J$ = 12.4 Hz), 2.97 (s, 3 H), 2.68 (s, 3 H), 2.23 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 189.3, 157.4, 154.9, 154.0, 135.5, 134.6, 131.5, 130.5, 130.5, 130.3, 128.3, 125.2, 121.2, 120.0, 98.0, 45.6, 37.8,

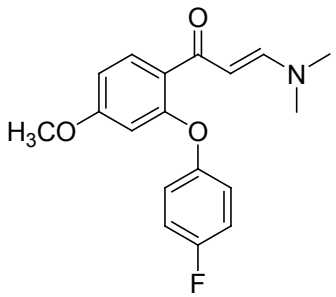
30.2; ESI-MS$[M+H]^+$: 282; HRMS: Calcd for $C_{18}H_{20}NO_2[M+H]^+$, 282.1489; Found, 282.1482.



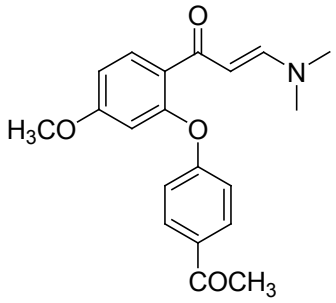
**(*E*)-3-(Dimethylamino)-1-(2-(naphthalen-1-yloxy)phenyl)prop-2-en-1-one (3r)** Pale yellow oil; $^1$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.72-7.70 (m, 3 H), 7.57 (d, 2 H, $J$ = 8.1 Hz), 7.30-7.29 (m, 3 H), 7.18-7.13 (m, 3 H), 6.93 (d, 1 H, $J$ = 8.1 Hz), 5.57 (d, 1 H, $J$ = 12.4 Hz), 2.92 (s, 3 H), 2.61 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 188.9, 156.0, 154.3, 154.0, 134.6, 132.3, 131.3, 130.2, 128.8, 128.1, 127.7, 127.3, 126.7, 125.7, 124.8, 120.7, 120.0, 113.2, 97.7, 45.1, 37.3; ESI-MS$[M+H]^+$: 318; HRMS: Calcd for $C_{21}H_{20}NO_2[M+H]^+$, 318.1489; Found, 318.1482.



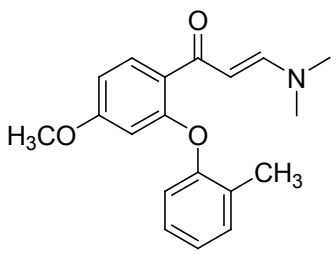
**(*E*)-3-(Dimethylamino)-1-(2-(pyridin-2-yloxy)phenyl)prop-2-en-1-one (3s)** Pale yellow oil; $^1$H NMR ($CDCl_3$, 500 MHz): $\delta$ 8.07 (s, 1 H), 7.58-7.55 (m, 2 H), 7.50 (s, 1H), 7.34 (s, 1 H), , 7.19 (t, 1 H, $J$ = 8.1 Hz), 7.03 (d, 1 H, $J$ = 8.5 Hz), 6.89-6.86 (m, 1 H), 6.78 (s, 1 H), 5.37 (d, 1 H, $J$ = 12.4 Hz), 2.90 (s, 3 H), 2.60 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 189.4, 164.2, 154.6, 151.2, 18.0, 139.6, 135.6, 130.9, 130.1, 125.3, 123.3, 118.2, 111.4, 97.7, 45.0 37.2; ESI-MS$[M+H]^+$: 269; HRMS: Calcd for $C_{16}H_{16}N_2O_2Na[M+Na]^+$, 291.1104; Found, 291.1097.



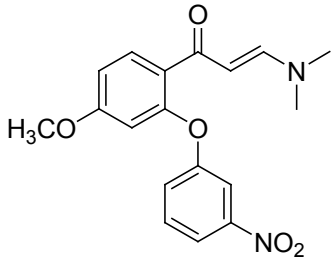
**(*E*)-1-(2-(4-Fluorophenoxy)-4-methoxyphenyl)-3-(dimethylamino)prop-2-en-1-one (3t)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.66 (s, 1 H), 7.54 (s, 1 H), 6.91-6.82 (m, 4 H), 6.62 (q, 1 H, $J$ = 8.0 Hz), 6.29 (d, 1 H, $J$ = 2.0 Hz), 5.59 (d, 1 H, $J$ = 12.4 Hz), 3.65 (s, 3 H), 2.95 (s, 3 H), 2.66 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.4, 162.2, 159.5, 157.6, 155.9, 153.7, 153.4, 132.0, 126.6, 119.5, 116.2, 109.4, 105.4, 97.1, 55.5, 44.9, 37.1; ESI-MS$[M+H]^+$: 316; HRMS: Calcd for $C_{18}H_{19}FNO_3[M+H]^+$, 316.1343; Found, 316.1339.



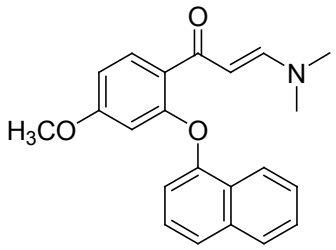
**(*E*)-3-(dimethylamino)-1-(2-phenoxyphenyl)prop-2-en-1-one** (**3u**) Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.83-7.81 (m, 2H), 7.71 (d, 1 H, $J$ = 8.5 Hz), 6.87-6.85 (m, 2 H), 6.74 (t, 1 H, $J$ = 7.5 Hz), 6.45 (d, 1 H, $J$ = 2.5 Hz), 5.49 (d, 1 H, $J$ = 12.4 Hz), 3.71 (s, 3 H), 2.94 (s, 3 H), 2.64 (s, 3 H), 2.46 (s, 3H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 196.9, 186.9, 162.5, 162.3, 132.2, 131.6, 130.7, 127.5, 116.6, 111.2, 107.2, 96.7, 55.7, 45.0, 37.2, 26.5; ESI-MS$[M+H]^+$: 340; HRMS: Calcd for $C_{20}H_{22}NO_4[M+H]^+$, 340.1543; Found, 340.1548.



**(*E*)-1-(2-(*o*-Tolyloxy)-4-methoxyphenyl)-3-(dimethylamino)prop-2-en-1-one (3v)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.68 (s, 1 H), 7.57 (s, 1 H), 7.12 (d, 1 H, $J$ = 7.3 Hz), 7.04-7.01 (m, 1 H), 6.92 (t, 1 H, $J$ = 7.5 Hz), 6.74 (d, 1 H, $J$ = 8.0 Hz), 6.57-6.55 (m, 1 H), 6.14 (s, 1 H), 5.79 (d, 1 H, $J$ = 12.4 Hz), 3.60 (s, 3 H), 2.93 (s, 3 H), 2.65 (s, 3 H), 2.18 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.7, 162.2, 156.3, 154.6, 153.5, 132.0, 131.4, 129.1, 127.3, 125.8, 123.7, 118.6, 108.2, 103.6, 97.4, 44.8, 37.0, 16.3; ESI-MS[M+H]$^+$: 313; HRMS: Calcd for $C_{19}H_{22}NO_3$[M+H]$^+$, 312.1594; Found, 313.1579.

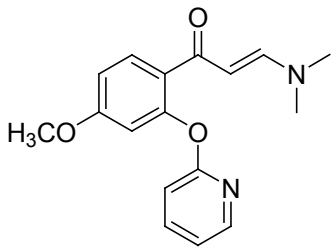



**(*E*)-1-(2-(3-Nitrophenoxy)-4-methoxyphenyl)-3-(dimethylamino)prop-2-en-1-one (3w)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.79 (m, 1 H), 7.68 (m, 2 H), 7.53-7.48 (t, 1 H, $J$ = 8.0 Hz), 7.34 (t, 1 H, $J$ = 7.5 Hz), 7.19 (s, 1H), 7.15-7.13 (m, 1H), 6.77-6.75 (m, 1 H), 6.46 (d, 1 H, $J$ = 2.4 Hz), 5.46 (d, 1 H, $J$ = 12.4 Hz), 3.75 (s, 3 H), 2.98 (s, 3 H), 2.71 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.4, 162.6, 159.2, 154.3, 153.9, 149.5, 132.4, 130.5, 127.7, 123.3, 117.4, 112.5, 111.3, 107.4, 97.3, 55.9, 45.2, 37.4; ESI-MS[M+H]$^+$: 343; HRMS: Calcd for $C_{18}H_{19}N_2O_5$[M+H]$^+$, 343.1288; Found, 343.1287.

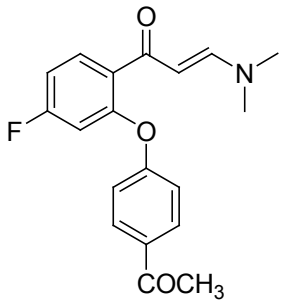



**(*E*)-3-(Dimethylamino)-1-(4-methoxy-2-(naphthalen-1-yloxy)phenyl)prop-2-en-1-one (3x)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.78 (d, 1 H, $J$ = 8.5 Hz), 7.74-7.71 (m, 2 H), 7.60-7.56 (m, 2 H), 7.35 (t, 1 H, $J$ = 8.1 Hz), 7.29 (d, 1 H, $J$ = 8.0 Hz), 7.19-7.15 (m, 2 H), 6.72-6.70 (m, 1 H), 6.43 (d, 1 H, $J$ = 2.4 Hz), 5.69 (d, 1 H, $J$

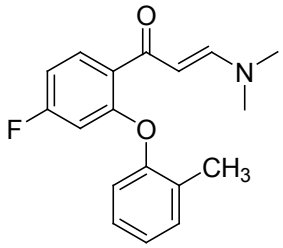
= 12.4 Hz), 3.67 (s, 3 H), 2.92 (s, 3 H), 2.61 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.4, 162.6, 155.7, 153.8, 134.6, 132.4, 130.1, 128.7, 127.9, 127.4, 127.2, 126.7, 124.8, 119.6, 113.2, 110.3, 106.3, 101.7, 97.4, 55.8, 45.0, 37.3; ESI-MS$[M+H]^+$: 348; HRMS: Calcd for $C_{22}H_{22}NO_3[M+H]^+$, 348.1594; Found, 348.1588.



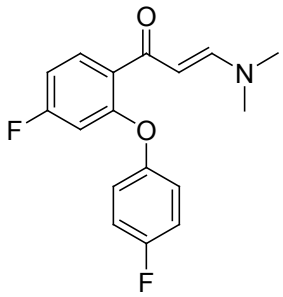

**(*E*)-3-(Dimethylamino)-1-(4-methoxy-2-(pyridin-2-yloxy)phenyl)prop-2-en-1-one (3y)** Pale yellow oil; $^1$H NMR ($CDCl_3$, 500 MHz): $\delta$ 8.05-8.04 (m, 1H), 7.62 (d, 1 H, $J$ = 8.1 Hz), 7.5-7.52 (m, 1 H), 7.42 (d, 1 H, $J$ = 8.0 Hz), 6.84 (t, 1 H, $J$ = 8.2 Hz), 6.75-6.68 (m, 2 H), 6.51 (m, 1 H), 5.43 (d, 1 H, $J$ = 12.4 Hz), 3.67 (s, 3 H), 2.86 (s, 3 H), 2.56 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.5, 163.6, 161.7, 153.8, 152.4, 147.5, 139.3, 131.3, 127.5, 118.2, 111.0, 110.8, 107.9, 96.7, 55.4, 44.6, 36.8; ESI-MS$[M+H]^+$: 299; HRMS: Calcd for $C_{17}H_{18}N_2O_3Na[M+Na]^+$, 321.1210; Found,321.1200.



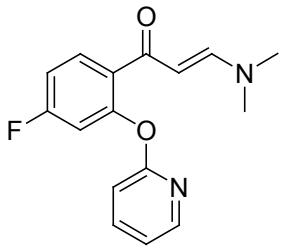

**(*E*)-1-(2-(4-Acetylphenoxy)-4-fluorophenyl)-3-(dimethylamino)prop-2-en-1-one (3z)** Pale yellow oil; $^1$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.86-7.84 (m, 2 H), 7.67 (d, 1 H, J = 6.1 Hz), 7.51 (s, 1 H), 6.91-6.87 (m, 3 H), 6.64 (d, 1 H, $J$ = 8.1 Hz), 5.43 (d, 1 H, $J$ = 12.4 Hz), 2.98 (s, 3 H), 2.67 (s, 3 H), 2.47 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 196.6, 186.8, 165.1, 163.1, 161.7, 154.4, 153.8, 132.4, 131.4, 130.9, 129.3, 117.2, 112.4, 108.8, 96.7, 45.2, 37.3, 26.6; ESI-MS$[M+H]^+$: 328; HRMS: Calcd for $C_{19}H_{19}FNO_3[M+H]^+$,328.1343; Found, 328.1348.



**(*E*)-3-(Dimethylamino)-1-(4-fluoro-2-(*o*-tolyloxy)phenyl)prop-2-en-1-one (3aa)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.62 (s, 1 H), 7.59 (s, 1 H), 7.16 (d, 1 H, $J$ = 7.3 Hz), 7.09 (t, 1 H, $J$ = 7.5 Hz), 7.00 (t, 1 H, $J$ = 7.5 Hz), 6.81 (d, 1H, $J$ = 8.0 Hz), 6.71-6.67 (m, 1 H), 6.27 (q, 1 H, $J$ = 8.0 Hz), 5.63 (d, 1 H, $J$ = 12.4 Hz), 2.98 (s, 3 H), 2.70 (s, 3 H), 2.16 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.6, 165.0, 163.0, 156.3, 153.8, 138.8, 131.8, 131.5, 129.6, 128.8, 128.1, 127.3, 124.4, 119.5, 109.5, 104.3, 97.3, 44.8, 37.0, 16.1; ESI-MS$[M+H]^+$: 300; HRMS: Calcd for $C_{18}H_{19}FNO_2[M+H]^+$, 300.1394; Found, 300.1390.

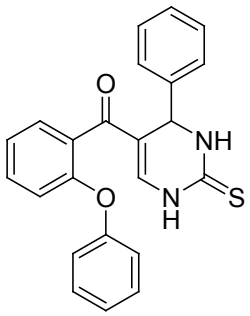


**(*E*)-1-(2-(4-Fluorophenoxy)-4-fluorophenyl)-3-(dimethylamino)prop-2-en-1-one (3ab)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 7.64 (s, 1 H), 7.58 (s, 1 H), 6.97-6.94 (m, 2 H), 6.91-6.89 (m, 2 H), 6.46 (t, 1 H, $J$ = 8.0 Hz), 6.46 (d, 1 H, $J$ = 8.0 Hz), 5.53 (d, 1 H, $J$ = 12.4 Hz), 3.01 (s, 3 H), 2.72 (s, 3 H), 1.67 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.6, 165.2, 163.3, 160.2, 158.3, 156.3, 154.3, 152.8, 132.2, 130.2, 120.5, 116.8, 116.6, 110.9, 106.4, 97.4, 45.2, 37.4; ESI-MS$[M+H]^+$: 304; HRMS: Calcd for $C_{17}H_{16}F_2NO_2[M+H]^+$, 304.1144; Found, 304.1147.



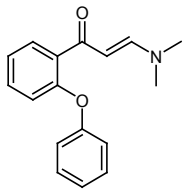
**(*E*)-3-(Dimethylamino)-1-(4-fluoro-2-(pyridin-2-yloxy)phenyl)prop-2-en-1-one**

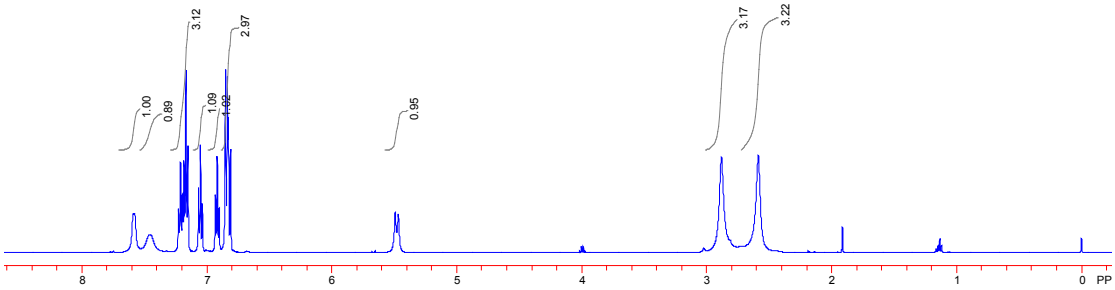
**(3ac)** Pale yellow oil; $^{1}$H NMR ($CDCl_3$, 500 MHz): $\delta$ 8.05 (s, 1 H), 7.60-7.56 (m, 2 H), 7.41 (s, 1 H), 6.90-6.80 (m, 3 H), 6.73 (d, 1 H, $J$ = 9.5 Hz), 5.34 (d, 1 H, $J$ = 12.4 Hz), 2.88 (s, 3 H), 2.597 (s, 3 H); $^{13}$C NMR ($CDCl_3$, 125 MHz): $\delta$ 187.6, 164.4, 163.2, 162.4, 154.3, 152.3, 147.4, 139.6, 131.3, 112.0, 111.9, 111.6, 110.0, 109.8, 96.8, 44.8, 36.9; ESI-MS$[M+H]^+$: 287; HRMS: Calcd for $C_{16}H_{15}FN_2O_2Na$ $[M+Na]^+$, 309.1010; Found,309.0999.

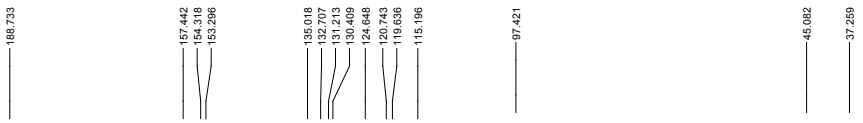


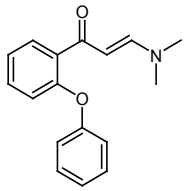

(2-phenoxyphenyl)(4-phenyl-2-thioxo-1,2,3,4-tetrahydropyrimidin-5-yl)methanone

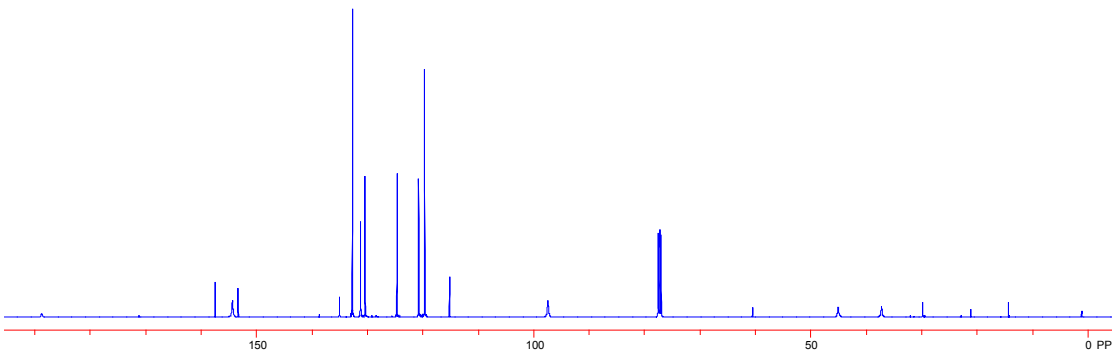
(**5a**) Pale yellow solid; m.p. 88-90 $^{o}$C; $^{1}$H NMR (DMSO-$d_6$, 500 MHz): $\delta$ 8.26 (s, 1 H), 7.99 (s, 1 H), 7.57(s, 1 H), 7.48-7.44 (m, 1 H), 7.38-7.34 (m, 3 H), 7.26-7.23(t, 1 H, $J$ = 7.5 Hz), 7.16 (s, 5 H), 7.11 (t, 1 H, $J$ = 7.5 Hz), 7.00 (d, 1 H, $J$ = 8.2 Hz), 6.93 (d, 2 H, $J$ = 8.3 Hz), 5.51 (s, 1 H); $^{13}$C NMR (DMSO-$d_6$, 125 MHz): $\delta$ = 192.3, 161.1, 158.0, 157.2, 153.9, 143.6, 133.6, 132.0, 131.1, 130.2, 129.6, 128.4, 127.8, 125.0, 124.4, 120.5, 119.1, 114.7, 39.8; ESI-MS$[M+H]^+$: 387; HRMS: Calcd for $C_{23}H_{19}N_2O_2S[M+H]^+$, 387.1162; Found, 387.1155.

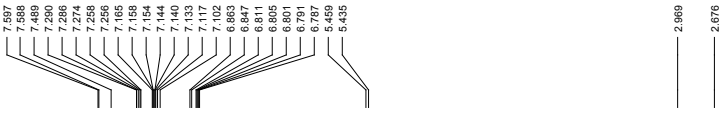



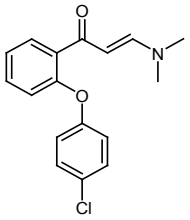



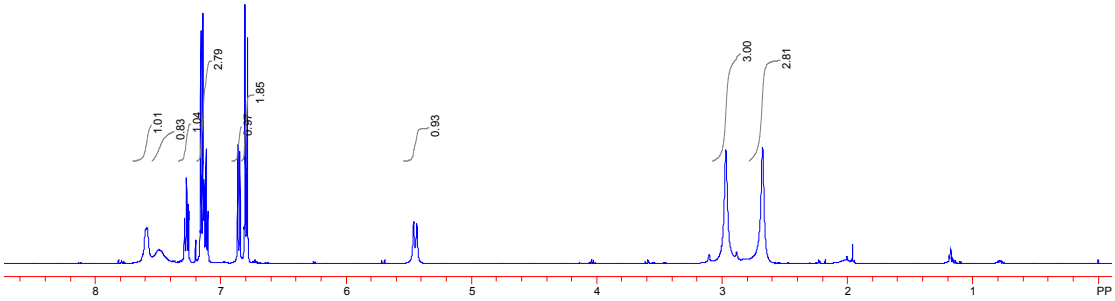



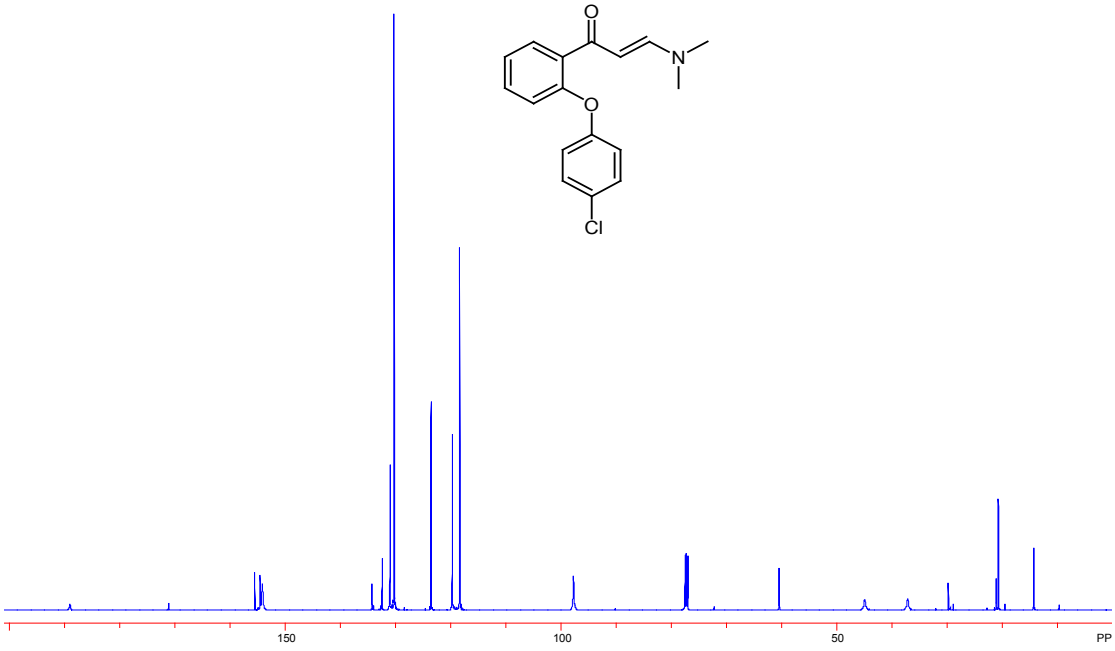


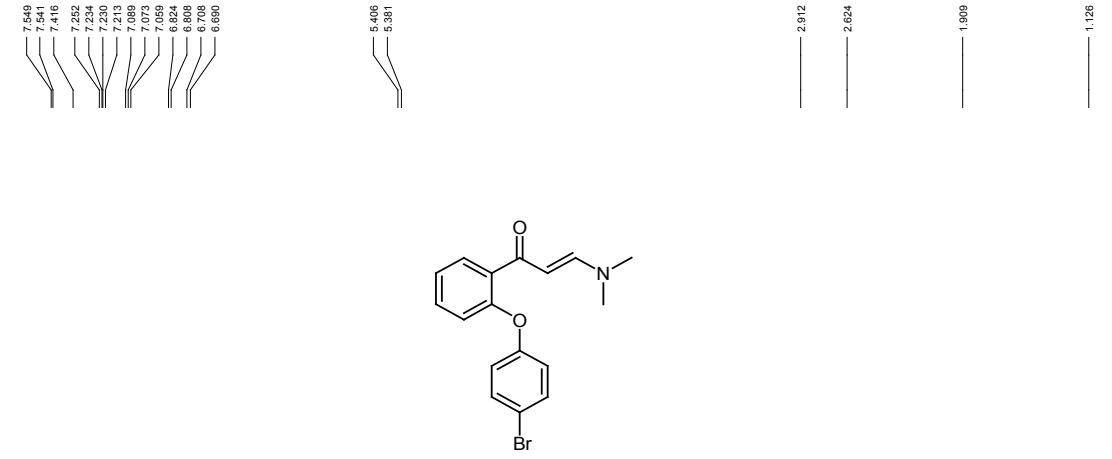





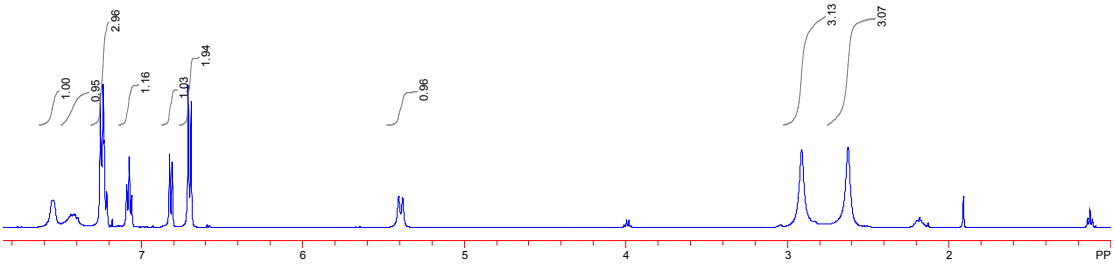


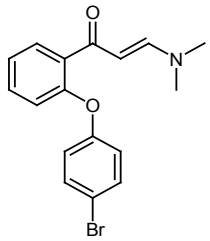


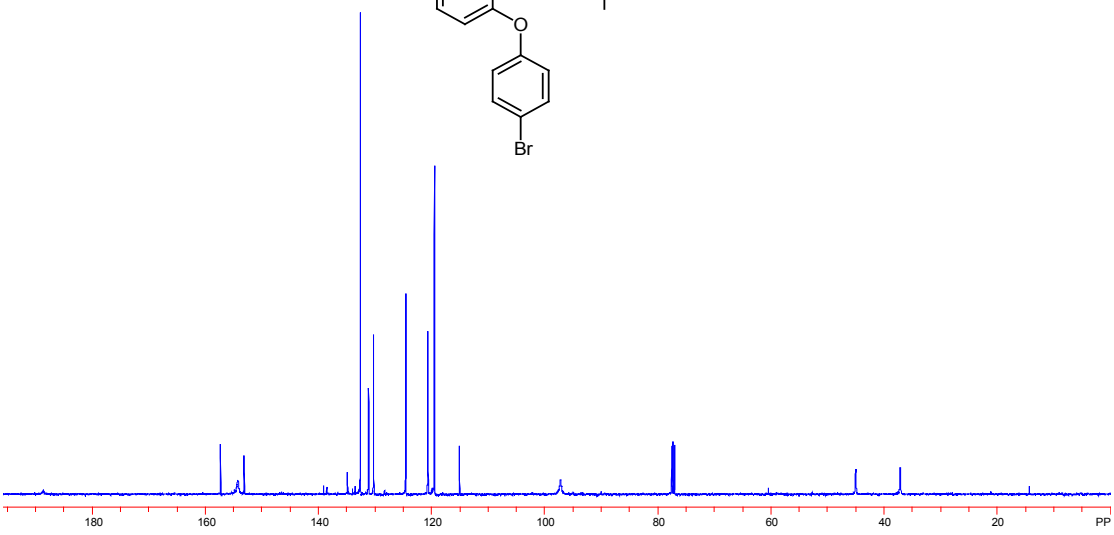


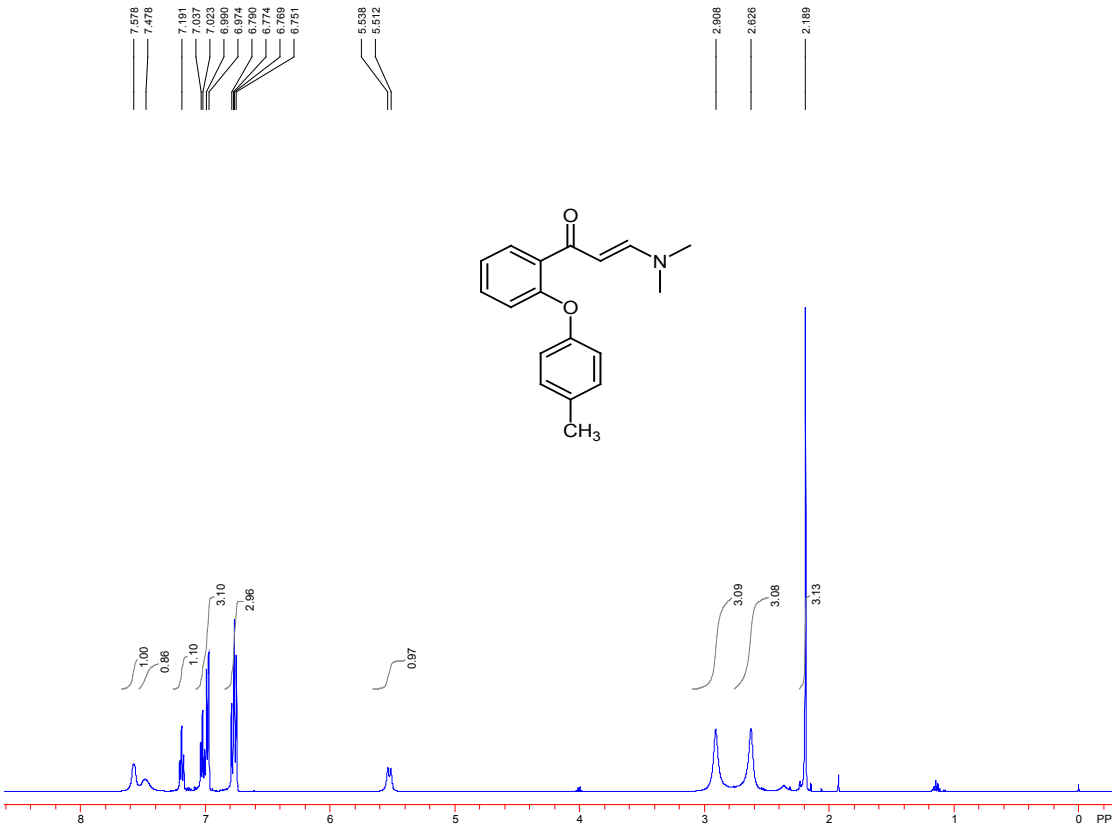


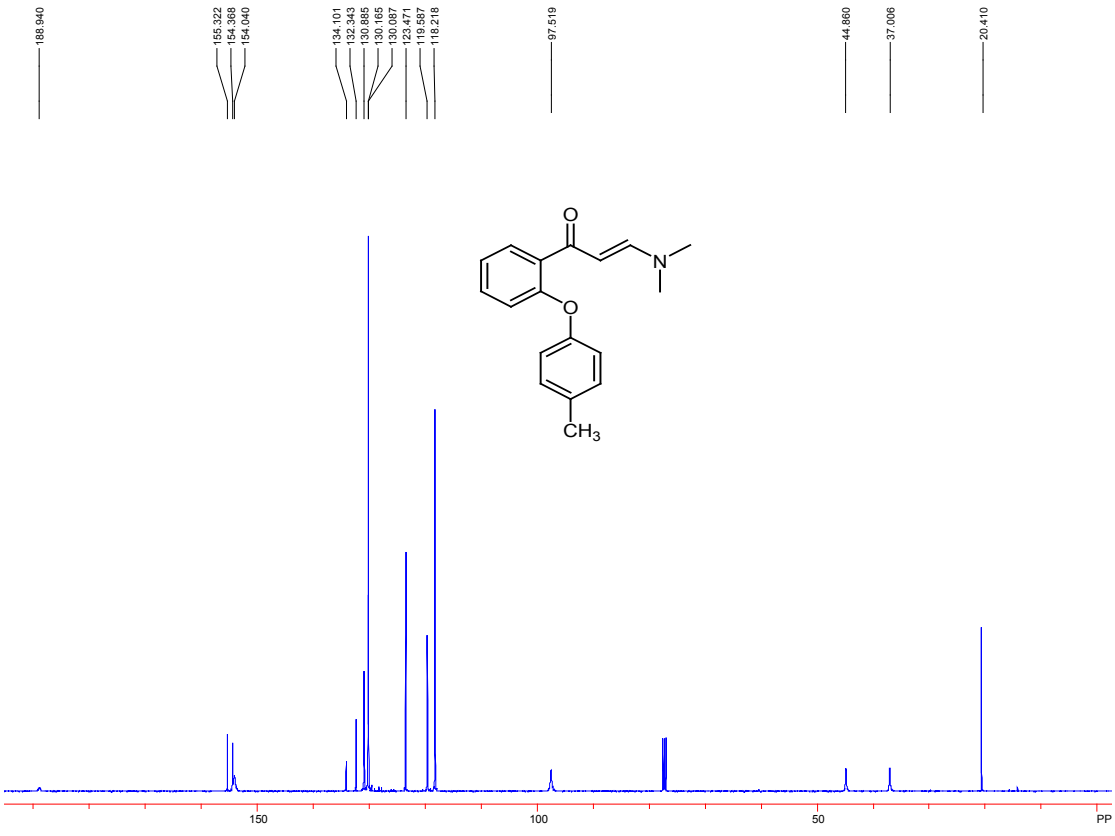


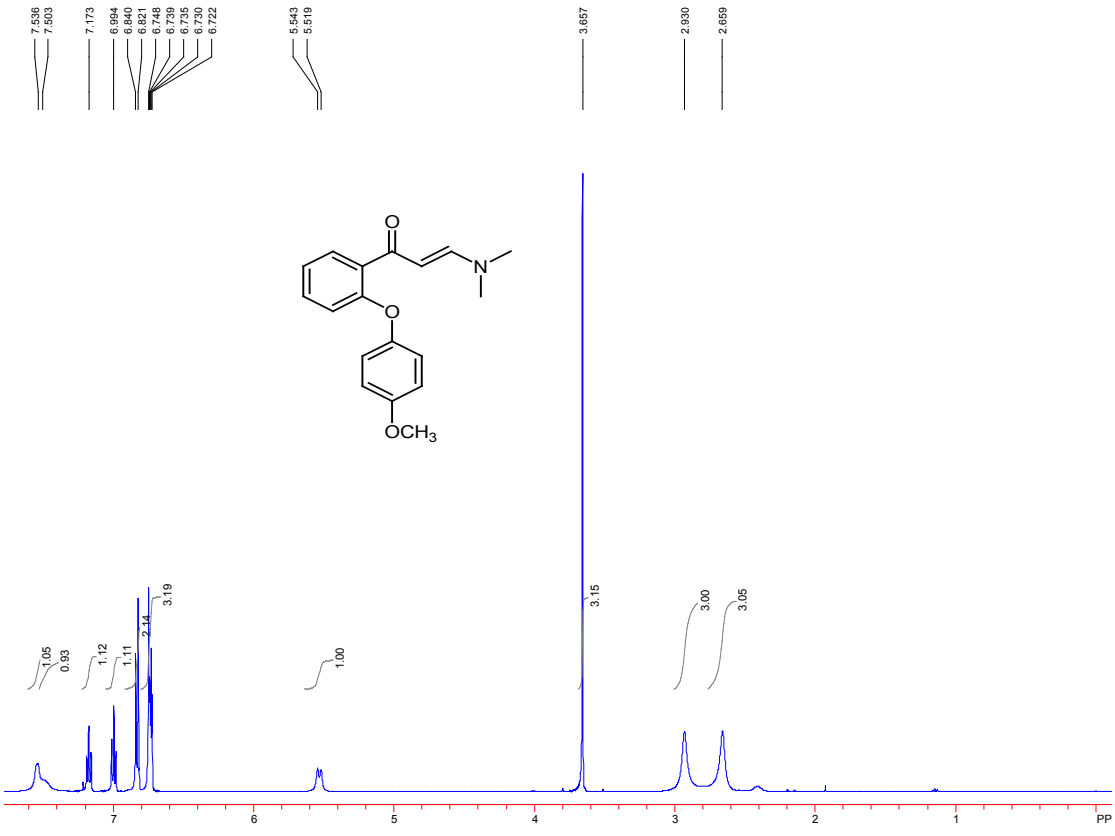


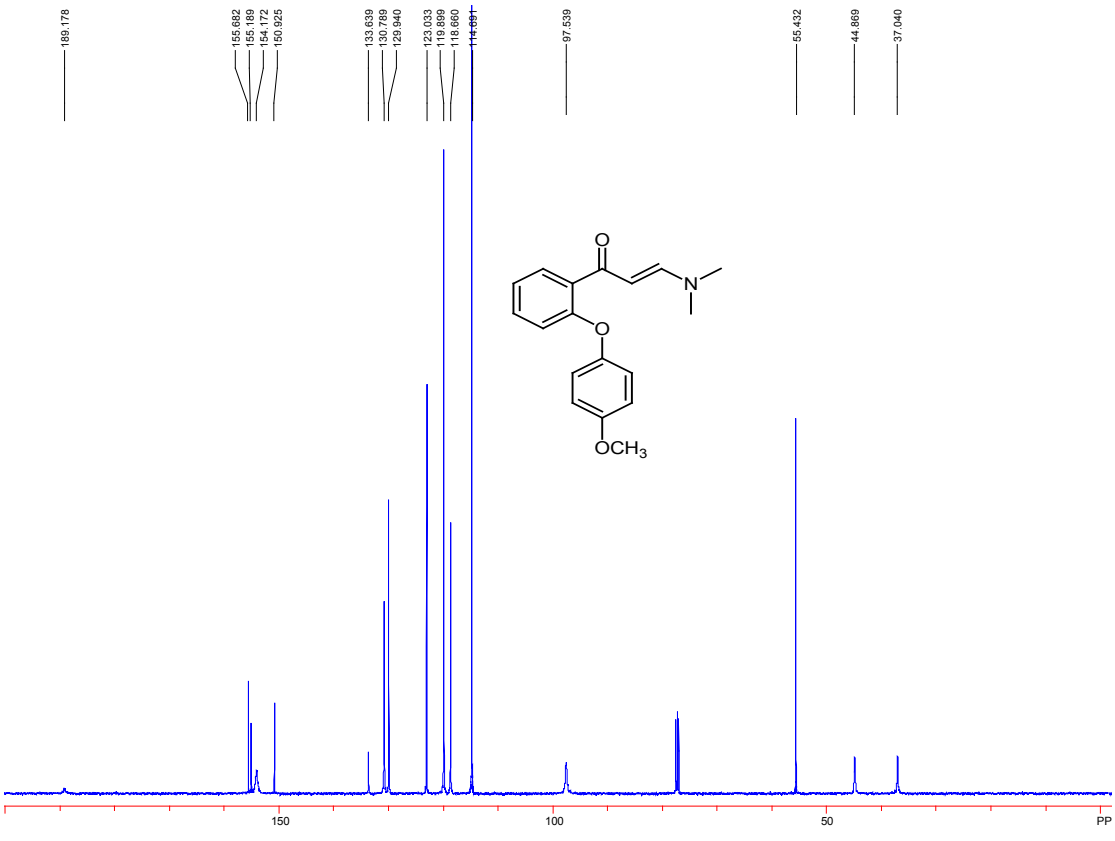


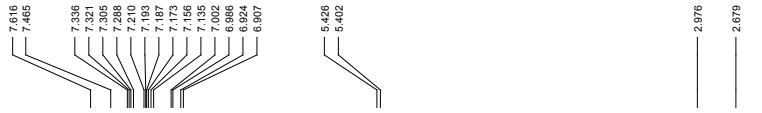





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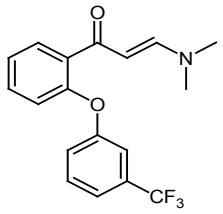
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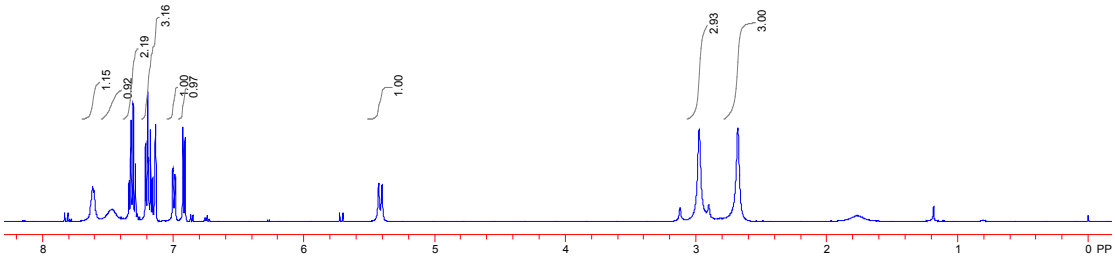
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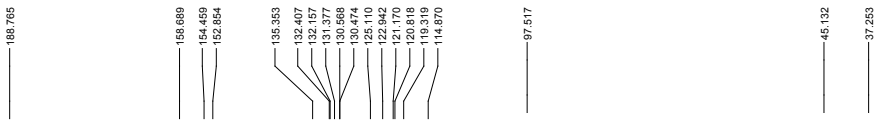
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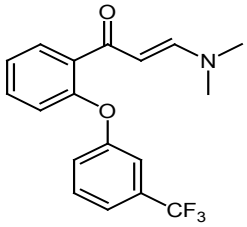
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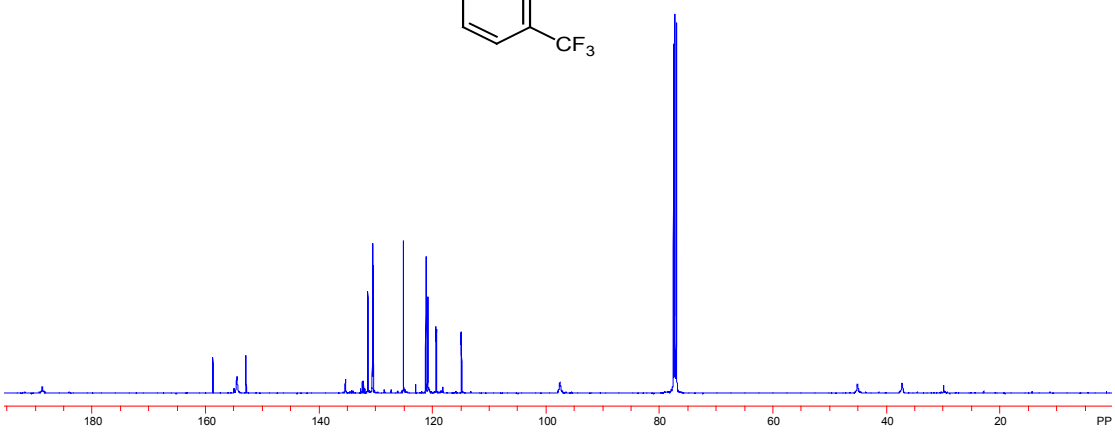
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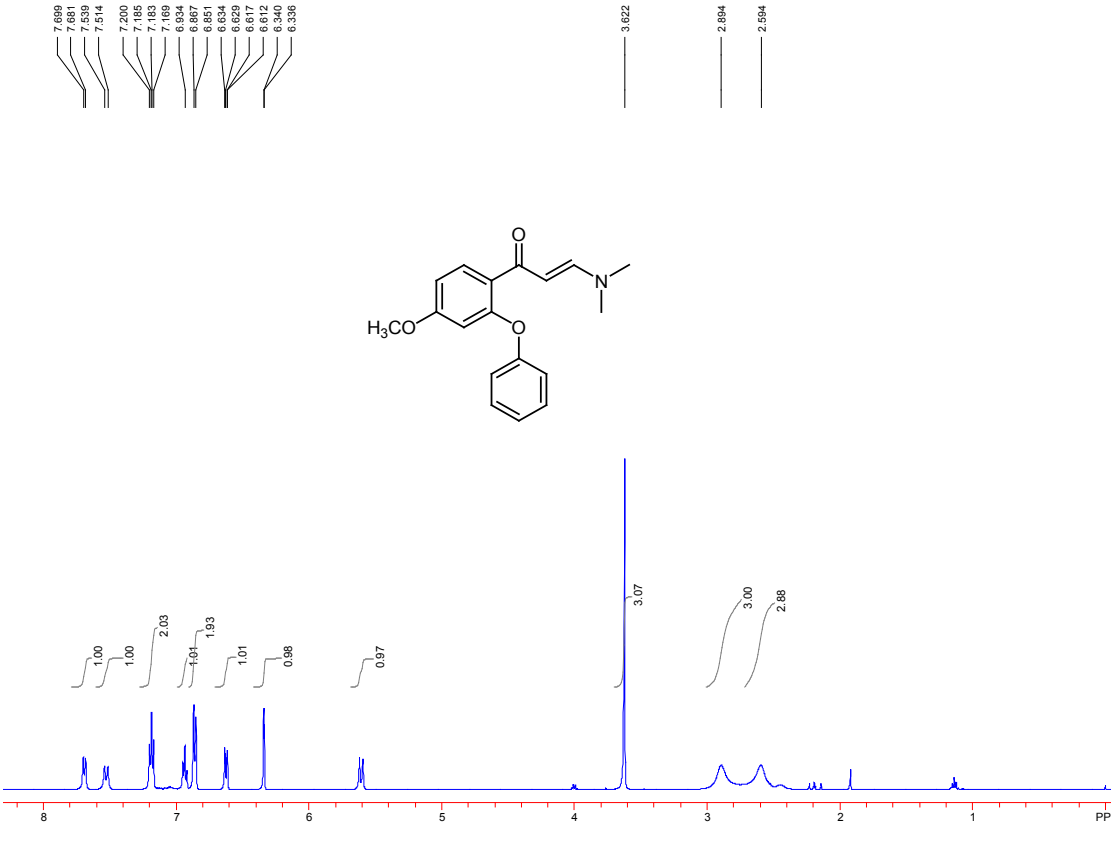


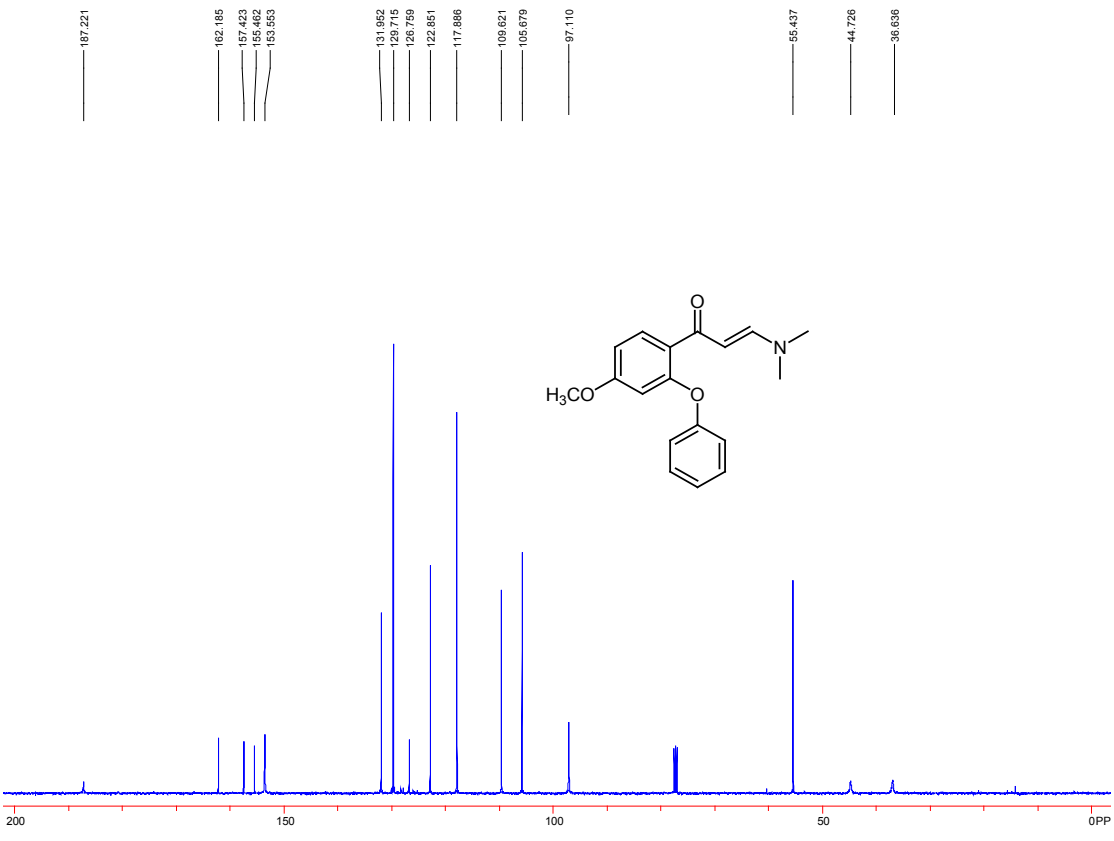

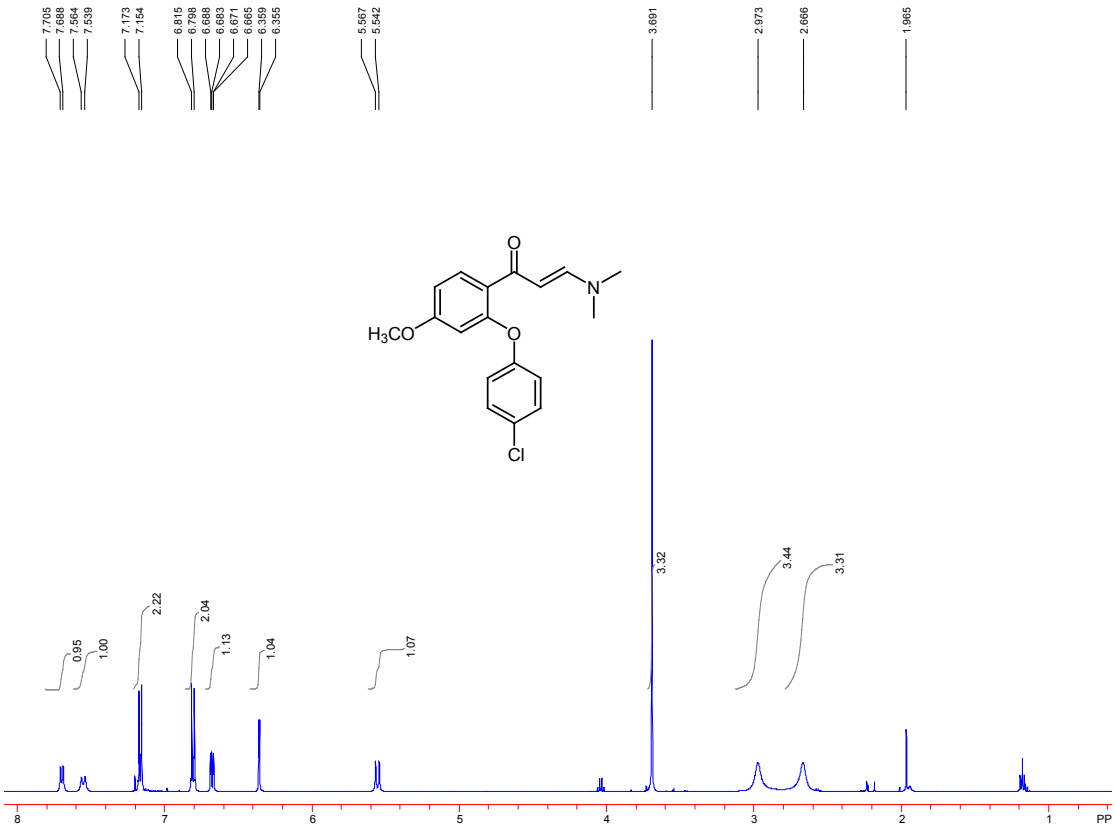
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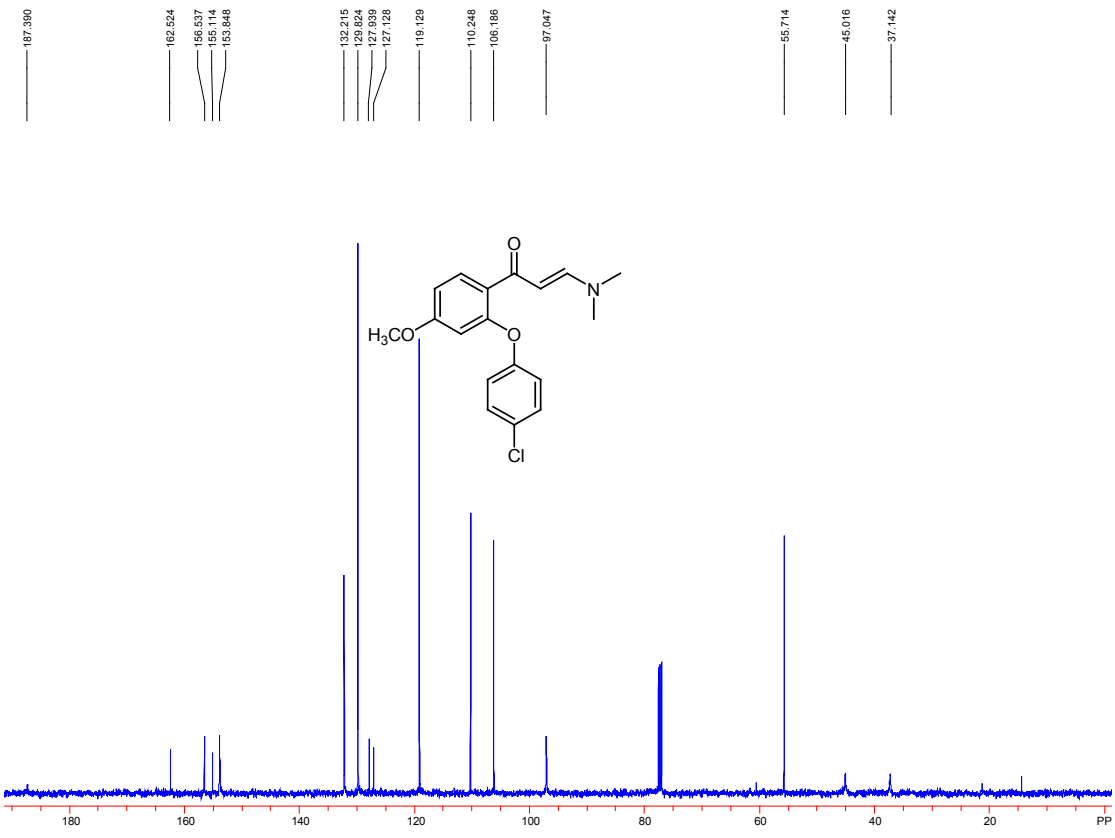
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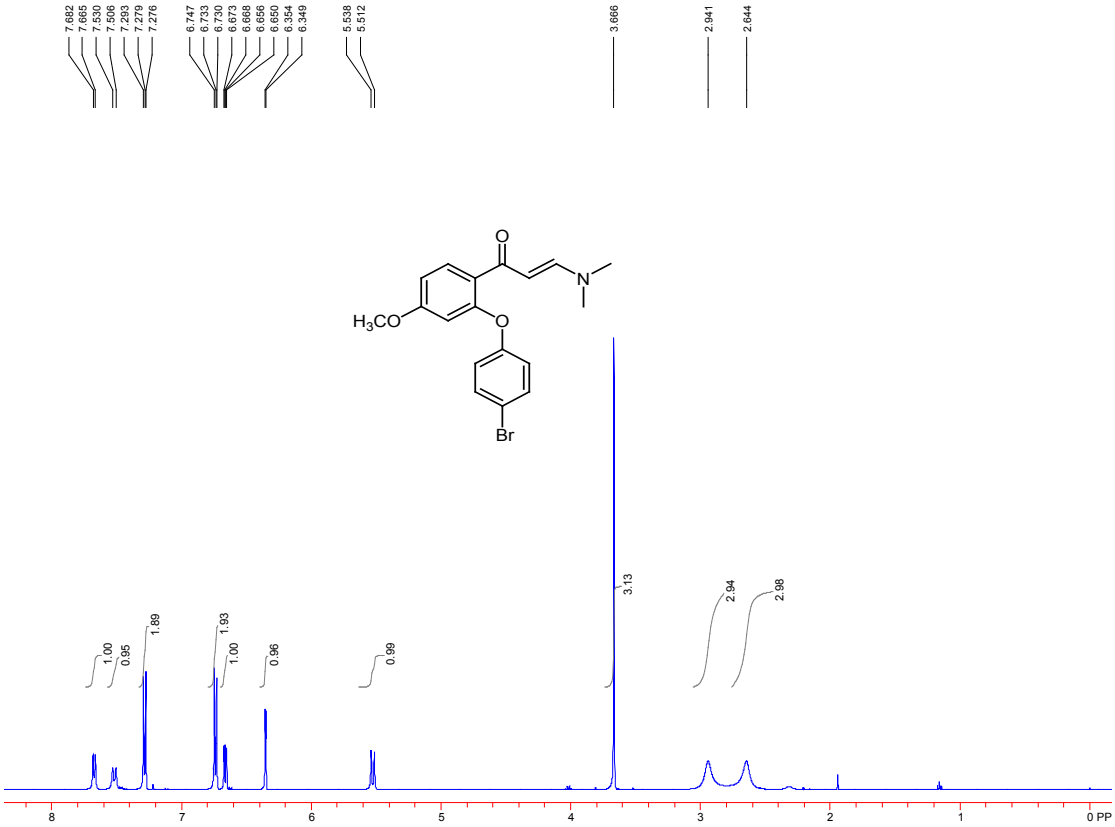


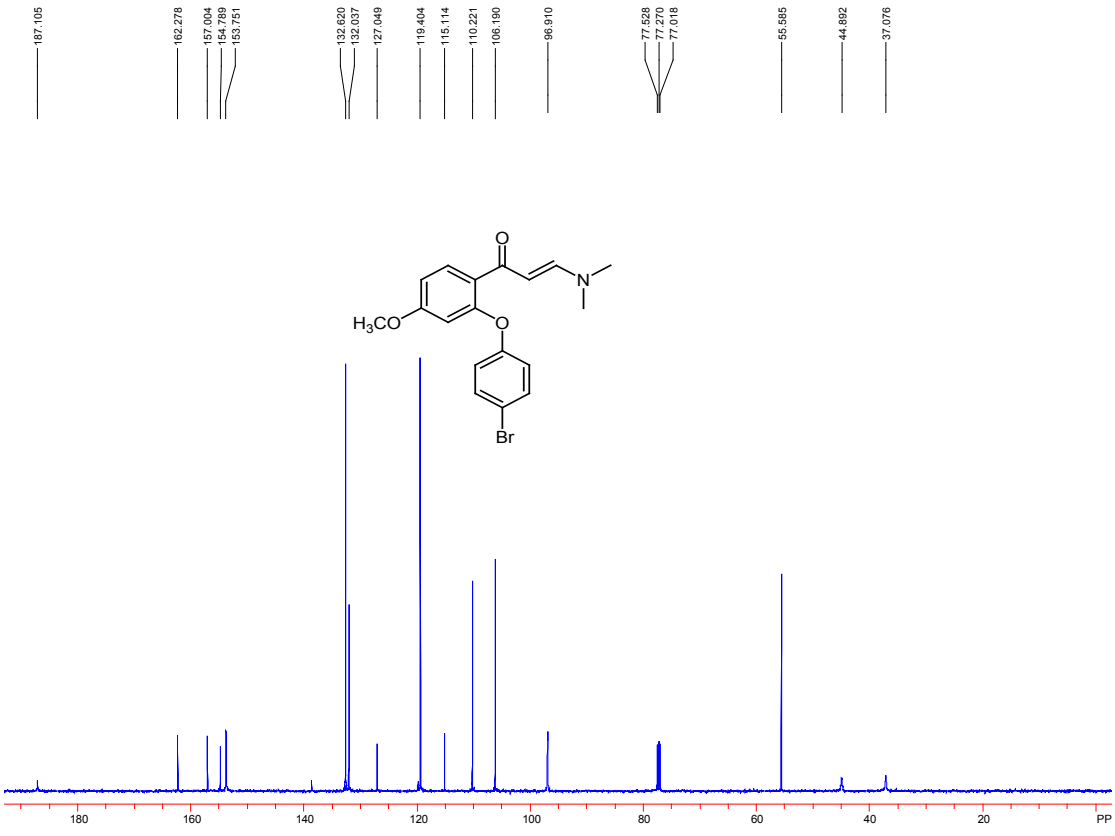

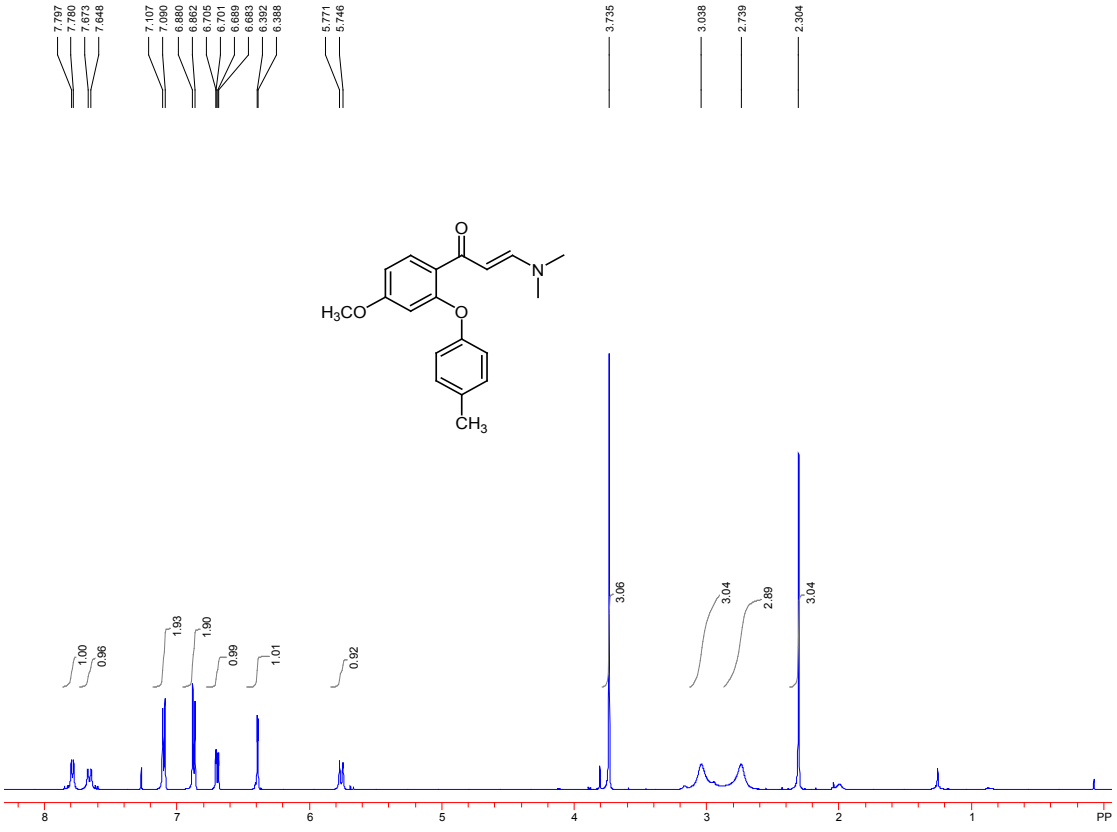


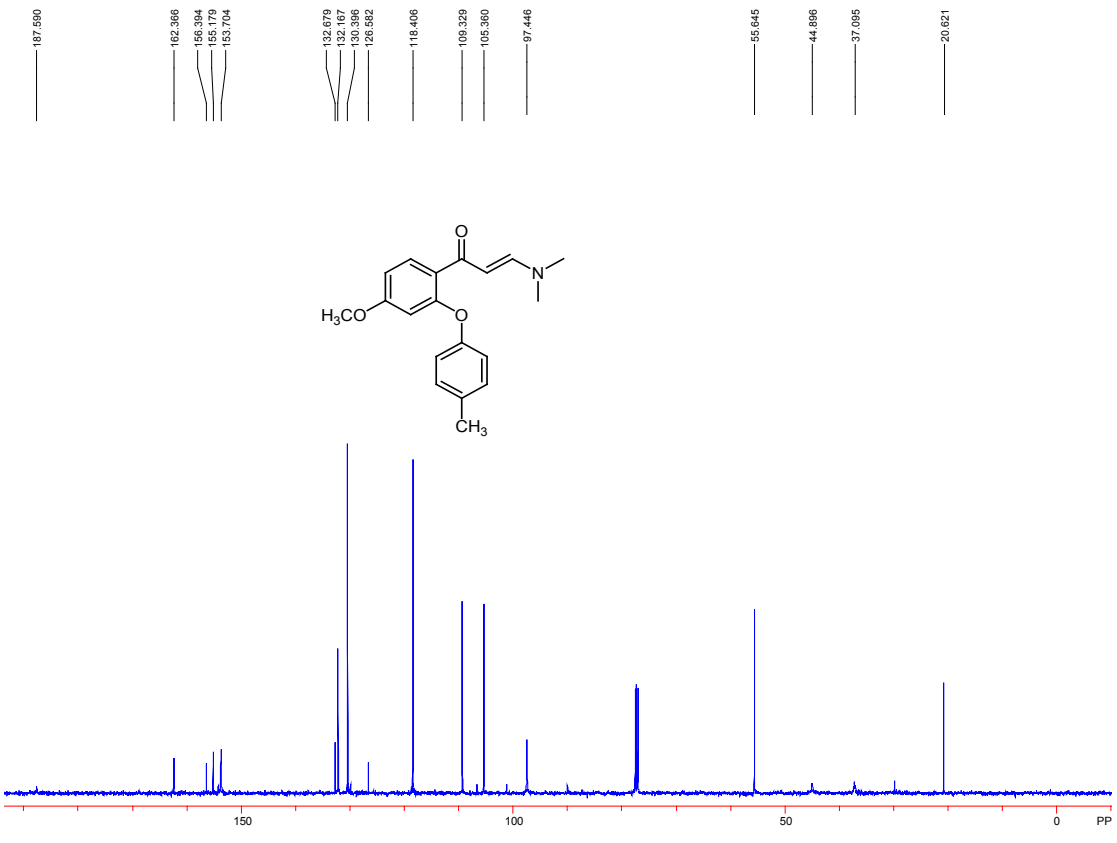

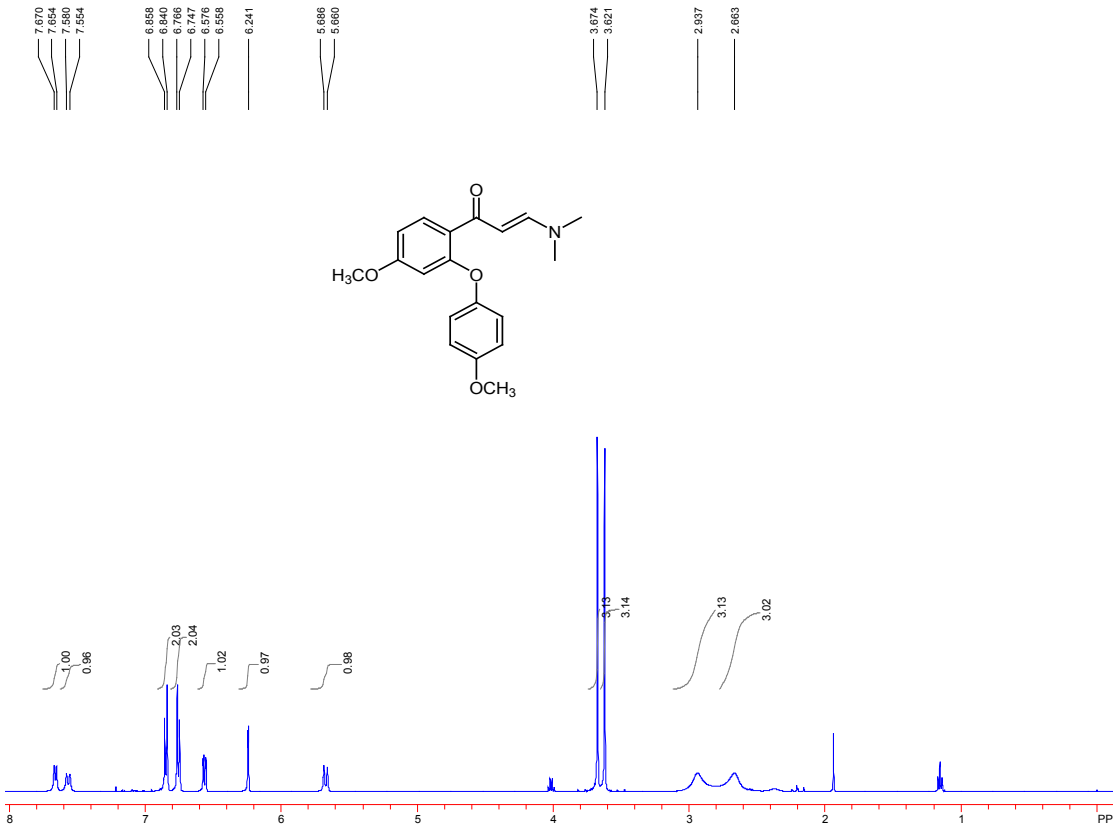


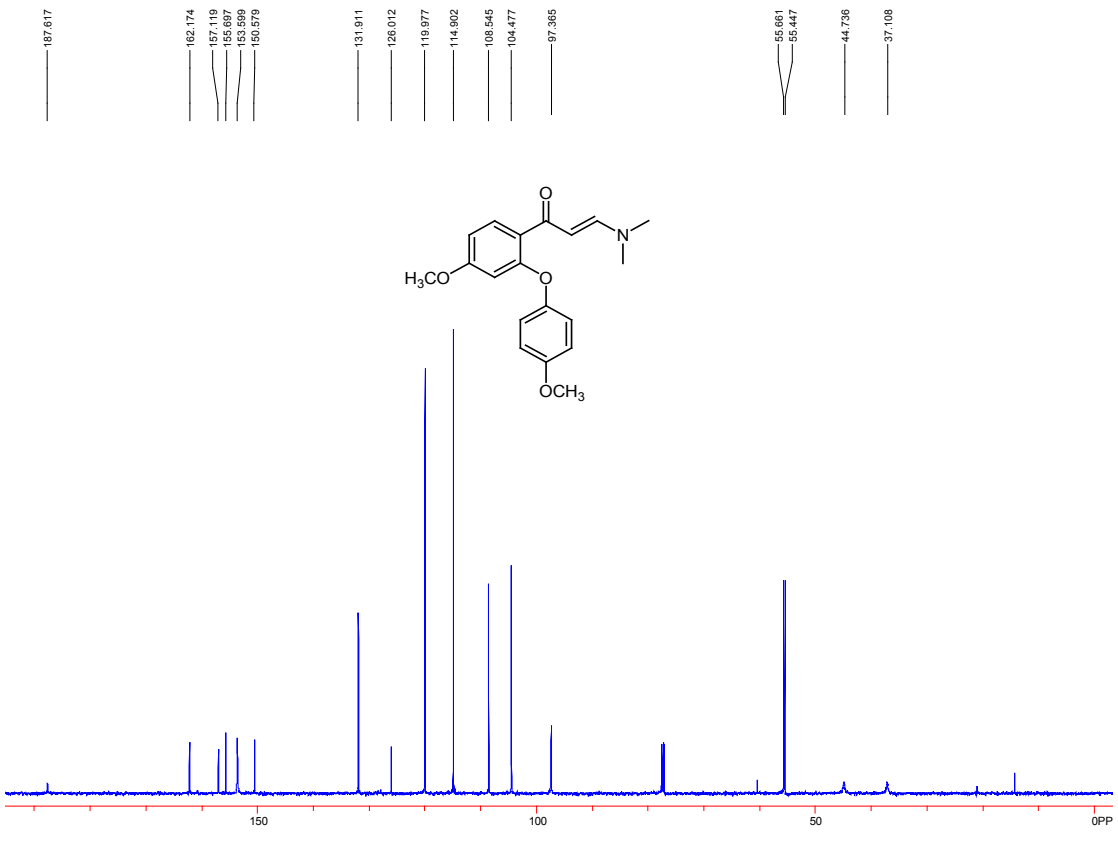

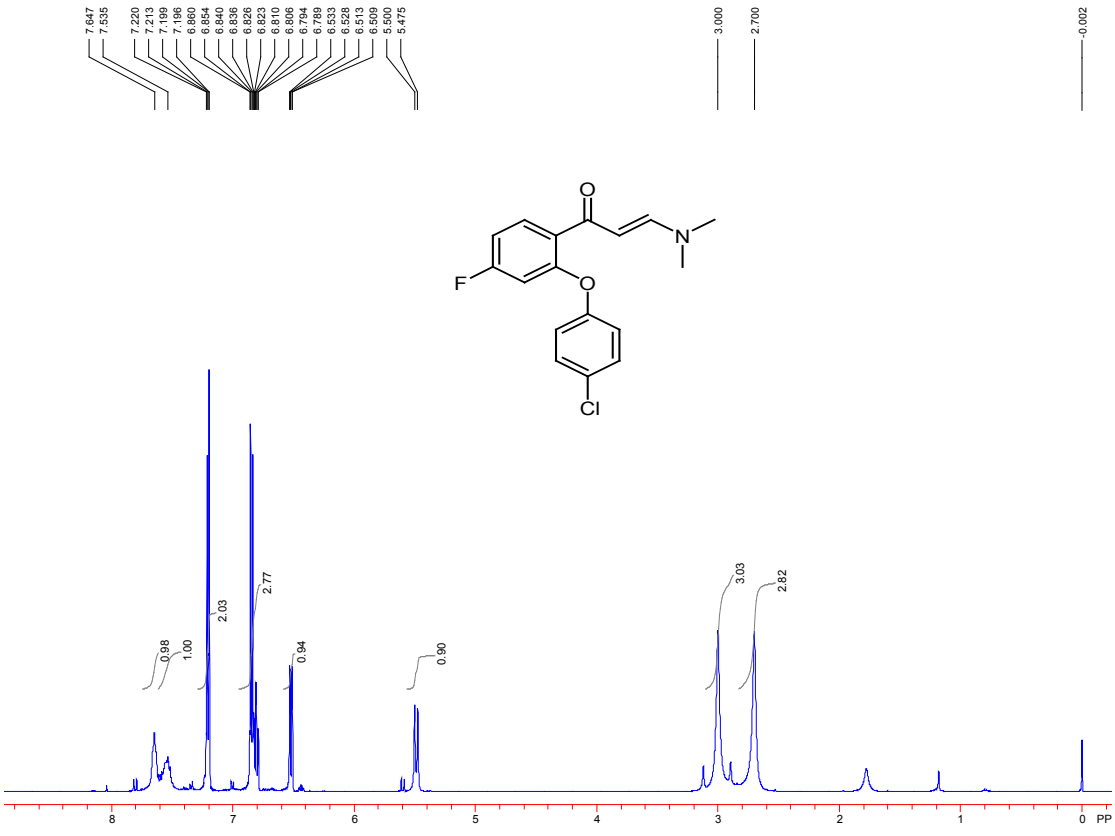


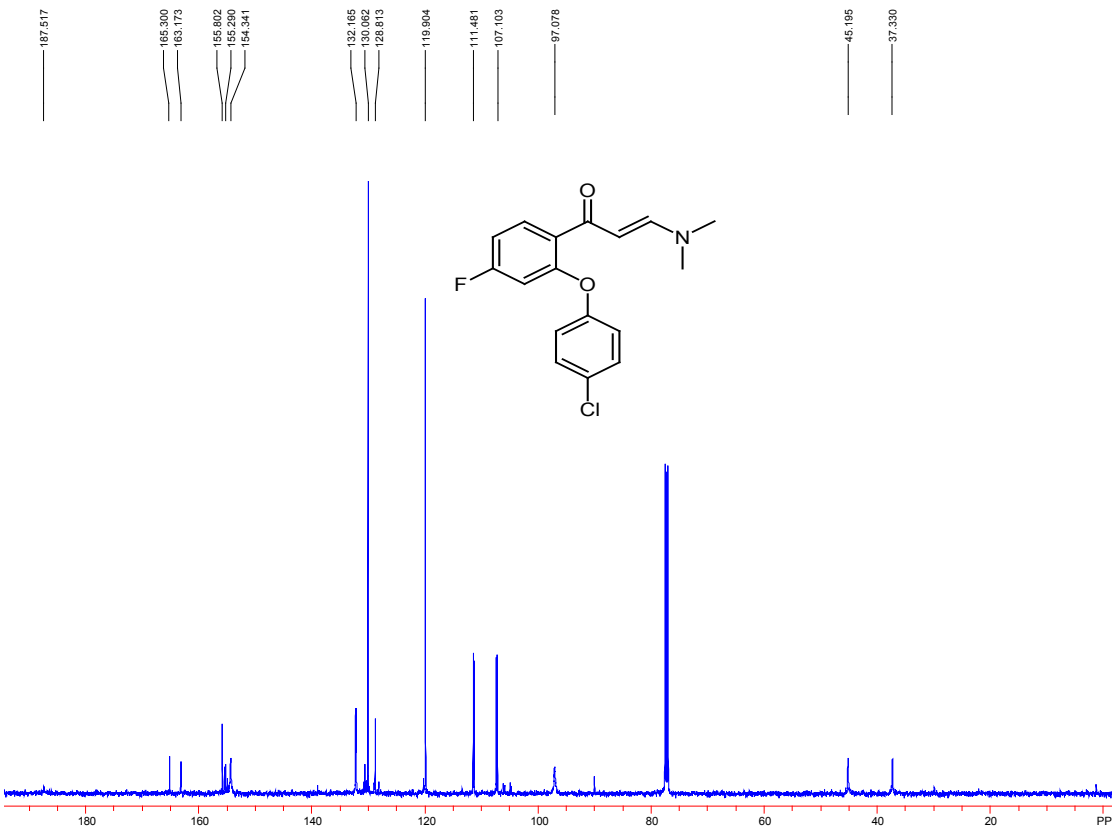

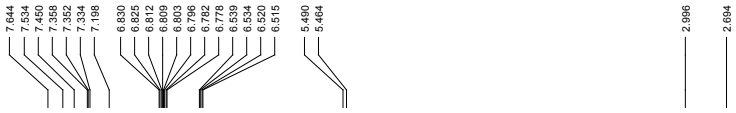


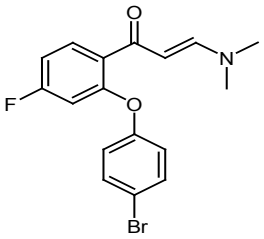


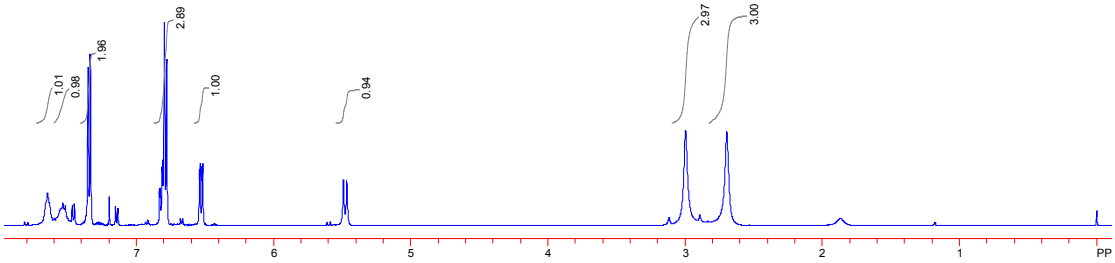


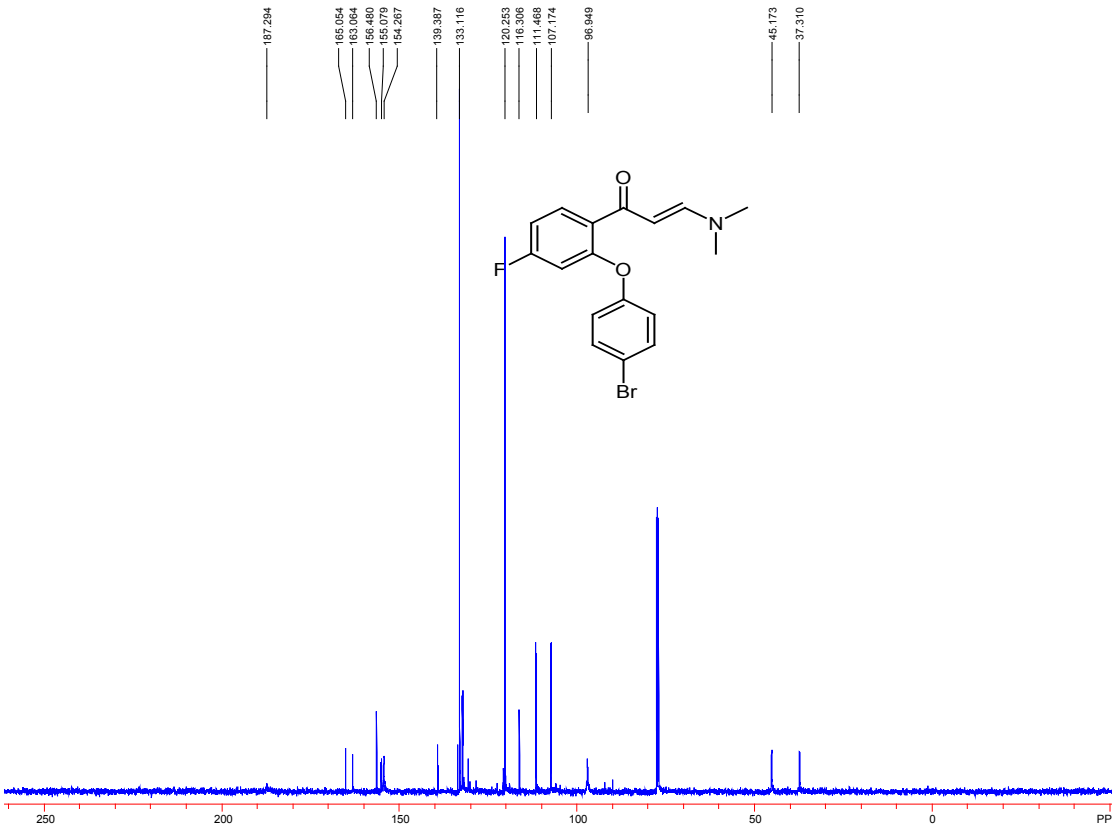

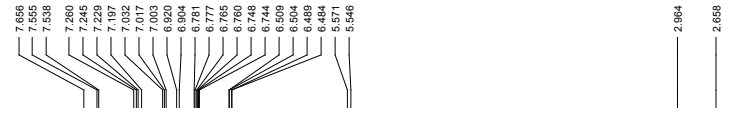


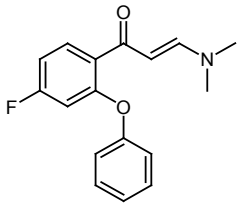


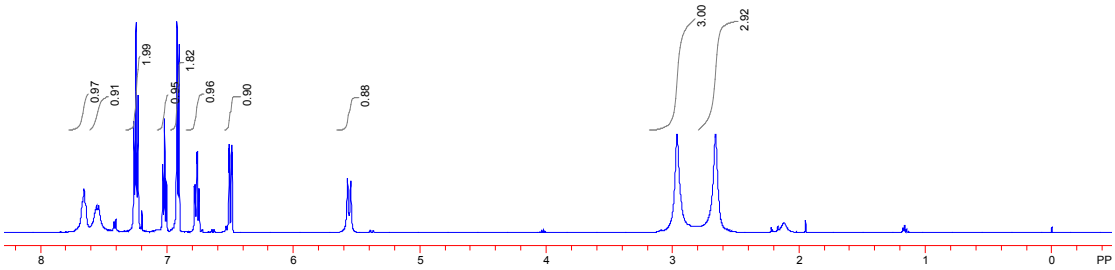



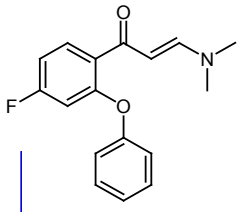


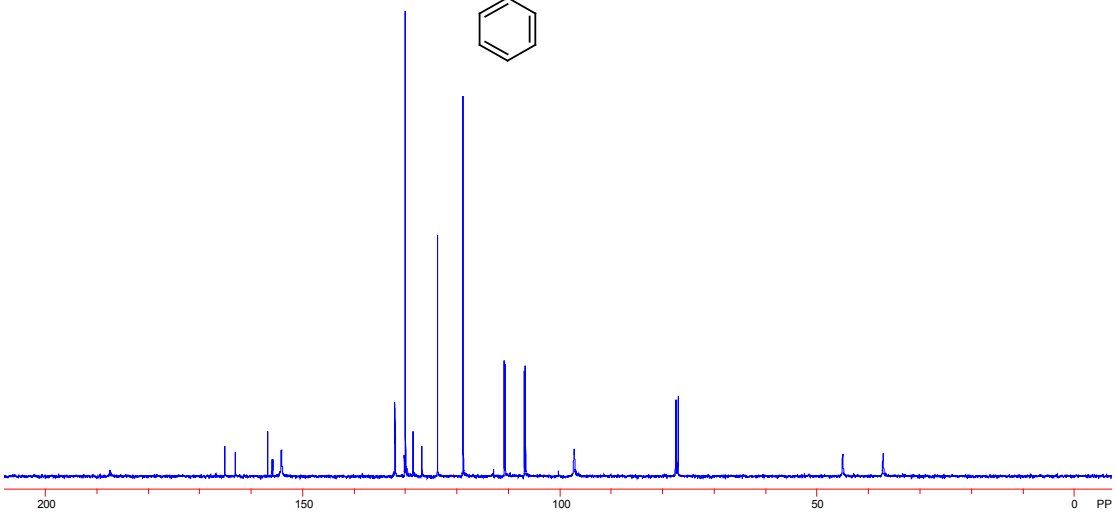

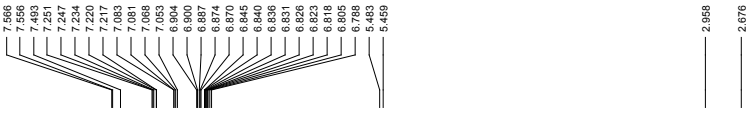


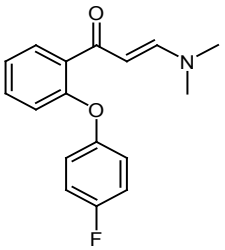


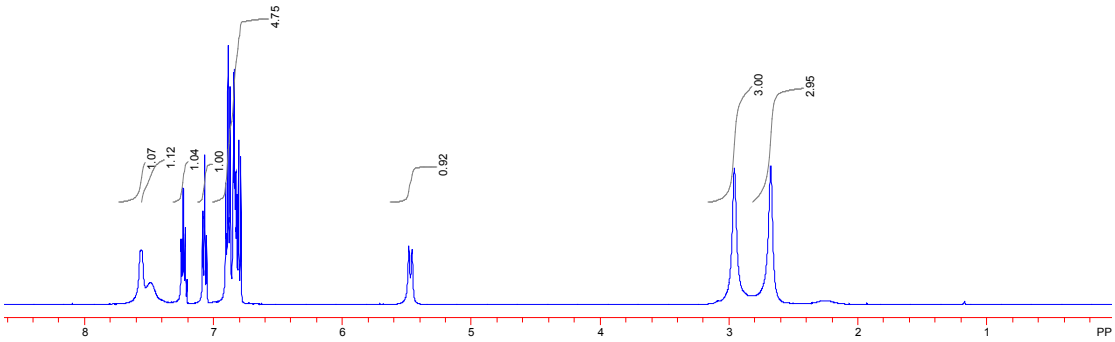



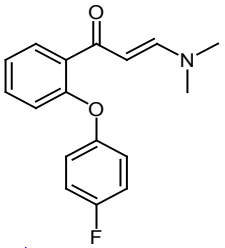


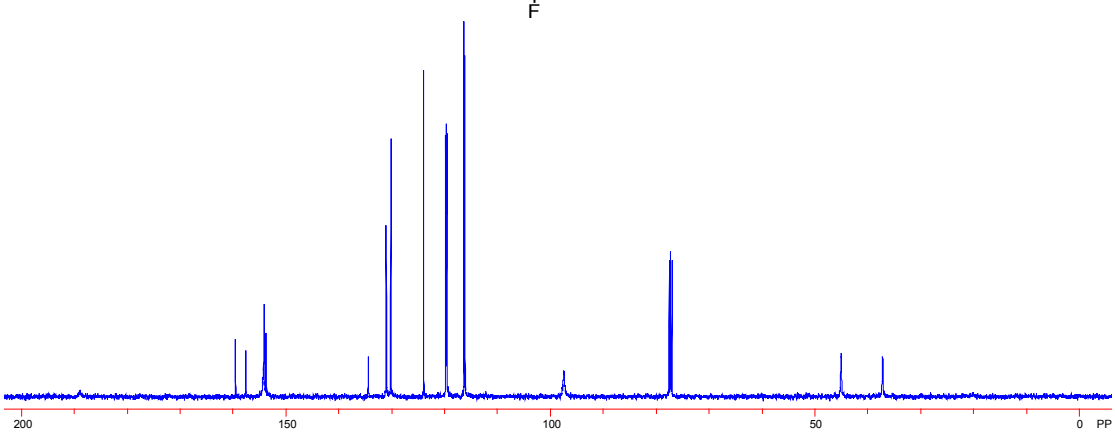

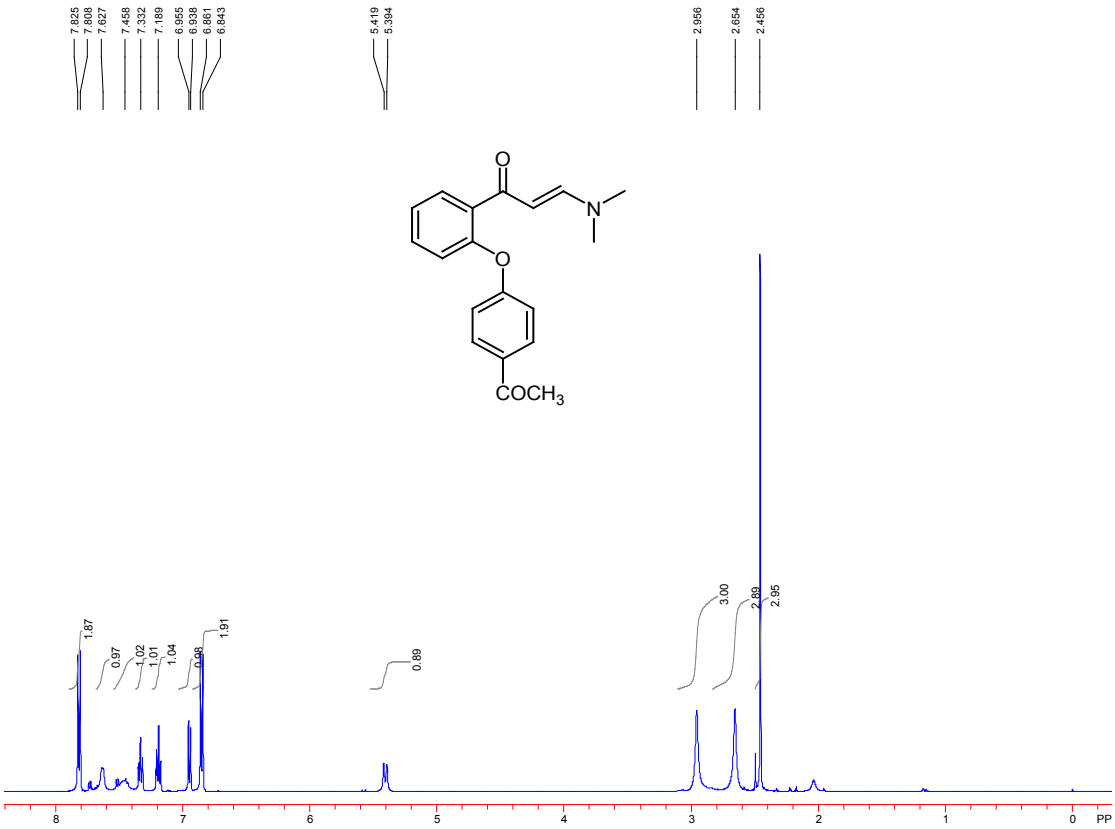


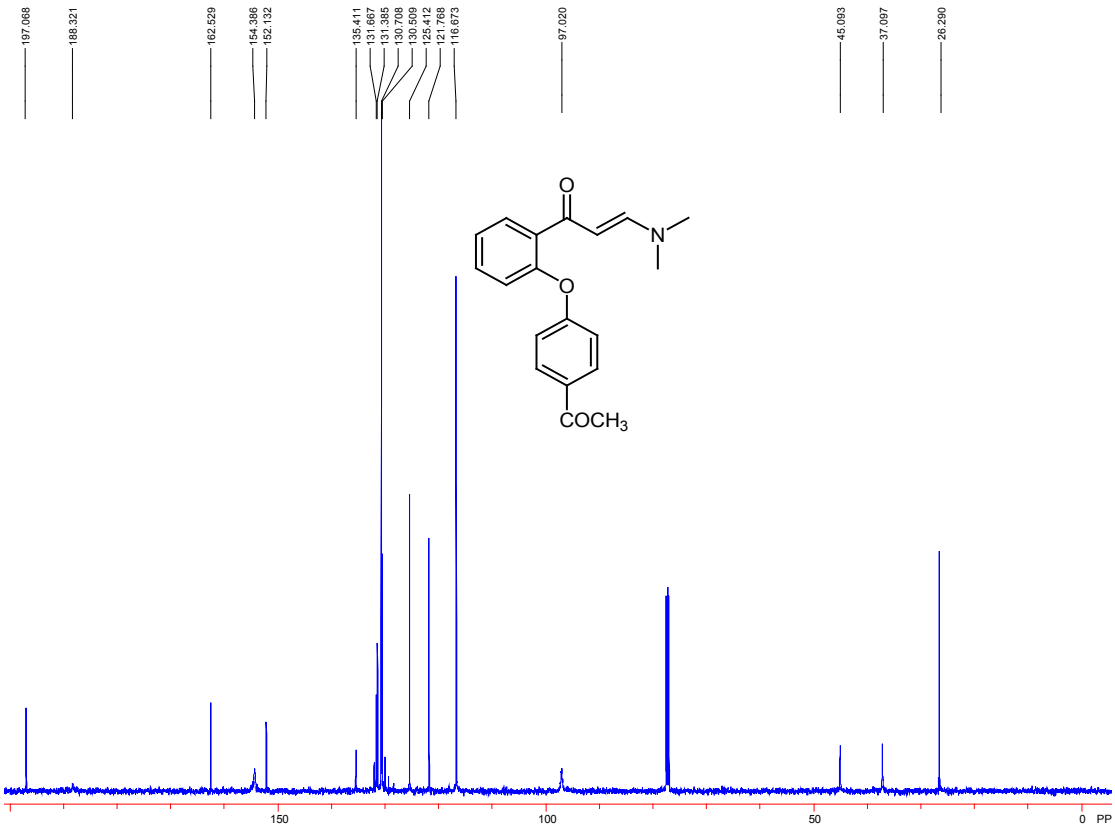

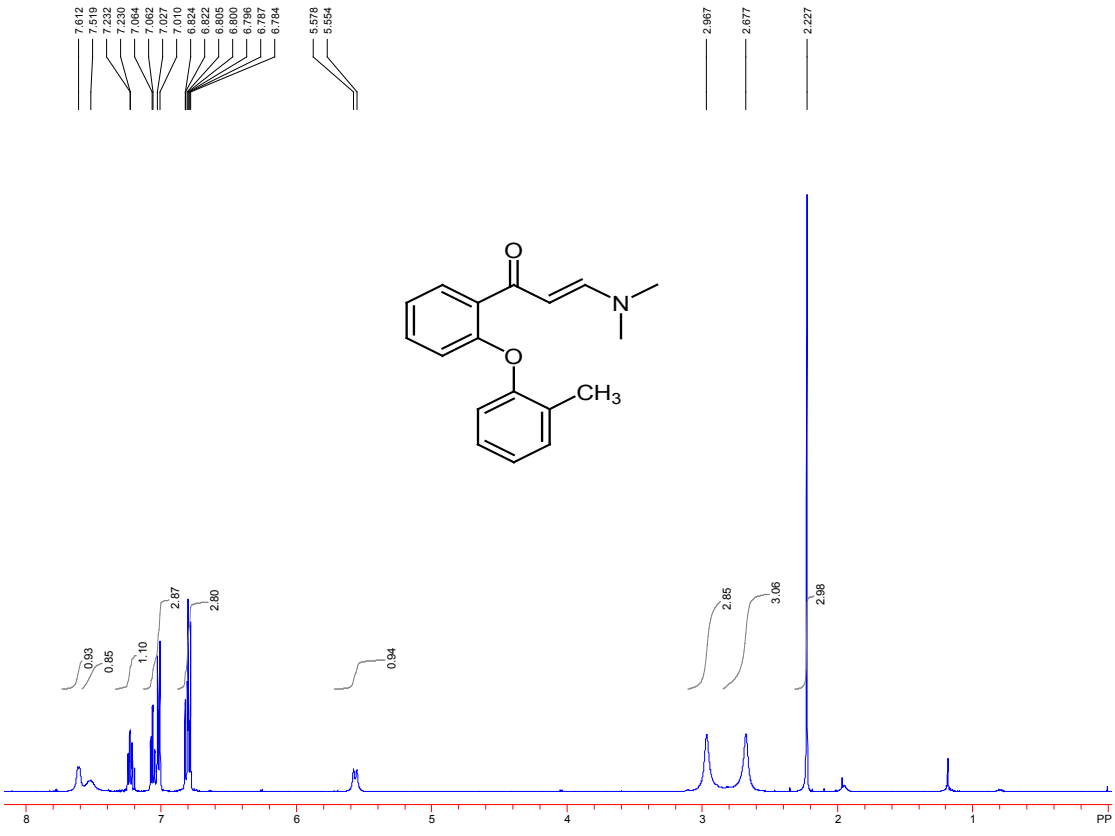


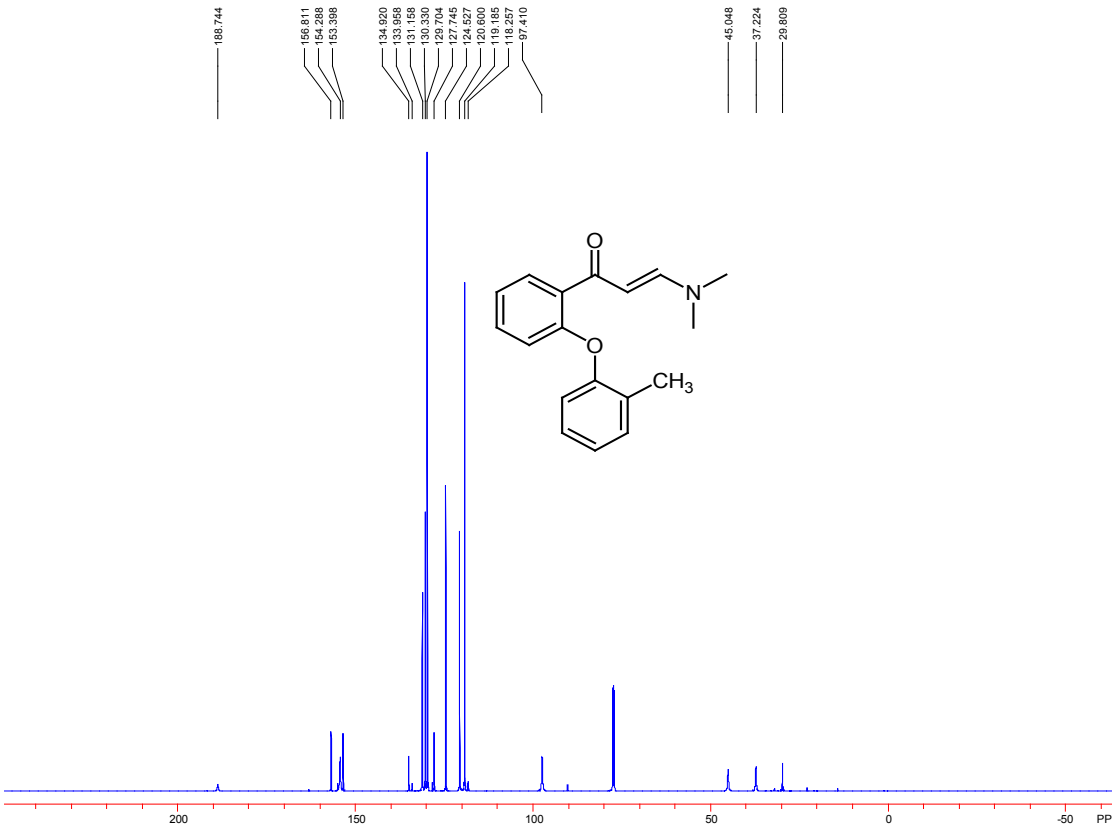

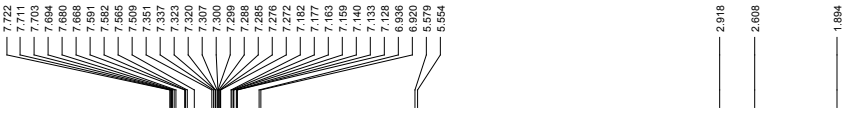


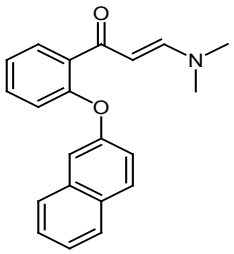


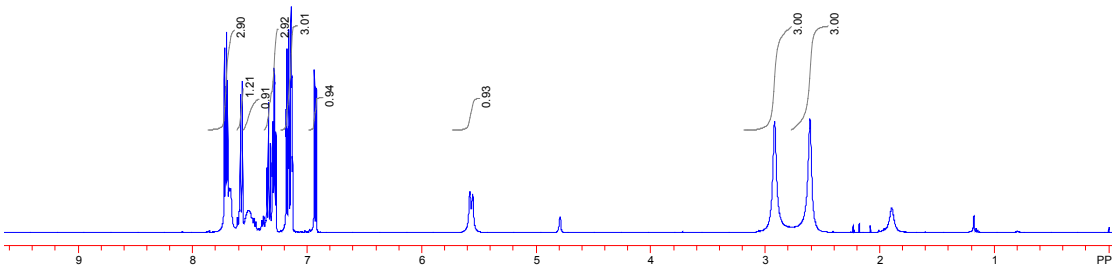


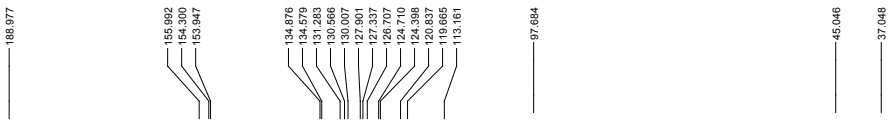


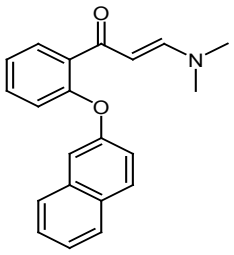


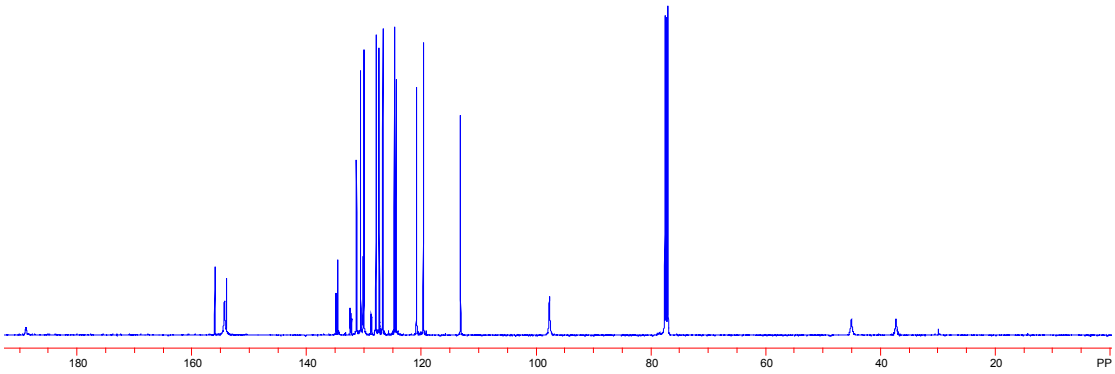

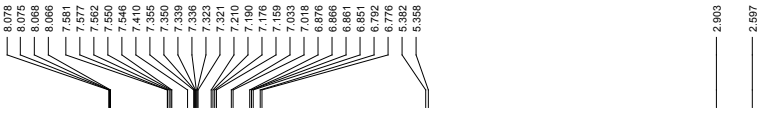


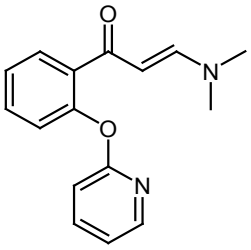


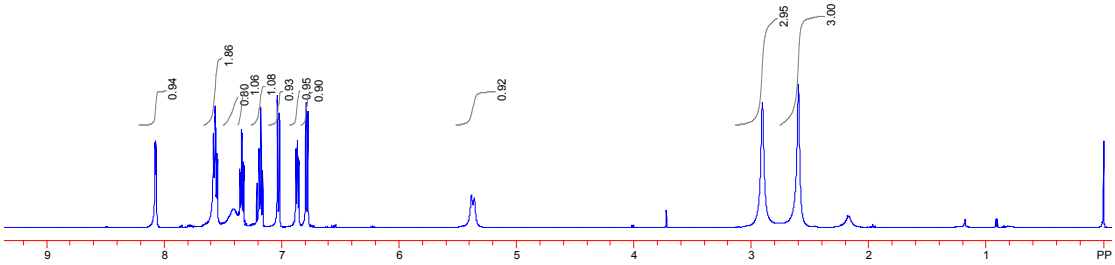


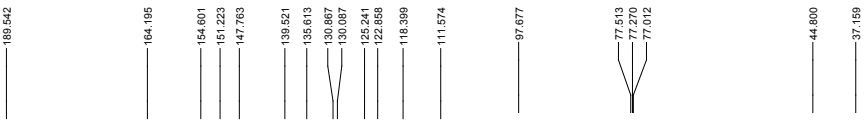


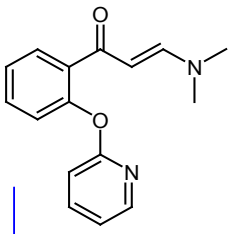


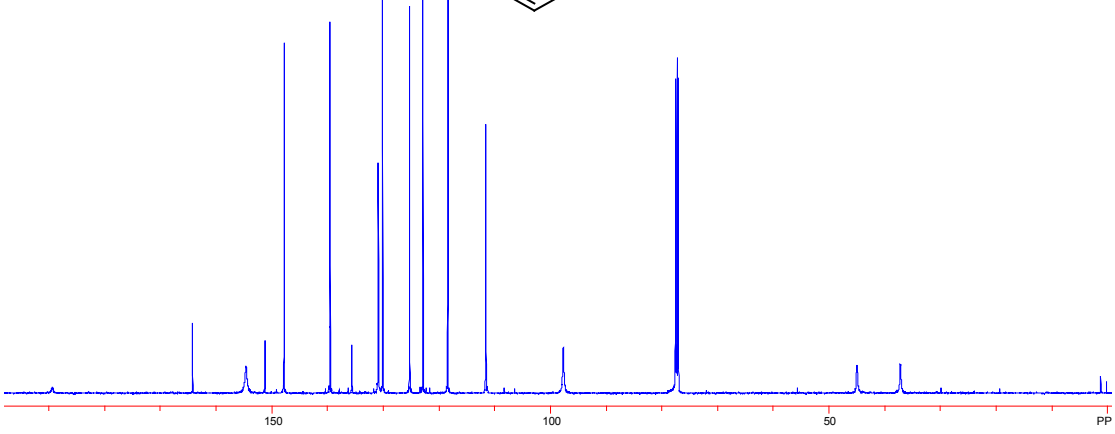

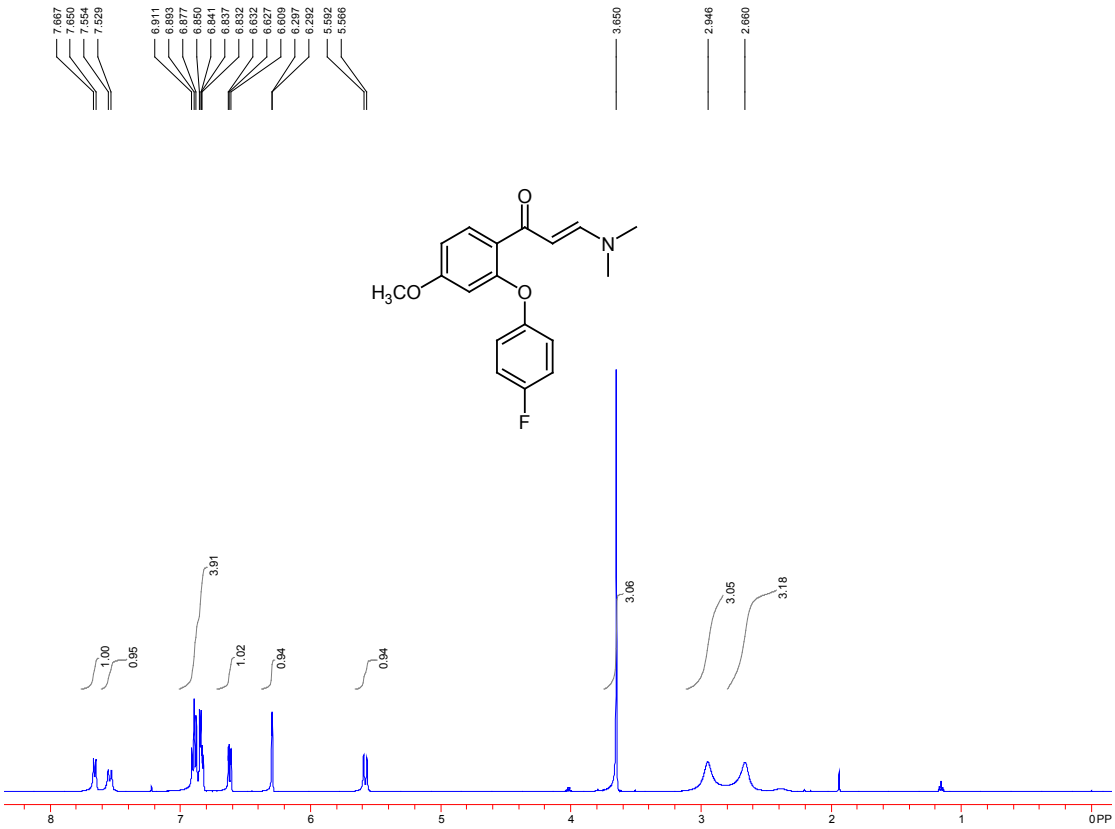


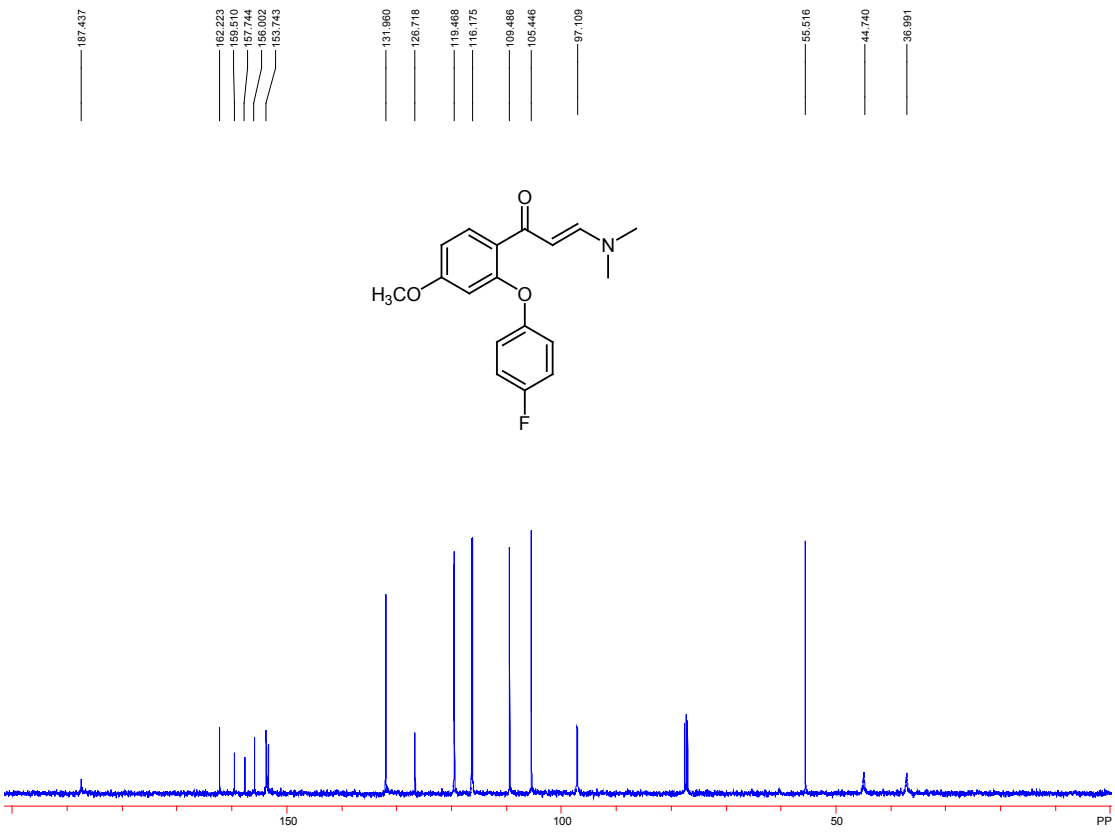

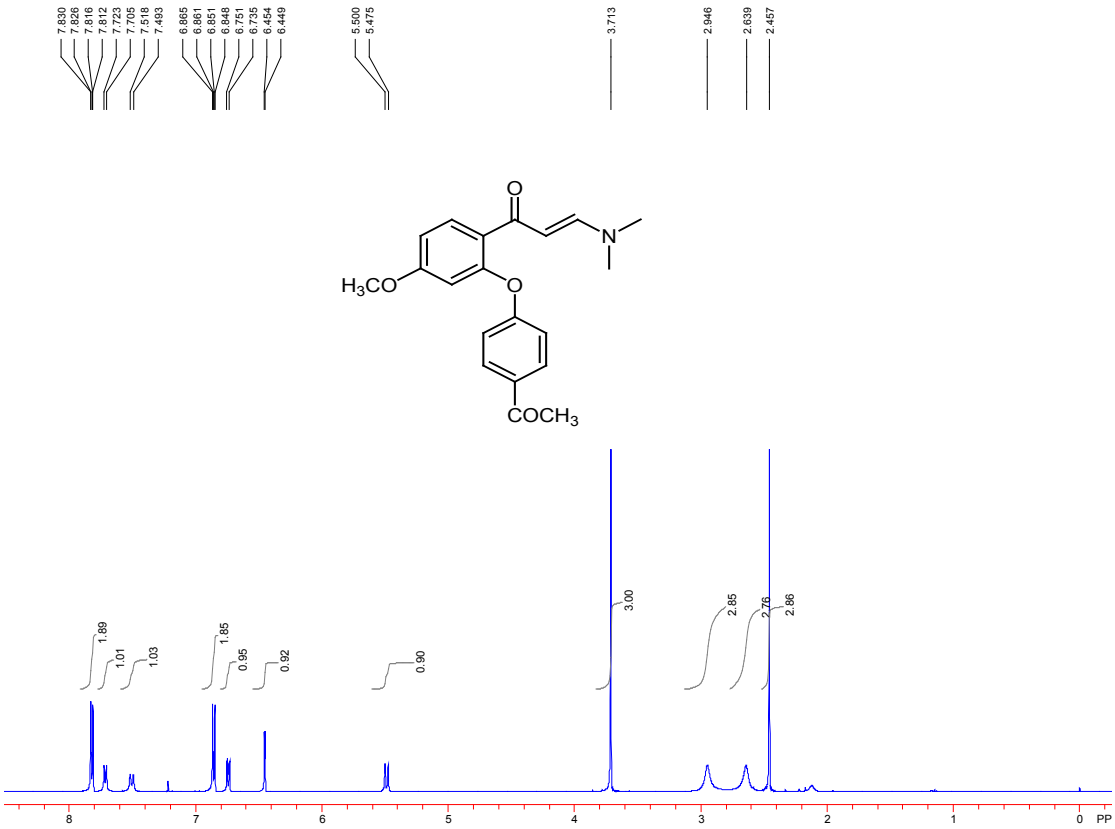


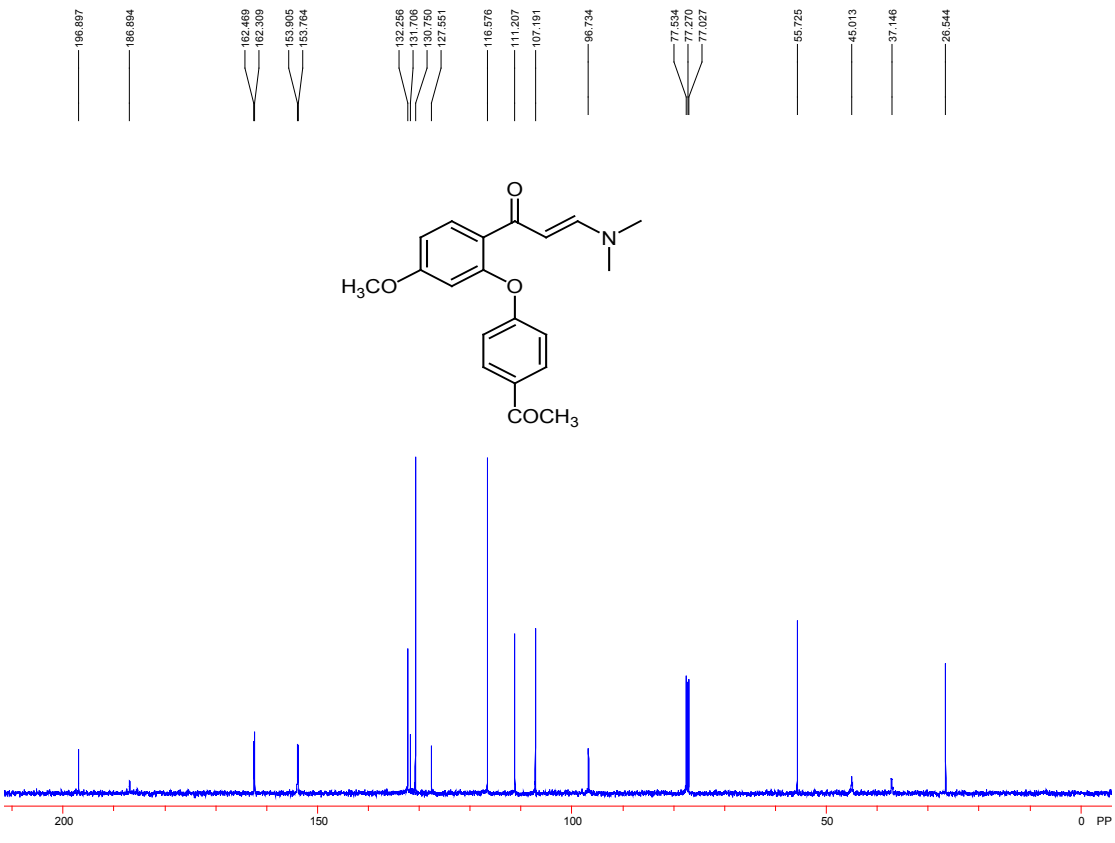

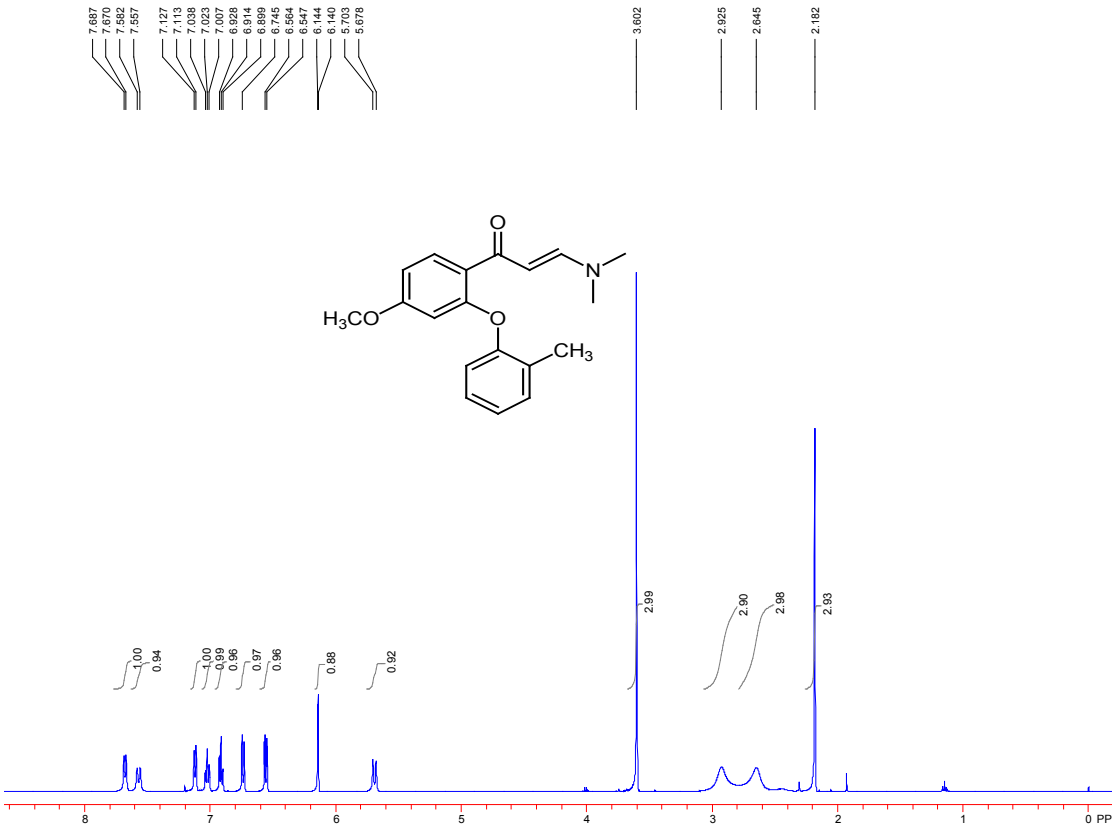
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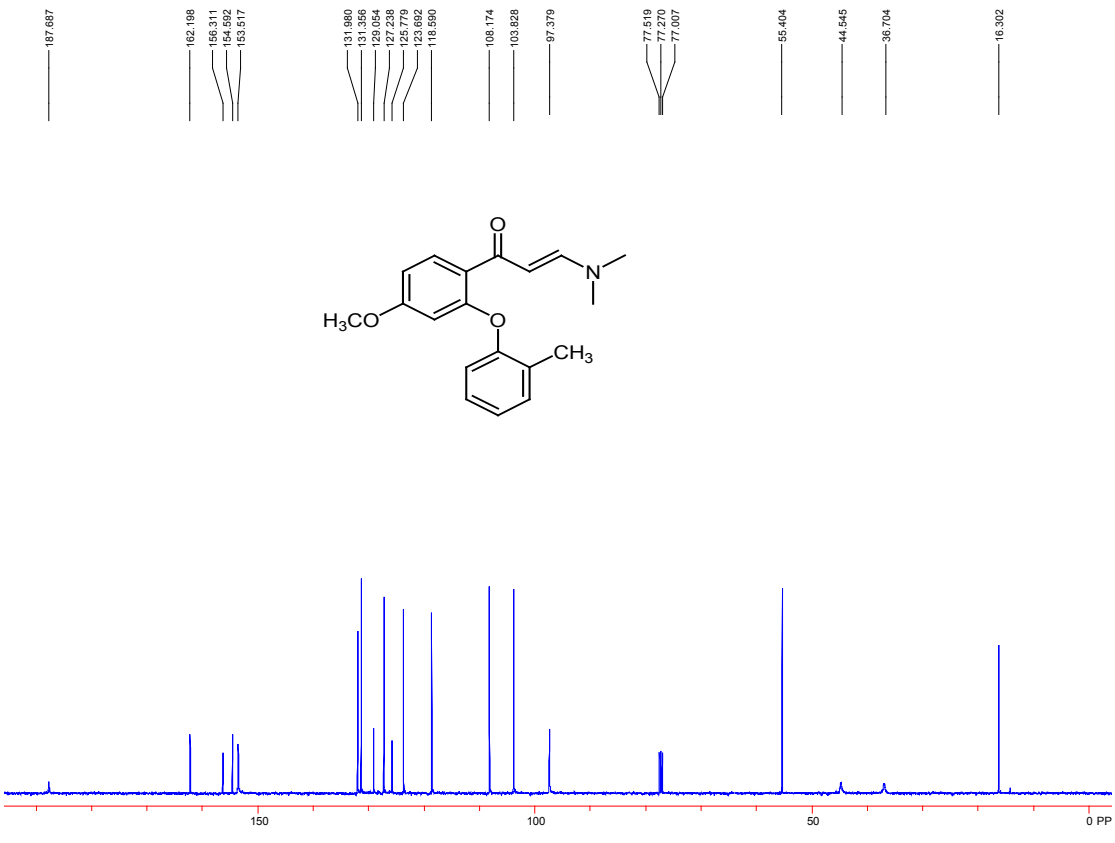
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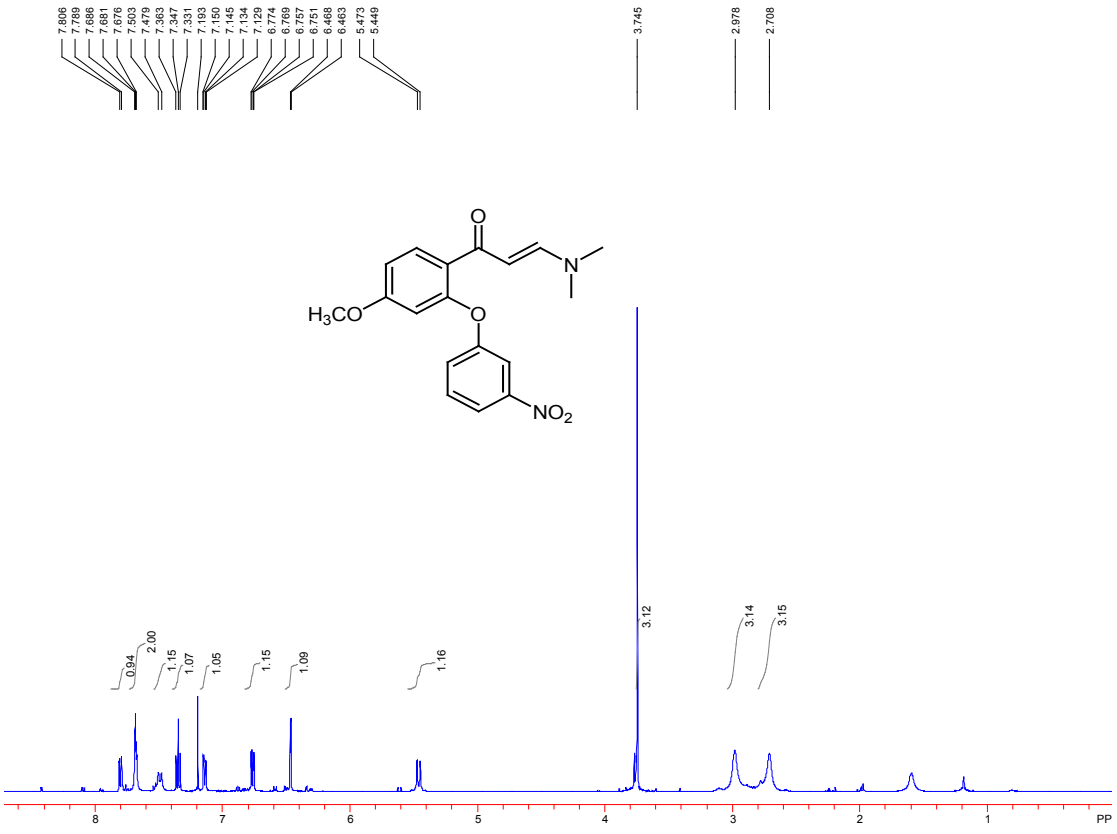


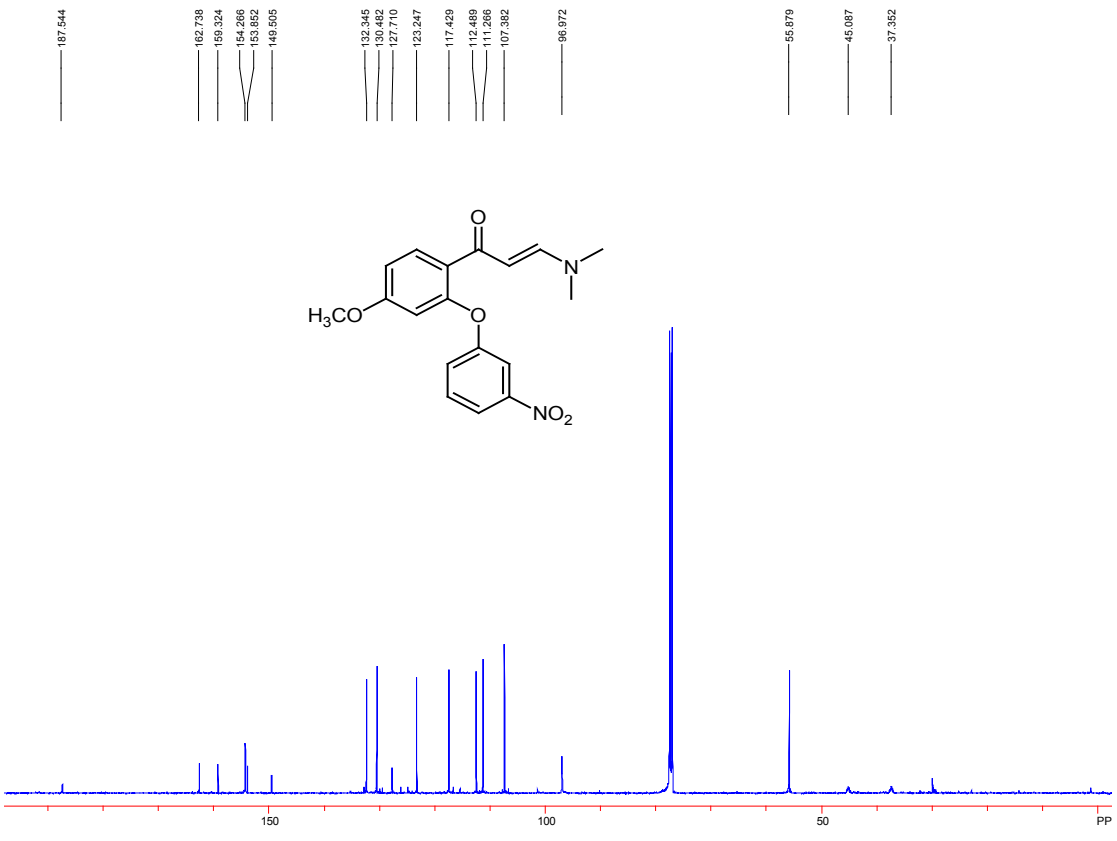

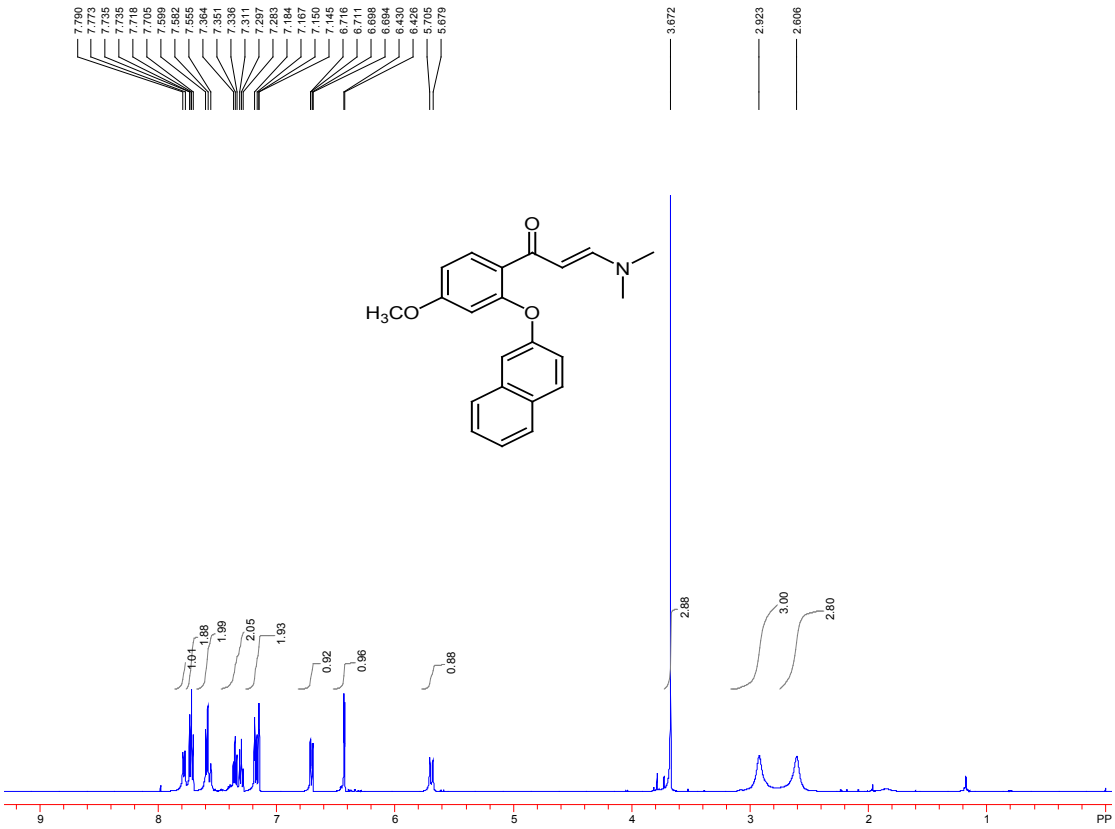


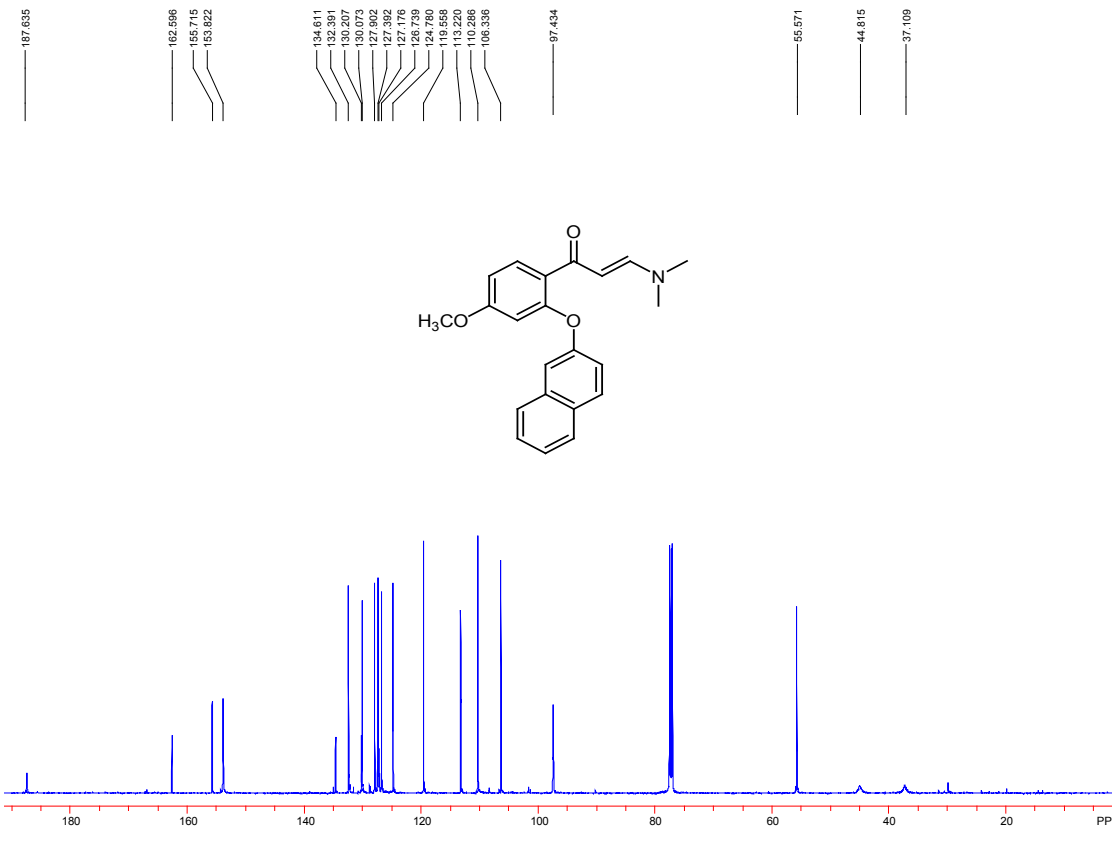

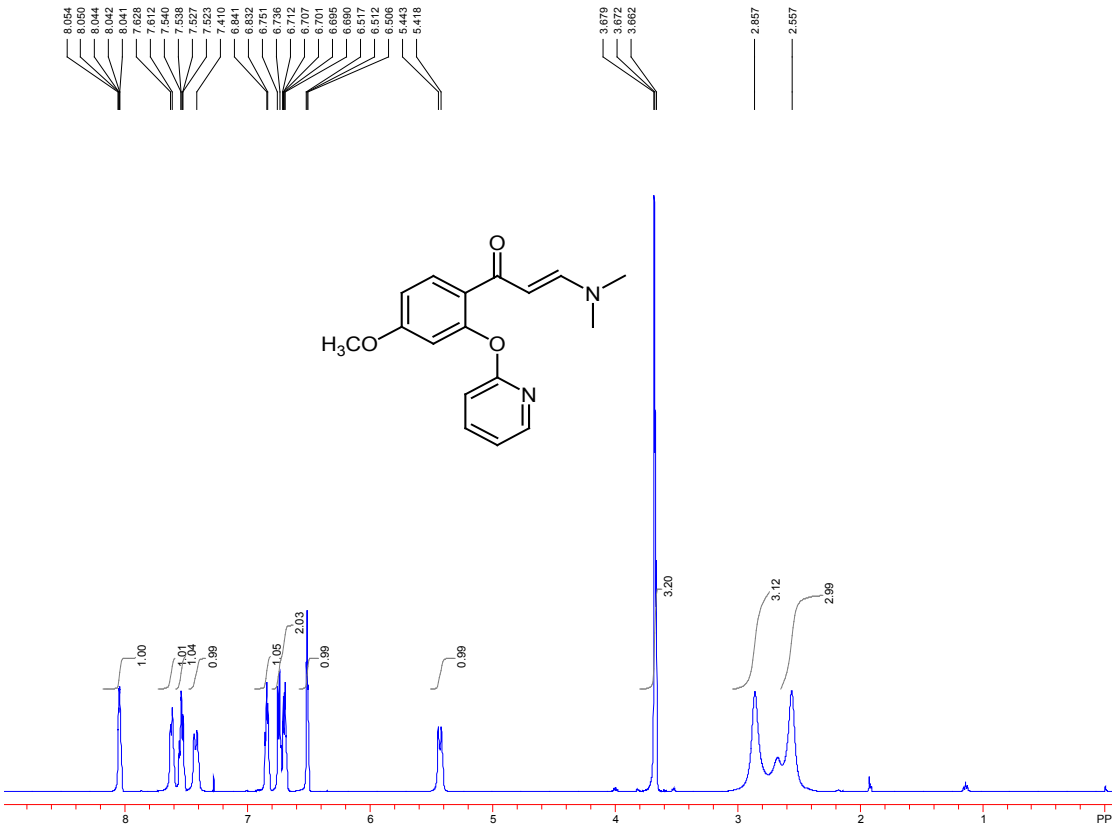
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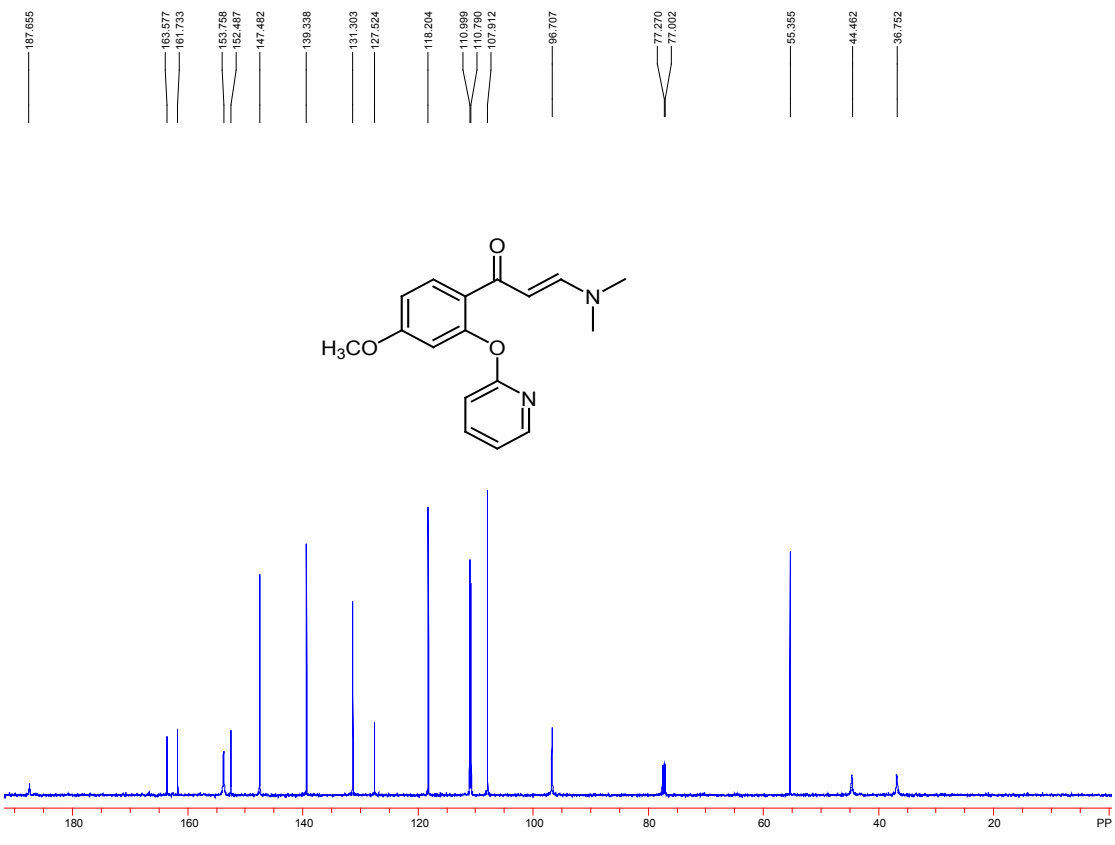
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36.752
O
N
H3CO
O
N
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160
140
120
100
80
60
40
20
PP