Supporting Information

Iron-Catalyzed Amidation of Alkynyl Bromides:A Facile Route for the Preparation of Ynamides

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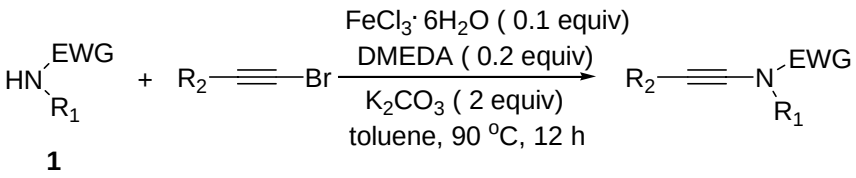
General Considerations

Alkynyl bromides were prepared according to the method of reference 1. $FeCl_3$ and $FeCl_2$ were used as received and purchased from Aldrich. $FeCl_3 \cdot 6H_2O$ was used as analytic purity (>99.0%). Other materials were purchased from common commercial sources and used without additional purification. NMR spectra were recorded for ^{1}H NMR at 400 MHz, and ^{13}C NMR at 100 MHz using TMS as internal standard. The following abbreviations are used to describe peak patterns where appropriate: b = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet. Coupling constants are reported in Hertz (Hz).Low-resolution MS and HRMS were obtained using ESI or EI ionization. Melting points were measured with micro melting point apparatus.

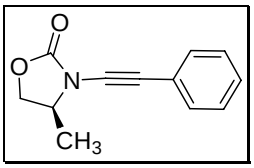
General Procedures for the Synthesis of Ynamides



Alkynyl bromides (1.2 mmol) and DMEDA (0.2 mmol) were added to a stirred solution of *N*-nucleophiles (**1**, 1.0 mmol), K_2CO_3 (2.0 mmol), $FeCl_3 \cdot 6H_2O$ (0.1 mmol), and toluene (5 mL) under air and the resulting mixture was stirred at 90 ^{o}C for 12 h. The suspension was filtered and the residue was washed with diethyl ether (3 x 15 mL). In the recycling experiments, the residue was subjected to the second run by charging with the same substrates, 0.2 mmol DMEDA, 1 mmol K_2CO_3, and 5 mL of toluene. The purification of products was achieved by flash chromatography on a silica gel column with ethyl acetate (EA) and petroleum ether (Pet) as eluent.

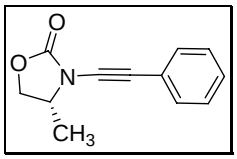
Charcaterization date of Ynamides

(*S*)-4-methyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 1,** New compound]



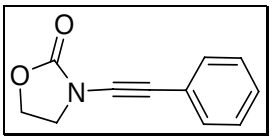
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 82-83 ^{o}C; $[\alpha]_D^{20}$ +38.6 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.44-7.46 (m, 2 H), 7.30-7.33 (m, 3 H), 4.55-4.59 (t, *J* = 8.4 Hz, 1 H), 4.19-4.25 (m, 1 H), 4.00-4.03 (dd, *J* = 8.8, 8.4 Hz, 1 H), 1.49-1.50 (d, *J* = 6.4 Hz, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 156.1, 132.1, 128.8, 128.7, 122.8, 78.3, 73.1, 70.7, 54.6, 18.6 ppm; MS (ESI) *m/z* 224.1 ($[M+Na]^+$); HRMS (EI) calcd for $C_{12}H_{11}NO_2$ 201.0790; found, 201.0795.

(*R*)-4-methyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 2,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 84-85 ^{o}C; $[\alpha]_D^{20}$ -42.2 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.44-7.46 (m, 2 H), 7.26-7.33 (m, 3 H), 4.55-4.60 (t, *J* = 8.2 Hz, 1 H), 4.17-4.26 (m, 1 H), 4.00-4.04 (t, *J* = 7.6 Hz, 1 H), 1.50-1.51 (d, *J* = 6.4 Hz, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.4, 131.5, 128.2, 128.1, 122.2, 77.7, 72.5, 70.0, 54.0, 18.0 ppm; MS (ESI) *m/z* 224.1 ($[M+Na]^+$); HRMS (EI) calcd for $C_{12}H_{11}NO_2$ 201.0790; found, 201.0784.

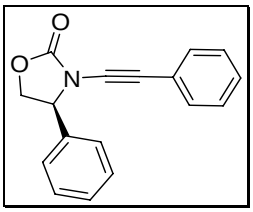
3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 3,** ref 2]



Prepared according to the general procedure using a solution of EA/Pet = 1/4 as eluent. White

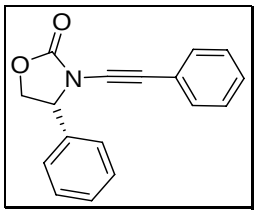
solid; mp. 78-79 °C; ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.43-7.45 (m, 2 H), 7.30-7.31 (m, 3 H), 4.46-4.50 (t, *J* = 7.8 Hz, 2 H), 3.99-4.02 (t, *J* = 7.8 Hz, 2 H 1 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.9, 131.5, 128.3, 128.2, 122.1, 79.0, 71.1, 63.1, 47.0 ppm; MS (ESI) *m/z* 210.0 ($[M+Na]^+$).

(*S*)-4-phenyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 4,** ref 3]



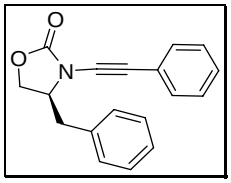
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 140-141 °C; $[\alpha]_D^{20}$ +201.7 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.39-7.48 (m, 5 H), 7.19-7.27 (m, 5 H), 5.12-5.17 (q, *J* = 7.8 Hz, 1 H), 4.76-4.80 (t, *J* = 8.8 Hz, 1 H), 4.29-4.33 (dd, *J* = 9.2, 9.2 Hz, 1 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.6, 136.0, 131.4, 129.5, 129.3, 128.1, 128.0, 126.9, 122.1, 78.1, 72.9, 70.8, 62.1 ppm; MS (ESI) *m/z* 286.0 ($[M+Na]^+$).

(*R*)-4-phenyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 5,** ref 3]



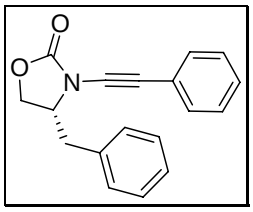
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 139-141 °C; $[\alpha]_D^{20}$ -208.5 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.39-7.48 (m, 5 H), 7.21-7.26 (m, 5 H), 5.12-5.16 (t, *J* = 7.8 Hz, 1 H), 4.76-4.80 (t, *J* = 9.0 Hz, 1 H), 4.29-4.33 (dd, *J* = 9.2, 8.8 Hz, 1 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.4, 135.9, 131.3, 129.4, 129.2, 128.0, 127.9, 126.8, 122.0, 77.9, 72.7, 70.6, 62.1 ppm; MS (ESI) *m/z* 286.0 ($[M+Na]^+$).

(*S*)-4-benzyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 6,** ref 2]



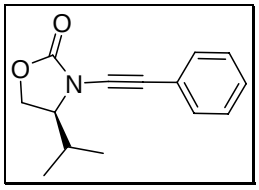
Prepared according to the general procedure using a solution of EA/Pet = 1/6 as eluent. White solid; mp. 96-97 °C; $[\alpha]_D^{20}$ +118.8 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.45-7.47 (m, 2 H), 7.24-7.37 (m, 8 H), 4.35-4.38 (m, 2 H), 4.16-4.17 (m, 1 H), 3.27-3.31 (m, 1 H) 2.99-3.04 (m, 1 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.5, 134.2, 131.6, 129.4, 129.0, 128.3, 128.2, 127.5, 122.2, 78.0, 73.3, 67.5, 58.4, 38.0 ppm; MS (ESI) *m/z* 300.1 ($[M+Na]^+$).

(*R*)-4-benzyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 7,** ref 4]



Prepared according to the general procedure using a solution of EA/Pet = 1/6 as eluent. White solid; mp. 97-98 °C; $[\alpha]_D^{20}$ -114.5 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.44-7.47 (m, 2 H), 7.24-7.37 (m, 8 H), 4.35-4.40 (m, 2 H), 4.14-4.20 (m, 1 H), 3.27-3.32 (m, 1 H) 2.99-3.04 (m, 1 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.4, 134.1, 131.5, 129.3, 128.9, 128.2, 128.1, 127.4, 122.1, 77.9, 73.2, 67.4, 58.4, 37.9 ppm; MS (ESI) *m/z* 300.1 ($[M+Na]^+$).

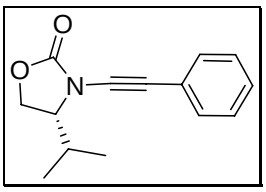
(*S*)-4-isopropyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 8,** ref 4]



Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 87-88 °C; $[\alpha]_D^{20}$ +50.0 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.43-7.45 (m, 2 H), 7.30-7.33 (m, 3 H), 4.40-4.45 (t, *J* = 8.8 Hz, 1 H), 4.18-4.21 (dd, *J* = 8.8, 8.8 Hz, 1 H), 4.03-4.07 (m, 1 H), 2.25-2.33 (m, 1 H), 1.02-1.04 (dd, *J* = 7.2 Hz 6 H) ppm; ^{13}C

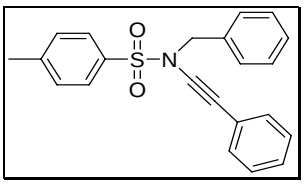
NMR ($CDCl_3$, 100 MHz, TMS) δ 156.0, 131.4, 128.2, 128.0, 122.3, 78.5, 72.2, 64.9, 62.0, 29.3, 17.2, 15.2 ppm; MS (ESI) *m/z* 252.0 ($[M+Na]^+$).

(*R*)-4-isopropyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 9,** New compound]



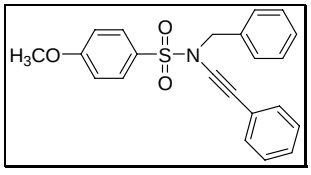
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 85-86 °C; $[\alpha]_D^{20}$ -53.2 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.43-7.45 (m, 2 H), 7.29-7.32 (m, 3 H), 4.41-4.45 (t, *J* = 8.8 Hz, 1 H), 4.18-4.22(dd, *J* = 8.8, 8.8 Hz, 1 H), 4.03-4.08 (m, 1 H), 2.25-2.33 (m, 1 H), 1.02-1.05 (d, *J* = 7.2 Hz, 6 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.8, 131.3, 128.2, 128.0, 122.2, 78.4, 72.2, 64.8, 61.9, 29.2, 17.1, 15.1 ppm; MS (ESI) *m/z* 252.0 ($[M+Na]^+$); HRMS (EI) calcd for $C_{14}H_{15}NO_2$ 229.1103; found, 229.1095.

N-benzyl-2-phenyl-*N*-tosylethynamine [**Table 2, entry 10,** ref 5]



Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 82-83 °C ; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.85-7.87 (d, *J* = 8.0 Hz, 2 H), 7.49-7.51 (d, *J* = 8.0 Hz, 2 H), 7.30-7.37 (m, 8 H), 7.20-7.22 (m, 2 H), 4.62 (s, 2 H), 2.43 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 145.4, 135.0, 124.1, 130.9, 130.5, 129.1, 128.9, 128.8, 128.6, 128.3, 127.8, 122.5, 83.2, 71.1, 55.4, 21.4 ppm; MS (ESI) *m/z* 384.0 ($[M+Na]^+$).

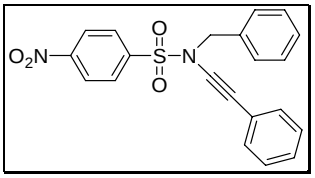
N-(2-phenylethynyl)-*N*-4-methoxybenzenesulfonylbenzylamine [**Table 2, entry 11,** ref 6]



Prepared according to the general procedure using a solution of EA/Pet = 1/8 as eluent. White

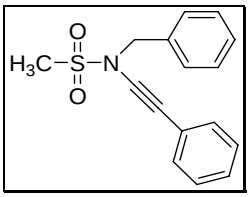
solid; mp. 96-98 °C ; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.89-7.91 (d, *J* = 8.8 Hz, 2 H), 7.30-7.37 (m, 8 H), 7.20-7.22 (dd, *J* = 7.2, 6.8 Hz, 4 H), 4.61 (s, 2 H), 3.88 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 164.0, 135.1, 130.9, 130.2, 129.1, 129.0, 128.9, 128.6, 128.5, 128.3, 122.4, 115.2, 83.4, 71.1, 56.2, 55.3 ppm; MS (ESI) *m/z* 400.1 ($[M+Na]^+$).

N-(2-phenylethynyl)-*N*-4-nitrobenzenesulfonylbenzylamine [**Table 2, entry 12,** ref 6]



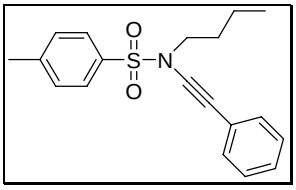
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. Yellow solid; mp. 106-107 °C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 8.47-8.49 (dd, *J* = 7.2, 6.8 Hz, 2 H), 8.22-8.24 (dd, *J* = 7.2, 7.2 Hz, 2 H), 7.33-7.37 (m, 8 H), 7.26-7.28 (m, 2 H), 4.73 (s, 2 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 150.9, 142.0, 134.5, 131.2, 129.4, 129.2, 129.0, 128.9, 128.8, 128.7, 125.3, 121.8, 82.1, 71.4, 55.8 ppm; MS (ESI) *m/z* 415.0 ($[M+Na]^+$).

N-(2-phenylethynyl)-*N*-methanesulfonylbenzenamine [**Table 2, entry 13,** ref 6]



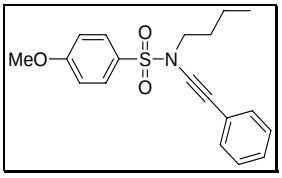
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 55-56 °C ; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.27-7.45 (m, 10 H), 4.71 (s, 2 H), 3.31 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 135.4, 131.2, 129.2, 129.0, 128.97, 128.7, 128.4, 122.5, 83.2, 70.9, 55.2, 38.9 ppm; MS (ESI) *m/z* 308.0 ($[M+Na]^+$).

N-(2-phenylethynyl)-*N*-tosylbutan-1-amine [**Table 2, entry 14,** New compound]



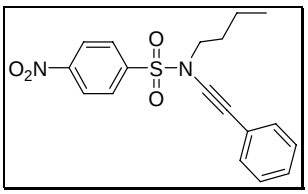
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. Colorless liquid; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.80-7.82 (d, *J* = 8.0 Hz, 2 H), 7.48-7.50 (d, *J* = 8.0 Hz, 2 H), 7.31-7.34 (m, 5 H), 3.35-3.38 (t, *J* = 7.2 Hz, 2 H), 2.40 (s, 3 H), 1.53-1.60 (m, 2 H), 1.24-1.33 (m, 2 H), 0.83-0.86 (t, *J* = 7.2 Hz, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 145.4, 134.1, 131.3, 130.55, 129.1, 128.5, 127.8, 122.4, 82.3, 70.4, 51.3, 29.8, 21.5, 19.2, 13.7 ppm; MS (ESI) *m/z* 350.1 ([M+Na]$^+$). HRMS (EI) calcd for $C_{19}H_{21}NO_2S$ 327.1293; found, 327.1295.

N-(2-phenylethynyl)-*N*-4-methoxybenzenesulfonylbutanamine [**Table 2, entry 15,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 44-45 °C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.88-7.90 (d, *J* = 8.8 Hz, 2 H), 7.33-7.36 (m, 5 H), 7.21-7.23 (d, *J* = 9.2 Hz, 2 H), 3.87 (s, 3 H), 3.35-3.39 (t, *J* = 8.2 Hz, 2 H), 1.55-1.62 (m, 2 H), 1.26-1.36 (m, 2 H), 0.85-0.89 (t, *J* = 7.2 Hz, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 164.0, 131.3, 130.1, 129.1, 128.4, 122.5, 115.3, 83.2, 70.4, 56.3, 51.2, 29.8, 19.3, 13.8 ppm; MS (EI) *m/z* 343 [M]$^+$ (80%), 223 (55%), 105 (100%), 89 (85%); HRMS (EI) calcd for $C_{19}H_{21}NO_3S$ 343.1242; found, 343.1236.

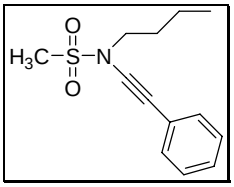
N-(2-phenylethynyl)-*N*-4-nitrobenzenesulfonylbutanamine [**Table 2, entry 16,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. Yellow solid; mp. 82-83 °C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 8.50-8.52 (d, *J* = 8.8 Hz, 2 H), 8.22-8.24 (d, *J* = 9.2 Hz, 2 H), 7.37-7.40 (m, 5 H), 3.47-3.50 (t, *J* = 7.0 Hz, 2 H), 1.58-1.66 (m, 2 H), 1.28-1.37 (m, 2 H), 0.86-0.90 (t, *J* = 7.6 Hz, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 151.1, 141.9, 131.6, 129.4, 129.1, 128.8, 125.4, 121.9, 81.8,

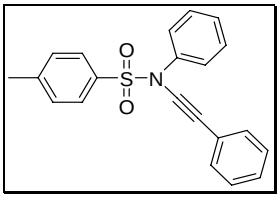
70.9, 51.7, 29.8, 19.2, 13.7 ppm ; MS (EI) *m/z* 358 $[M]^+$ (68%), 172 (100%), 130 (80%), 89 (92%); HRMS (EI) calcd for $C_{18}H_{18}N_2O_4S$ 358.0987; found, 358.0991.

N-(2-phenylethynyl)-*N*-methanesulfonylbutanamine [**Table 2, entry 17,** New compound]



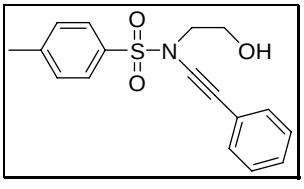
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. Colorless liquid; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.35-7.40 (m, 5 H), 3.48-3.51 (t, *J* = 7.2 Hz, 2 H), 3.29 (s, 3 H), 1.66-1.73 (m, 2 H), 1.34-1.43 (m, 2 H), 0.91-0.94 (t, *J* = 7.4 Hz, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 131.4, 129.0, 128.4, 122.6, 83.0, 70.2, 51.0, 38.2, 30.0, 19.3, 13.8 ppm; MS (ESI) *m/z* 274.0 ($[M+Na]^+$); HRMS (EI) calcd for $C_{13}H_{17}NO_2S$ 251.0980; found, 251.0978.

N-(2-phenylethynyl)-*N*-tosylbenzenamine [**Table 2, entry 18,** ref 7]



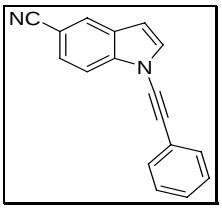
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 68-70 °C ; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.60-7.62 (d, *J* = 8.4 Hz, 2 H), 7.37-7.49 (m, 10 H), 7.27-7.29 (dd, *J* = 8.4, 7.6 Hz, 2 H), 2.42 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 146.0, 138.5, 132.4, 131.5, 130.4, 129.9, 129.0, 128.8, 128.2, 126.2, 121.9, 83.1, 70.5, 21.5 ppm; MS (ESI) *m/z* 370.1 ($[M+Na]^+$).

2-(*N*-(2-phenylethynyl)-*N*-tosylamino)ethanol [**Table 2, entry 19,** New compound]



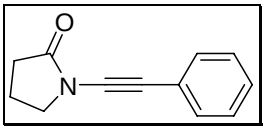
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. Colorless oil; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.78-7.80 (d, *J* = 8.0 Hz, 2 H), 7.43-7.45 (d, *J* = 8.0 Hz, 2 H), 7.26-7.31 (m, 5 H), 4.93-4.95 (t, *J* = 5.4 Hz, 1 H), 3.55-3.59 (q, *J* = 8.4 Hz, 2 H), 3.38-3.41 (t, *J* = 5.8 Hz, 2 H), 2.35 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 145.3, 134.0, 131.2, 130.4, 129.0, 128.4, 127.9, 122.5, 83.1, 70.2, 58.4, 53.9, 21.5 ppm; MS (ESI) *m/z* 315.3 ($[M+H]^+$), 338.3 ($[M+Na]^+$). HRMS (EI) calcd for $C_{17}H_{17}NO_3S$ 315.0929; found, 315.0925.

N-(2-phenylethynyl)-indole-5-carbonitrile [**Table 2, entry 20,** New compound]



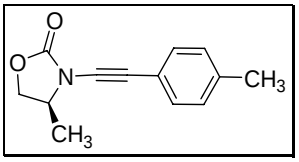
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 77-78 °C; ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.97 (s, 1 H), 7.69-7.71 (d, *J* = 8.4 Hz, 1 H), 7.55-7.59 (m, 3 H), 7.39-7.41 (m, 4 H), 6.68-6.69 (d, *J* =7.2 Hz, 1 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 139.7, 131.6, 131.1, 128.6, 128.5, 127.6, 126.5, 126.4, 121.6, 119.9, 112.2, 105.6, 105.3, 79.1, 71.6 ppm; MS (ESI) *m/z* 243.0 ($[M+H]^+$). HRMS (EI) calcd for $C_{17}H_{10}N_2$ 242.0844; found, 242.0842.

N-(2-phenylethynyl)pyrrolidin-2-one [**Table 2, entry 21,** ref 7]



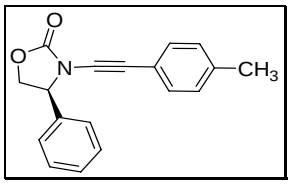
Prepared according to the general procedure using a solution of EA/Pet = 1/1 as eluent. White solid; mp. 49-50 °C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.35-7.41 (m, 5 H), 3.71-3.75 (t, *J* = 7.0 Hz, 2 H), 2.37-2.41 (t, *J* = 8.0 Hz, 2 H), 2.03-2.10 (m, 2 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 176.3, 131.3, 129.1, 128.4, 122.6, 82.3, 71.7, 49.9, 29.6, 18.9 ppm; MS (EI) *m/z* 185 $[M]^+$ (100%), 156 (40%), 143 (30%), 130 (45%), 115 (60%), 103 (25%).

(*S*)-4-methyl-3-(2-p-tolylethynyl)oxazolidin-2-one [**Table 3, entry 1,** New compound]



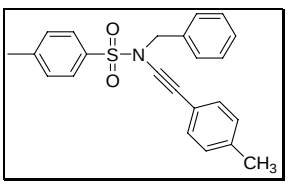
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 100-101 ^{o}C; $[\alpha]_D^{20}$ +38.2 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.33-7.35 (d, *J* = 8.0 Hz, 2 H), 7.10-7.12 (d, *J* = 8.0 Hz, 2 H), 4.55-4.59 (t, *J* = 8.2 Hz, 1 H), 4.18-4.23 (m, 1 H), 4.00-4.03 (dd, *J* =8.4, 8.8 Hz, 1 H), 2.35 (s, 3 H) 1.49-1.50 (d, *J* = 6.4 Hz, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.5, 138.2, 131.5, 128.9, 119.0, 76.9, 72.4, 70.0, 53.9, 21.3, 17.9 ppm; MS (ESI) *m/z* 238.1 ($[M+Na]^+$); HRMS (EI) calcd for $C_{13}H_{13}NO_2$ 215.0946; found, 215.0941.

(*S*)-4-phenyl-3-(2-p-tolylethynyl)oxazolidin-2-one [**Table 3, entry 2,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 170-171 ^{o}C; $[\alpha]_D^{20}$ +222.3 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.38-7.47 (m, 5 H), 7.14-7.16 (d, *J* = 8.0 Hz, 2 H), 7.01-7.04 (d, *J* = 8.0 Hz, 2 H), 5.11-5.15 (q, *J* = 7.8 Hz, 1 H), 4.75-4.79 (t, *J* = 8.8 Hz, 1 H), 4.28-4.32 (dd, *J* = 8.8, 8.8 Hz, 1 H) 2.29 (s, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.5, 138.1, 136.0, 131.4, 129.3, 129.2, 128.8, 126.8, 118.8, 72.7, 70.6, 62.1, 21.3 ppm; MS (ESI) *m/z* 300.0 ($[M+Na]^+$); HRMS (EI) calcd for $C_{18}H_{15}NO_2$ 277.1103; found, 277.1101.

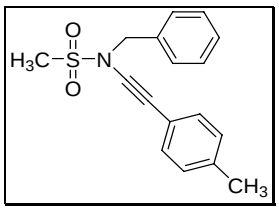
N-benzyl-2-p-tolyl-*N*-tosylethynamine [**Table 3, entry 3,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 119-120 ^{o}C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.83-7.85 (d, *J* = 8.0

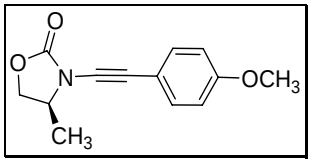
Hz, 2 H), 7.49-7.51 (d, *J* = 8.0 Hz, 2 H), 7.33-7.37 (m, 5 H), 7.09-7.14 (m, 4 H), 4.60 (s, 2 H), 2.43 (s, 3 H), 2.27 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 145.4, 138.1, 135.0, 134.2, 131.1, 130..4, 129.5, 129.0, 128.8, 128.6, 127.8, 119.1, 82.5, 70.99, 55.4, 21.4, 21.2 ppm; MS (ESI) *m/z* 398.1 ([M+Na]$^+$); HRMS (EI) calcd for $C_{23}H_{21}NO_2S$ 375.1293; found, 375.1287.

N-(4-tolylethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 4,** New compound]



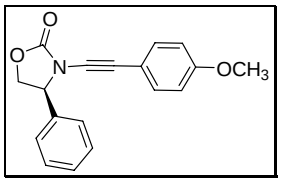
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 79-80 ^{o}C ; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.37-7.44 (m, 5 H), 7.17-7.19 (d, *J* = 8.0 Hz, 2 H), 7.13-7.15 (d, *J* = 8.0 Hz, 2 H), 4.68 (s, 2 H), 3.29 (s, 3 H), 2.28 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 138.1, 135.4, 131.3, 129.5, 129.1, 128.9, 128.6, 119.4, 82.4, 70.8, 55.2, 38.7, 21.2 ppm; MS (ESI) *m/z* 322.1 ([M+Na]$^+$); HRMS (EI) calcd for $C_{17}H_{17}NO_2S$ 299.0980; found, 299.0978.

(*S*)-3-(2-(4-methoxyphenyl)ethynyl)-4-methyloxazolidin-2-one [**Table 3, entry 5,** New compound]



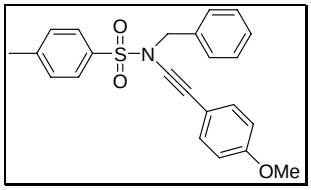
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 78-79 ^{o}C; $[\alpha]_D^{20}$ +39.6 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.38-7.40 (d, *J* = 8.4 Hz, 2 H), 6.83-6.85 (d, *J* = 8.4 Hz, 2 H), 4.54-4.58 (t, *J* = 8.2 Hz, 1 H), 4.15-4.24 (m, 1 H), 3.99-4.03 (dd, *J* = 8.8, 8.4 Hz, 1 H), 3.81 (s, 3 H) 1.48-1.49 (d, *J* = 6.0 Hz, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 159.5, 155.6, 133.3, 114.1, 113.8, 76.1, 72.1, 69.9, 55.2, 53.9, 17.9 ppm; MS (ESI) *m/z* 254.0 ([M+Na]$^+$); HRMS (EI) calcd for $C_{13}H_{13}NO_3$ 231.0895; found, 231.0890.

(*S*)-3-(2-(4-methoxyphenyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 6,** New compound]



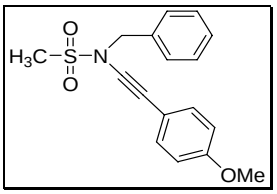
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 164-165 ^{o}C; $[\alpha]_D^{20}$ +206.8 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.38-7.46 (m, 5 H), 7.20-7.22 (d, *J* = 8.8 Hz, 2 H), 6.74-6.76 (d, *J* = 8.8 Hz, 2 H), 5.10-5.14 (q, *J* = 7.8 Hz, 1 H), 4.75-4.79 (t, *J* = 8.6 Hz, 1 H), 4.27-4.31 (dd, *J* = 9.2, 8.8 Hz, 1 H) 3.76 (s, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 159.5, 155.6, 136.1, 133.2, 129.3, 129.1, 126.8, 113.9, 113.6, 76.5, 72.4, 70.6, 62.1, 55.1 ppm; MS (ESI) *m/z* 316.1 ($[M+Na]^+$); HRMS (EI) calcd for $C_{18}H_{15}NO_3$ 293.1052; found, 293.1051.

N-benzyl-2-(4-methoxyphenyl)-*N*-tosylethynamine [**Table 3, entry 7,** New compound]



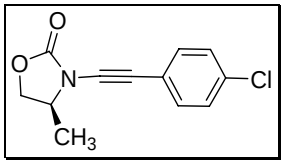
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 78-79 ^{o}C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.81-7.83 (d, *J* = 8.0 Hz, 2 H), 7.48-7.50 (d, *J* = 8.0 Hz, 2 H), 7.31-7.35 (m, 5 H), 7.14-7.16 (d, *J* = 8.8 Hz, 2 H), 6.85-6.87 (d, *J* = 8.8 Hz, 2 H), 4.57 (s, 2 H), 3.72 (s, 3 H), 2.42 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 159.5, 145.3, 135.1, 134.2, 133.1, 130.4, 129.0, 128.8, 128.5, 127.8, 114.6, 113.9, 81.6, 70.1, 55.5, 55.4, 21.4 ppm; MS (ESI) *m/z* 414.1 ($[M+Na]^+$); HRMS (EI) calcd for $C_{23}H_{21}NO_3S$ 391.1242; found, 391.1236.

N-(2-(4-methoxyphenyl)ethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 8,** New compound]



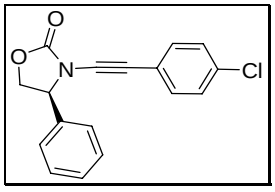
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 63-64 °C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.35-7.42 (m, 5 H), 7.22-7.24 (d, *J* = 8.4 Hz, 2 H), 6.87-6.89 (d, *J* = 8.4 Hz, 2 H), 4.65 (s, 2 H), 3.73 (s, 3 H), 3.26 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 159.5, 135.4, 133.3, 129.0, 128.9, 128.6, 114.6, 114.2, 81.6, 70.5, 55.5, 55.3, 38.6 ppm; MS (ESI) *m/z* 338.0 ([M+Na]$^+$); HRMS (EI) calcd for $C_{17}H_{17}NO_3S$ 315.0929; found, 315.0924.

(*S*)-3-(2-(4-chlorophenyl)ethynyl)-4-methyloxazolidin-2-one [**Table 3, entry 9,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 56-57 °C; $[\alpha]_D^{20}$ +37.9 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.36-7.38 (d, *J* = 8.4 Hz, 2 H),7.27-7.29 (d, *J* = 8.4 Hz, 2 H), 4.57-4.61 (t, *J* = 8.4 Hz, 1 H), 4.18-4.27 (m, 1 H), 4.01-4.06 (dd, *J* = 9.2, 8.4 Hz, 1 H), 1.49-1.51 (d, *J* = 6.4 Hz, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.4, 134.0, 132.7, 128.6, 120.7, 78.5, 71.5, 70.1, 53.9, 18.1 ppm; MS (ESI) *m/z* 257.9 ([M+Na]$^+$); HRMS (EI) calcd for $C_{12}H_{10}ClNO_2$ 235.0400; found, 235.0405.

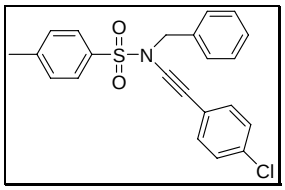
(*S*)-3-(2-(4-chlorophenyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 10,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. White solid; mp. 143-144 °C; $[\alpha]_D^{20}$ +212.5 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.43-7.48 (m, 3 H), 7.38-7.40 (m, 2 H), 7.16-7.20 (m, 4 H), 5.12-5.16 (t, *J* = 7.8 Hz, 1 H),

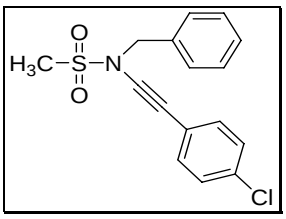
4.77-4.81 (t, *J* = 9.0 Hz, 1 H), 4.30-4.34 (dd, *J* = 8.8, 8.4 Hz, 1 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.4, 135.8, 133.9, 132.6, 129.5, 129.3, 128.4, 126.8, 120.5, 78.8, 71.8, 70.8, 62.1 ppm; MS (ESI) *m/z* 320.0 ([M+Na]$^+$); HRMS (EI) calcd for $C_{17}H_{12}ClNO_2$ 297.0557; found, 297.0552.

N-benzyl-2-(4-chlorophenyl)-*N*-tosylethynamine [**Table 3, entry 11,** New compound]



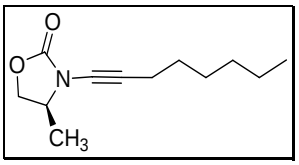
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 67-68 ^{o}C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.85-7.87 (d, *J* = 8.4 Hz, 2 H), 7.49-7.51 (d, *J* = 8.4 Hz, 2 H), 7.33-7.39 (m, 7 H), 7.21-7.23 (d, *J* = 8.4 Hz, 2 H), 4.62 (s, 2 H), 2.42 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 145.6, 134.9, 134.1, 133.0, 132.6, 130.6, 129.1, 128.9, 128.7, 127.9, 121.2, 84.3, 70.2, 55.4, 21.5 ppm; MS (ESI) *m/z* 418.1 ([M+Na]$^+$); HRMS (EI) calcd for $C_{22}H_{18}ClNO_2S$ 395.0747; found, 395.0742.

N-(2-(4-chlorophenyl)ethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 12,** New compound]



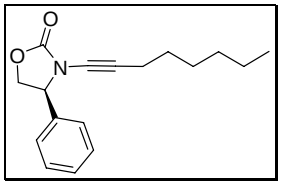
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 66-67 ^{o}C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.39-7.44 (m, 7 H), 7.27-7.29 (d, *J* = 8.8 Hz, 2 H), 4.70 (s, 2 H), 3.32 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ135.3, 132.9, 132.76, 129.2, 129.1, 129.0, 128.8, 121.5, 84.2, 70.0, 55.2, 38.9 ppm; MS (ESI) *m/z* 342.0 ([M+Na]$^+$); HRMS (EI) calcd for $C_{16}H_{14}ClNO_2S$ 319.0434; found, 319.0432.

(*S*)-3-(oct-1-ynyl)-4-methyloxazolidin-2-one [**Table 3, entry 13,** New compound]



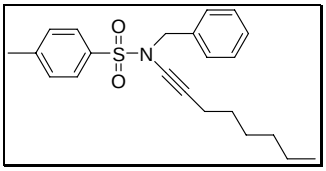
Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. Colorless oil; $[\alpha]_D^{20}$ +38.3 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 4.49-4.53 (t, *J* = 8.2 Hz, 1 H), 4.05-4.10 (m, 1 H), 3.93-3.97 (dd, *J* = 8.0, 8.8 Hz, 1 H), 2.30-2.34 (t, *J* = 7.0 Hz, 2 H), 1.49-1.55 (m, 2 H), 1.26-1.43 (m, 9 H), 0.87-0.91 (t, *J* = 7.8 Hz, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 156.2, 72.5, 69.8, 68.5, 53.7, 31.2, 28.7, 28.4, 22.4, 18.4, 17.9, 13.9 ppm; MS (EI) *m/z* 209 $[M]^+$ (8%), 140 (100%), 124 (60%), 55 (90%); HRMS (EI) calcd for $C_{12}H_{19}NO_2$ 209.1416; found, 209.1412.

(*S*)-3-(oct-1-ynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 14,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. Colorless oil; $[\alpha]_D^{20}$ +142.2 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.40-7.44 (m, 3 H), 7.33-7.35 (m, 2 H), 4.99-5.03 (q, *J* = 8.0 Hz, 1 H), 4.69-4.74 (t, *J* = 9.0 Hz, 1 H), 4.20-4.24 (dd, *J* = 9.2, 9.2 Hz, 1 H), 2.14-2.18 (t, *J* = 7.2 Hz, 2 H), 1.32-1.36 (m, 2 H), 1.13-1.21 (m, 6 H), 0.82-0.85 (t, *J* = 7.0 Hz, 3 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 156.3, 136.3, 129.2, 129.0, 126.8, 72.8, 70.5, 68.9, 62.0, 31.2, 28.4, 28.1, 22.4, 18.2, 13.9 ppm; MS (EI) *m/z* 271 $[M]^+$ (5%), 256 (30%), 202 (70%), 104 (100%), 91 (100%), 78 (65%). HRMS (EI) calcd for $C_{17}H_{21}NO_2$ 271.1572; found, 271.1570.

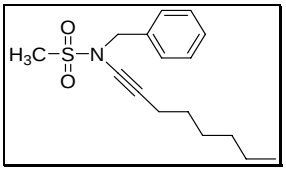
N-benzyl-*N*-tosyloct-1-yn-1-amine [**Table 3, entry 15,** ref 5]



Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 45-46 °C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.75-7.77 (d, *J* = 8.4 Hz,

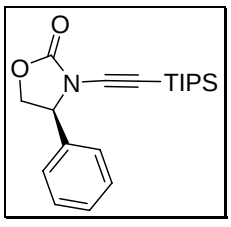
2 H), 7.45-7.47 (d, *J* = 8.2 Hz, 2 H), 7.31-7.34 (m, 3 H), 7.26-7.28 (m, 2 H), 4.44 (s, 2 H), 2.42 (s, 3 H), 2.10-2.14 (t, *J* = 6.6 Hz, 2 H), 1.13-1.28 (m, 8 H), 0.80-0.84 (t, *J* = 7.0 Hz, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 145.0, 135.3, 134.3, 130.3, 128.8, 128.7, 128.4, 127.7, 73.9, 70.6, 55.4, 31.1, 28.5, 27.9, 22.4, 21.4, 17.9, 14.2 ppm; MS (ESI) *m/z* 392.1 ($[M+Na]^+$).

N-(oct-1-ynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 16,** New compound]



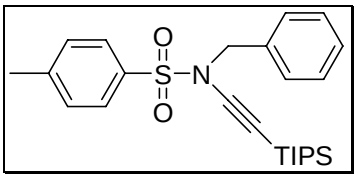
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 40-41 °C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.33-7.41 (m, 5 H), 4.52 (s, 2 H), 3.15 (s, 3 H), 2.17-2.21 (t, *J* = 6.8 Hz, 2 H), 1.15-1.35 (m, 8 H), 0.82-0.86 (t, *J* = 7.0 Hz, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 135.6, 128.89, 128.85, 128.50, 73.9, 70.5, 55.1, 37.9, 31.1, 28.6, 28.0, 22.4, 18.0, 14.3 ppm; MS (ESI) *m/z* 294.0 ($[M+H]^+$); HRMS (EI) calcd for $C_{16}H_{23}NO_2S$ 293.1449; found, 293.1441.

(*S*)-3-(2-(triisopropylsilyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 17,** New compound]



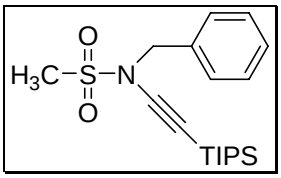
Prepared according to the general procedure using a solution of EA/Pet = 1/6 as eluent. Colorless oil; $[\alpha]_D^{20}$ +129.4 (c 1.00, CH_2Cl_2); ^{1}H NMR ($CDCl_3$, 400 MHz, TMS) δ 7.34-7.42 (m, 5 H), 5.04-5.08 (q, *J* = 8.0 Hz, 1 H), 4.70-4.75 (t, *J* = 8.8 Hz, 1 H), 4.25-4.29 (dd, *J* = 9.2, 8.8 Hz, 1 H), 0.88 (s, 21 H) ppm; ^{13}C NMR ($CDCl_3$, 100 MHz, TMS) δ 155.3, 135.7, 129.4, 129.1, 127.1, 91.8, 71.9, 70.5, 62.3, 18.4, 11.0 ppm; MS (ESI) *m/z* 344.3 ($[M+H]^+$), 366.3 ($[M+Na]^+$); HRMS (EI) calcd for $C_{20}H_{29}NO_2Si$ 343.1968; found, 343.1961.

N-benzyl-2-(triisopropylsilyl)-*N*-tosylethynamine [**Table 3, entry 18,** ref. 6]



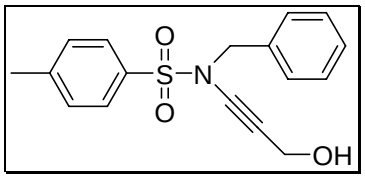
Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. Colorless oil; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.76-7.78 (d, *J* = 8.4 Hz, 2 H), 7.45-7.47 (d, *J* = 8.0 Hz, 2 H), 7.24-7.31 (m, 5 H), 4.51 (s, 2 H), 2.42 (s, 3 H), 0.89 (s, 21 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 145.5, 134.8, 134.3, 130.4, 129.1, 128.8, 128.6, 127.8, 97.0, 69.6, 55.3, 21.5, 18.7, 11.1 ppm; MS (ESI) *m/z* 442.4 ($[M+H]^+$), 464.4 ($[M+Na]^+$).

N-(1-triisopropylsilyl)ethynyl-*N*-methanesulfonylbenzylamine [**Table 3, entry 19,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/10 as eluent. White solid; mp. 48-49 °C; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.36-7.38 (m, 5 H), 4.58 (s, 2 H), 3.21 (s, 3 H), 0.94 (s, 21 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 135.1, 129.3, 128.9, 128.7, 97.2, 69.4, 55.1, 38.4, 18.8, 11.2 ppm; MS (ESI) *m/z* 366.3 ($[M+H]^+$), 388.4 ($[M+Na]^+$); HRMS (EI) calcd for $C_{19}H_{31}NO_2SSi$ 365.1845; found, 365.1839.

3-(*N*-benzyl-*N*-tosylamino)prop-2-yn-1-ol [**Table 3, entry 20,** New compound]



Prepared according to the general procedure using a solution of EA/Pet = 1/3 as eluent. Colorless oil; ^{1}H NMR (d_6-DMSO, 400 MHz, TMS) δ 7.79-7.81 (d, *J* = 8.0 Hz, 2 H),

7.46-7.48 (d, *J* = 8.4 Hz, 2 H), 7.28-7.37 (m, 5 H), 5.09-5.11 (t, *J* = 5.2 Hz, 1 H), 4.50 (s, 2 H), 4.09-4.10 (d, *J* = 4.8 Hz, 2 H), 2.43 (s, 3 H) ppm; ^{13}C NMR (d_6-DMSO, 100 MHz, TMS) δ 145.3, 135.4, 134.5, 130.5, 128.9, 128.7, 128.5, 127.8, 77.7, 71.5, 55.4, 49.3, 21.5 ppm; MS (ESI) *m/z* 338.1 ([M+Na]$^+$). HRMS (EI) calcd for $C_{17}H_{17}NO_3S$ 315.0929; found, 315.0922.

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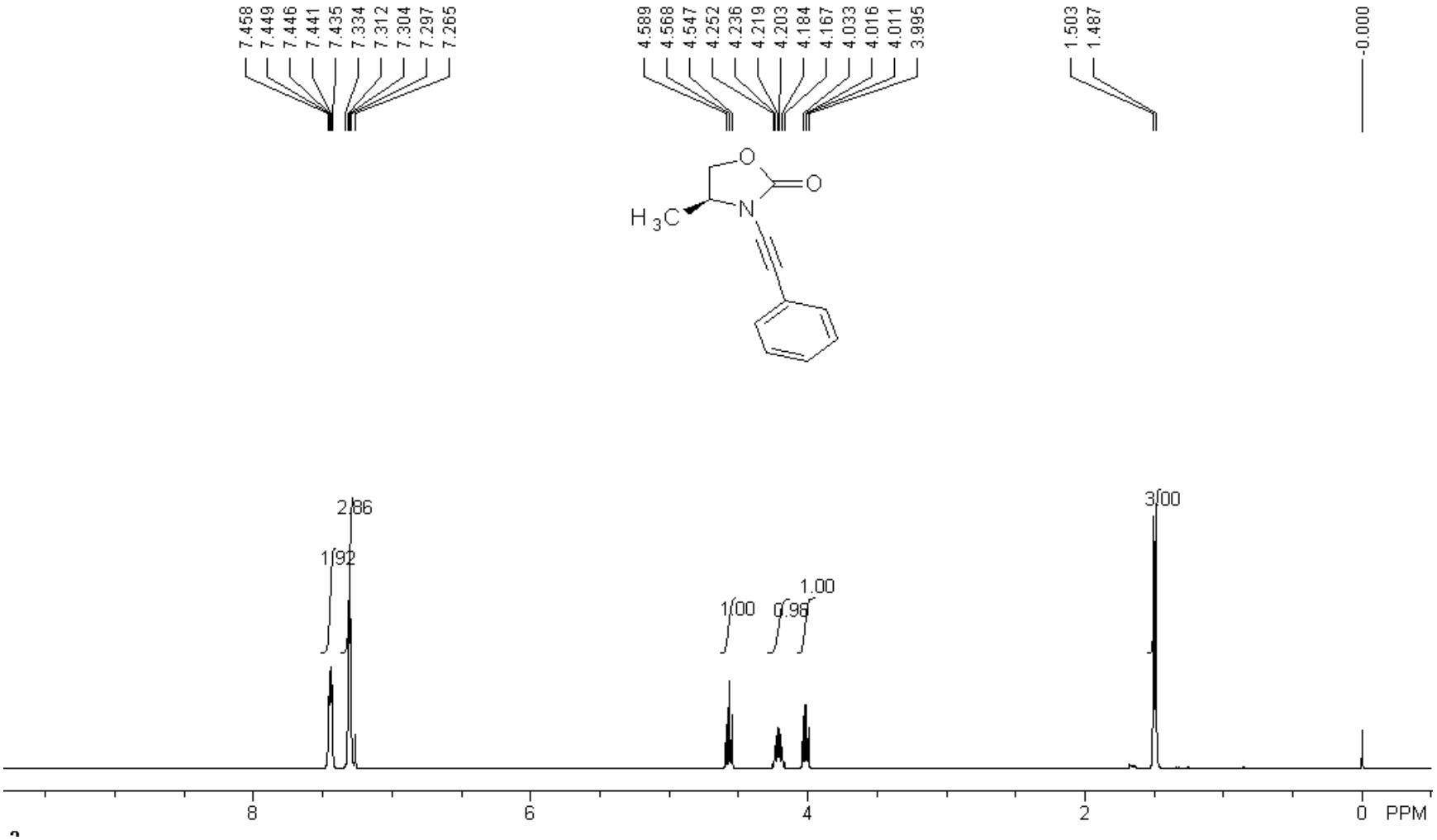
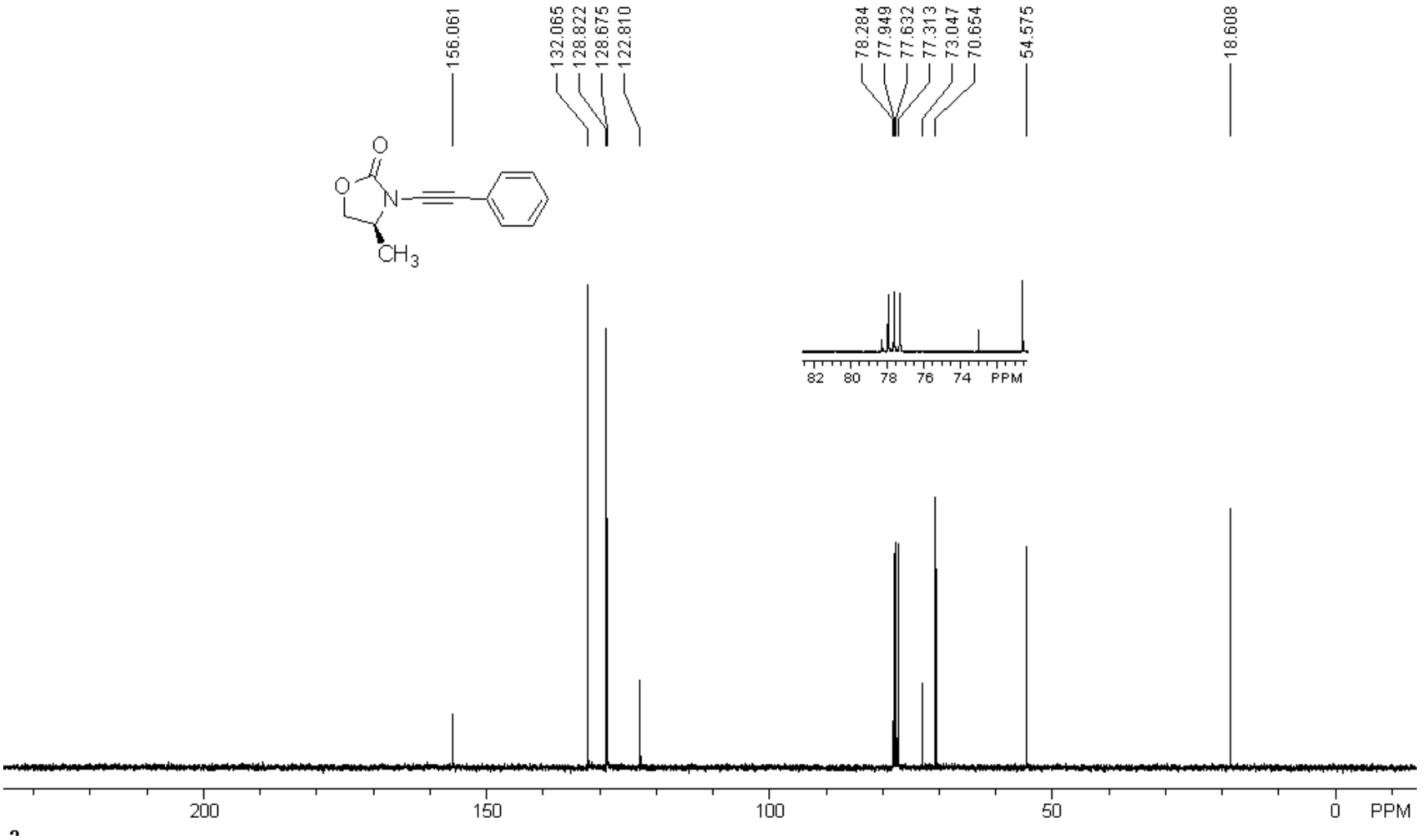
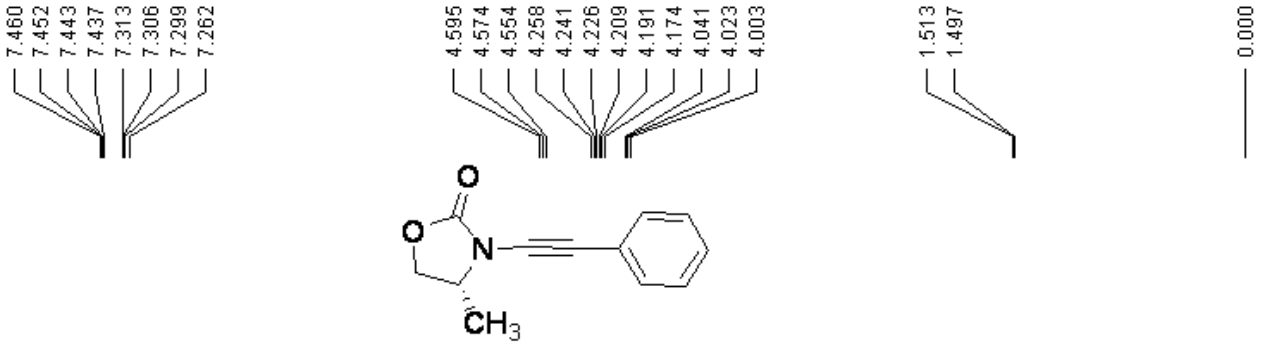
(*S*)-4-methyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 1]**

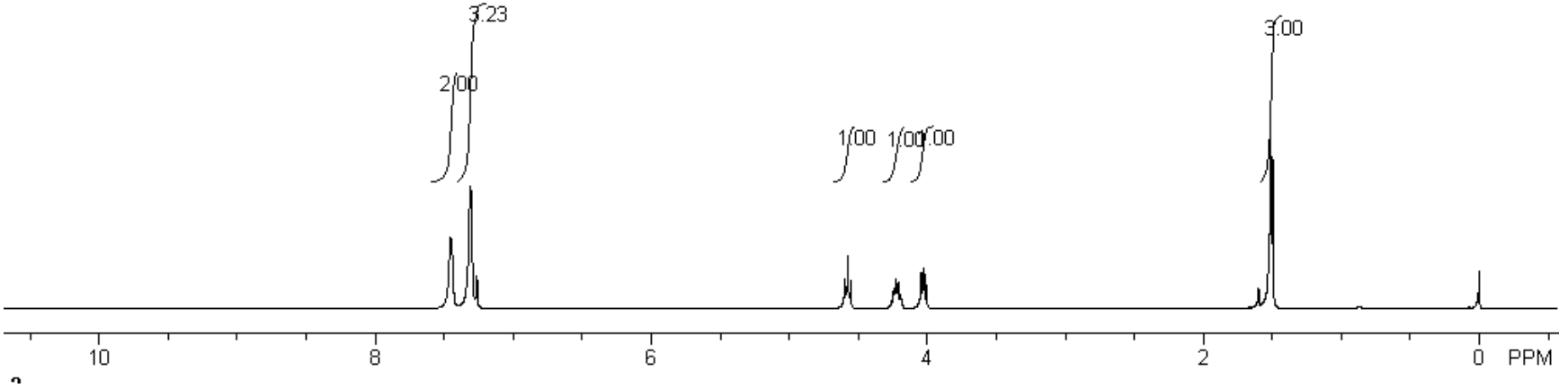


(*S*)-4-methyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 1]**

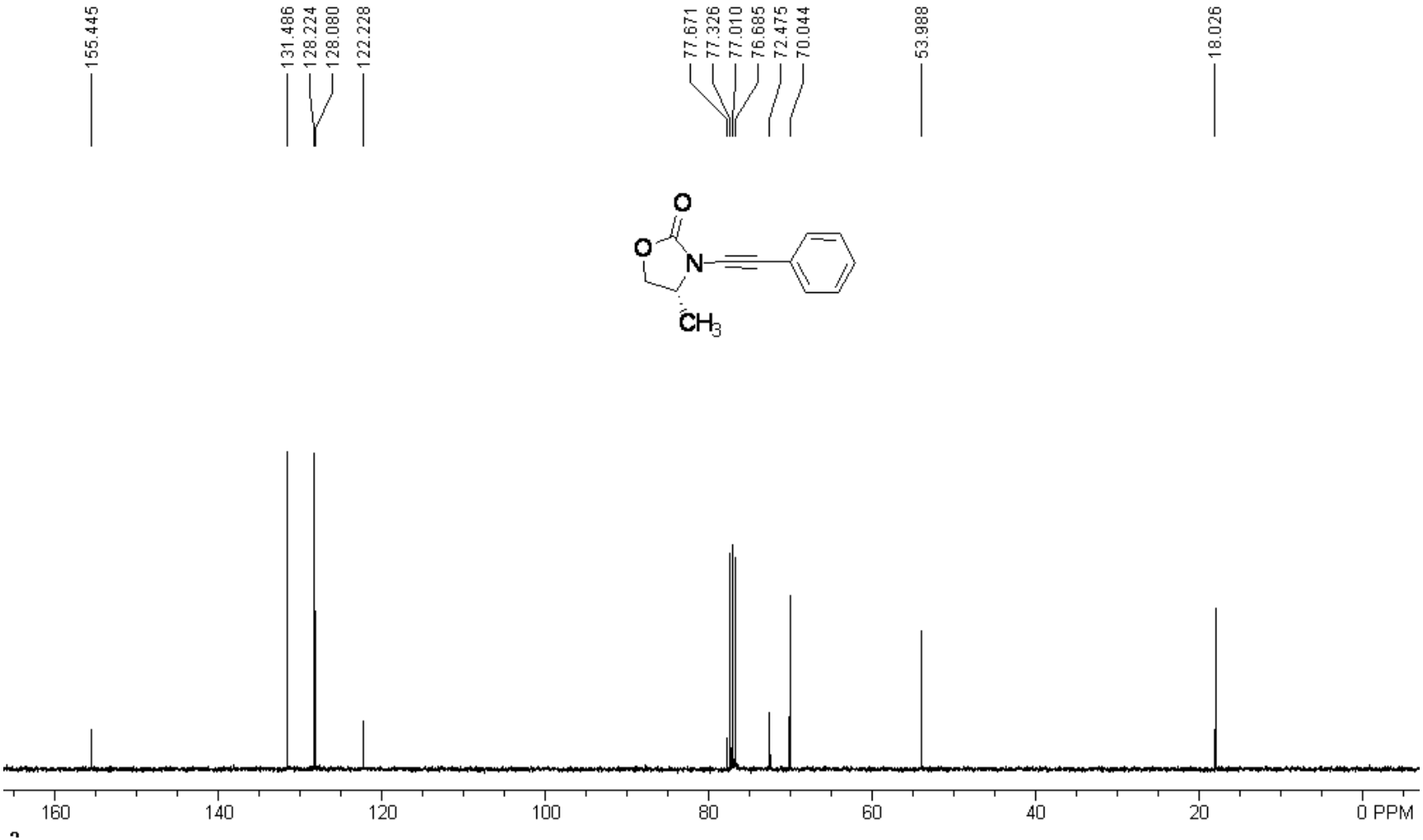


(*R*)-4-methyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 2]**

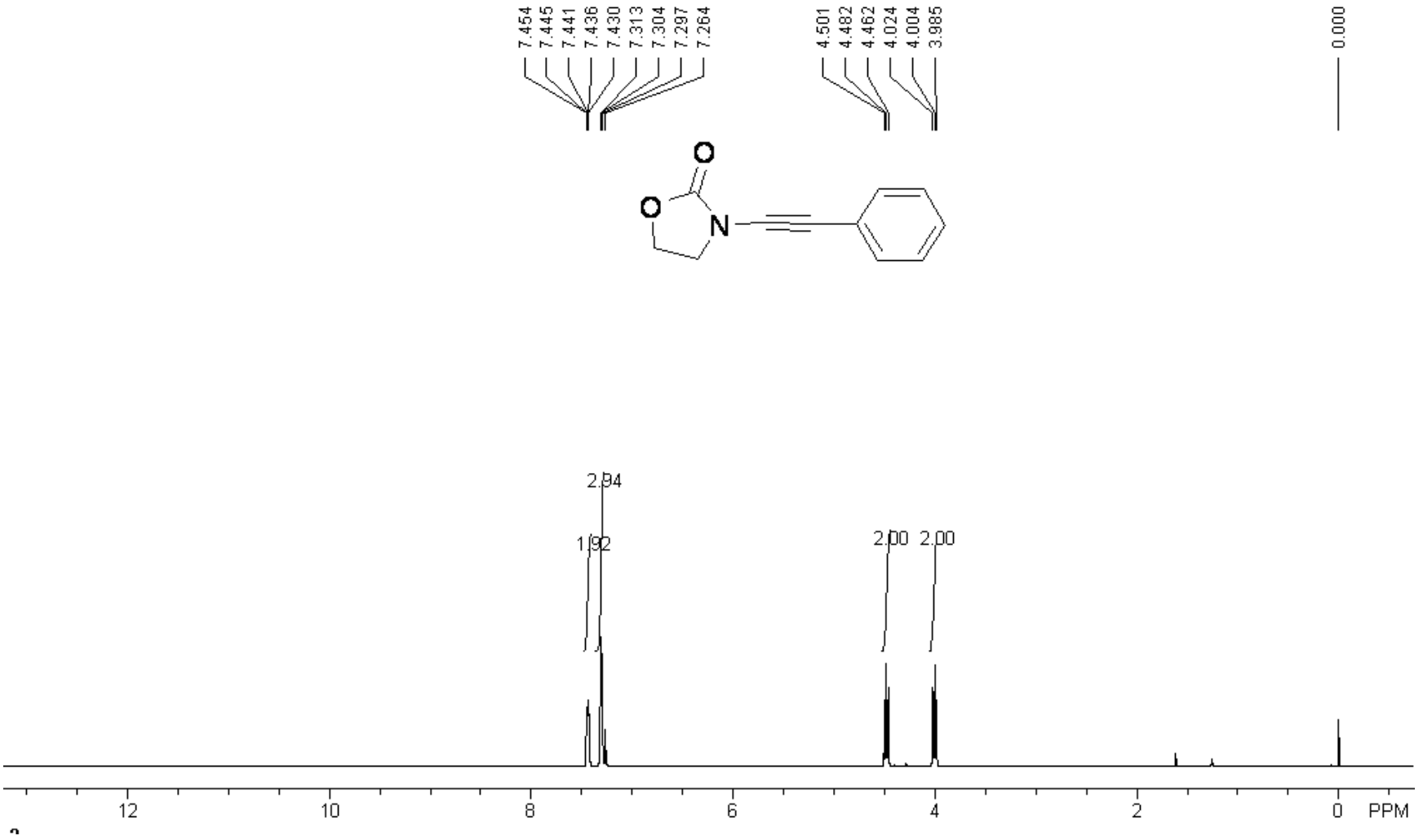




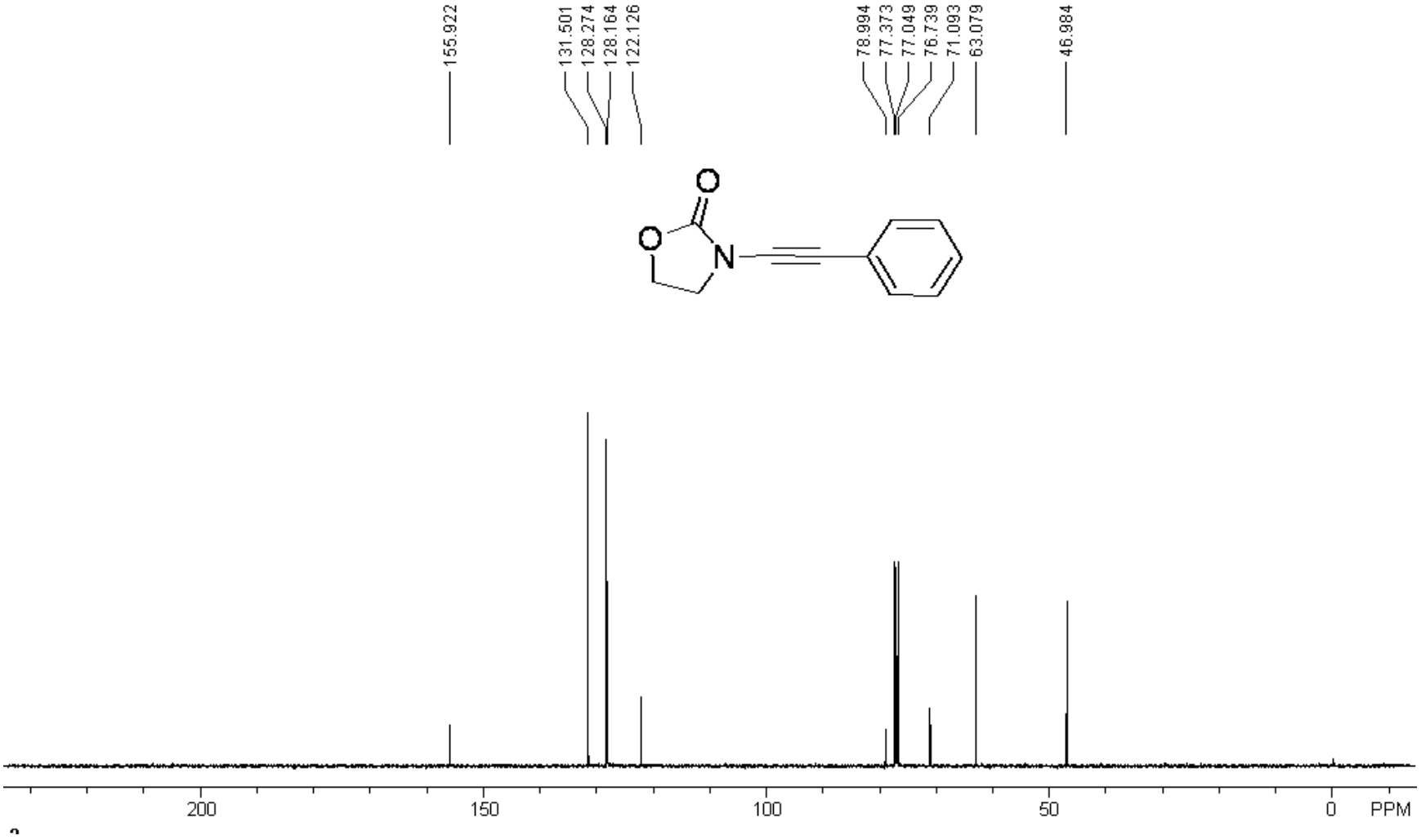
(*R*)-4-methyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 2]**



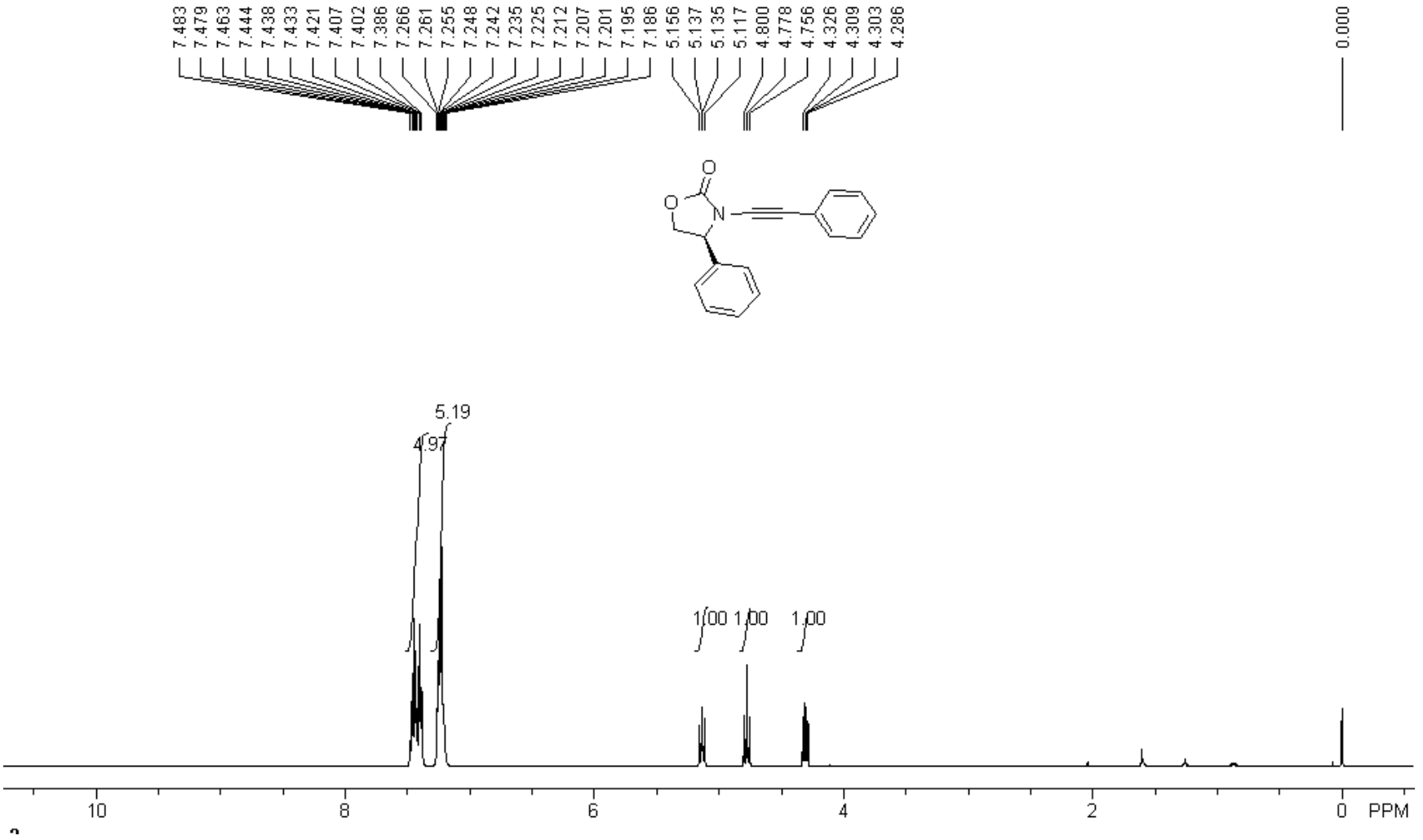
3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 3]**



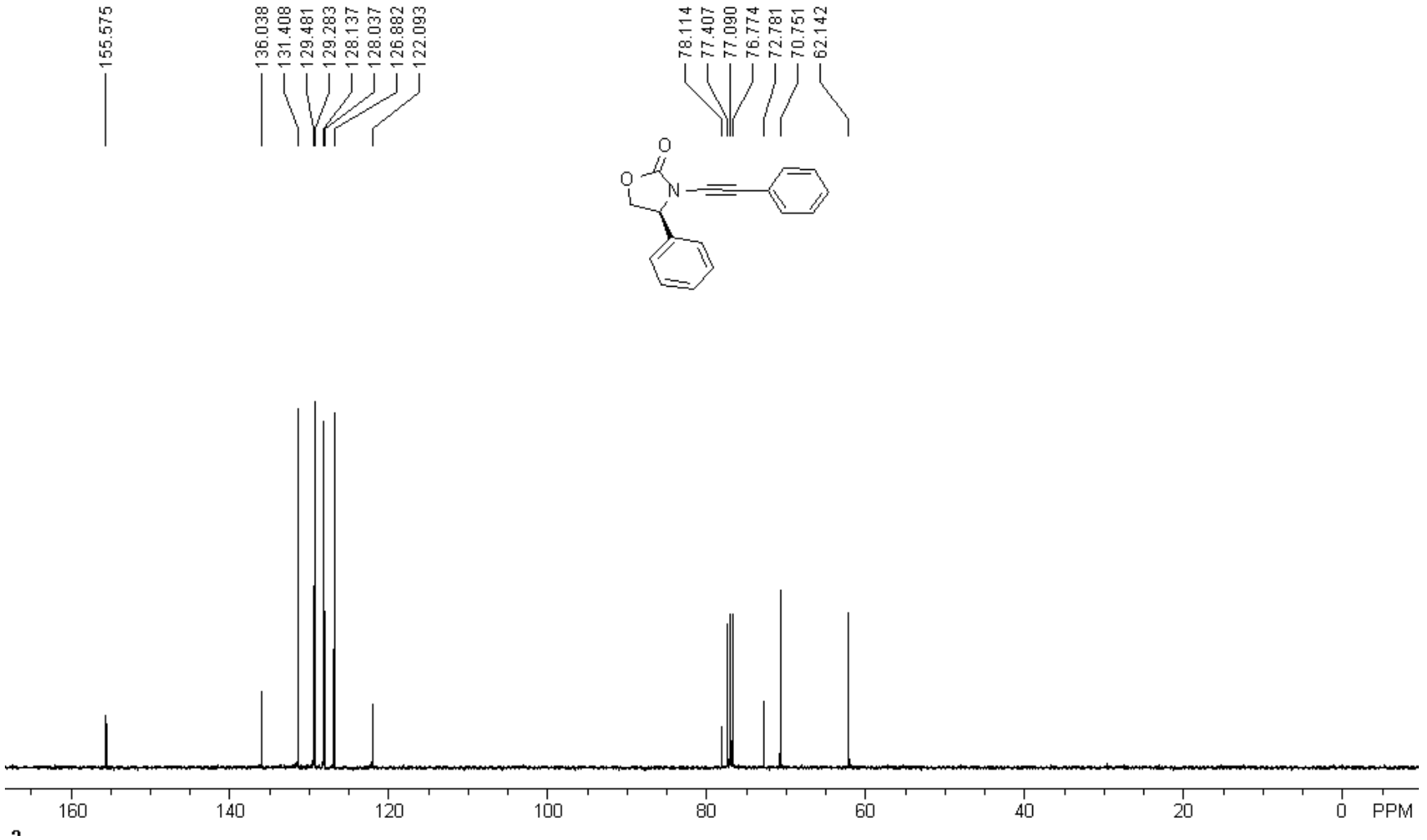
3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 3]**



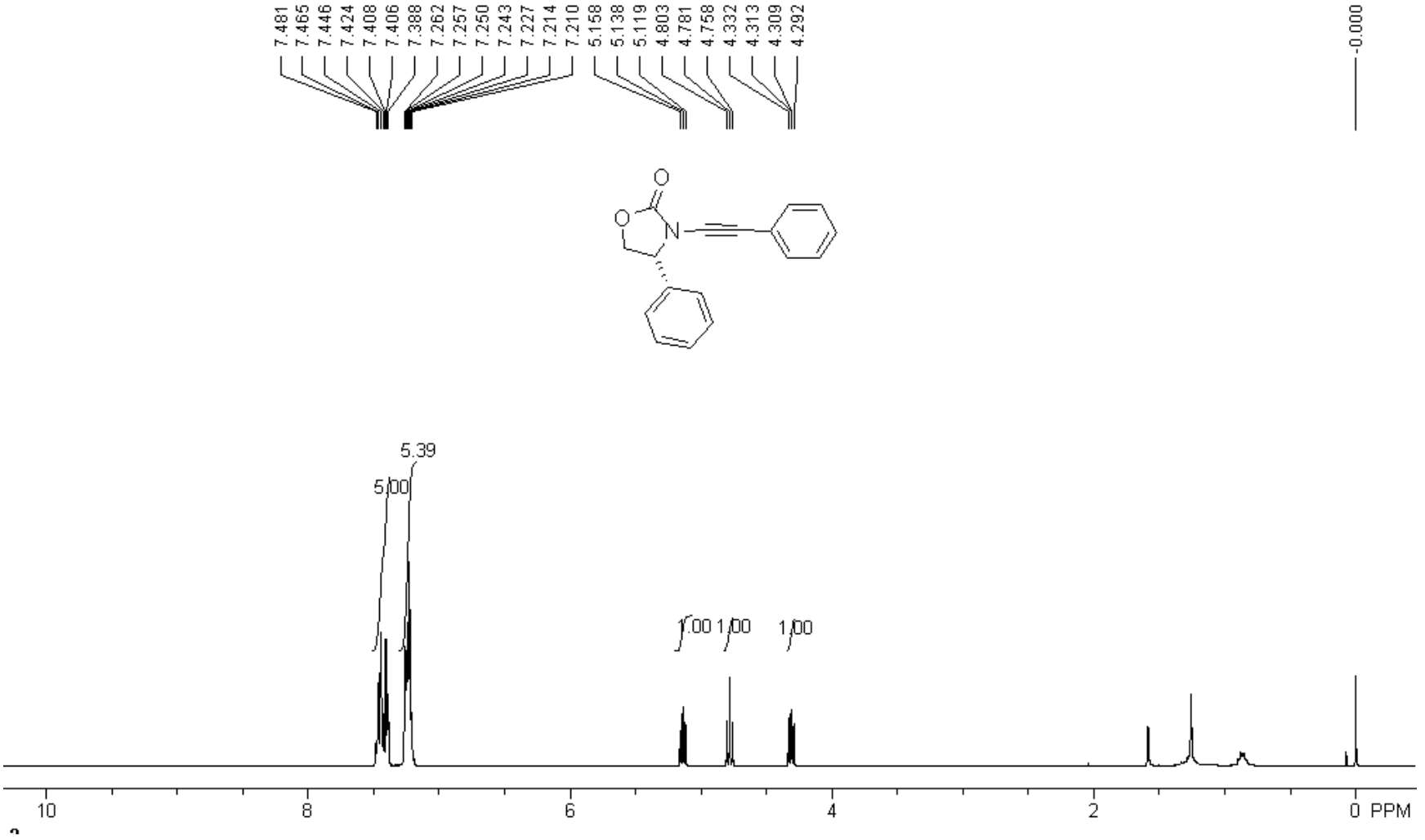
(*S*)-4-phenyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 4]**



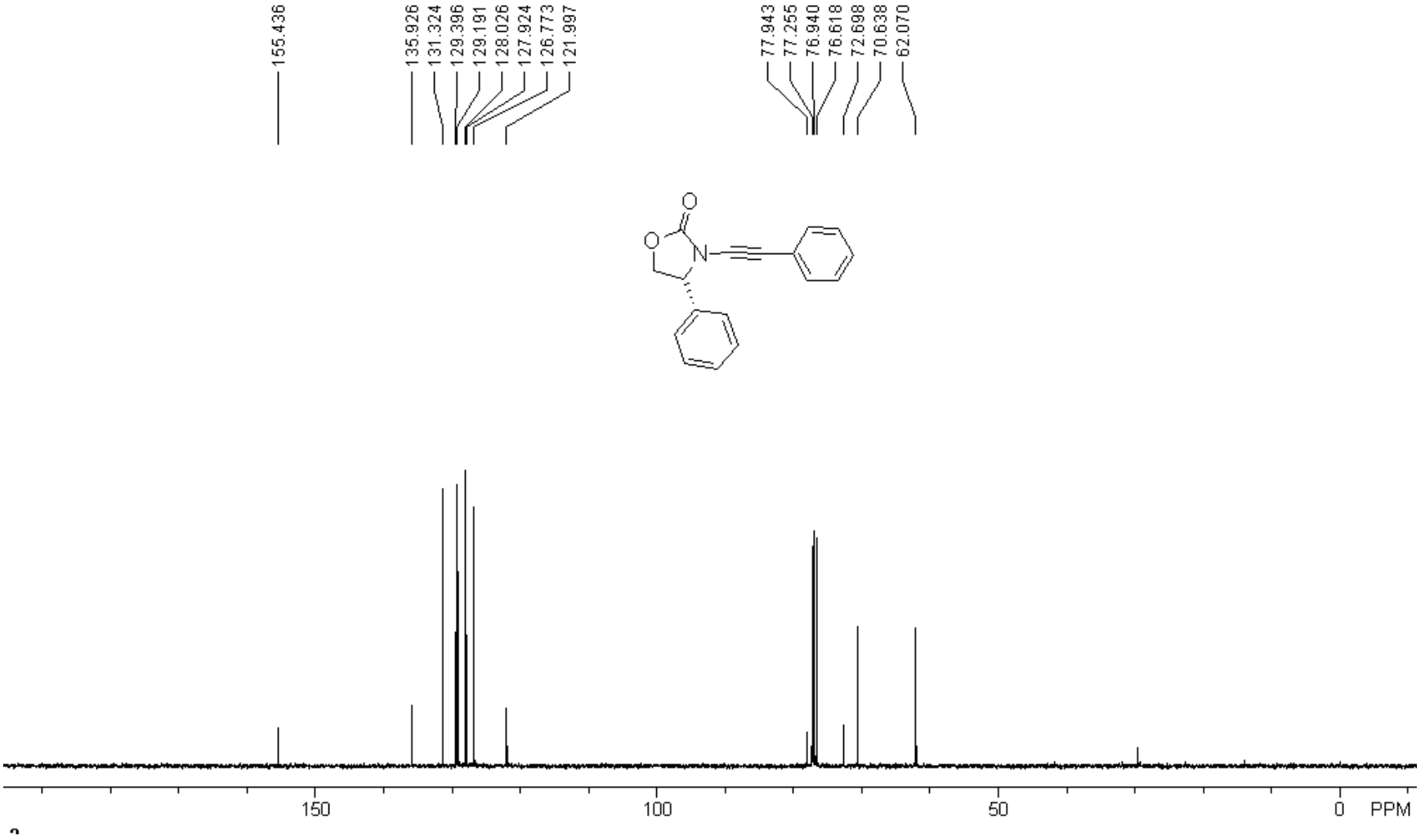
(*S*)-4-phenyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 4]**



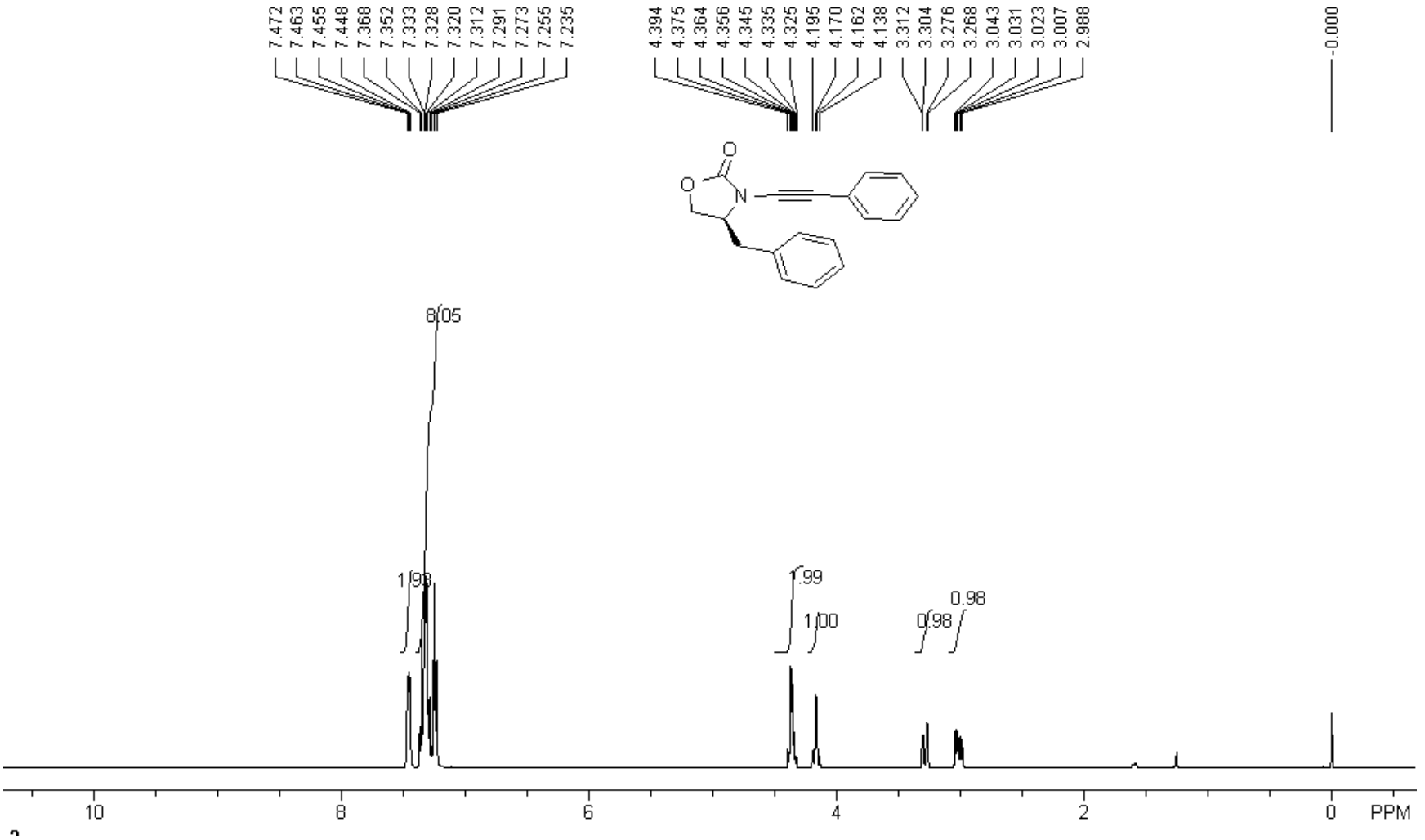
(*R*)-4-phenyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 5]**



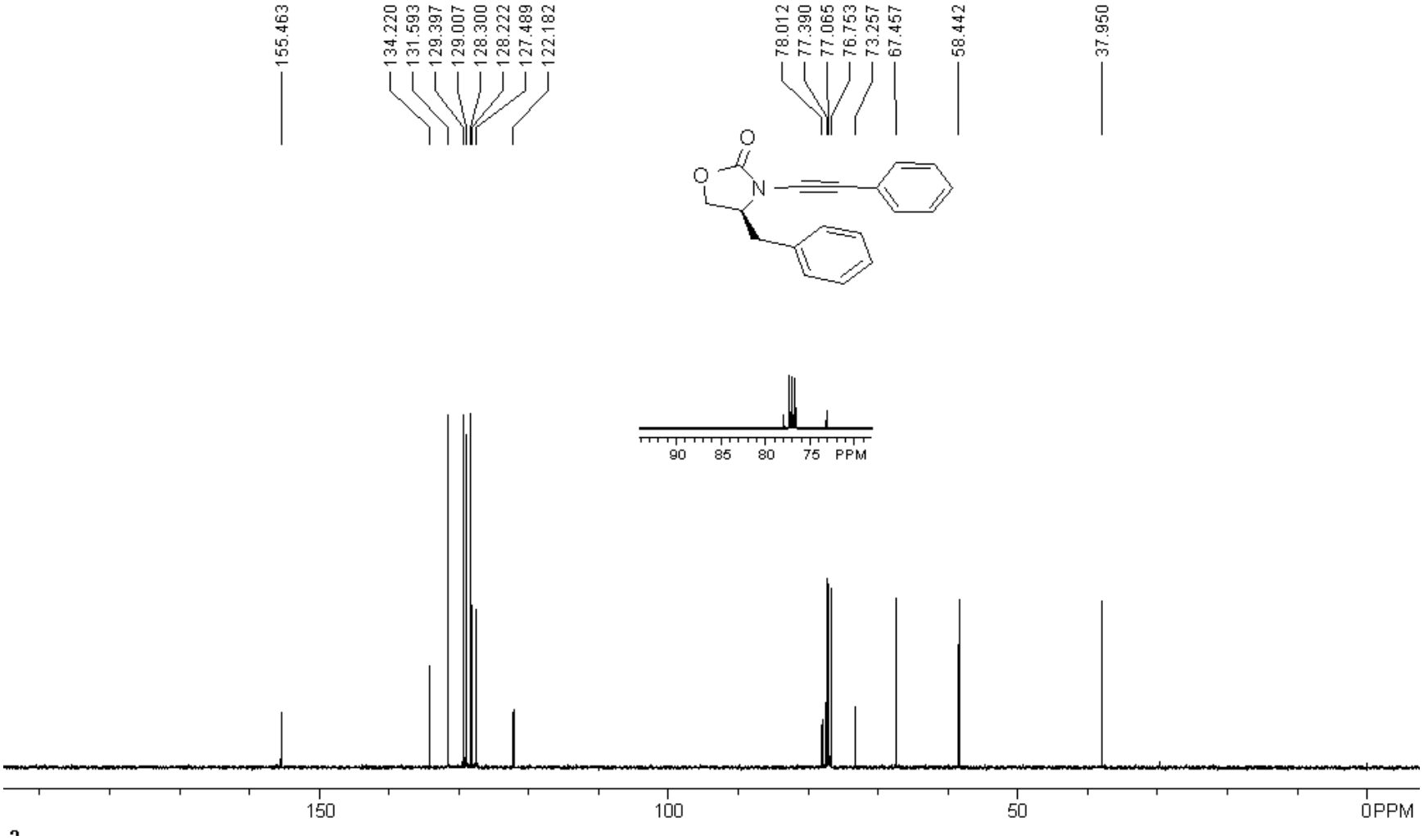
(*R*)-4-phenyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 5]**



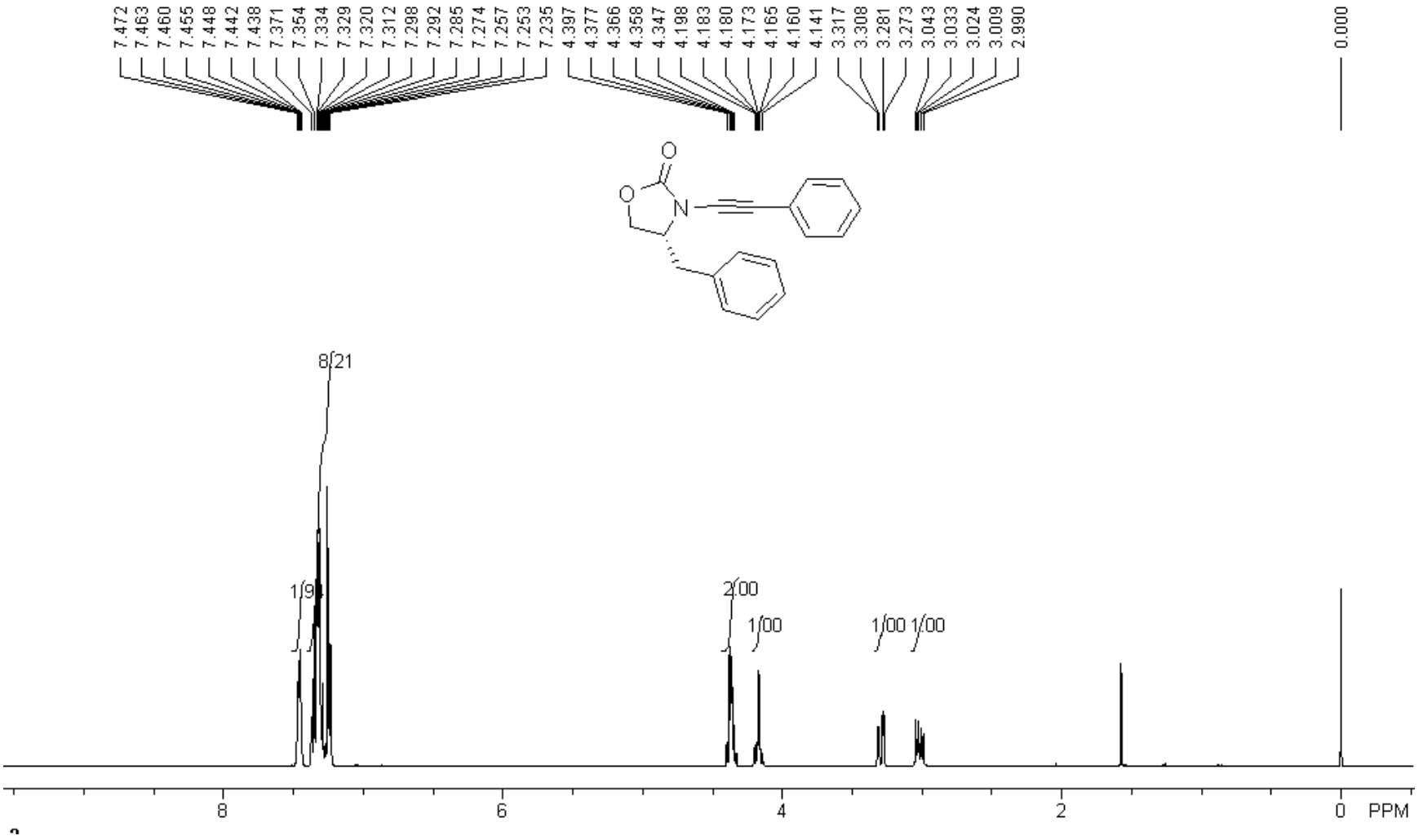
(*S*)-4-benzyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 6]**



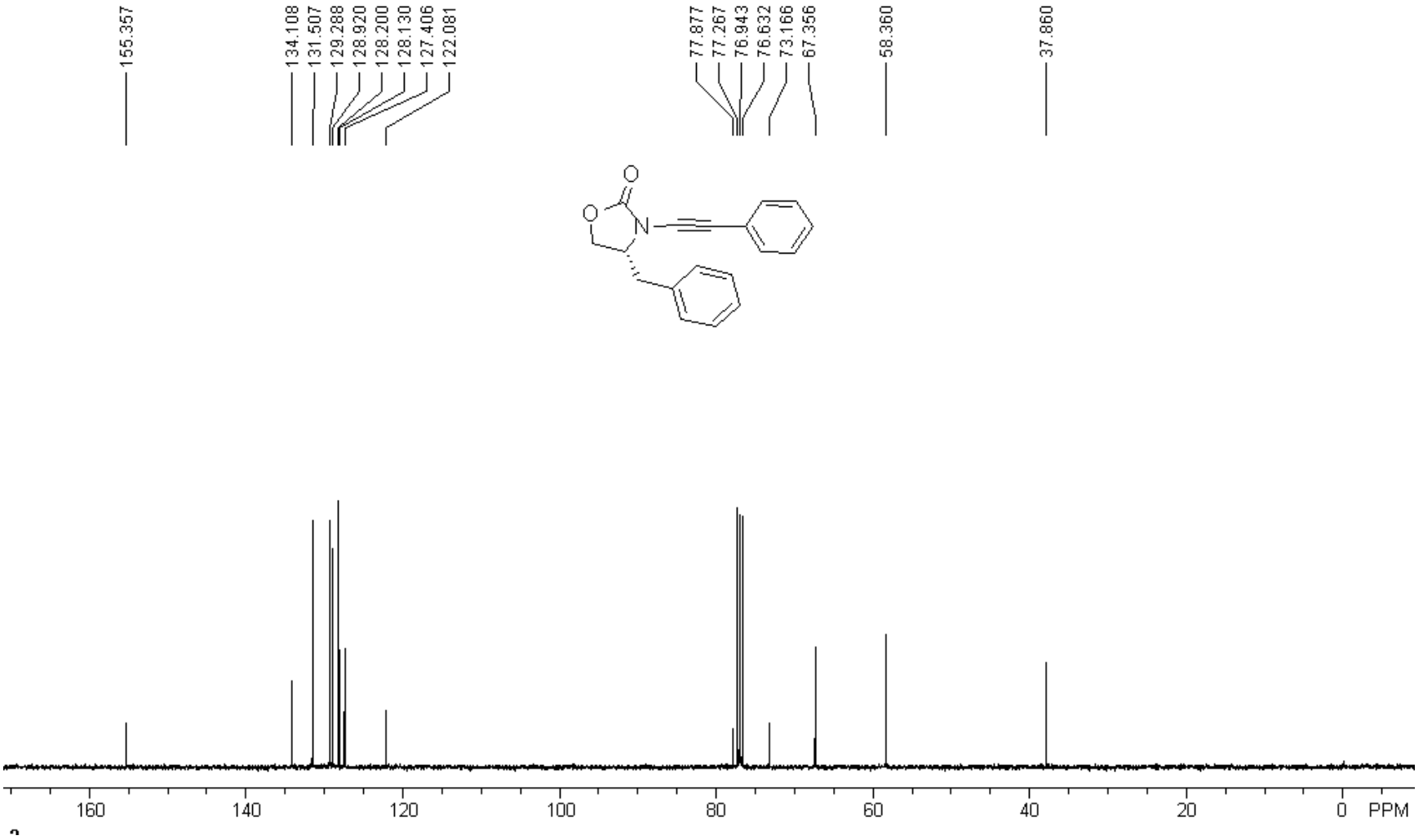
(*S*)-4-benzyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 6]**



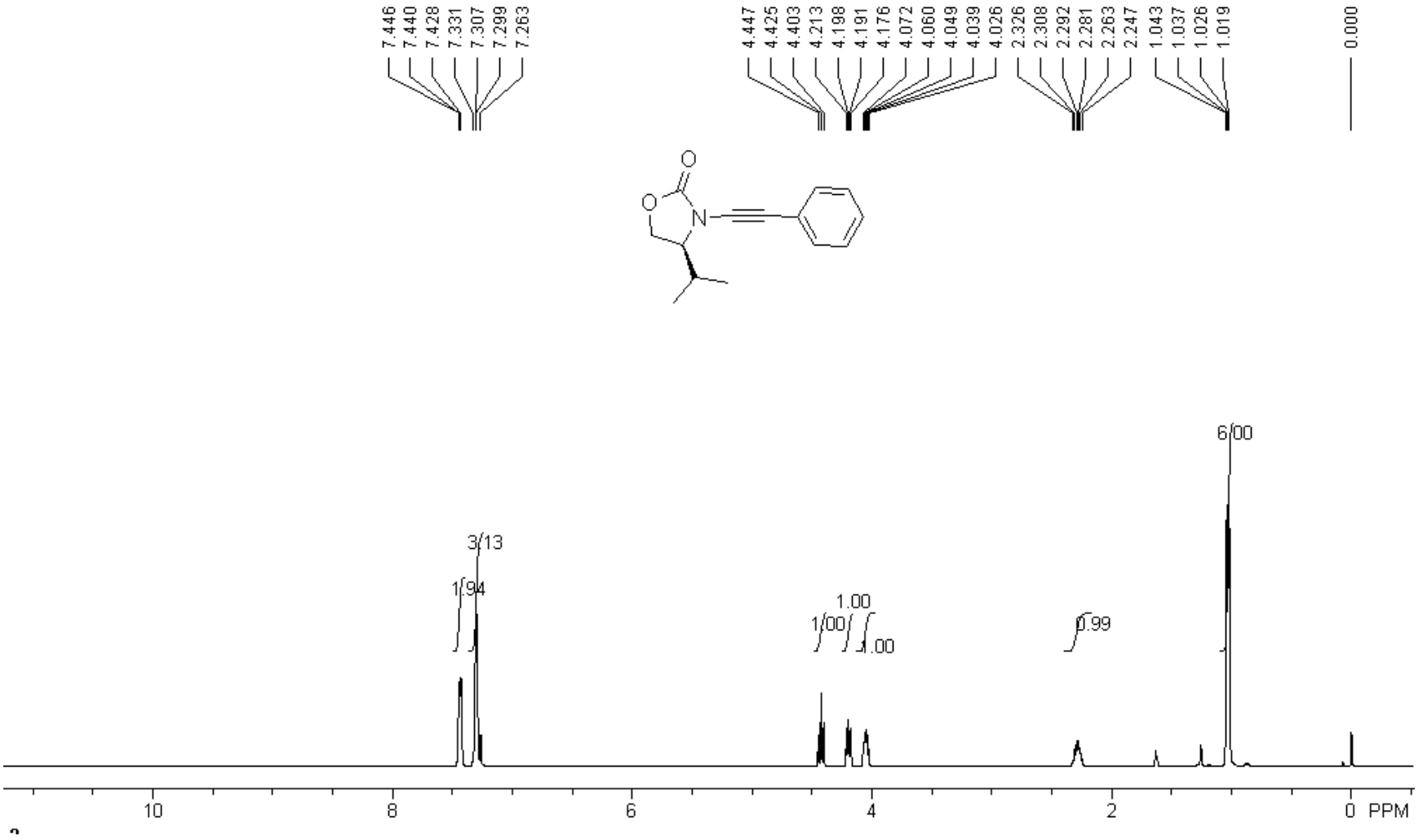
(*R*)-4-benzyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 7]**



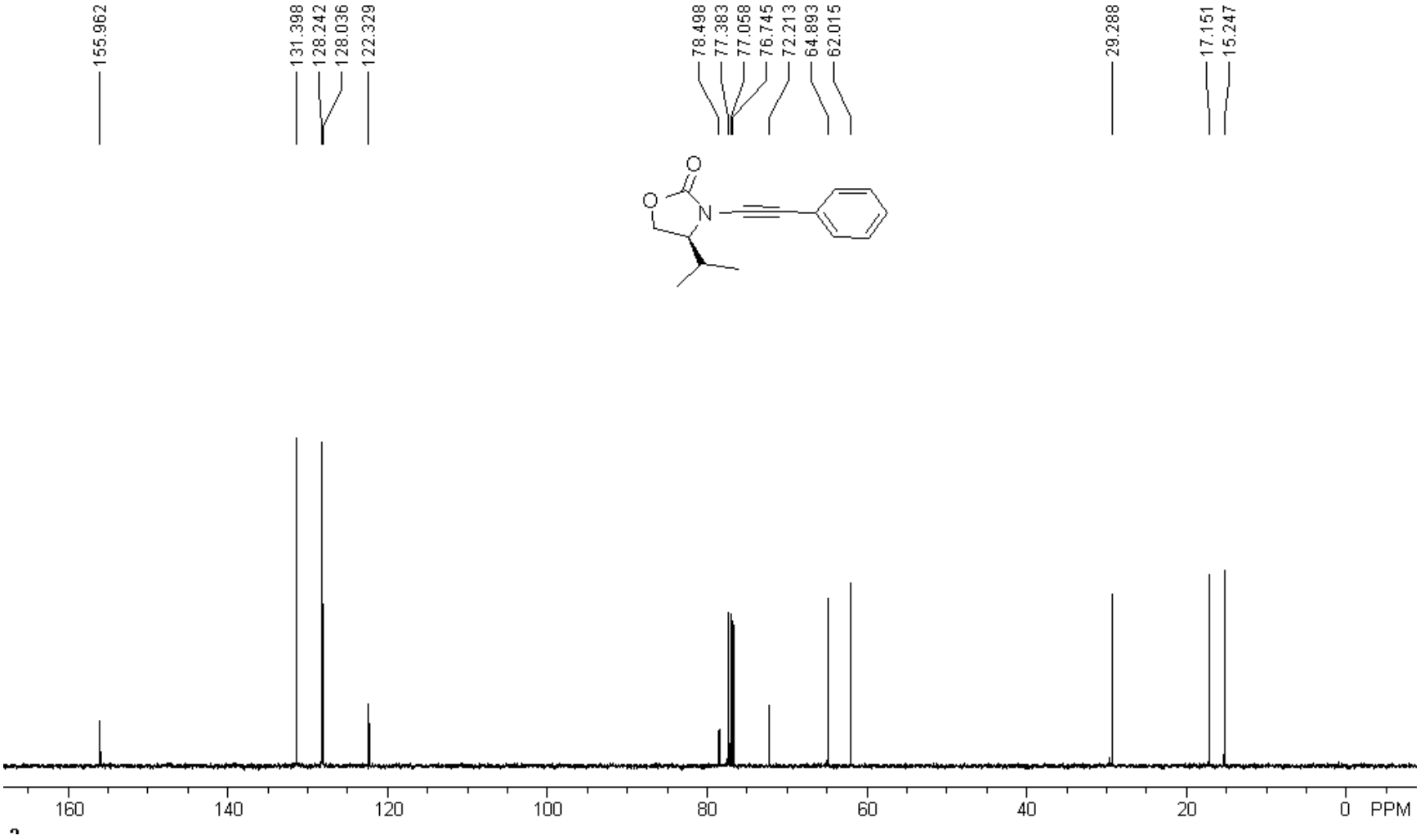
(*R*)-4-benzyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 7]**



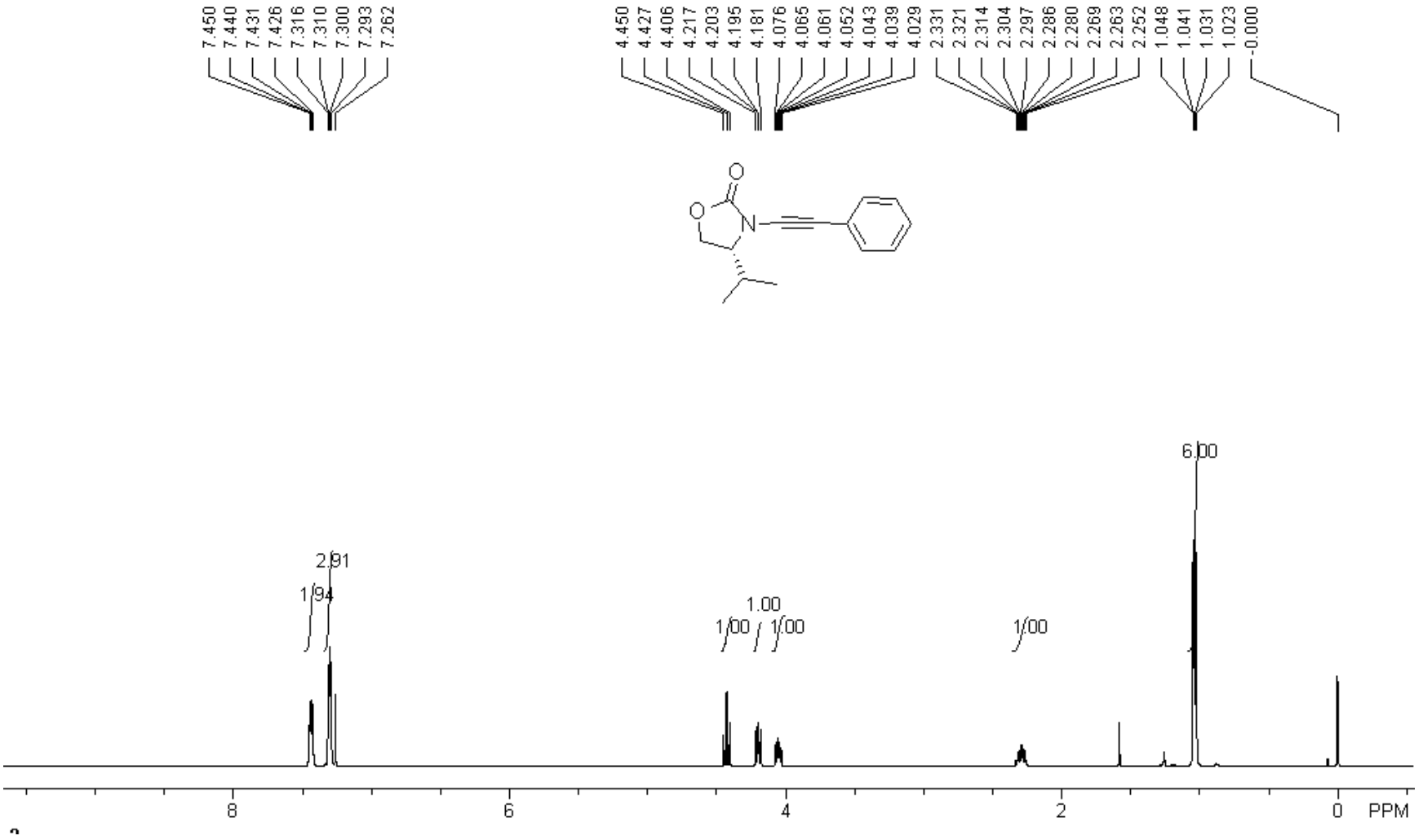
(*S*)-4-isopropyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 8]**



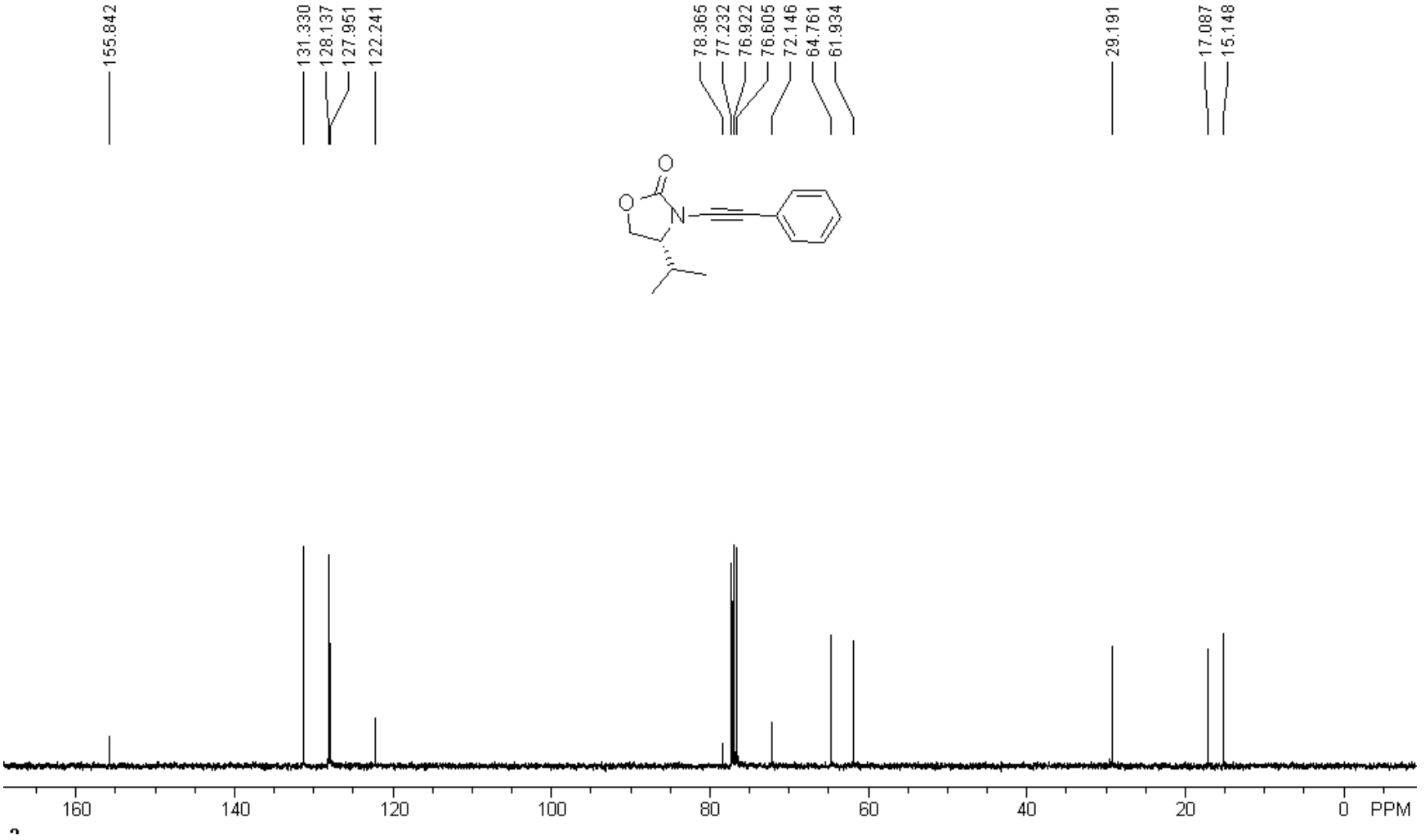
(*S*)-4-isopropyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 8]**



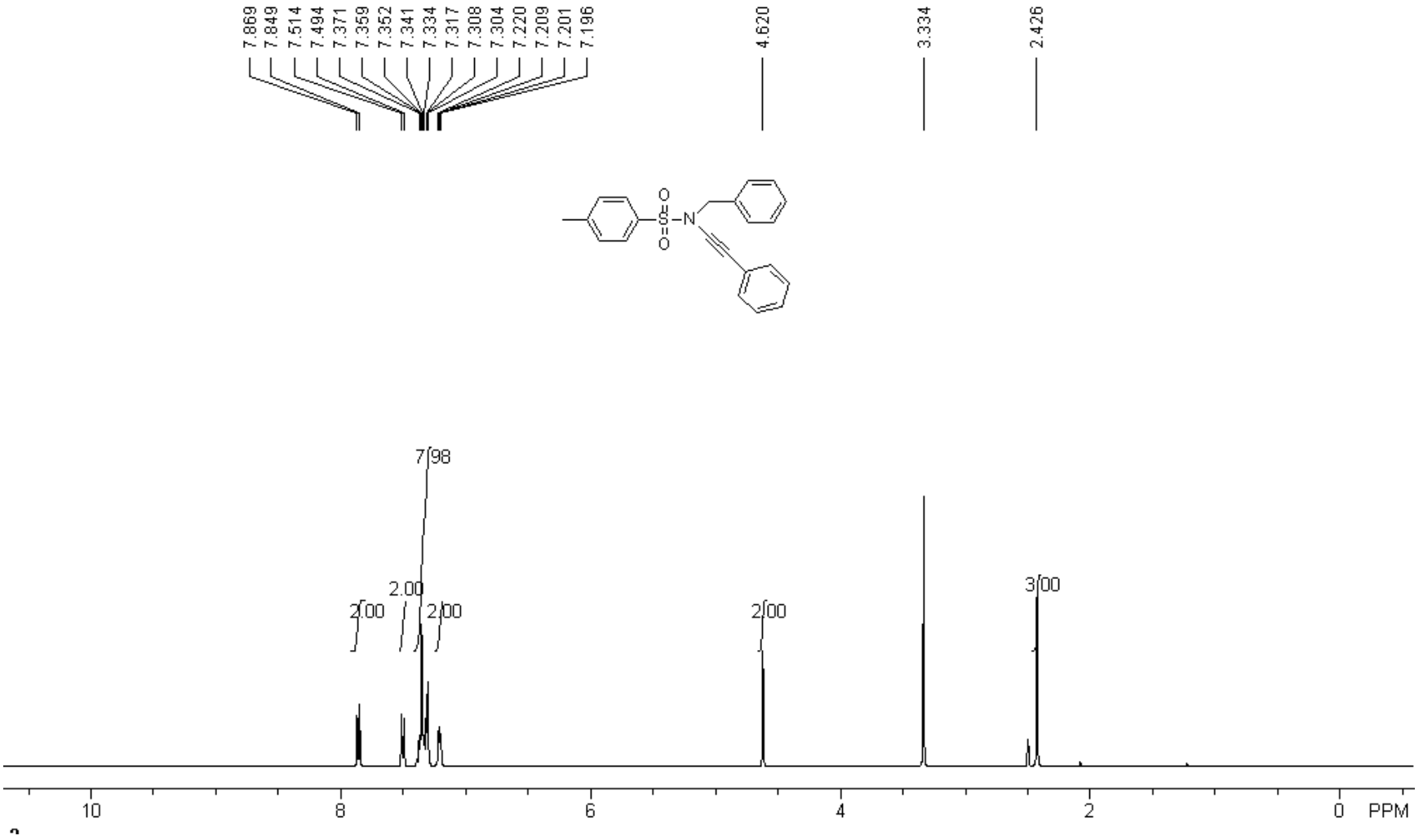
(*R*)-4-isopropyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 9]**



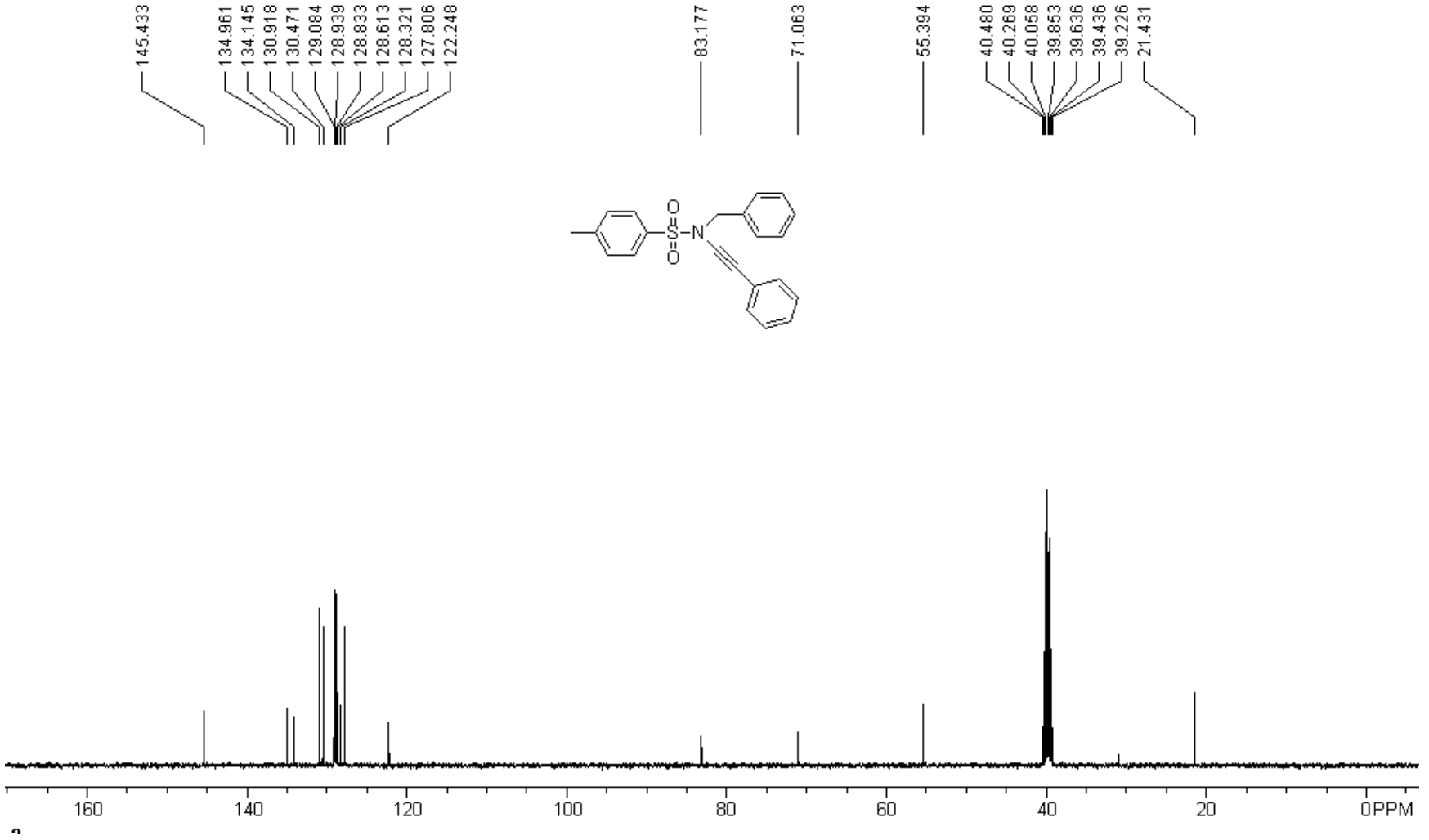
(*R*)-4-isopropyl-3-(2-phenylethynyl)oxazolidin-2-one [**Table 2, entry 9]**



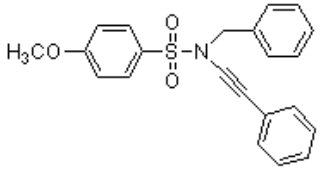
N-benzyl-2-phenyl-*N*-tosylethynamine [**Table 2, entry 10]**

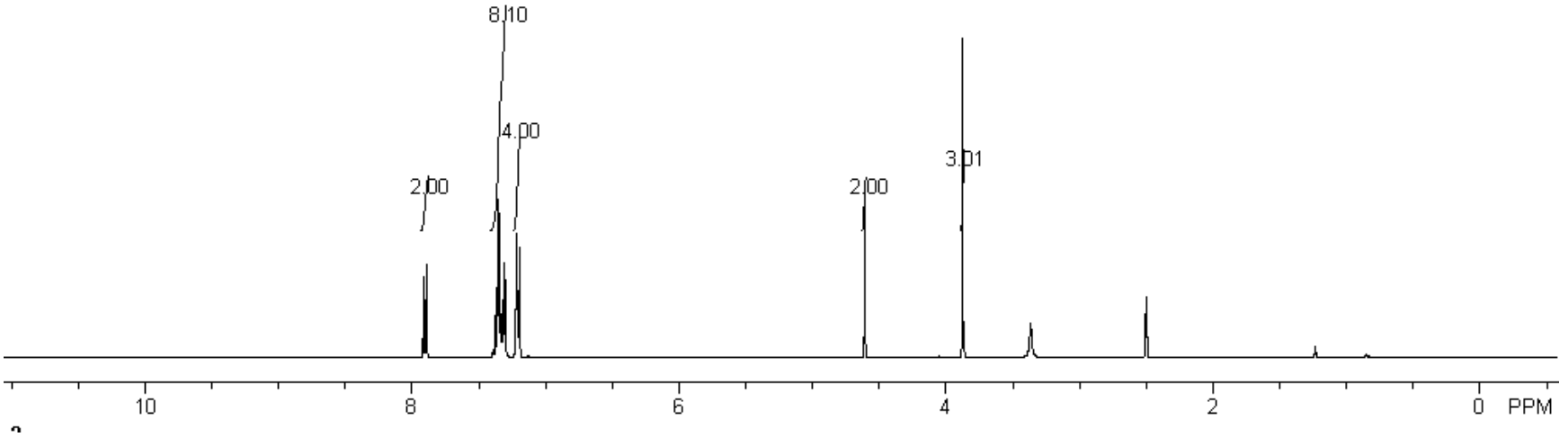


N-benzyl-2-phenyl-*N*-tosylethynamine [**Table 2, entry 10]**

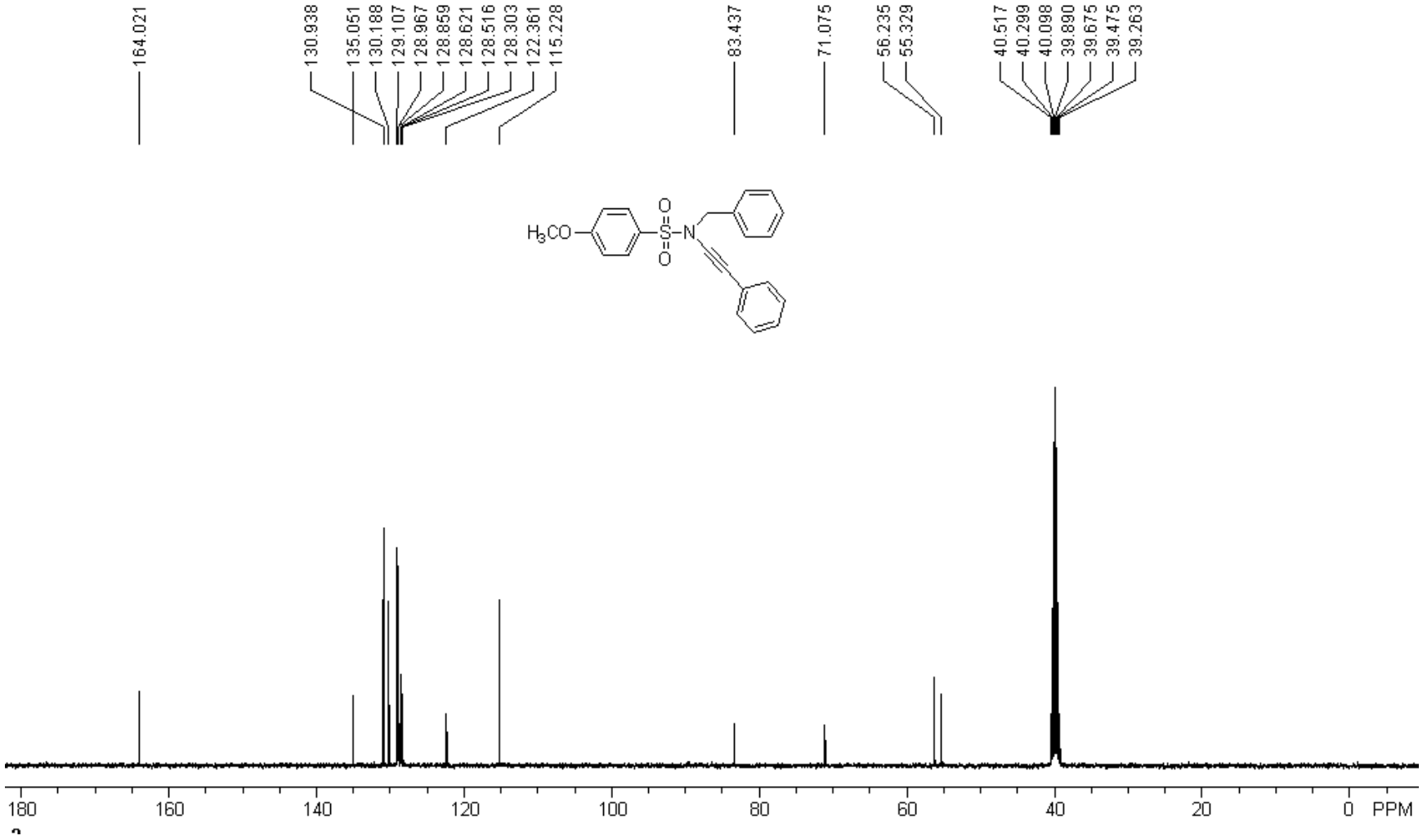


N-(2-phenylethynyl)-*N*-4-methoxybenzenesulfonylbenzylamine [**Table 2, entry 11]**

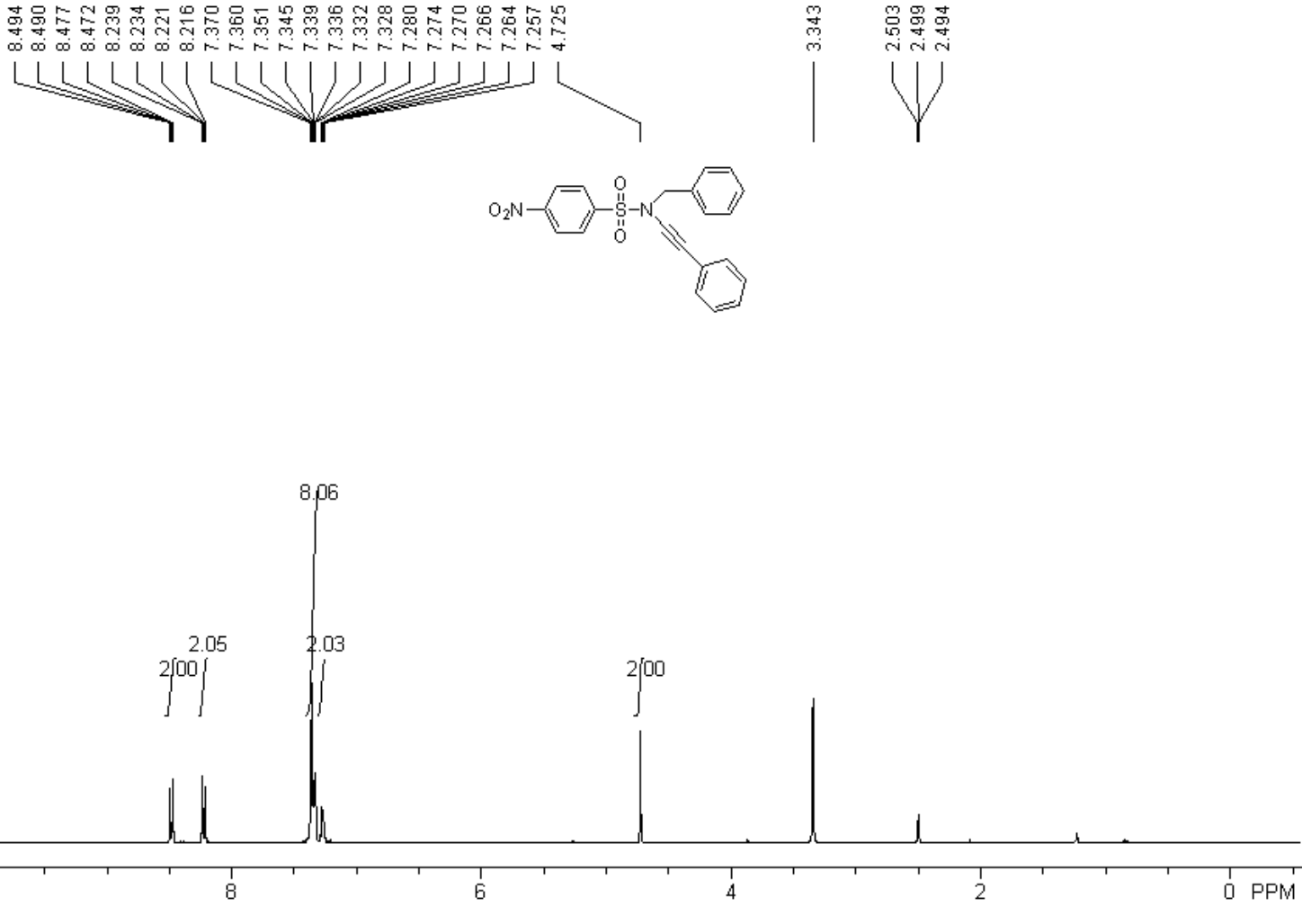




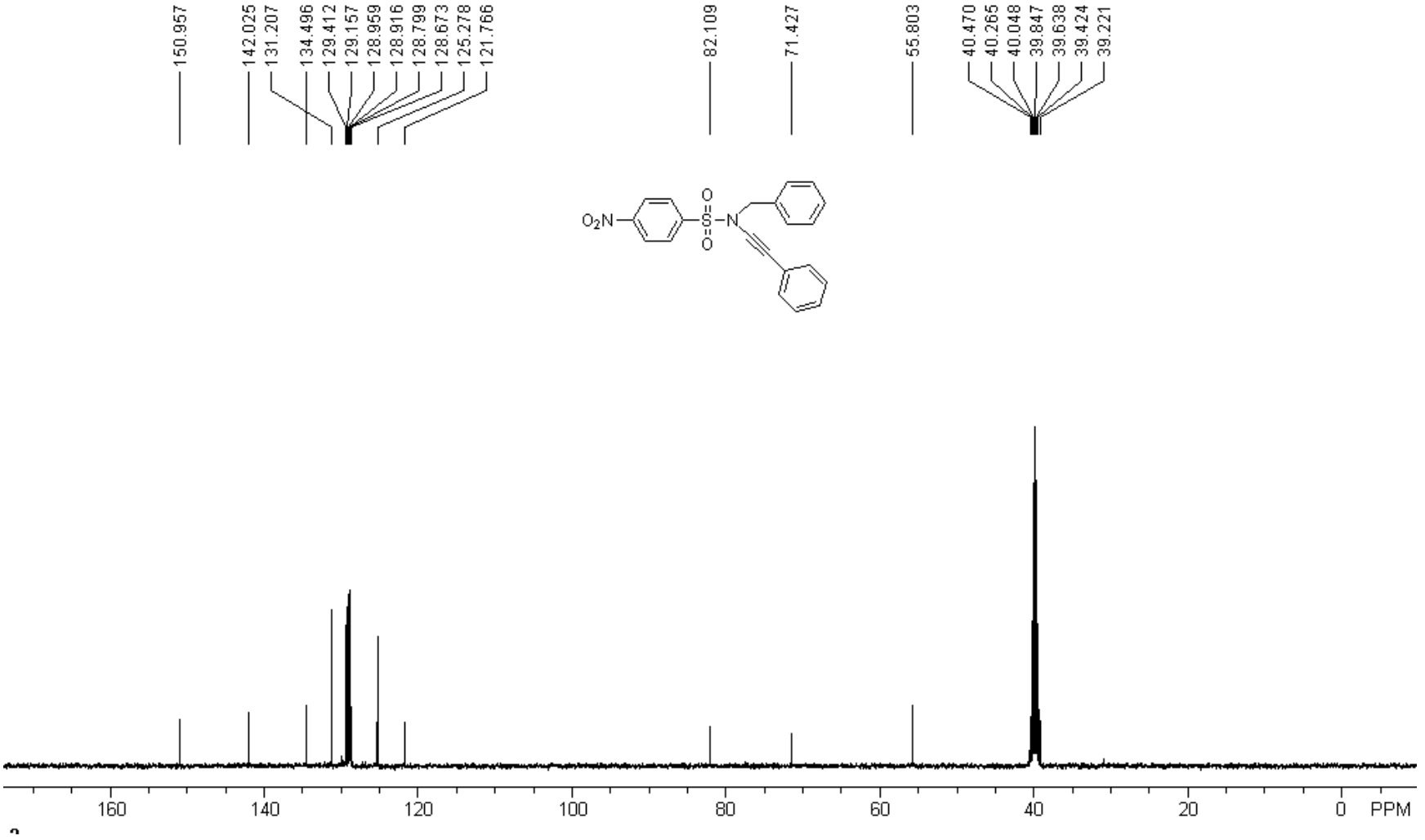
N-(2-phenylethynyl)-*N*-4-methoxybenzenesulfonylbenzylamine [**Table 2, entry 11]**



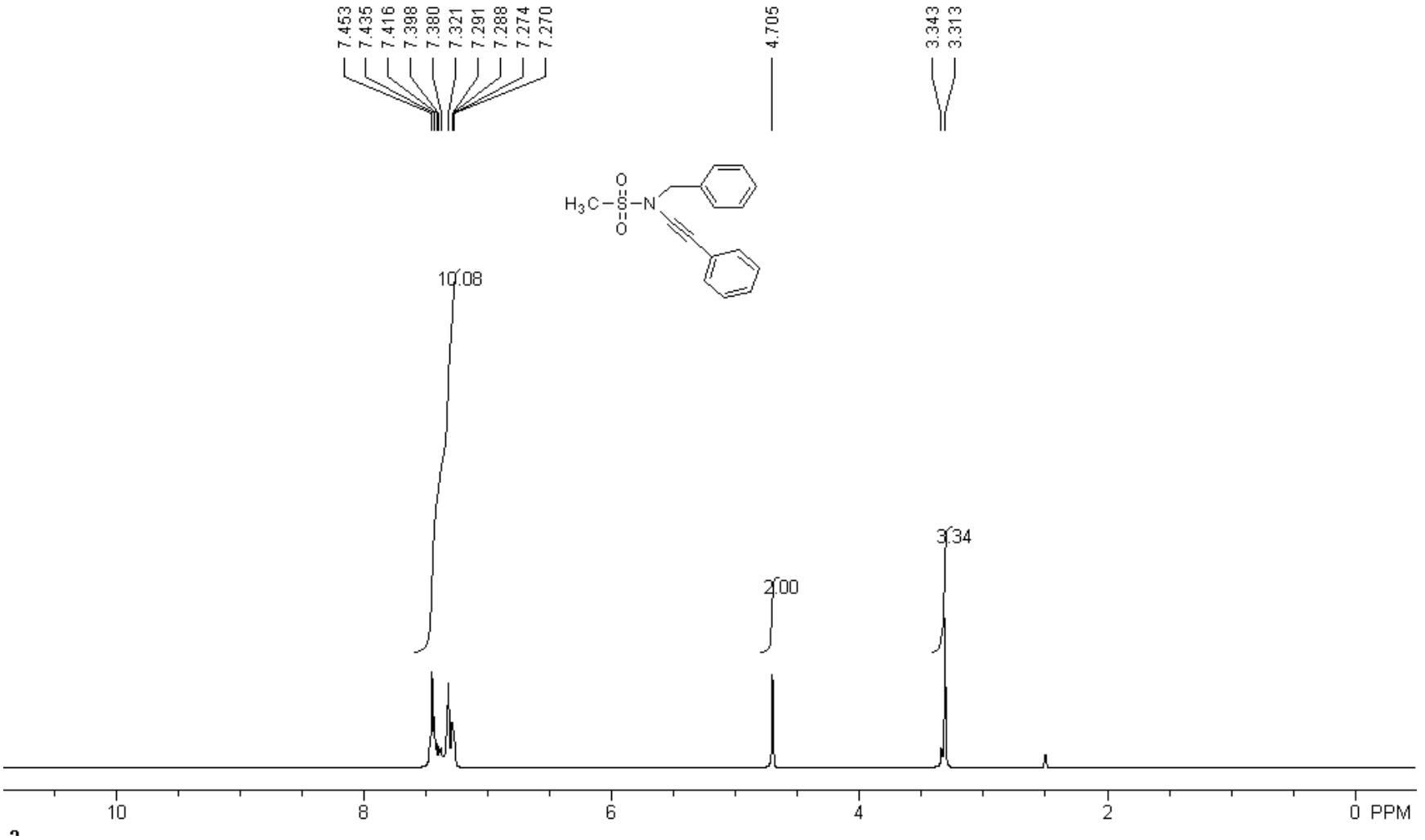
N-(2-phenylethynyl)-*N*-4-nitrobenzenesulfonylbenzylamine [**Table 2, entry 12]**



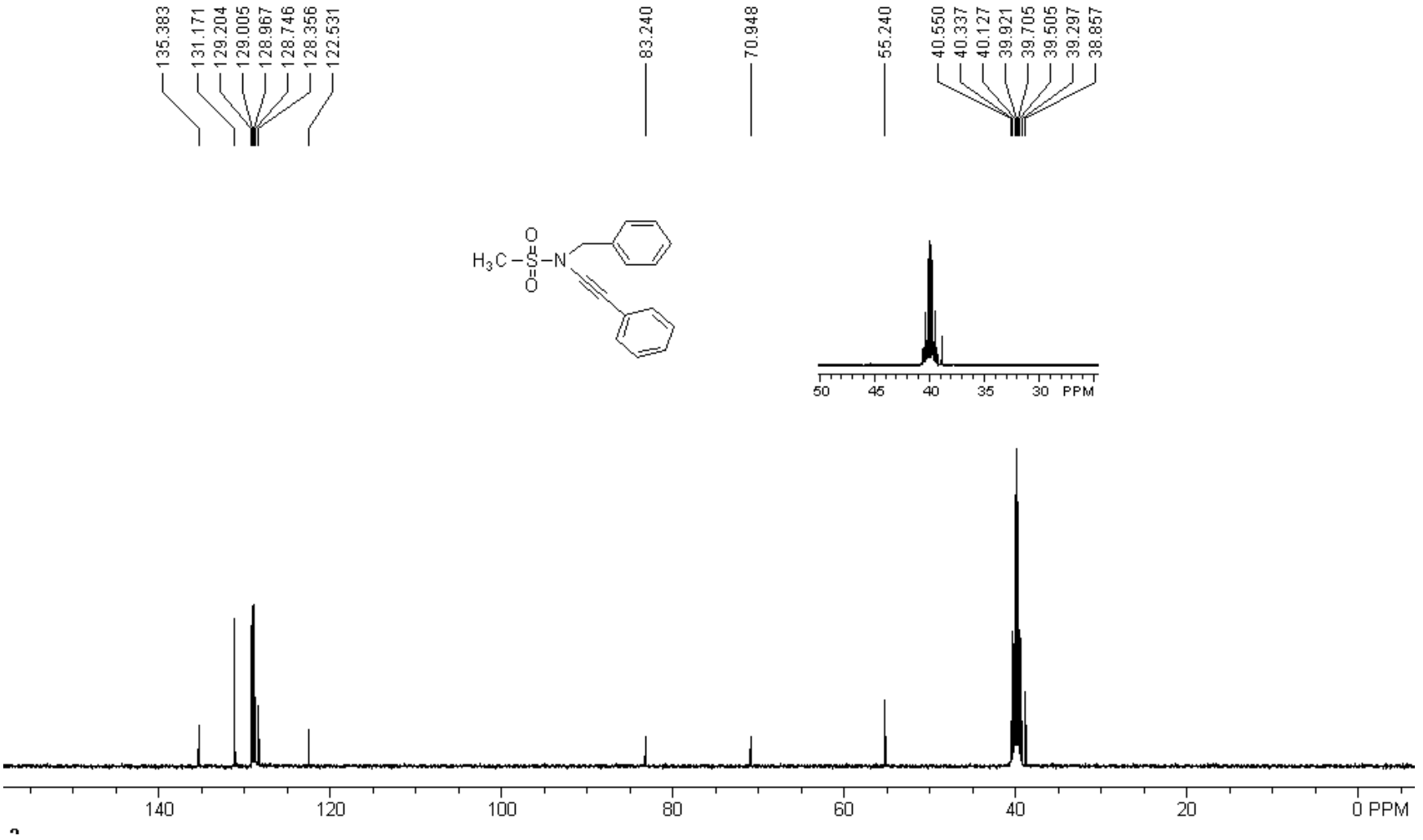
N-(2-phenylethynyl)-*N*-4-nitrobenzenesulfonylbenzylamine [**Table 2, entry 12]**



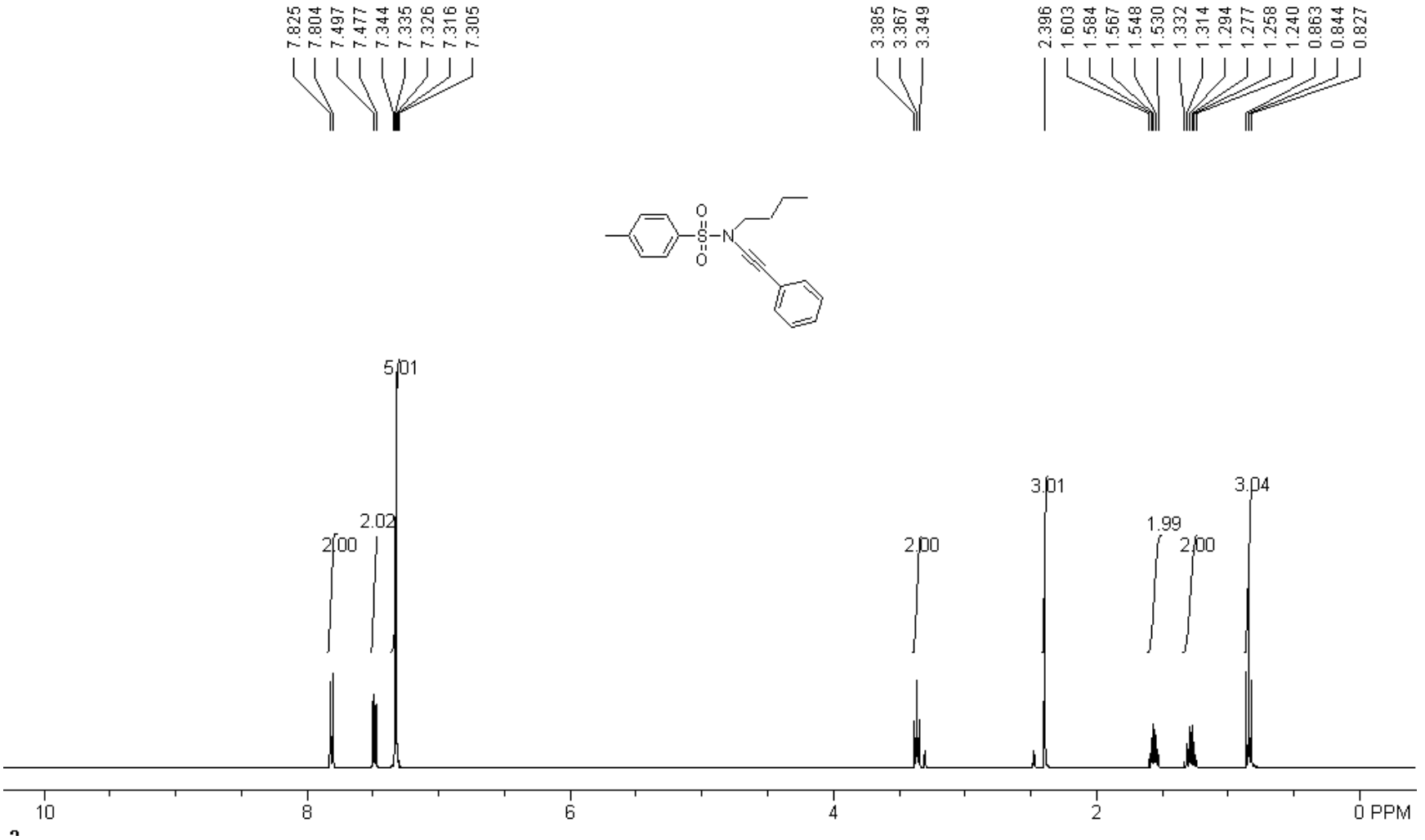
N-(2-phenylethynyl)-*N*-methanesulfonylbenzenamine [**Table 2, entry 13]**



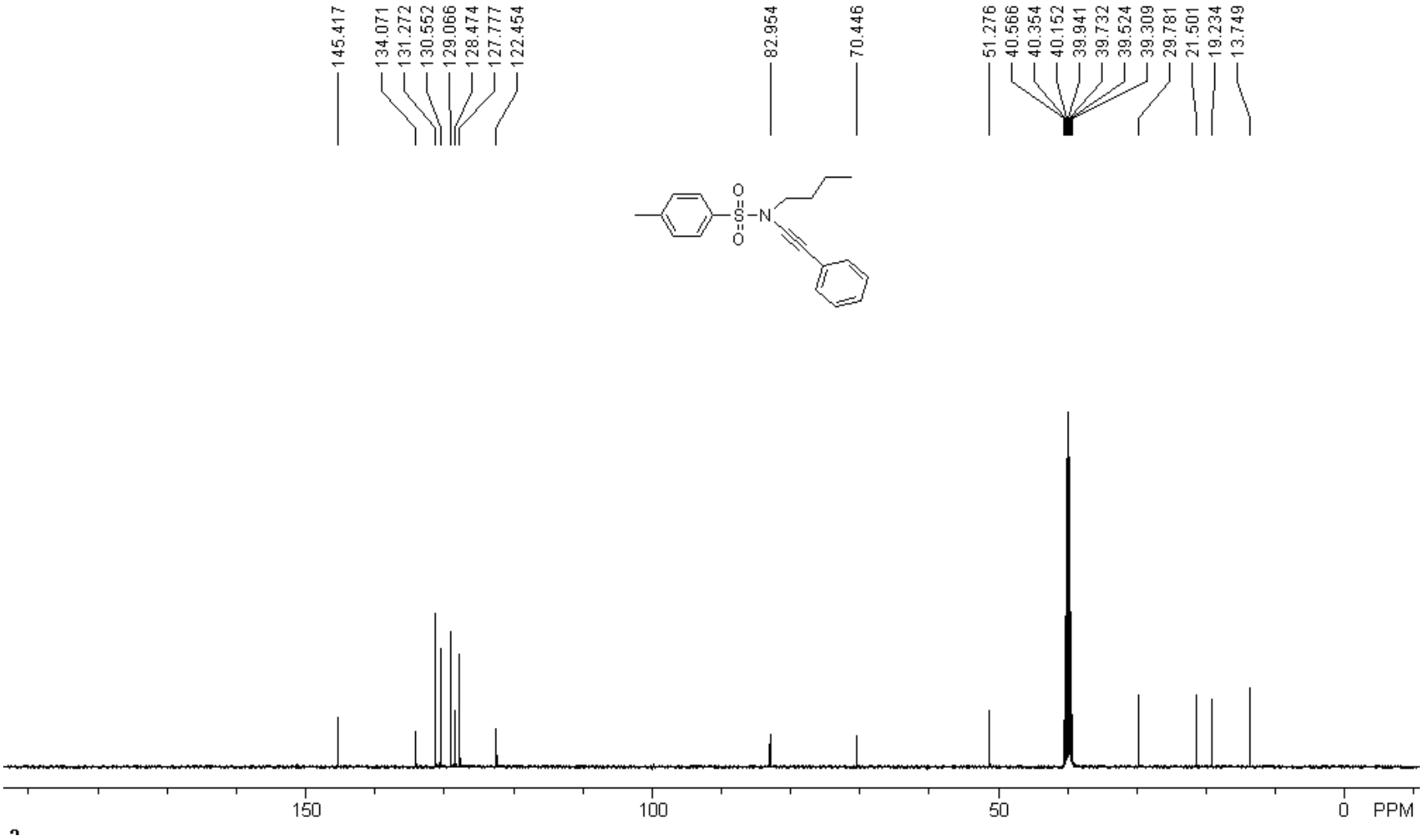
N-(2-phenylethynyl)-*N*-methanesulfonylbenzenamine [**Table 2, entry 13]**



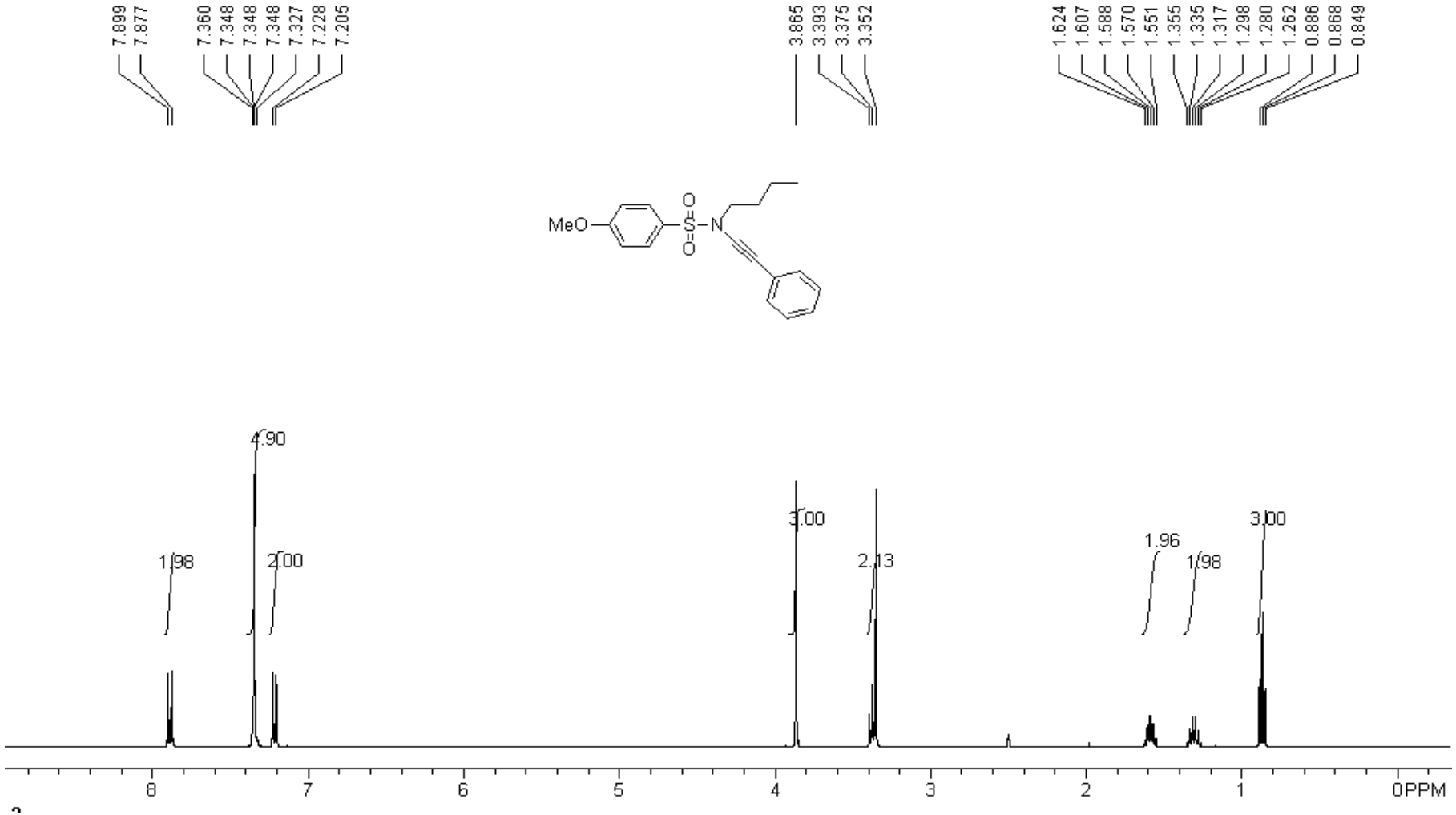
N-(2-phenylethynyl)-*N*-tosylbutan-1-amine [**Table 2, entry 14]**



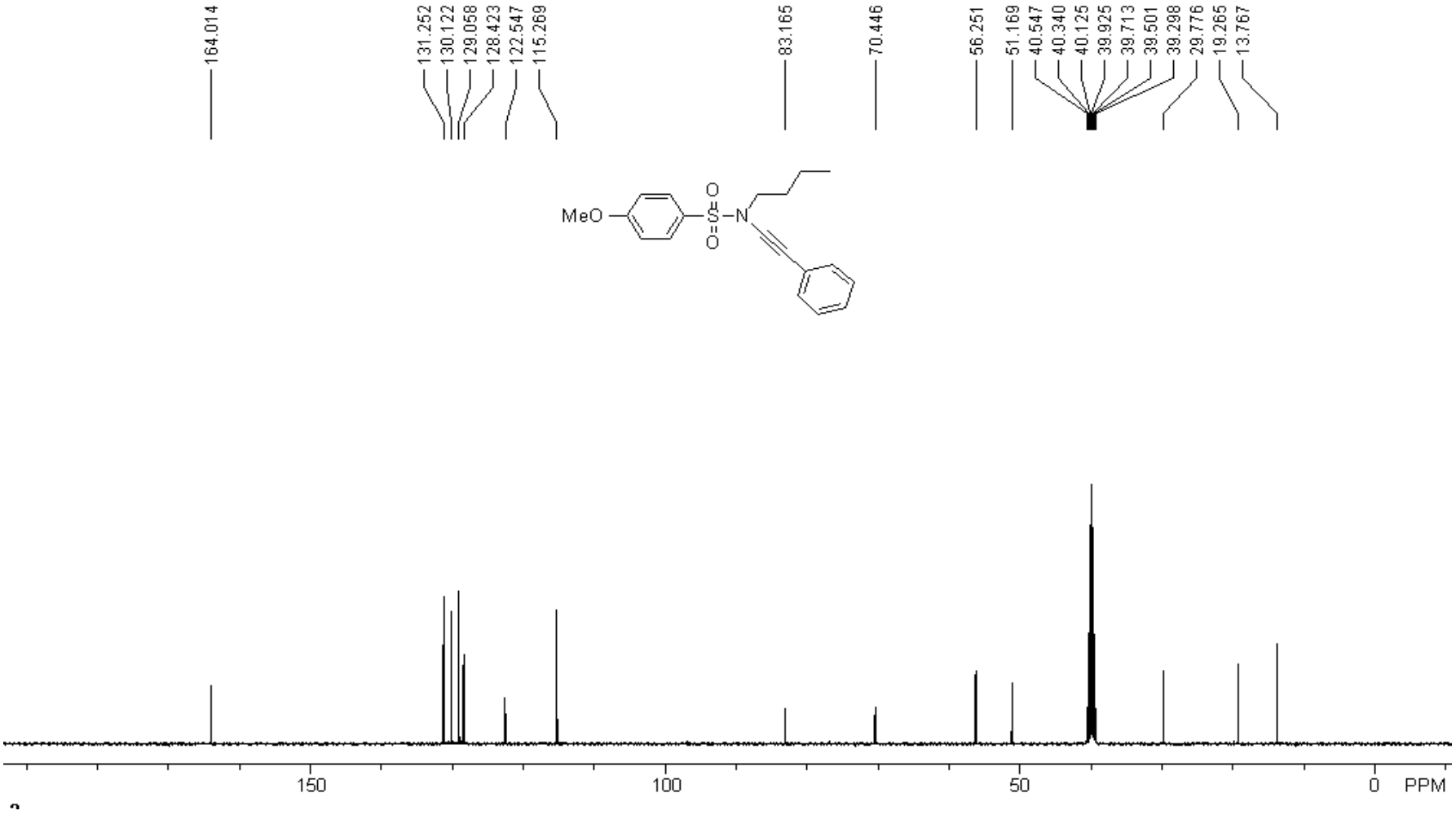
N-(2-phenylethynyl)-*N*-tosylbutan-1-amine [**Table 2, entry 14]**



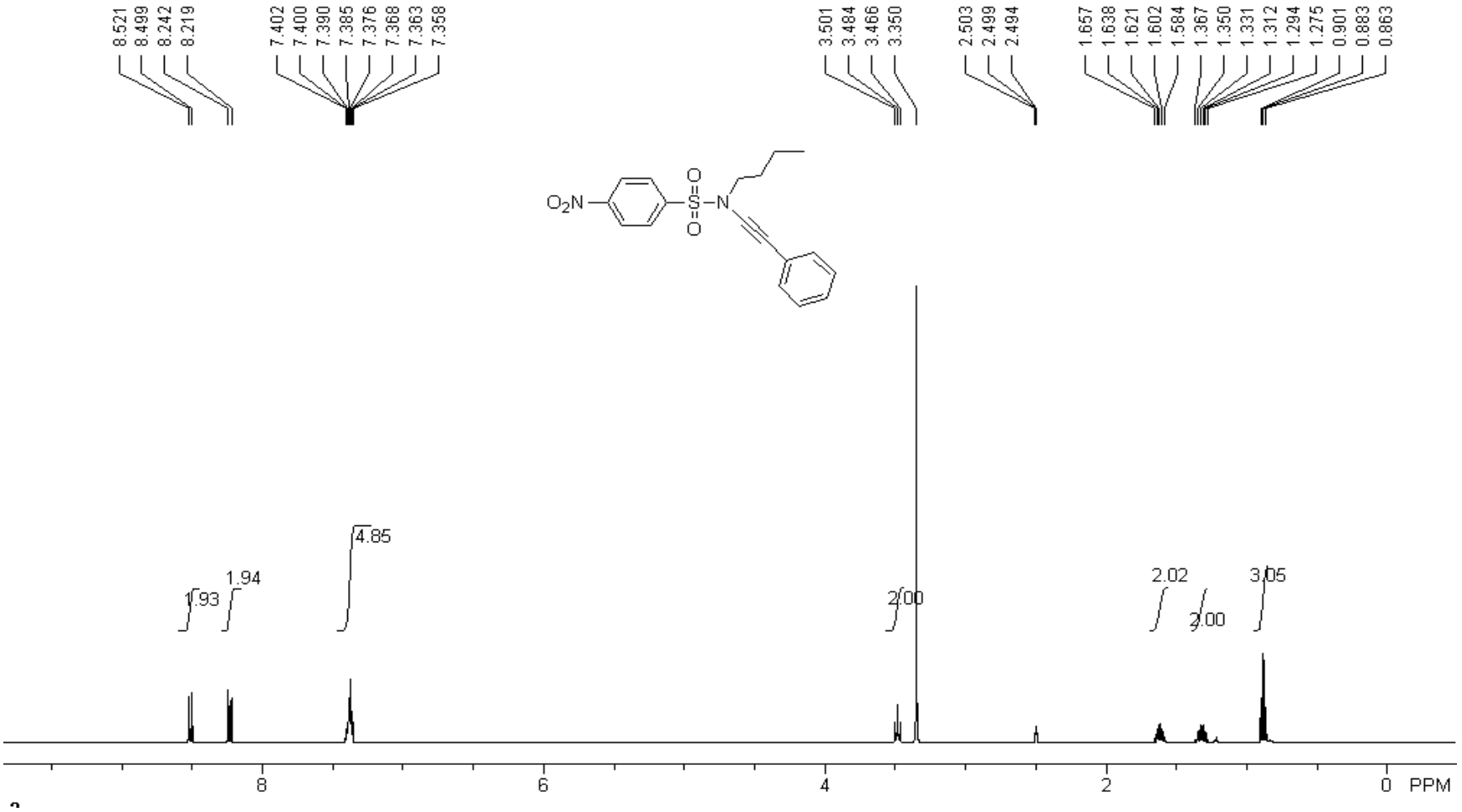
N-(2-phenylethynyl)-*N*-4-methoxybenzenesulfonylbutanamine [**Table 2, entry 15]**



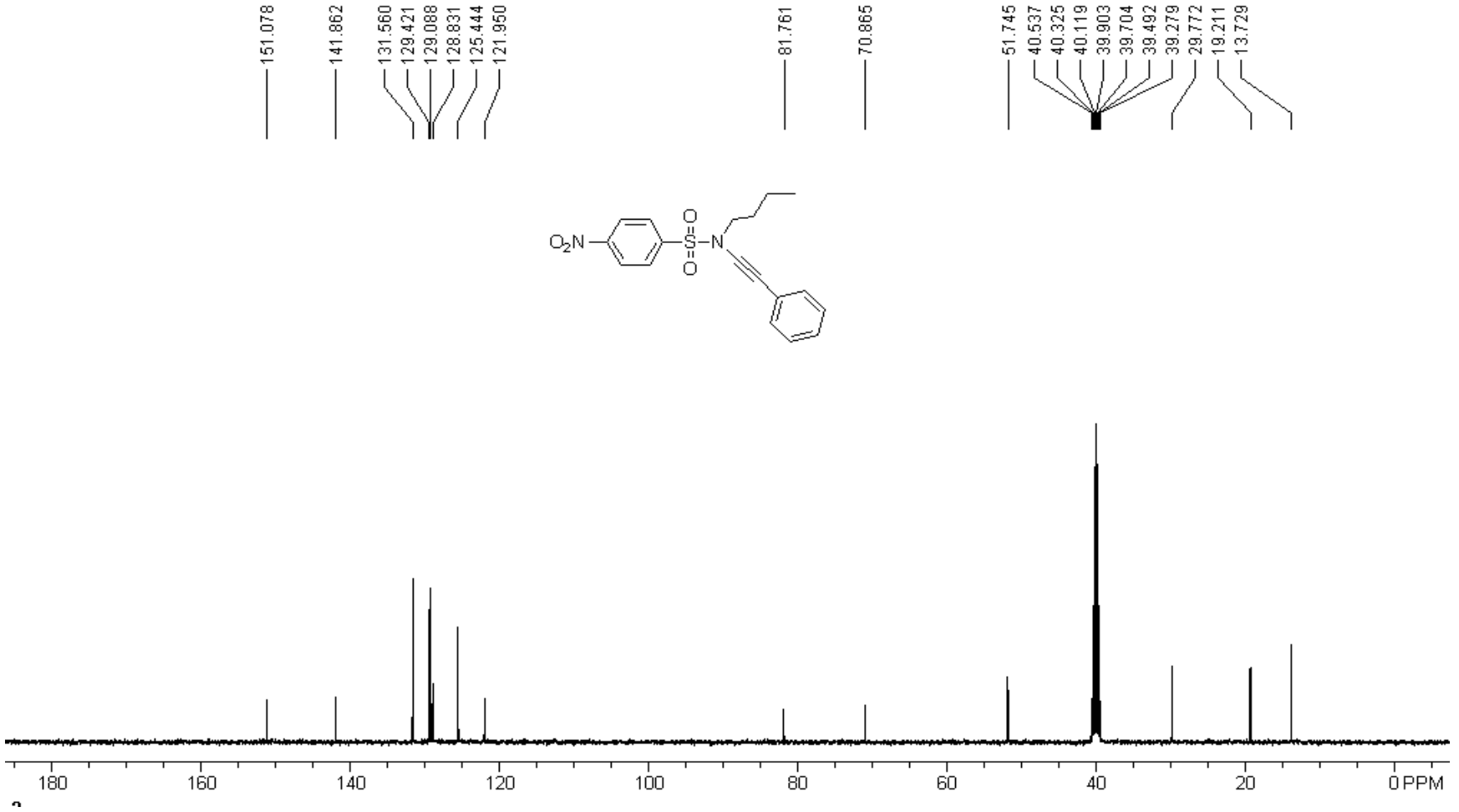
N-(2-phenylethynyl)-*N*-4-methoxybenzenesulfonylbutanamine [**Table 2, entry 15]**



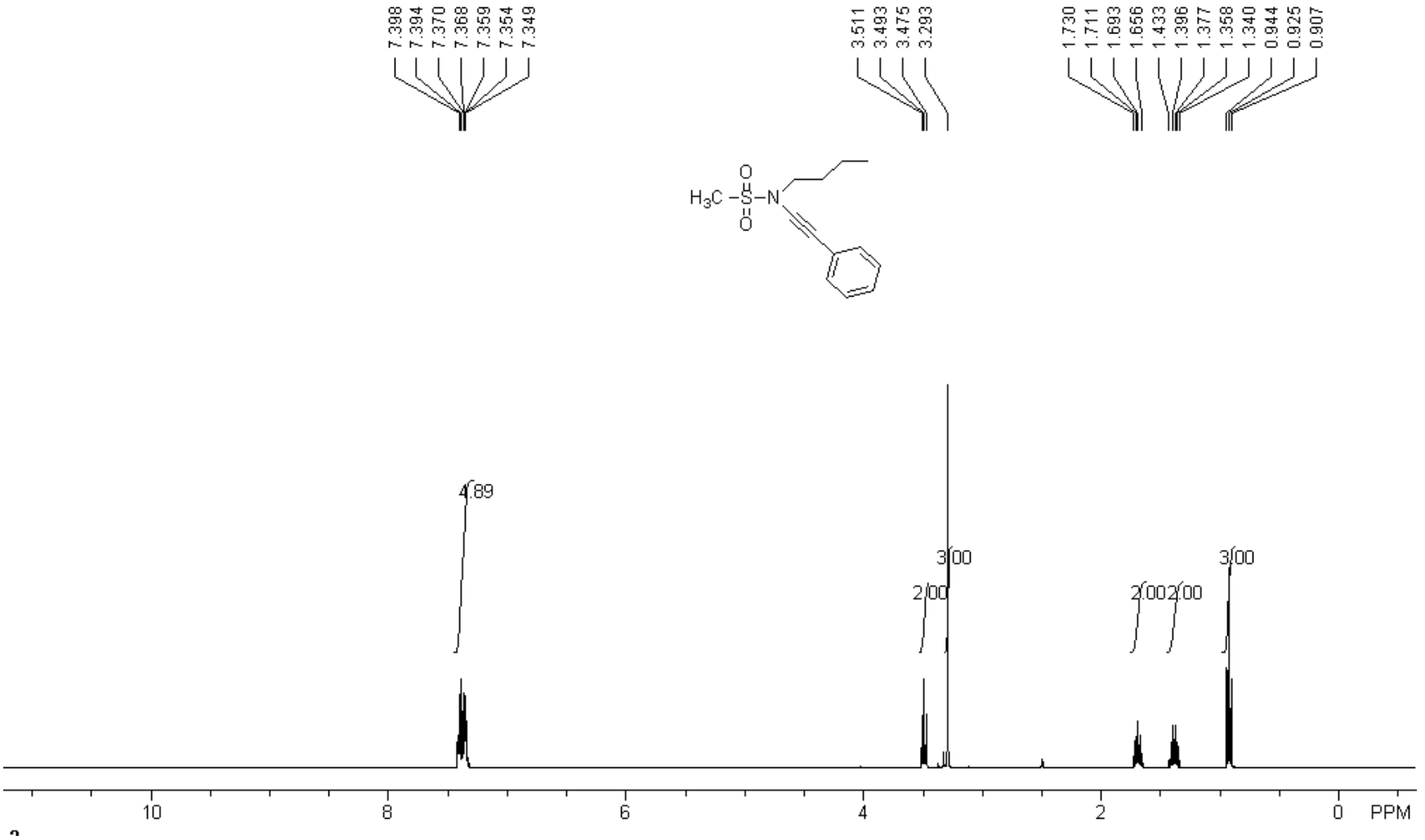
N-(2-phenylethynyl)-*N*-4-nitrobenzenesulfonylbutanamine [**Table 2, entry 16]**



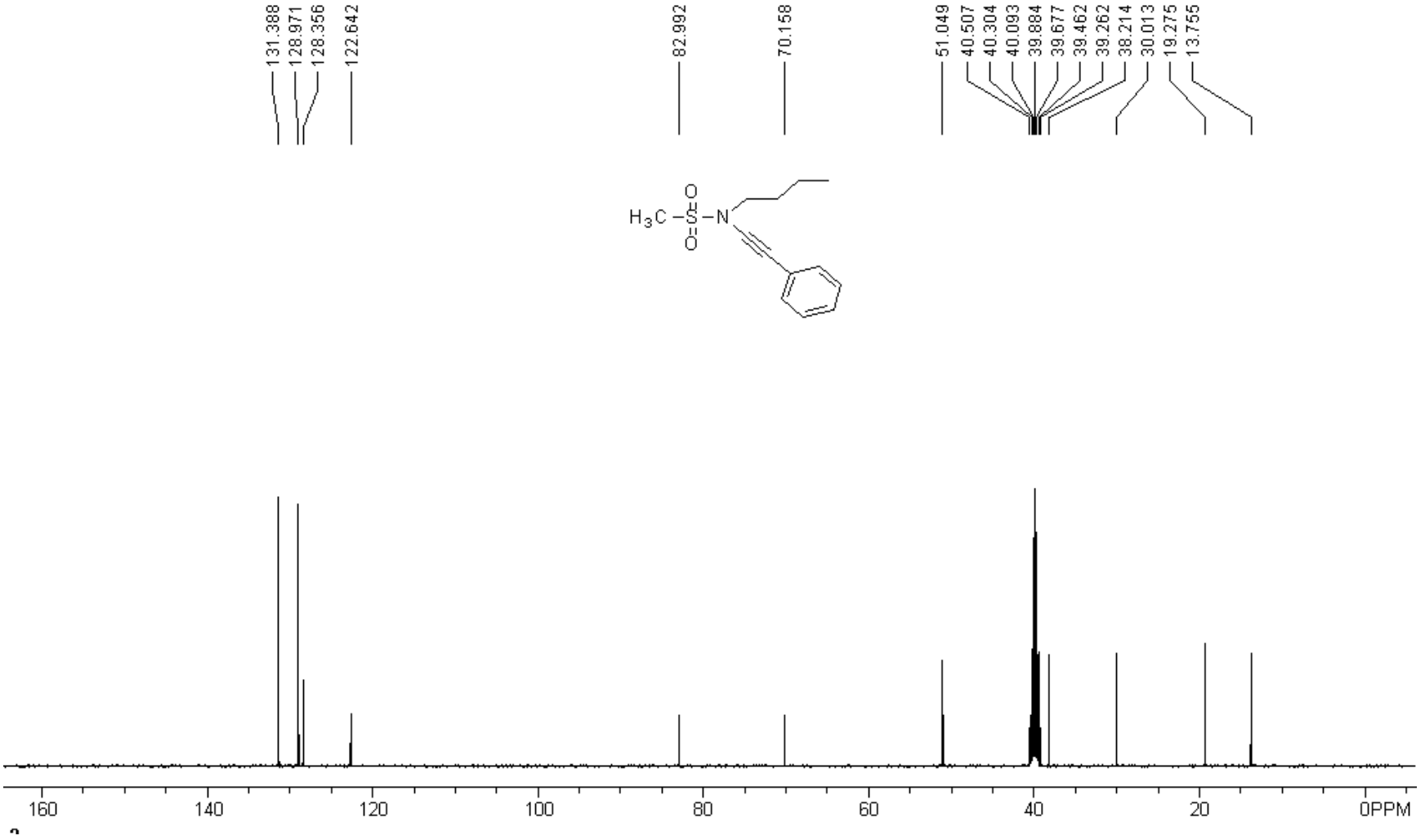
N-(2-phenylethynyl)-*N*-4-nitrobenzenesulfonylbutanamine [**Table 2, entry 16]**



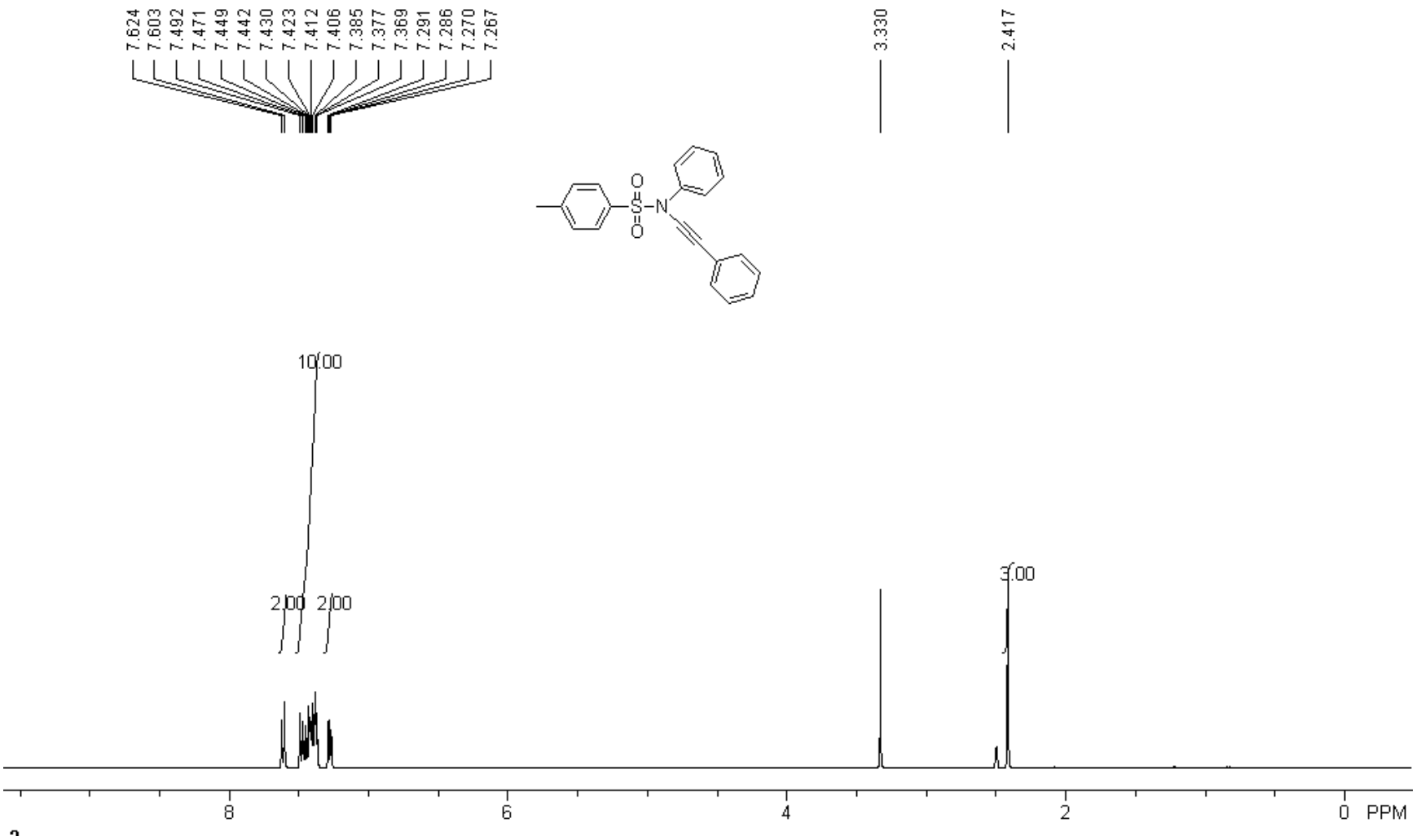
N-(2-phenylethynyl)-*N*-methanesulfonylbutanamine [**Table 2, entry 17]**



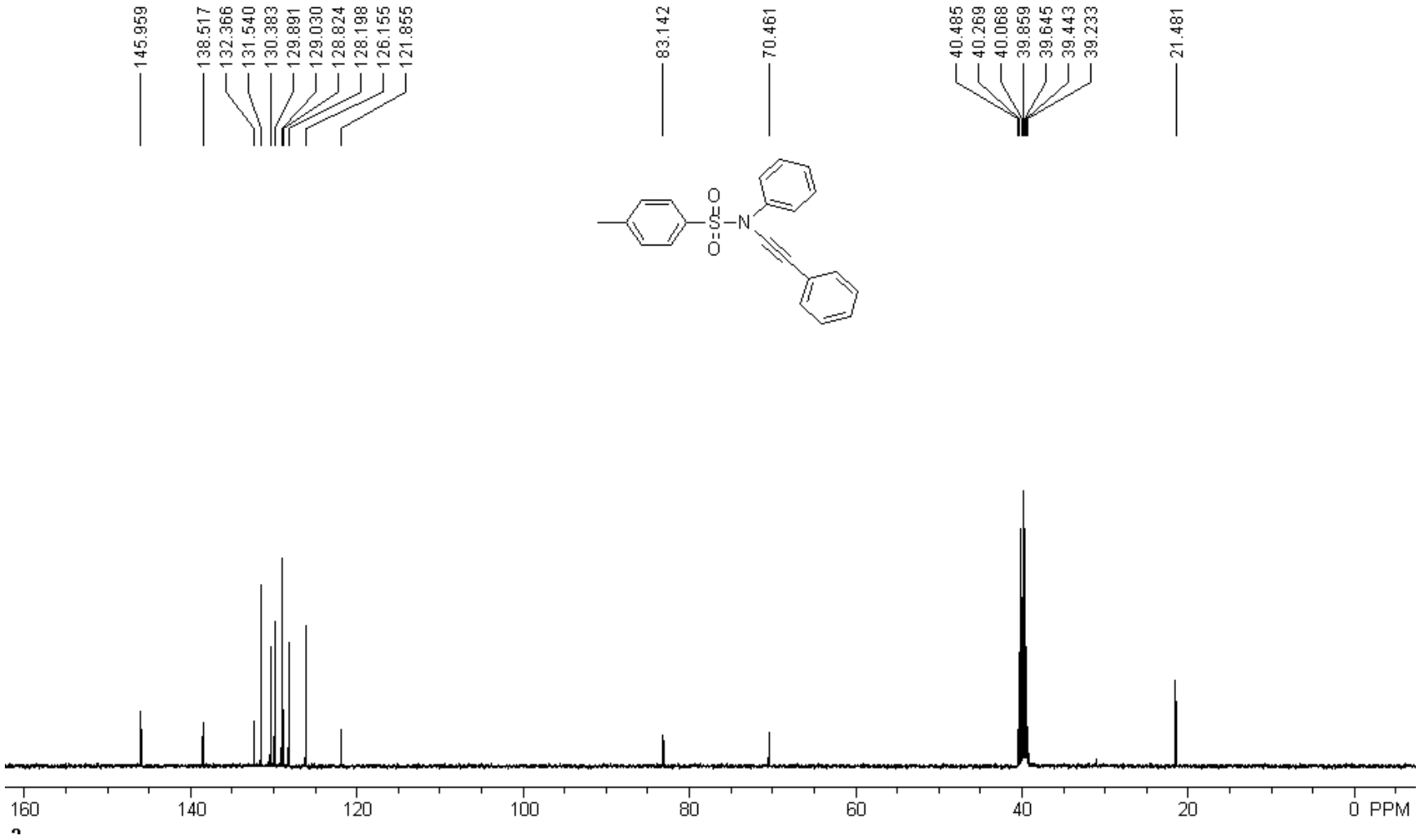
N-(2-phenylethynyl)-*N*-methanesulfonylbutanamine [**Table 2, entry 17]**



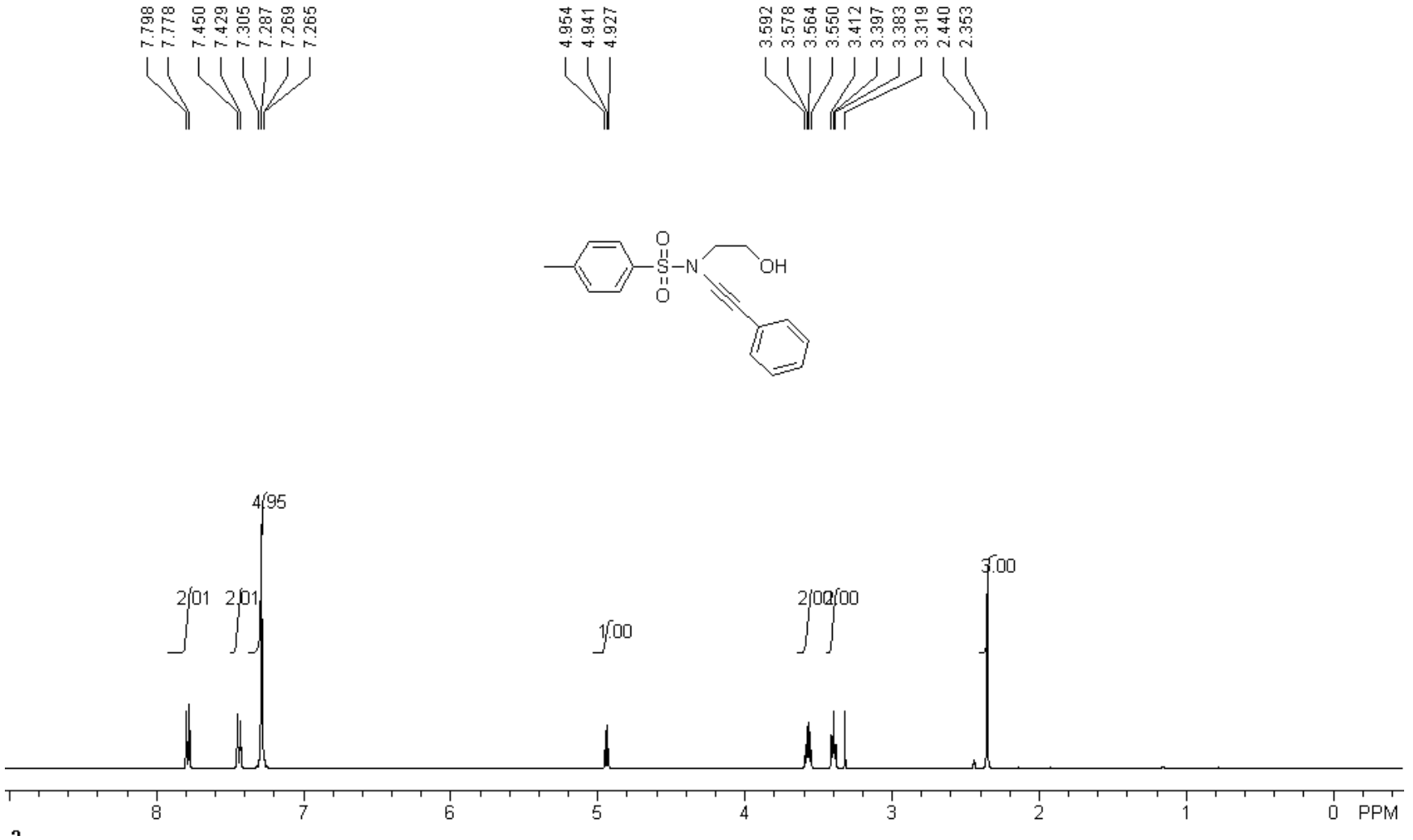
N-(2-phenylethynyl)-*N*-tosylbenzenamine [**Table 2, entry 18]**



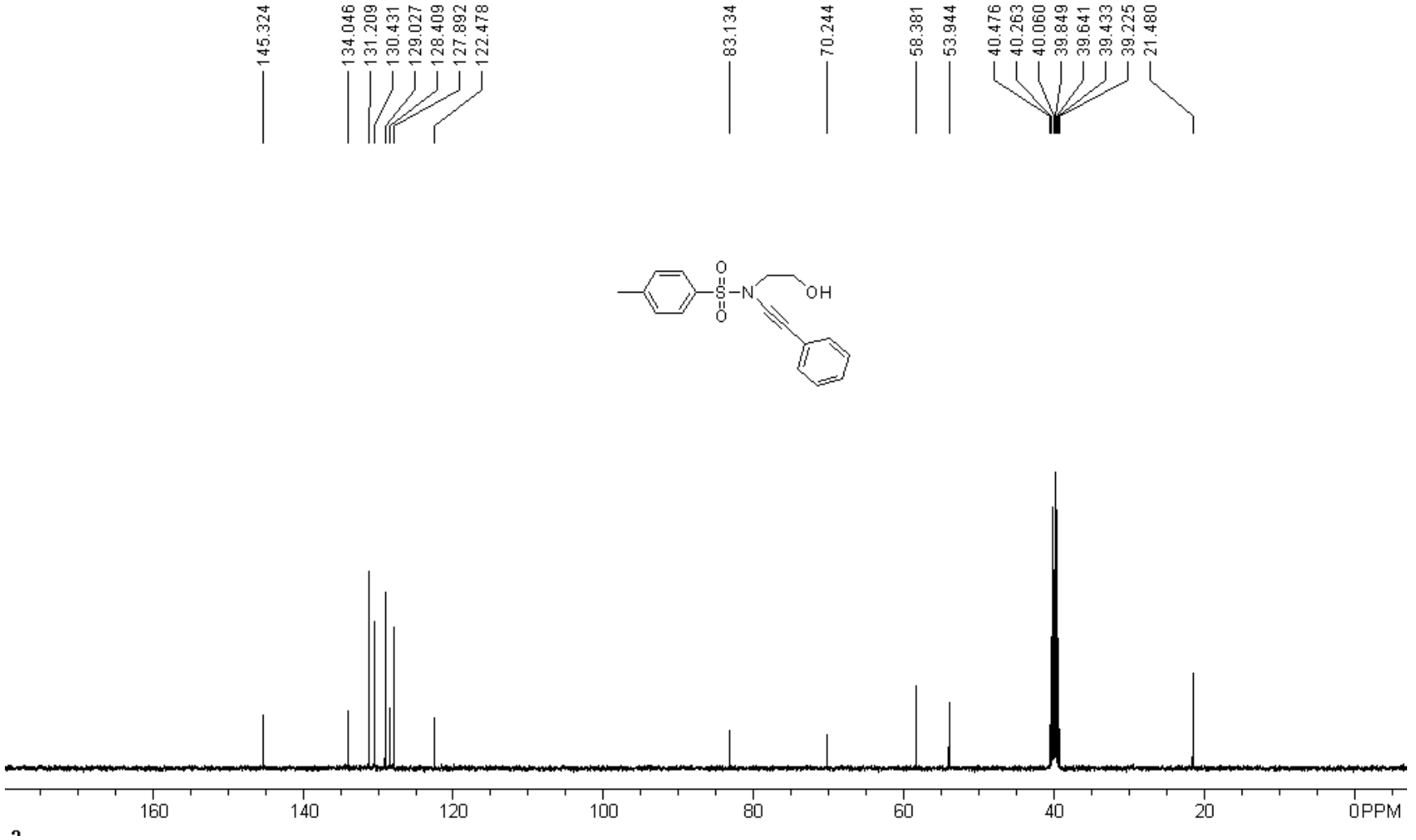
N-(2-phenylethynyl)-*N*-tosylbenzenamine [**Table 2, entry 18]**



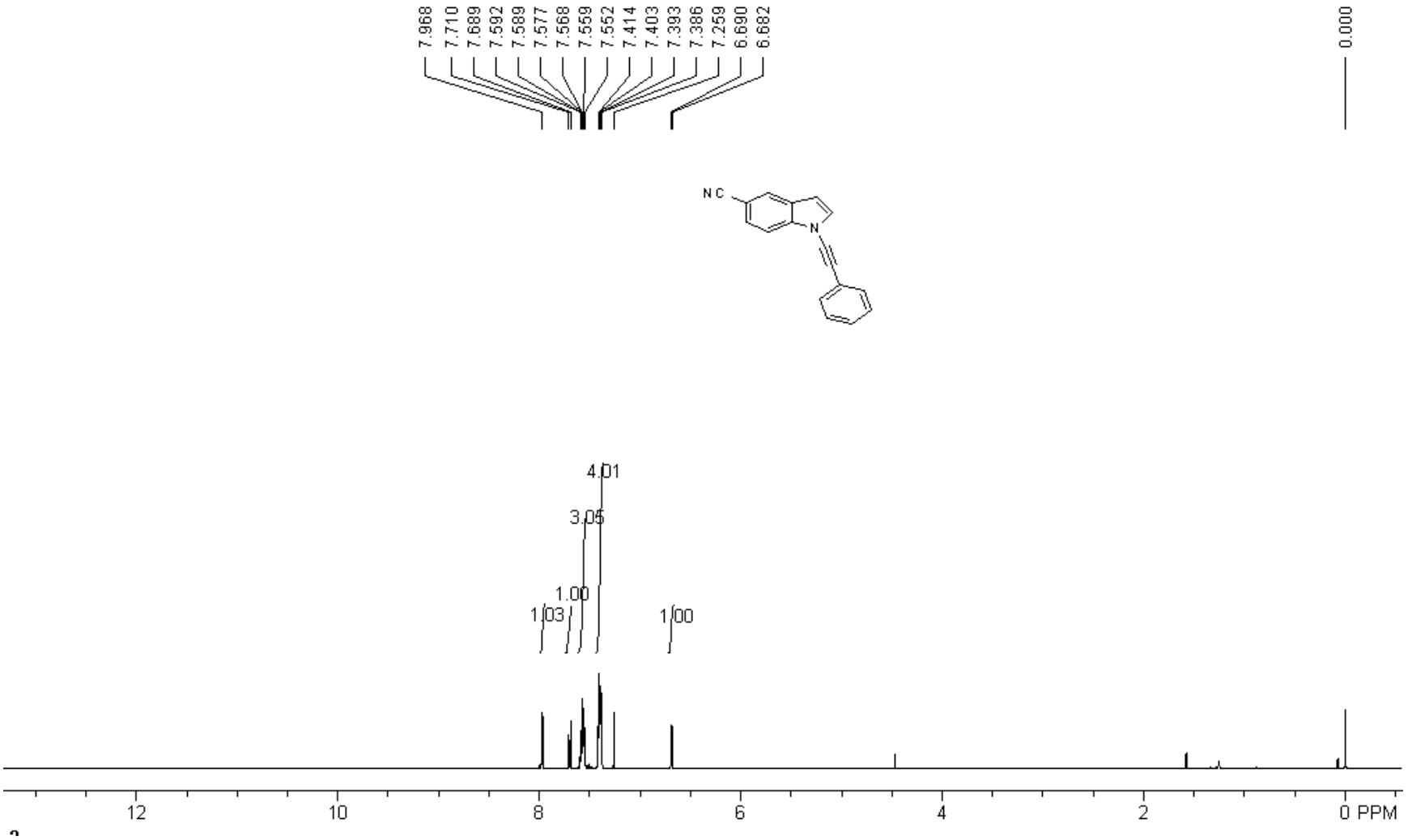
2-(*N*-(2-phenylethynyl)-*N*-tosylamino)ethanol [**Table 2, entry 19]**



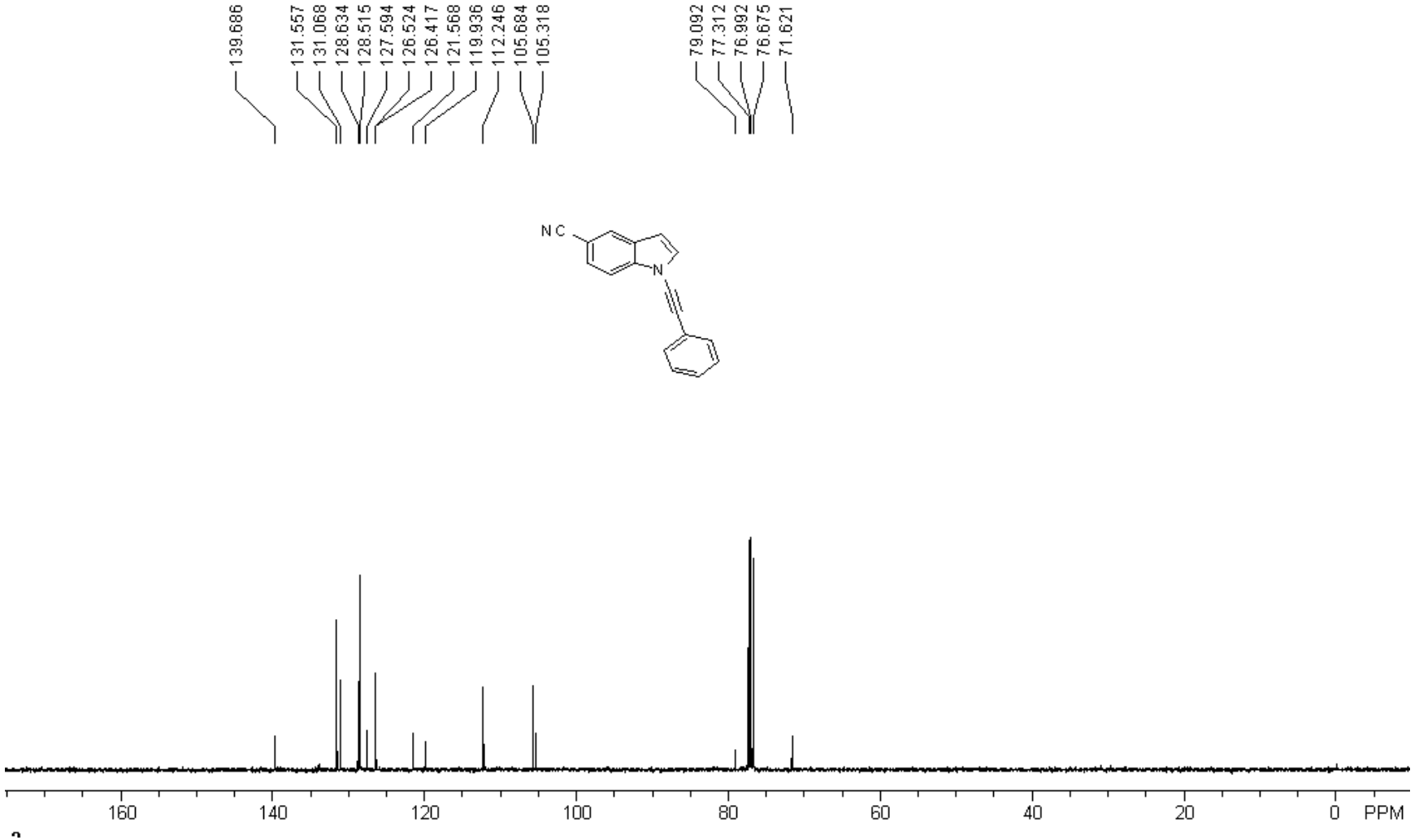
2-(*N*-(2-phenylethynyl)-*N*-tosylamino)ethanol [**Table 2, entry 19]**



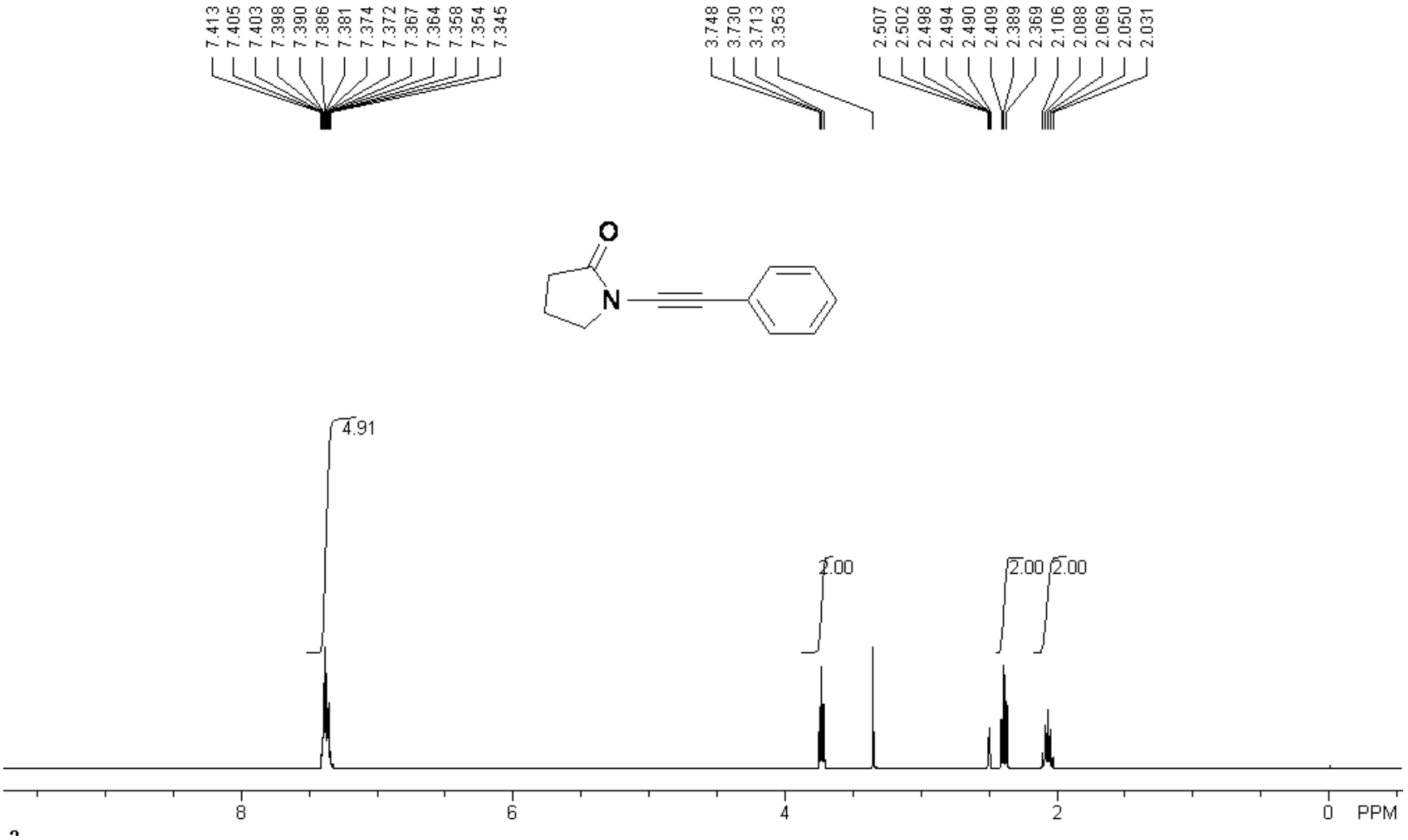
N-(2-phenylethynyl)-indole-5-carbonitrile [**Table 2, entry 20]**



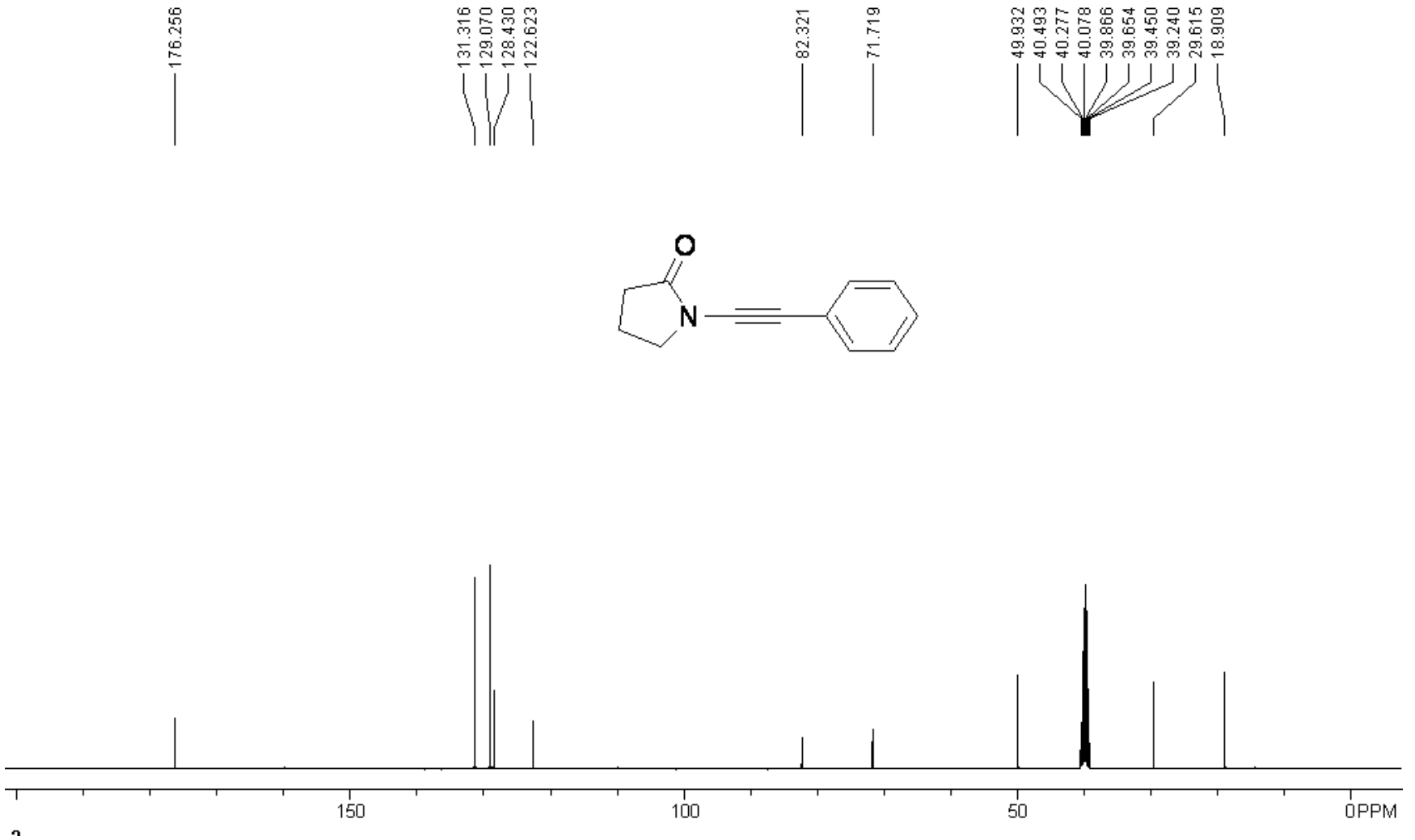
N-(2-phenylethynyl)-indole-5-carbonitrile [**Table 2, entry 20]**



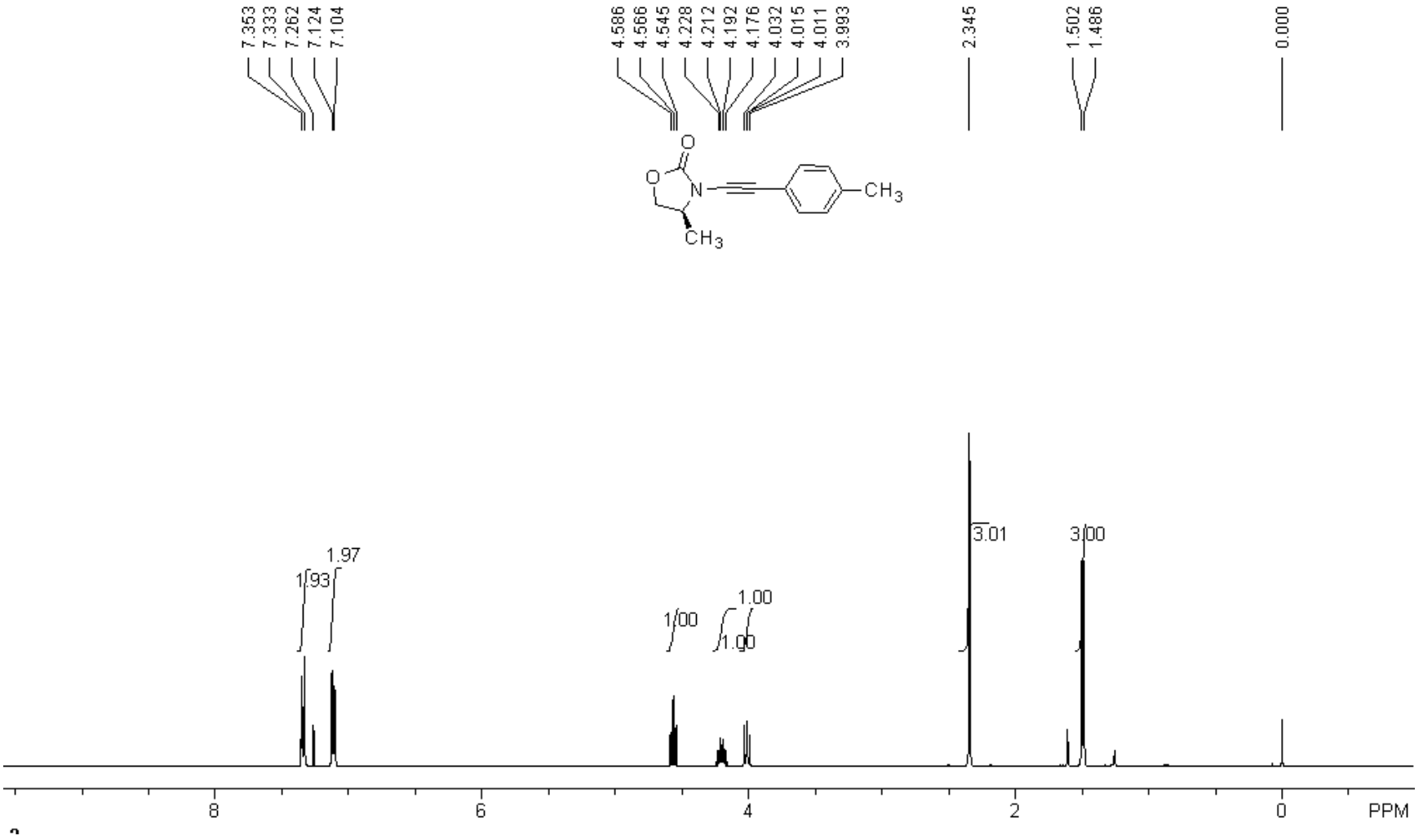
N-(2-phenylethynyl)pyrrolidin-2-one [**Table 2, entry 21]**



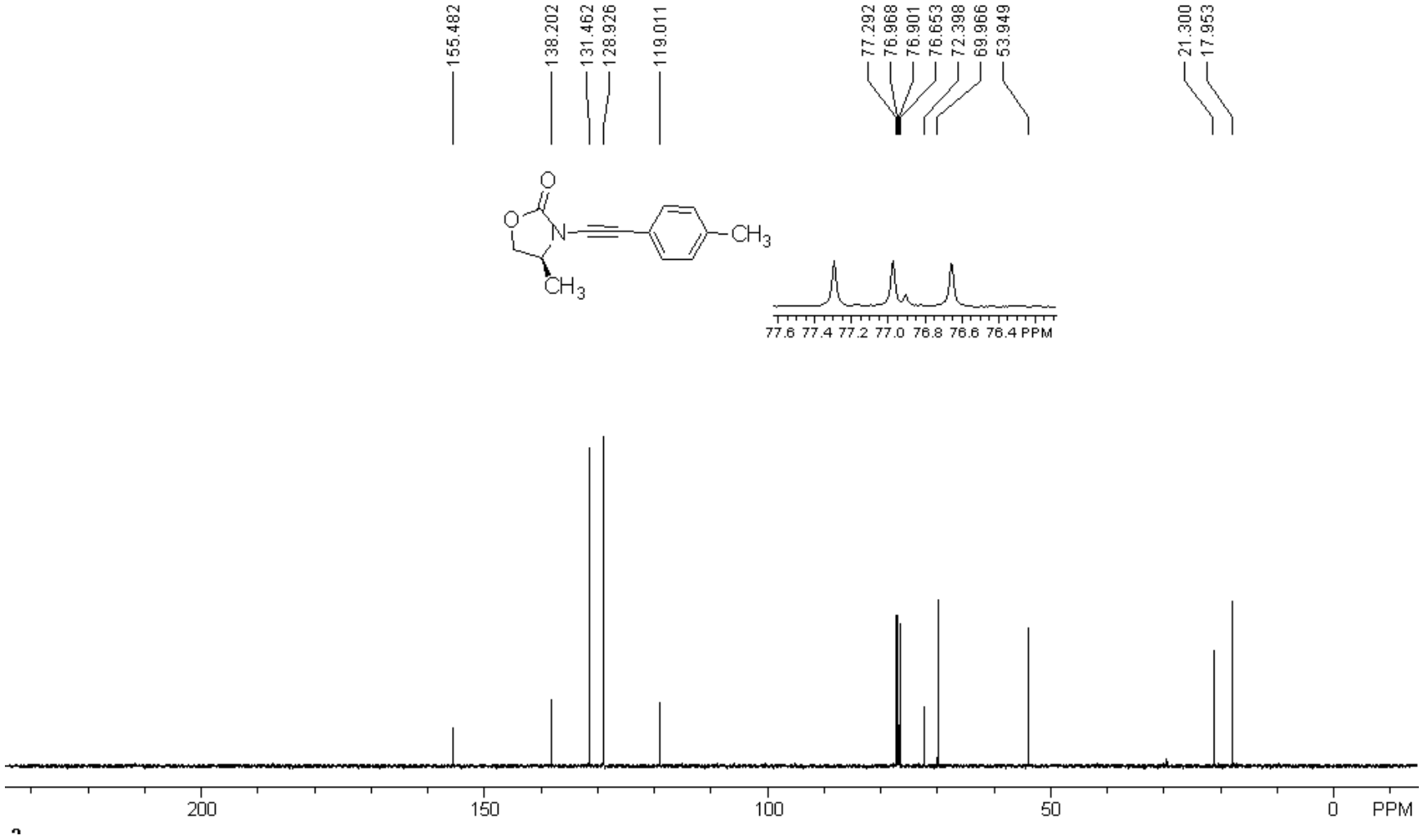
N-(2-phenylethynyl)pyrrolidin-2-one [**Table 2, entry 21]**



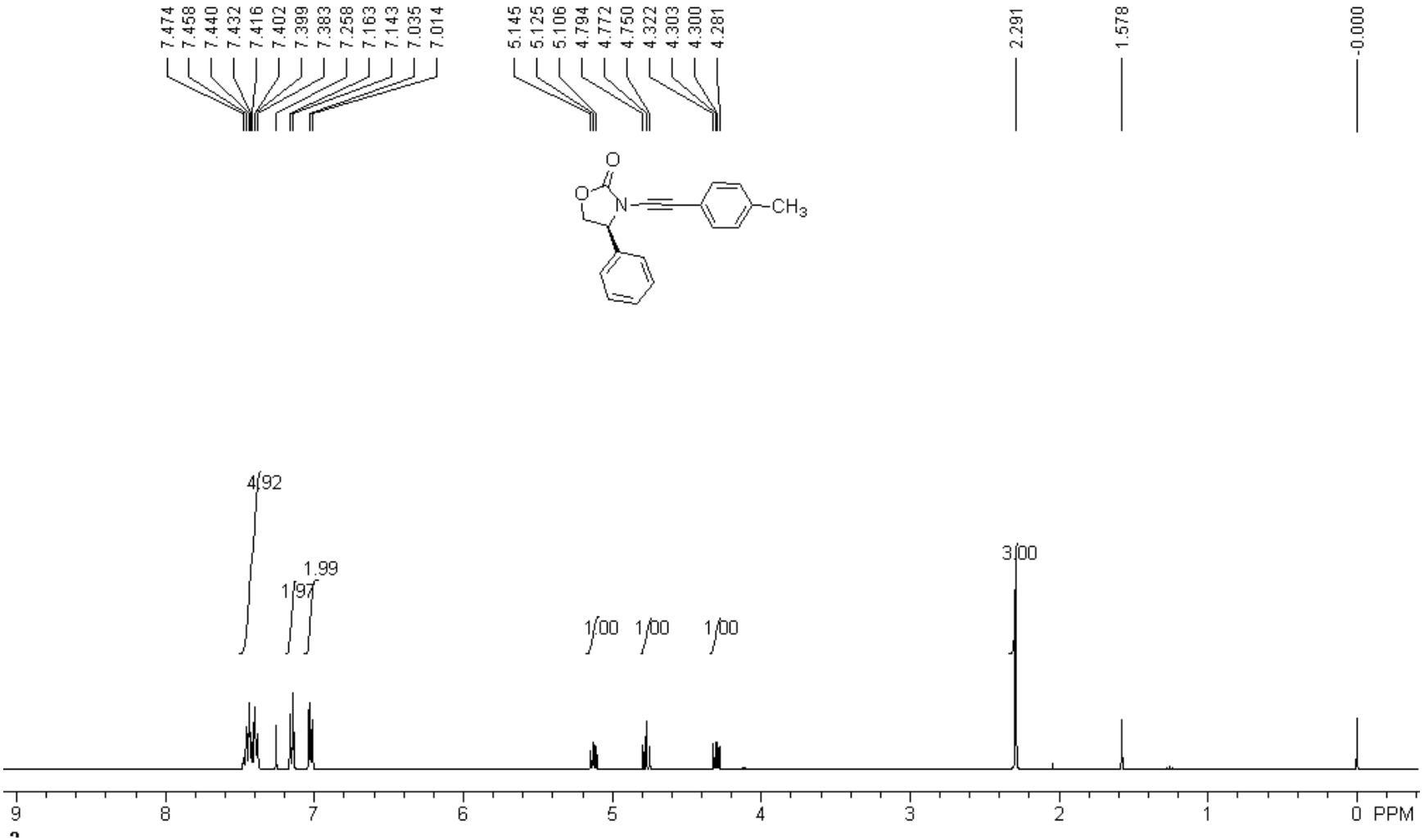
(*S*)-4-methyl-3-(2-p-tolylethynyl)oxazolidin-2-one [**Table 3, entry 1]**



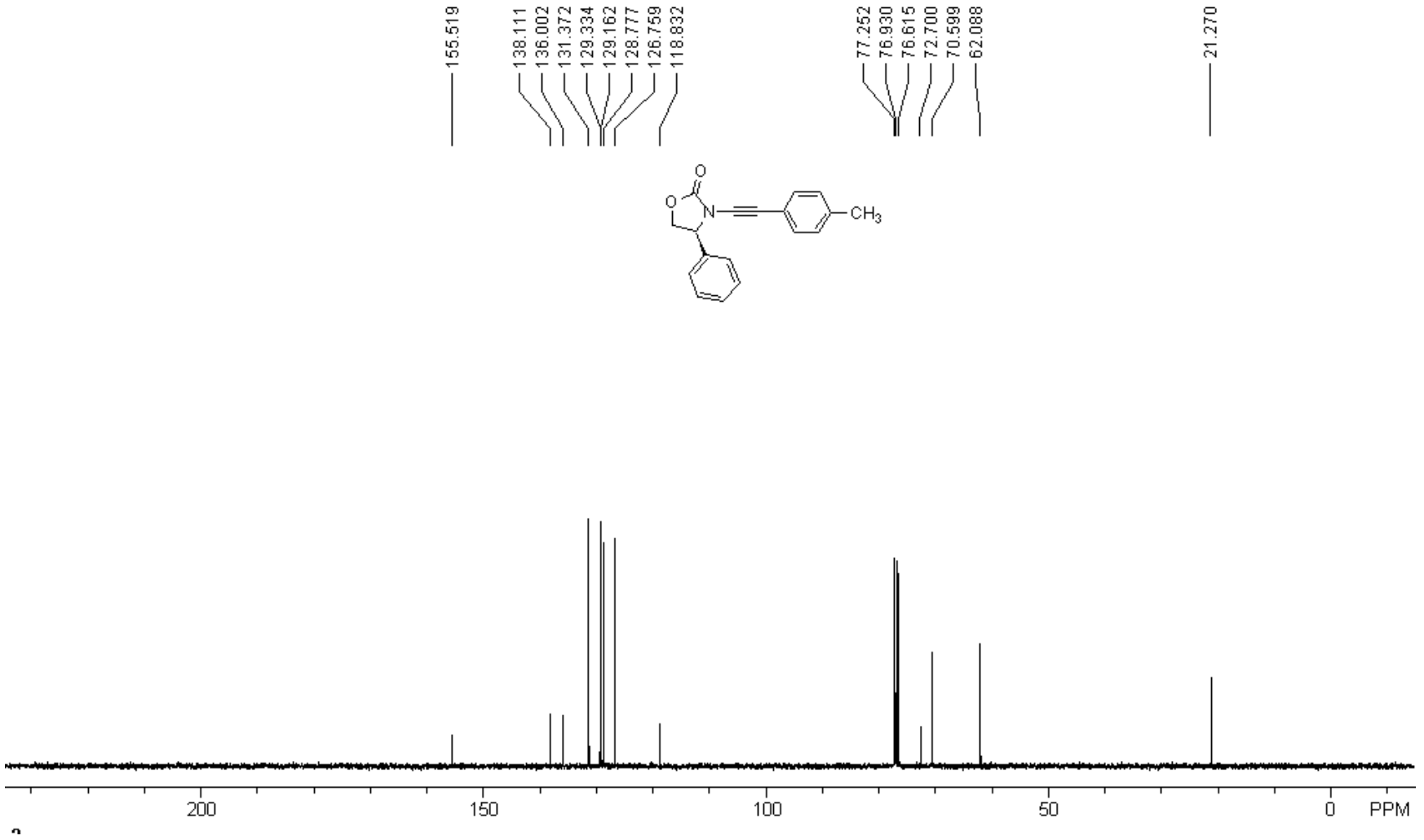
(*S*)-4-methyl-3-(2-p-tolylethynyl)oxazolidin-2-one [**Table 3, entry 1]**



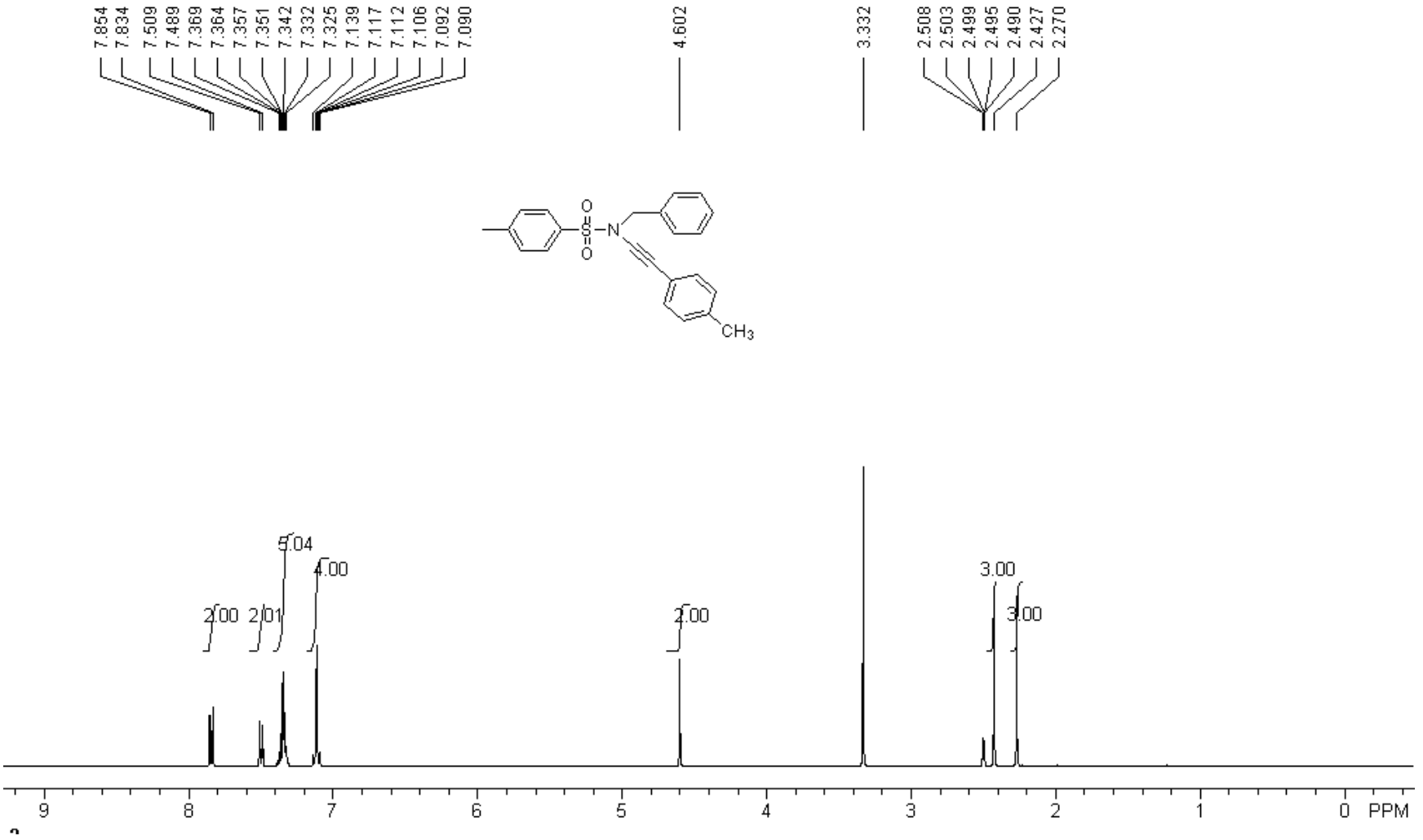
(*S*)-4-phenyl-3-(2-p-tolylethynyl)oxazolidin-2-one [**Table 3, entry 2]**



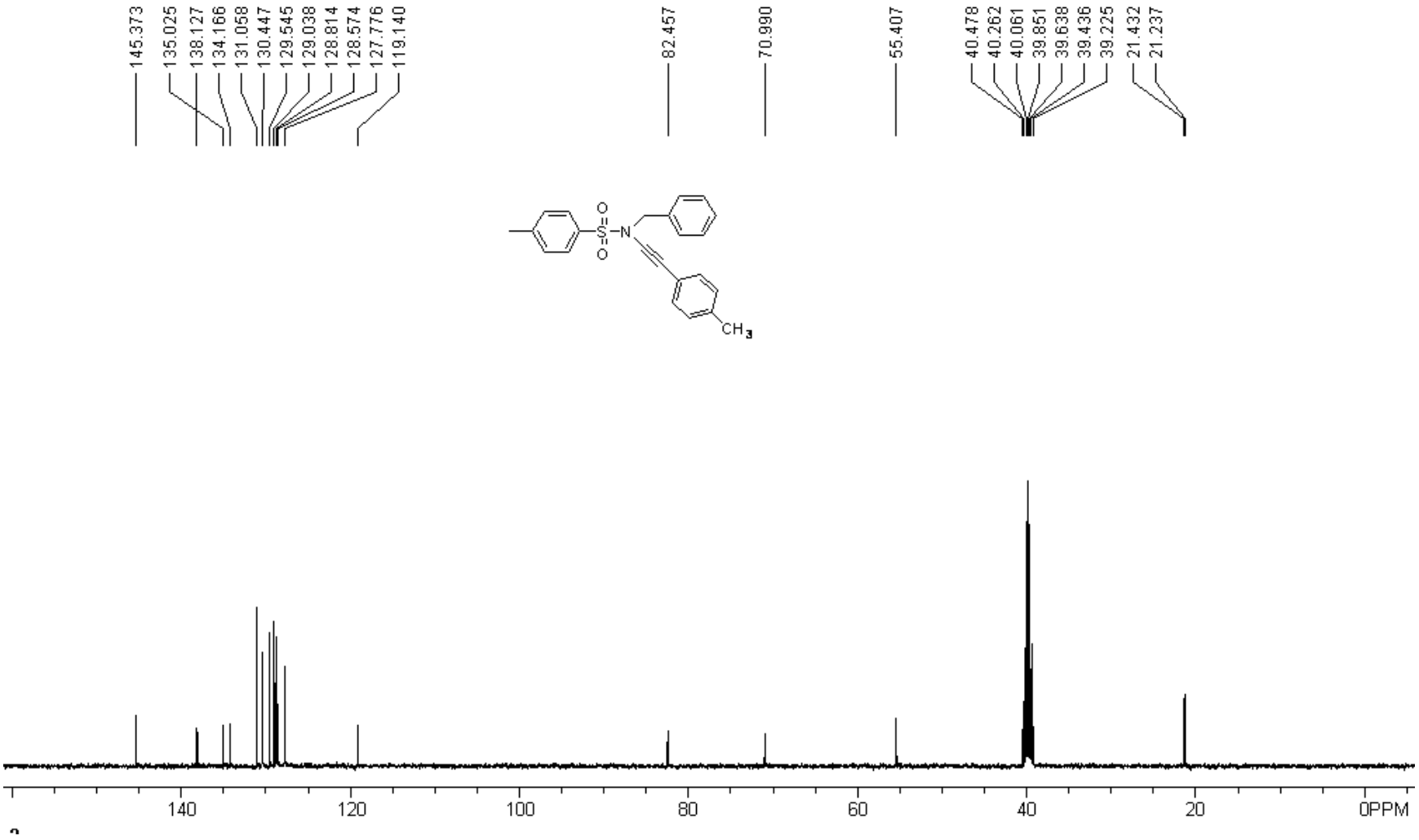
(*S*)-4-phenyl-3-(2-p-tolylethynyl)oxazolidin-2-one [**Table 3, entry 2]**



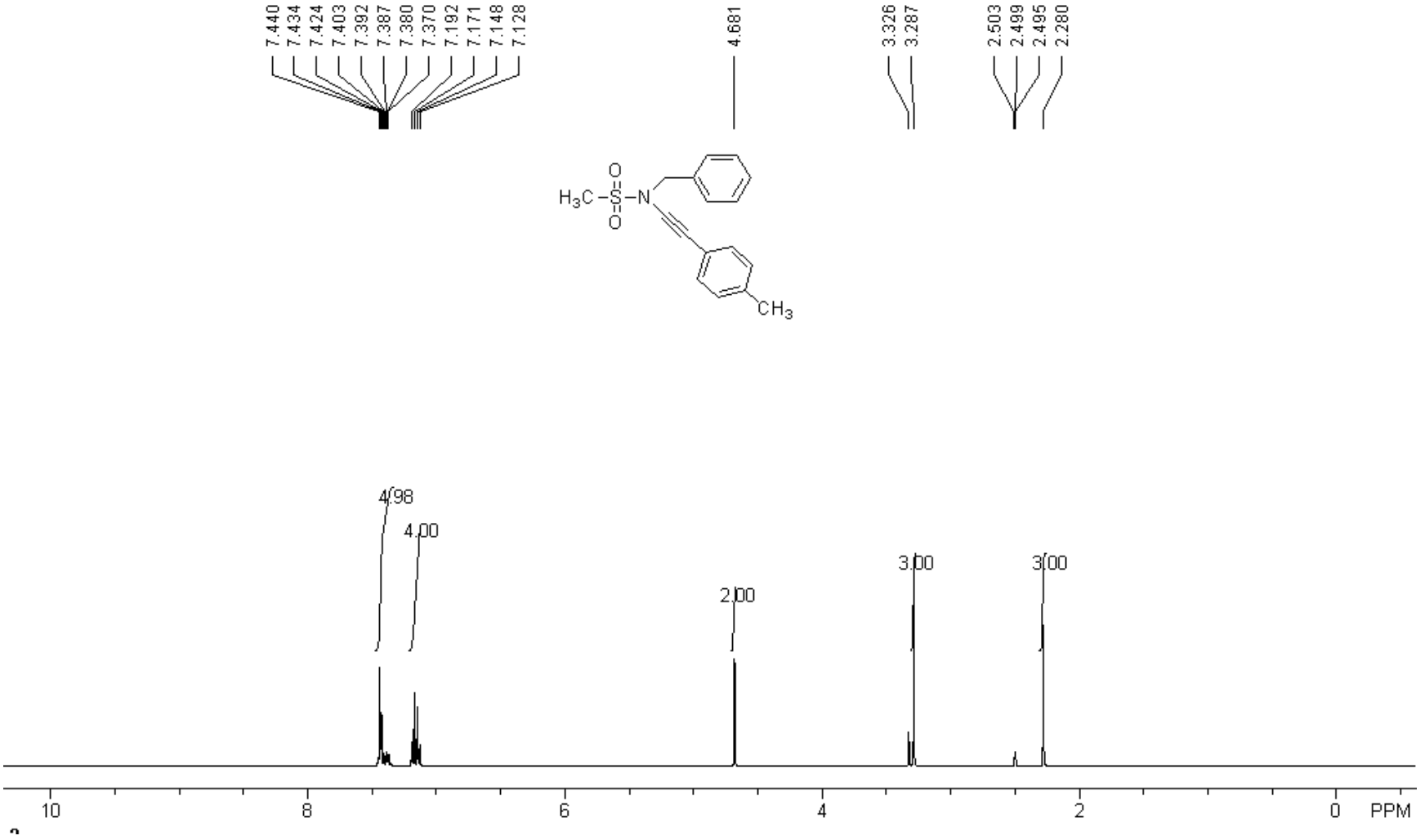
N-benzyl-2-p-tolyl-*N*-tosylethynamine [**Table 3, entry 3]**



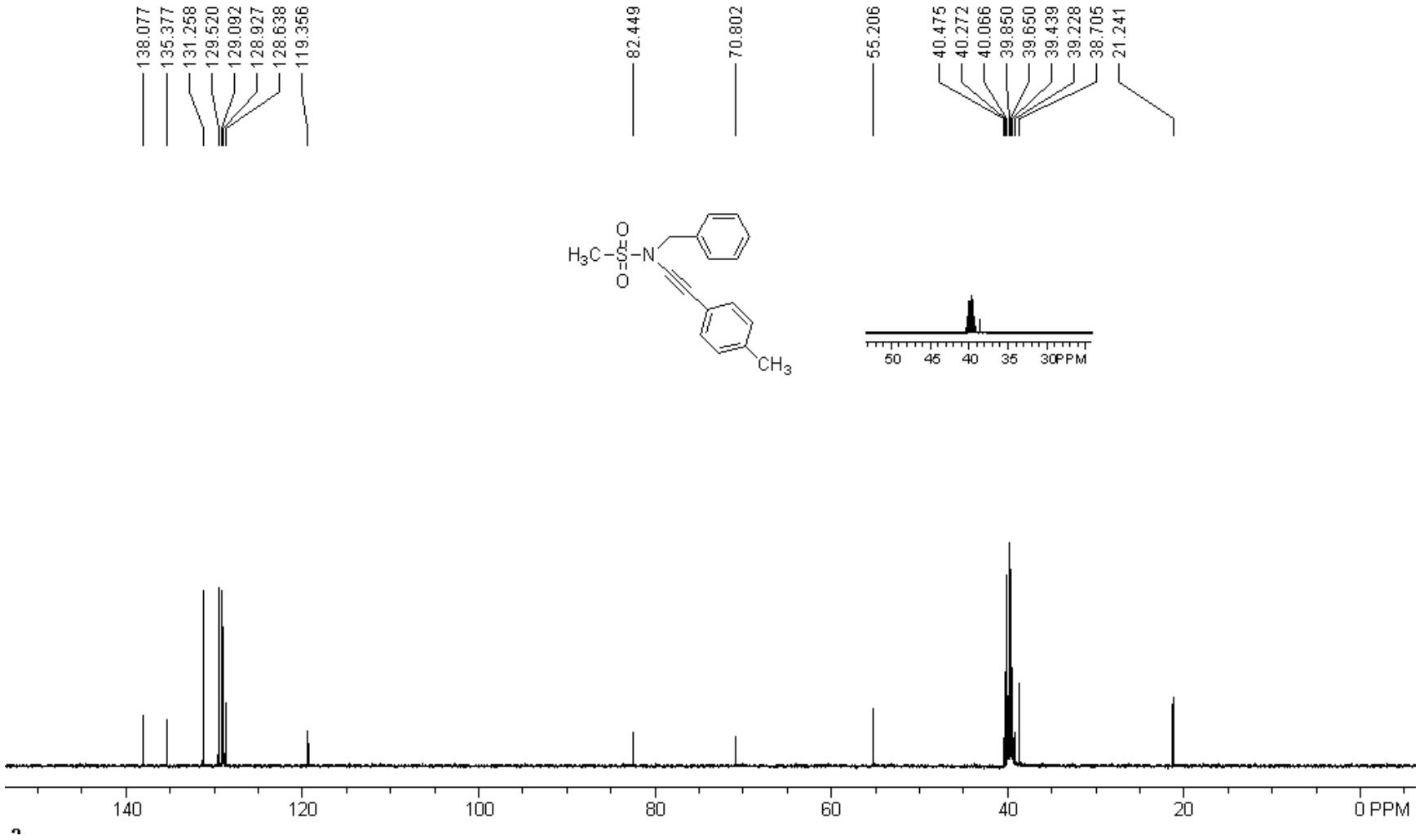
N-benzyl-2-p-tolyl-*N*-tosylethynamine [**Table 3, entry 3]**



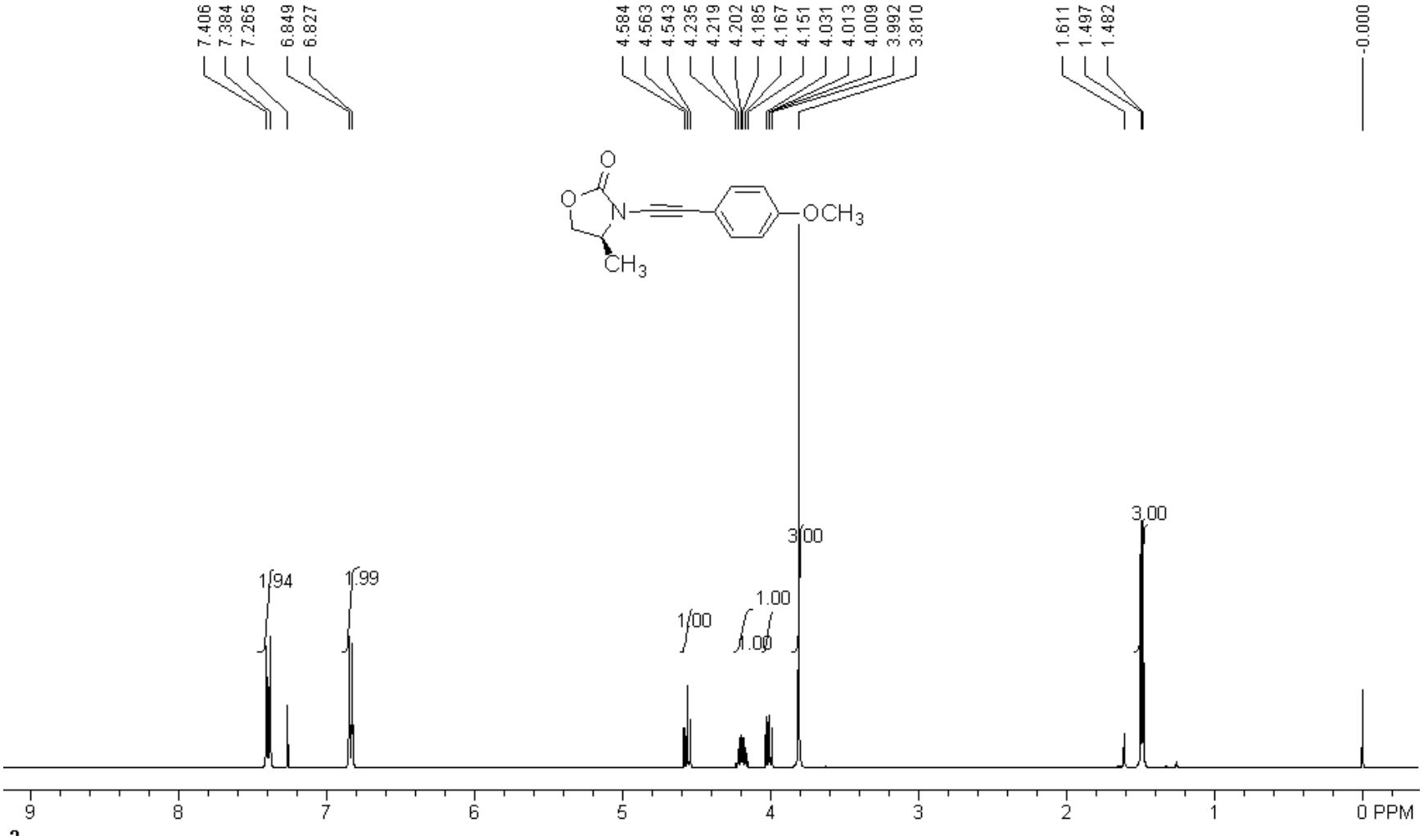
N-(4-tolylethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 4]**



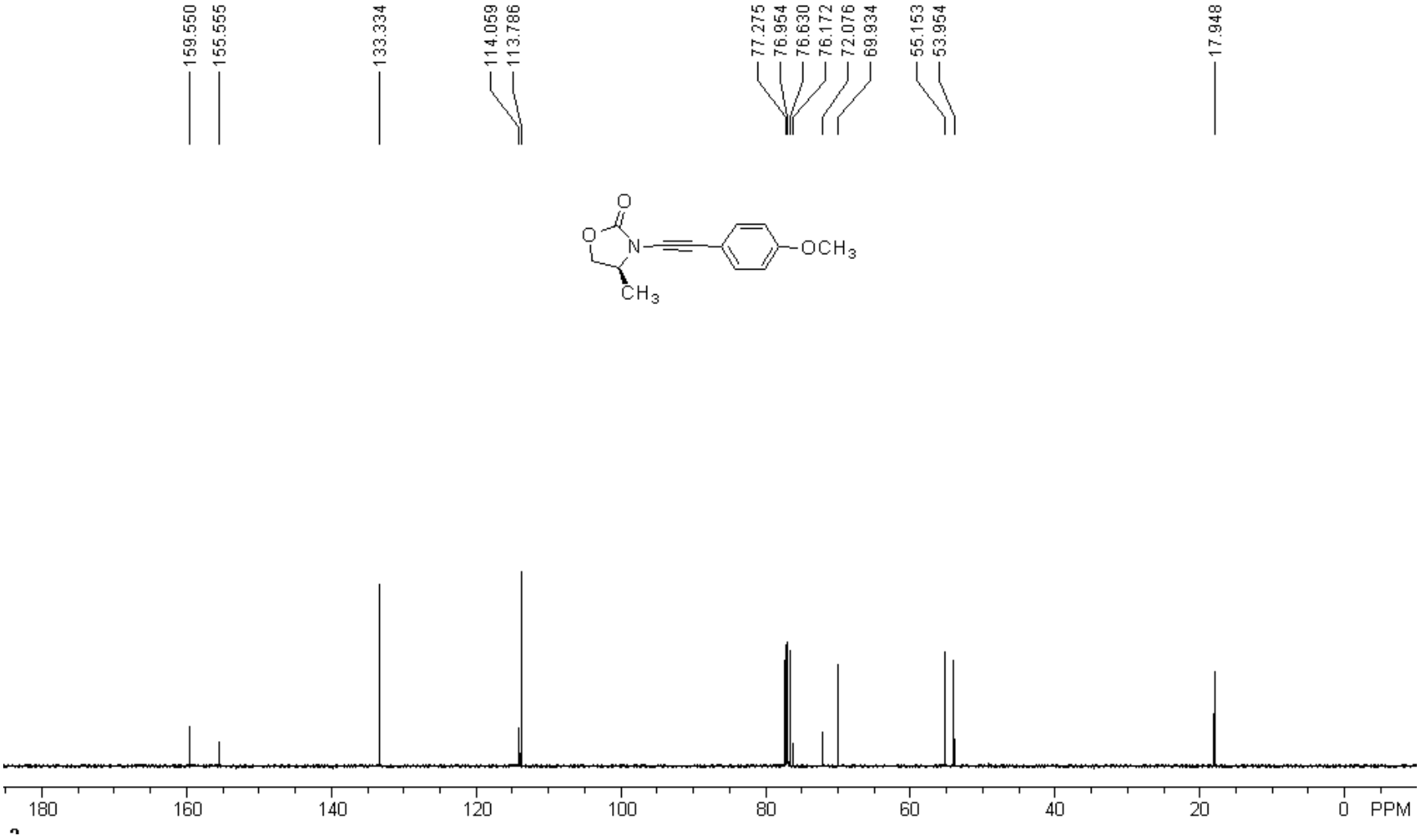
N-(4-tolylethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 4]**



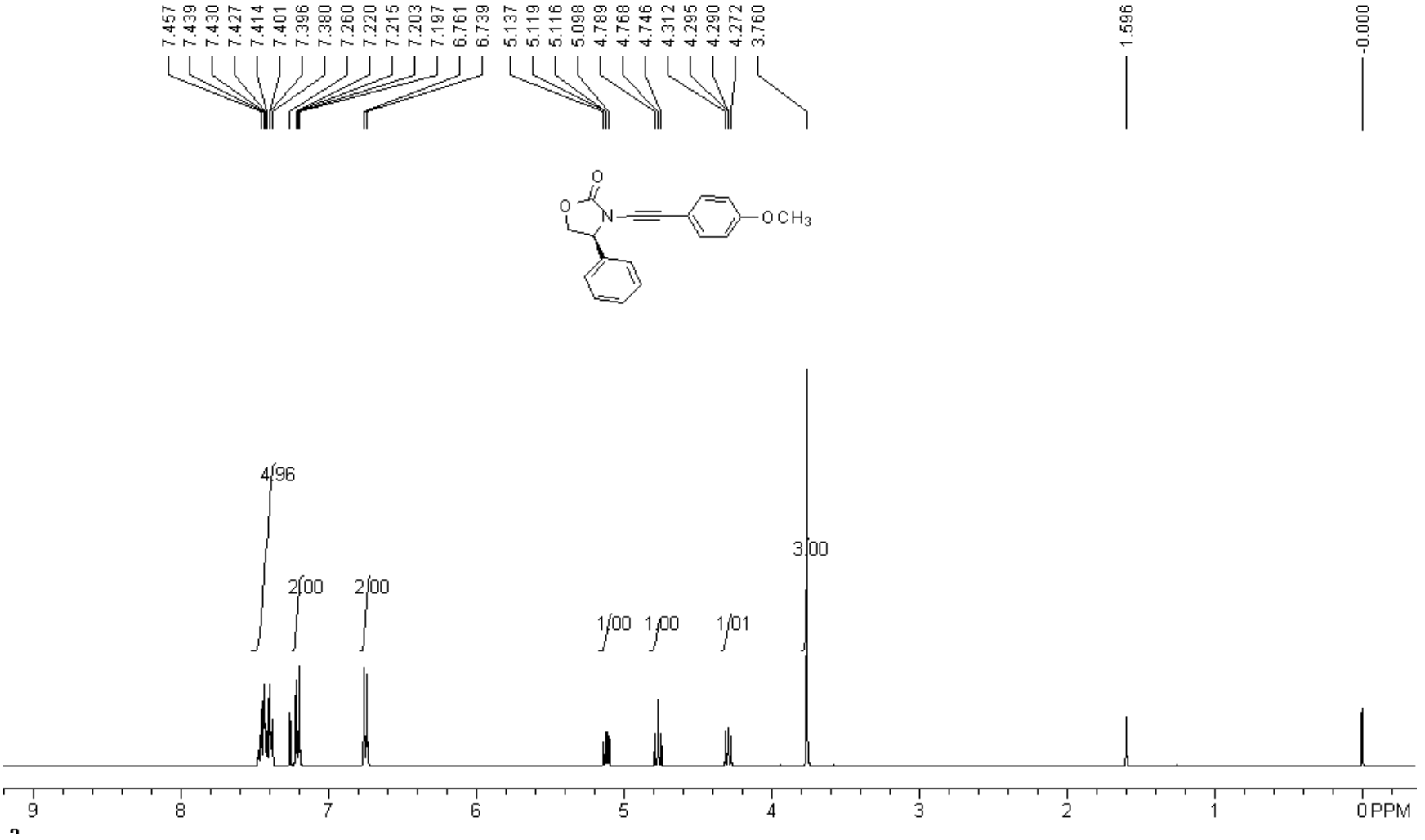
(*S*)-3-(2-(4-methoxyphenyl)ethynyl)-4-methyloxazolidin-2-one [**Table 3, entry 5]**



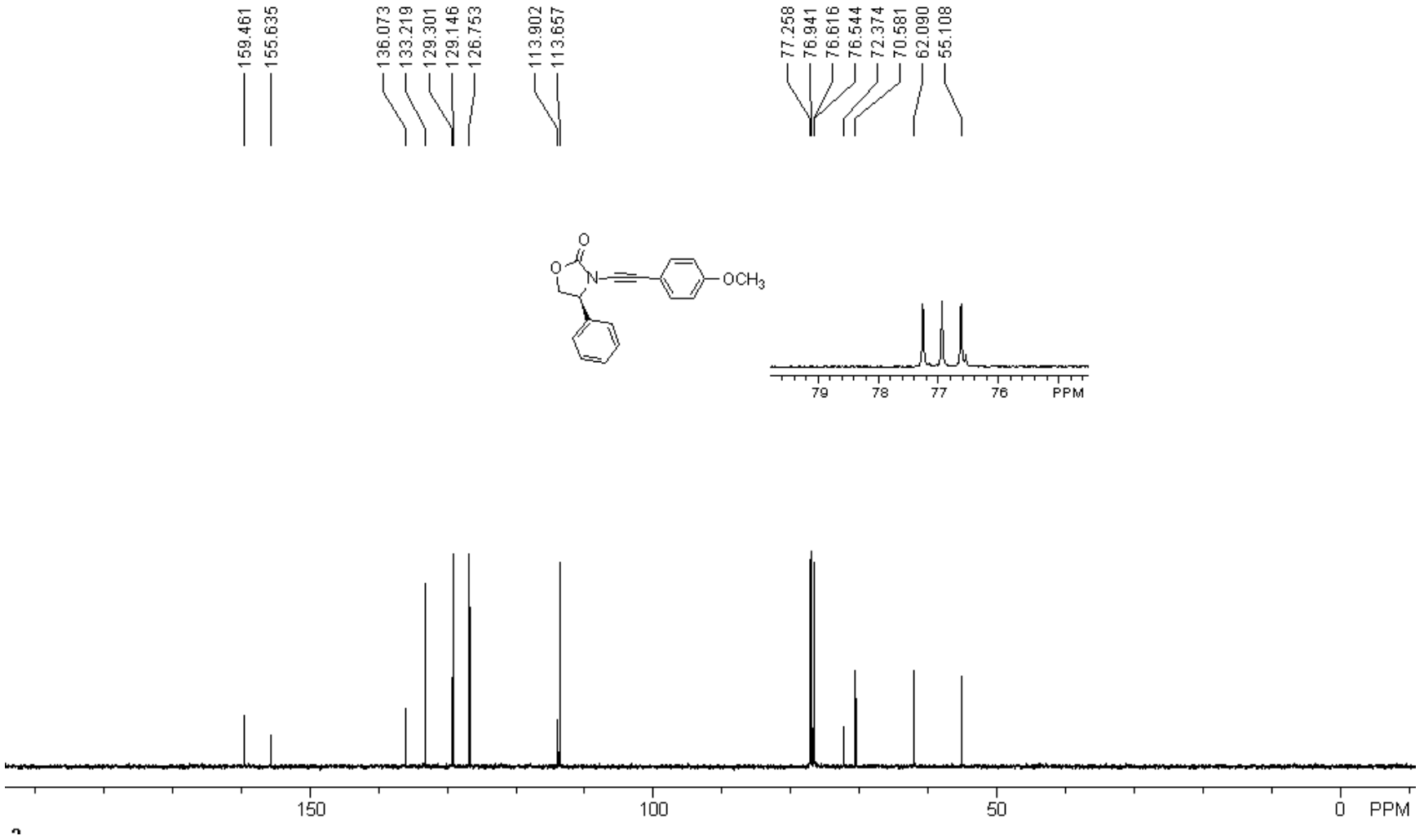
(*S*)-3-(2-(4-methoxyphenyl)ethynyl)-4-methyloxazolidin-2-one [**Table 3, entry 5]**



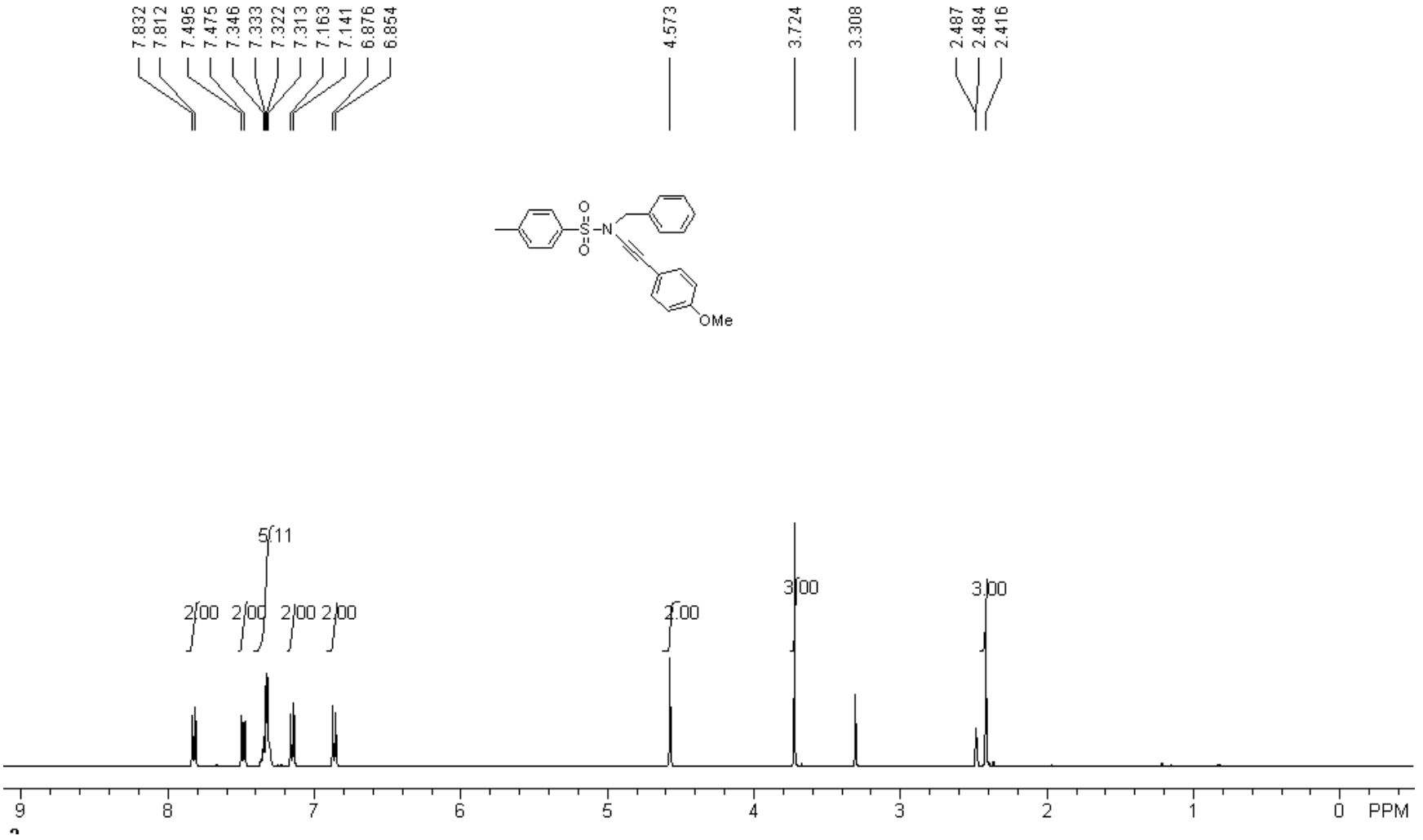
(*S*)-3-(2-(4-methoxyphenyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 6]**



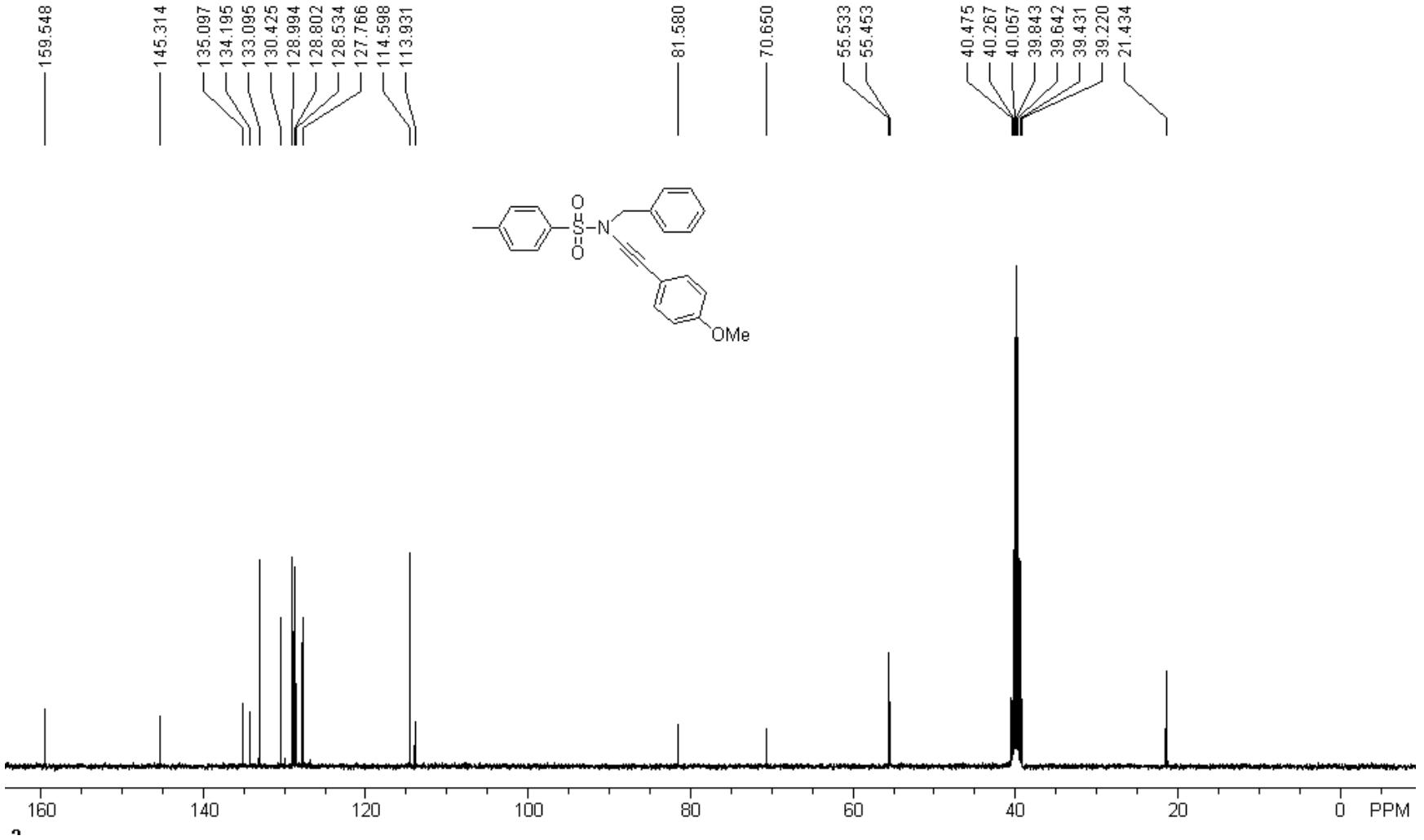
(*S*)-3-(2-(4-methoxyphenyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 6]**



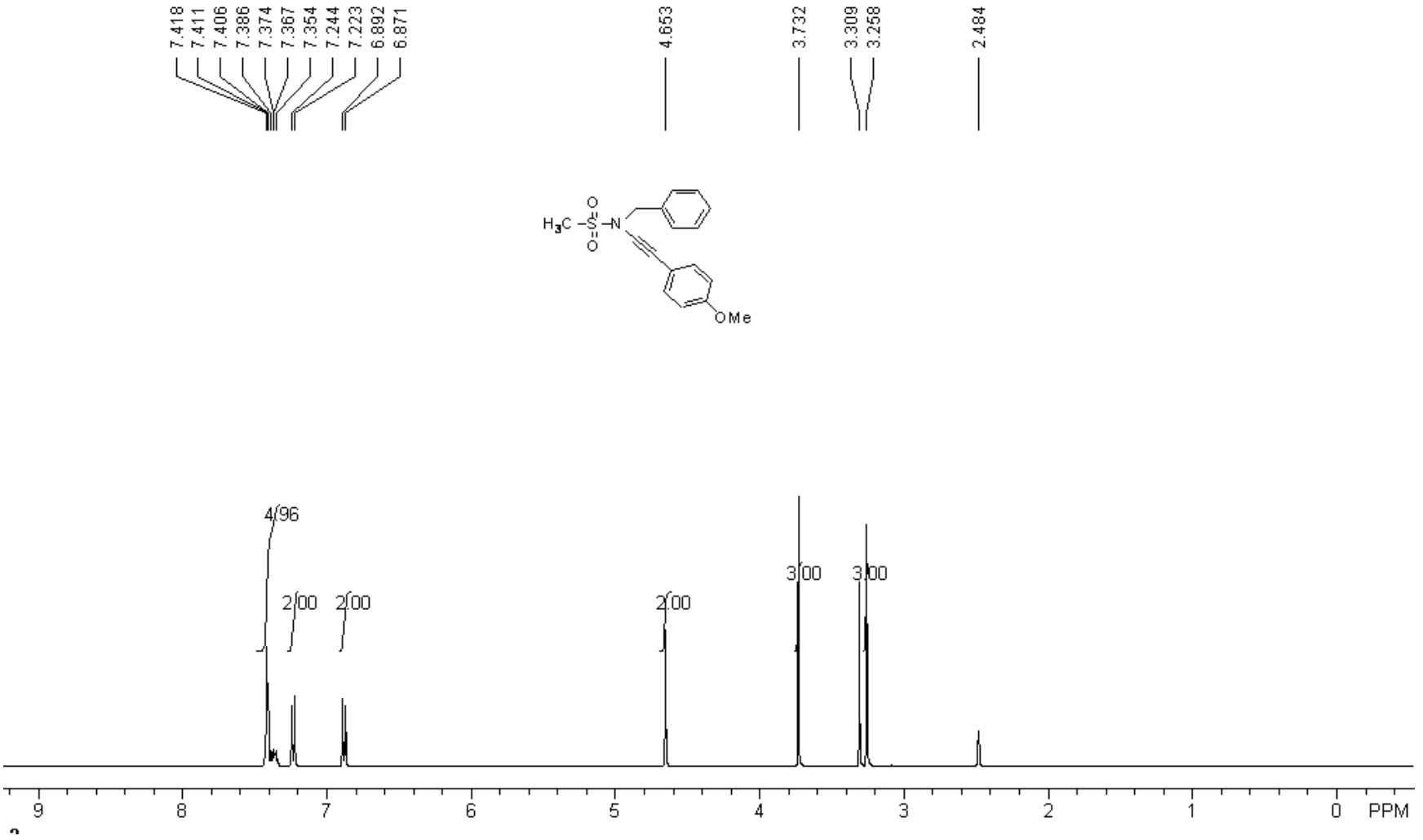
N-benzyl-2-(4-methoxyphenyl)-*N*-tosylethynamine [**Table 3, entry 7]**



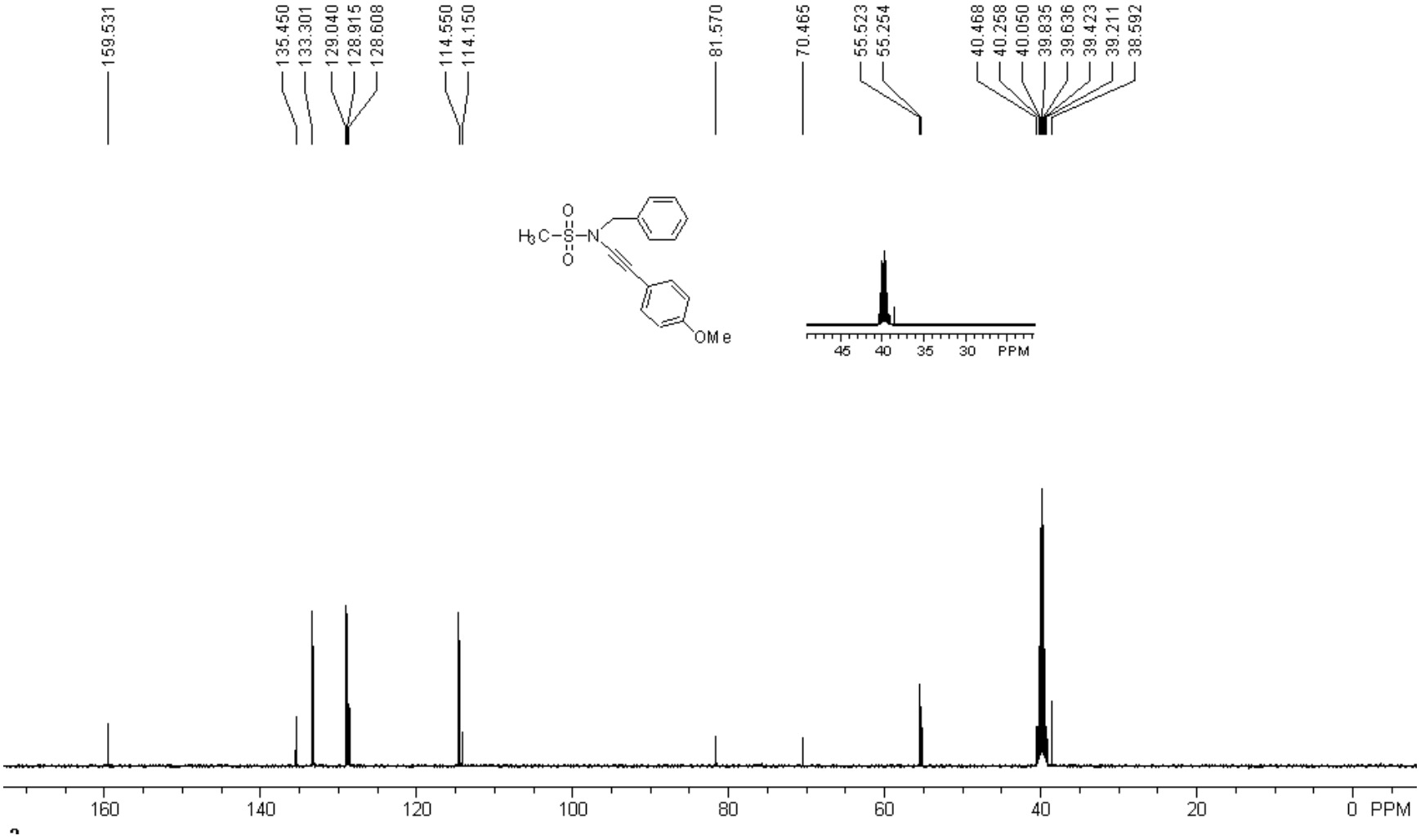
N-benzyl-2-(4-methoxyphenyl)-*N*-tosylethynamine [**Table 3, entry 7]**



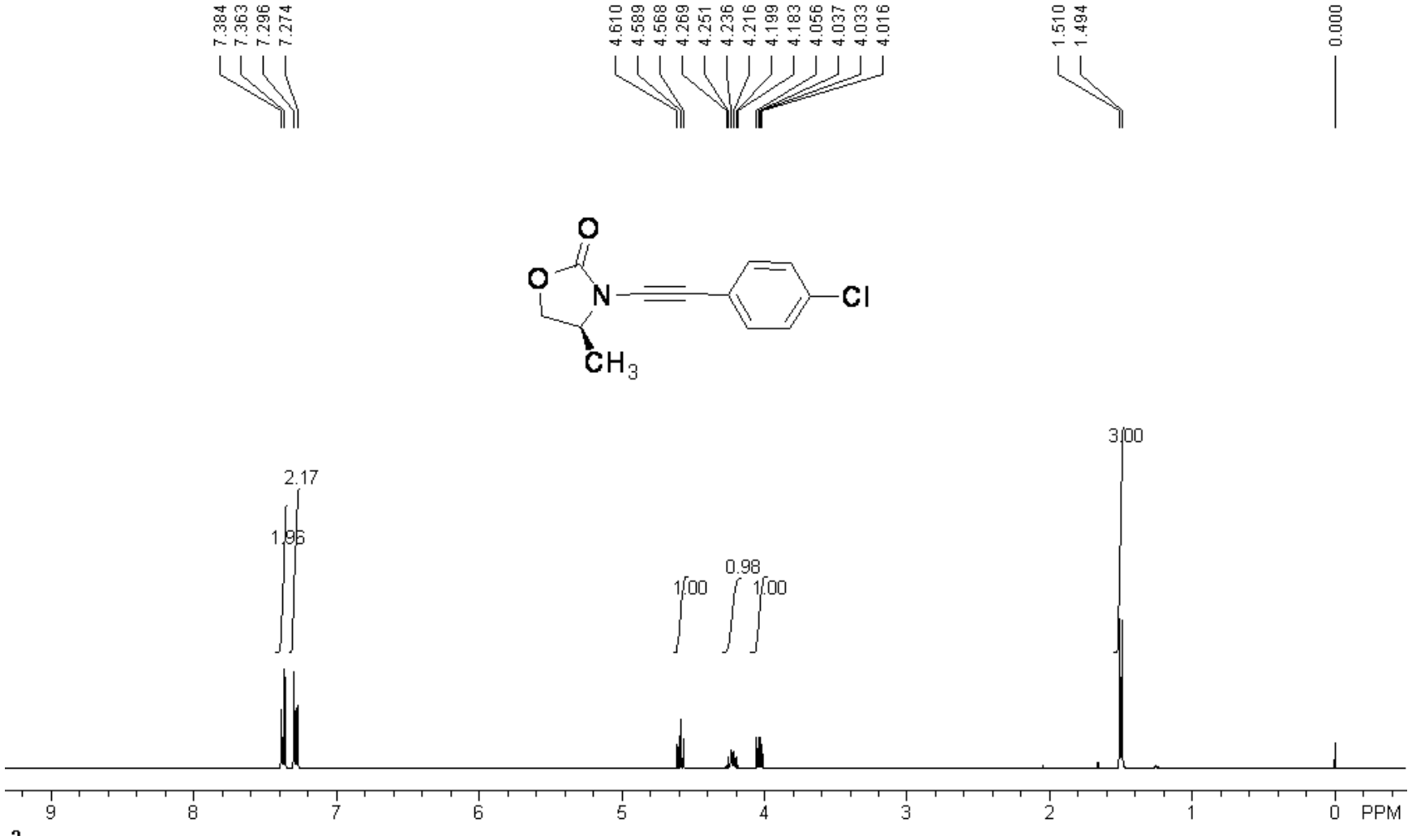
N-(2-(4-methoxyphenyl)ethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 8]**



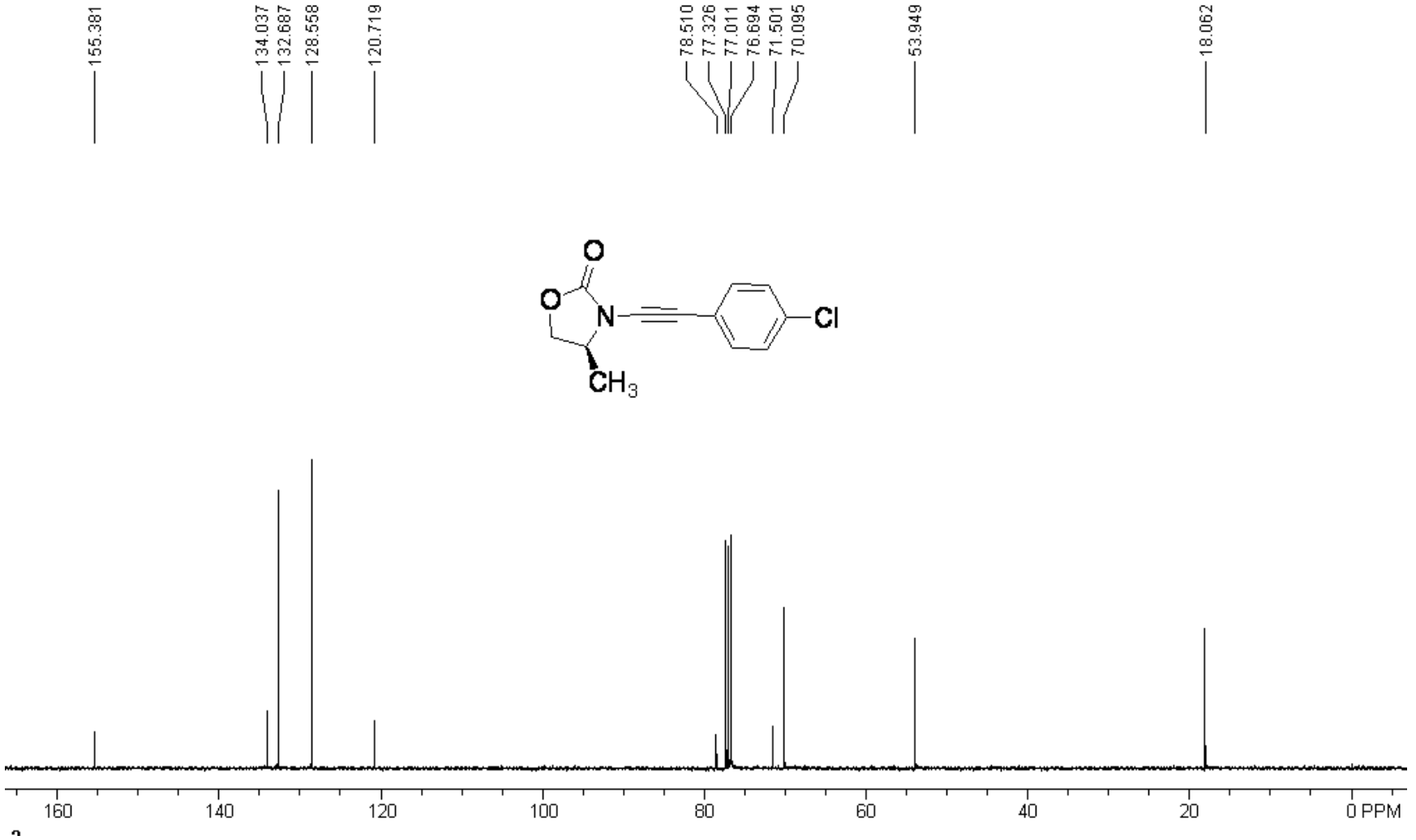
N-(2-(4-methoxyphenyl)ethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 8]**



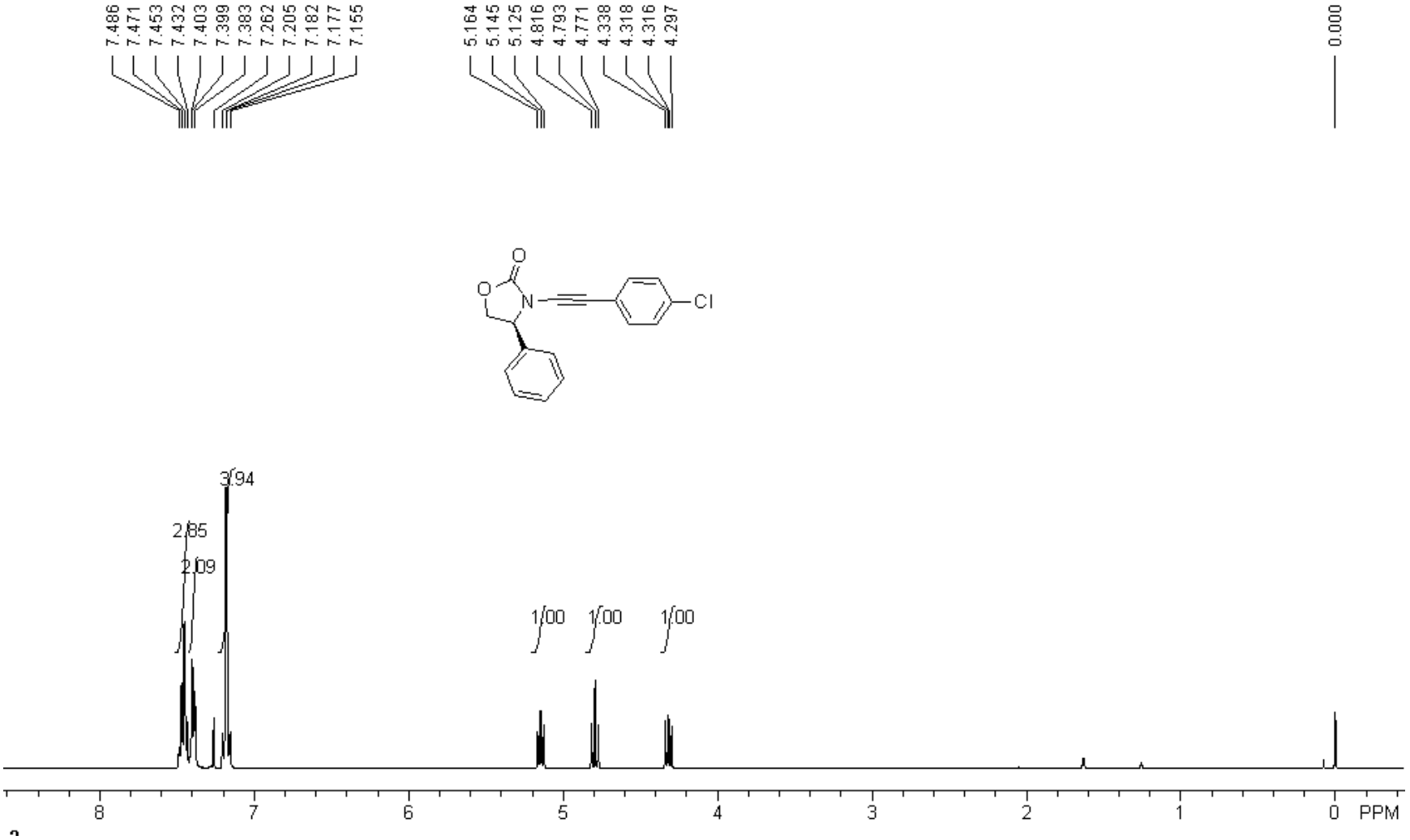
(*S*)-3-(2-(4-chlorophenyl)ethynyl)-4-methyloxazolidin-2-one [**Table 3, entry 9]**



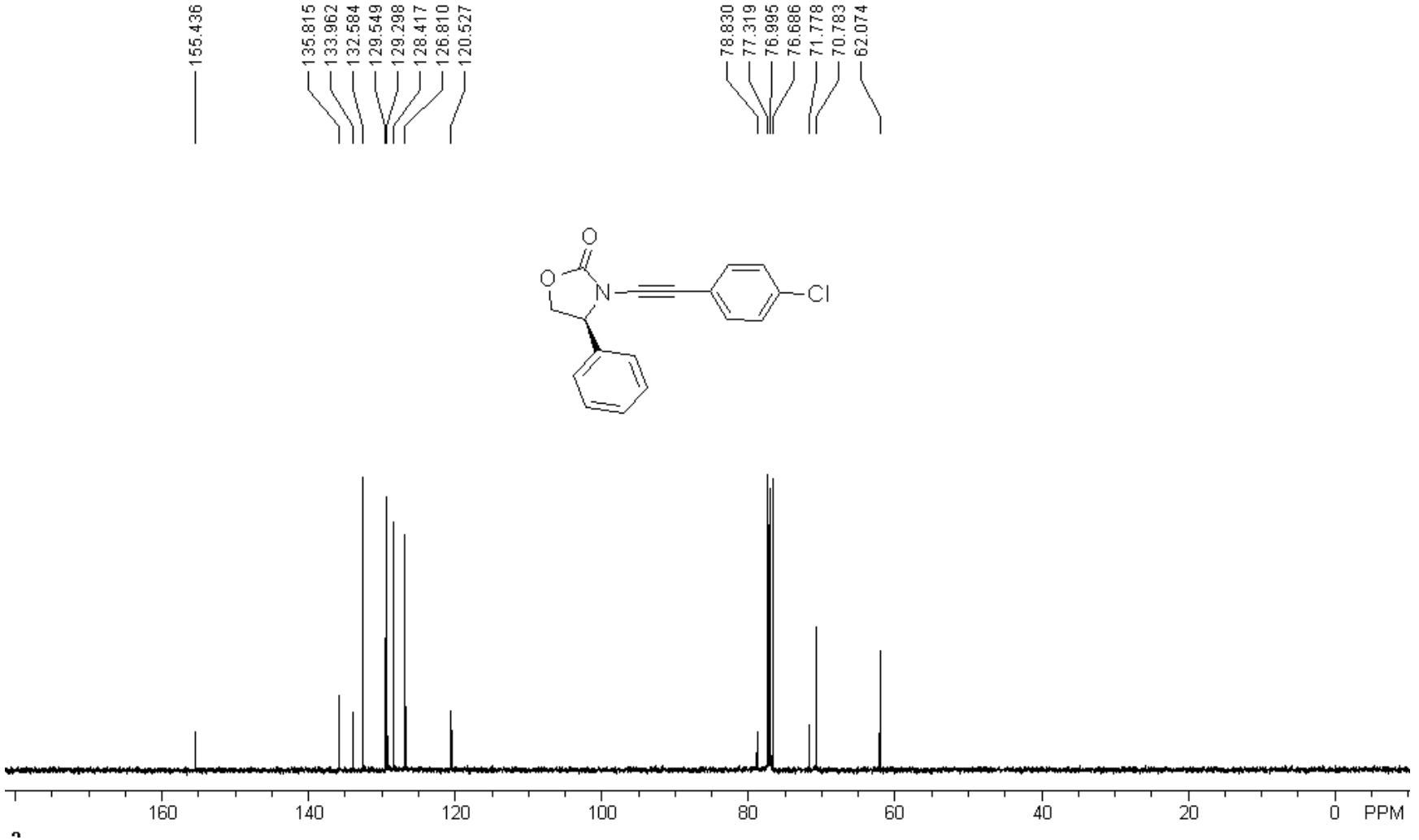
(*S*)-3-(2-(4-chlorophenyl)ethynyl)-4-methyloxazolidin-2-one [**Table 3, entry 9]**



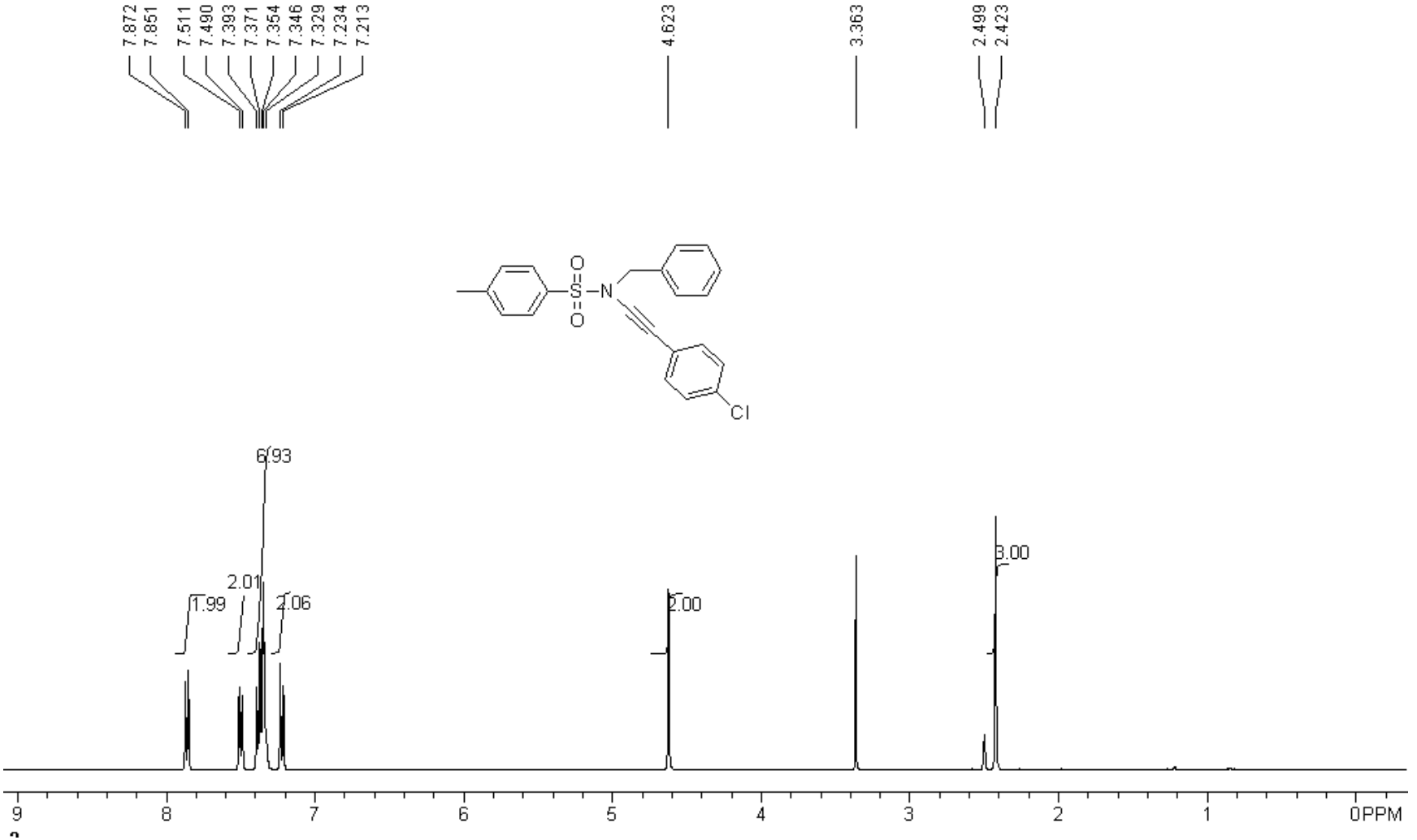
(*S*)-3-(2-(4-chlorophenyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 10]**



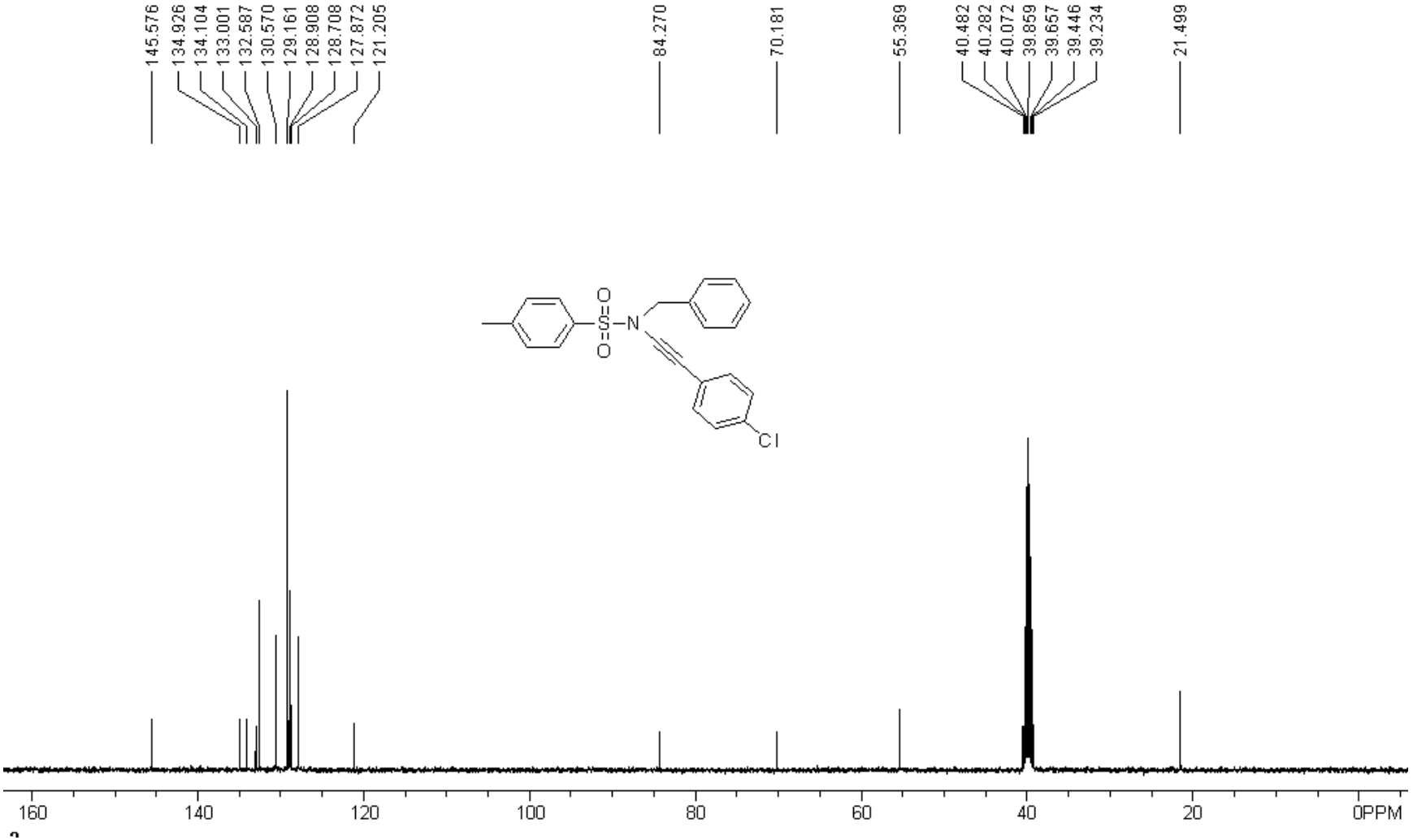
(*S*)-3-(2-(4-chlorophenyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 10]**



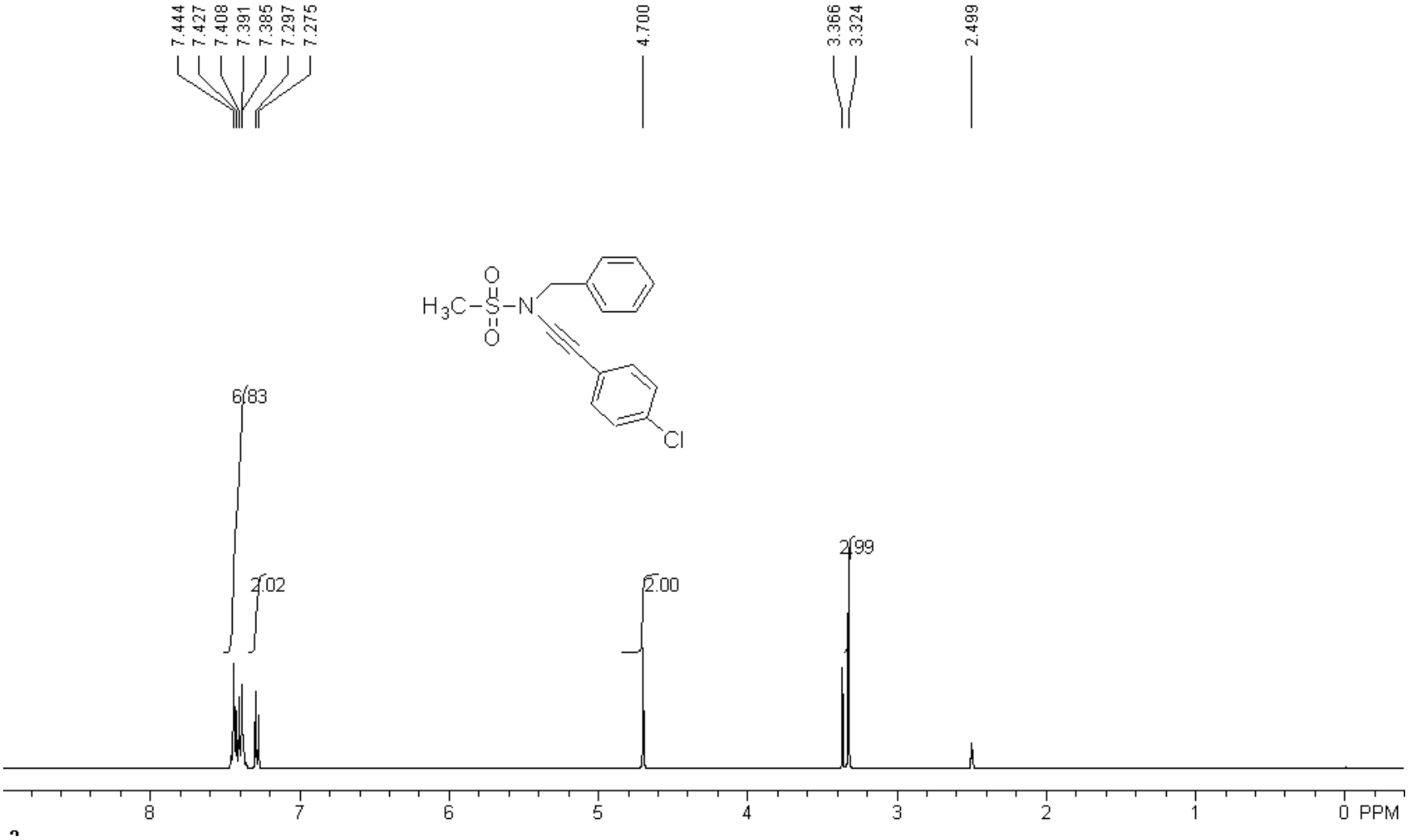
N-benzyl-2-(4-chlorophenyl)-*N*-tosylethynamine [**Table 3, entry 11]**



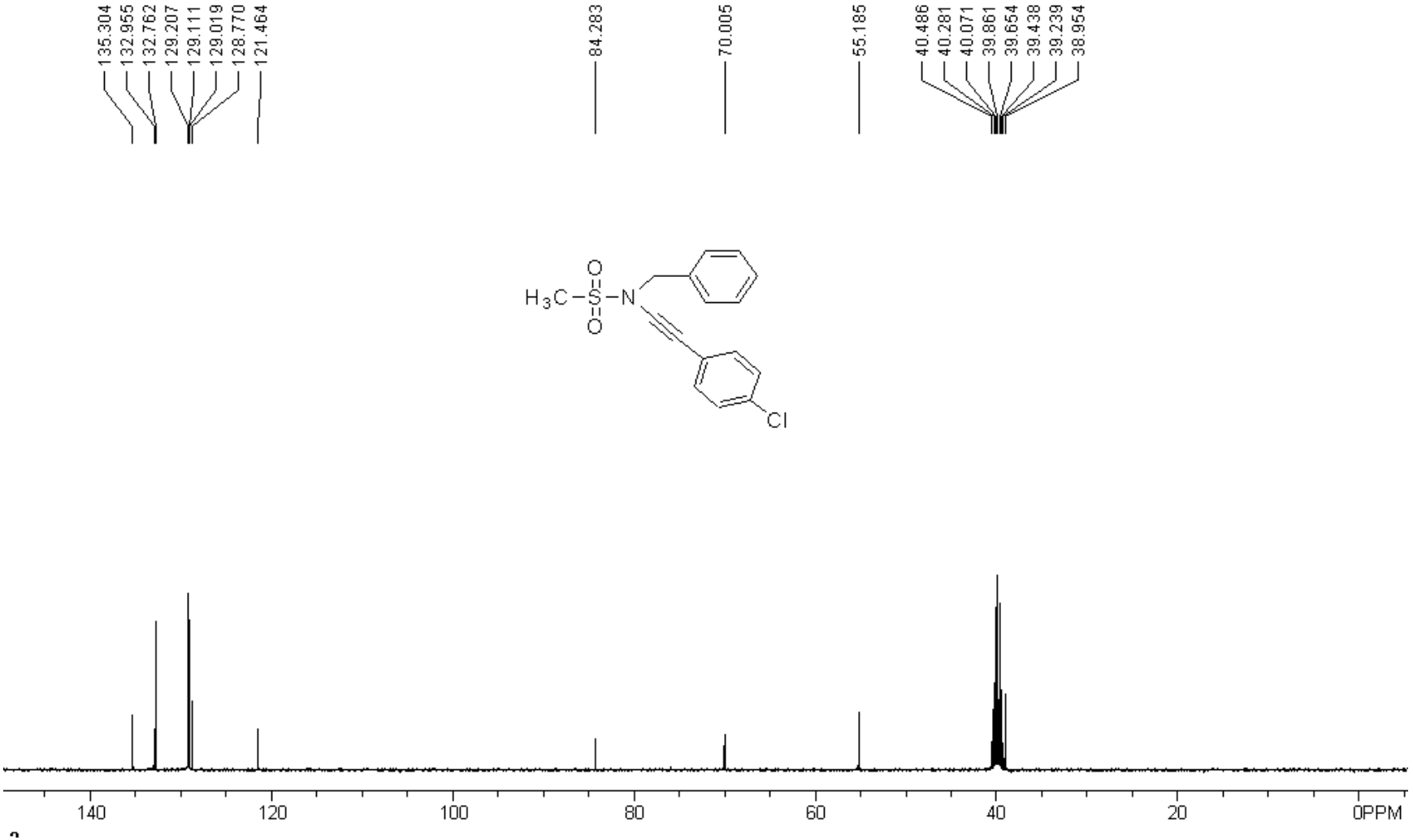
N-benzyl-2-(4-chlorophenyl)-*N*-tosylethynamine [**Table 3, entry 11]**



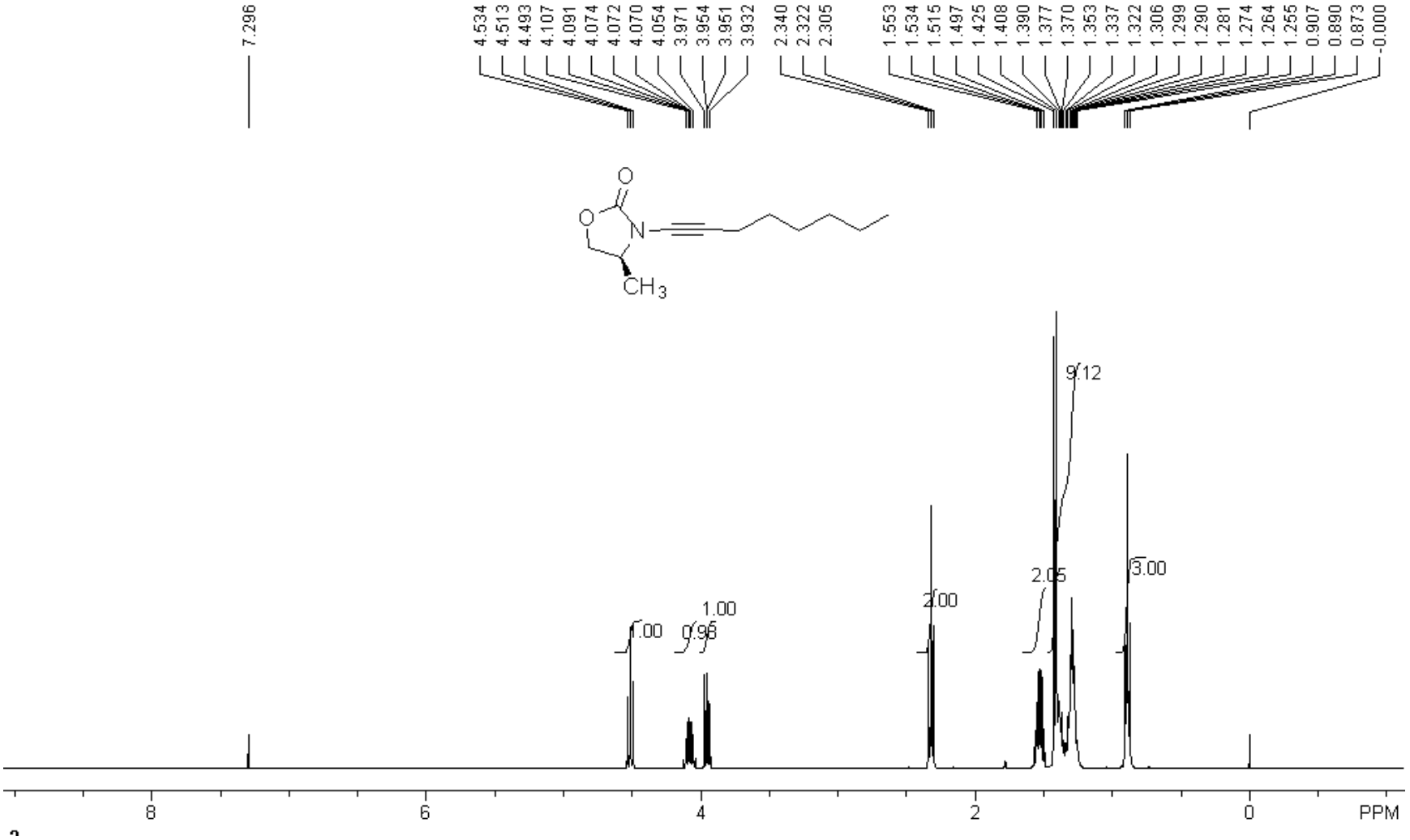
N-(2-(4-chlorophenyl)ethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 12]**



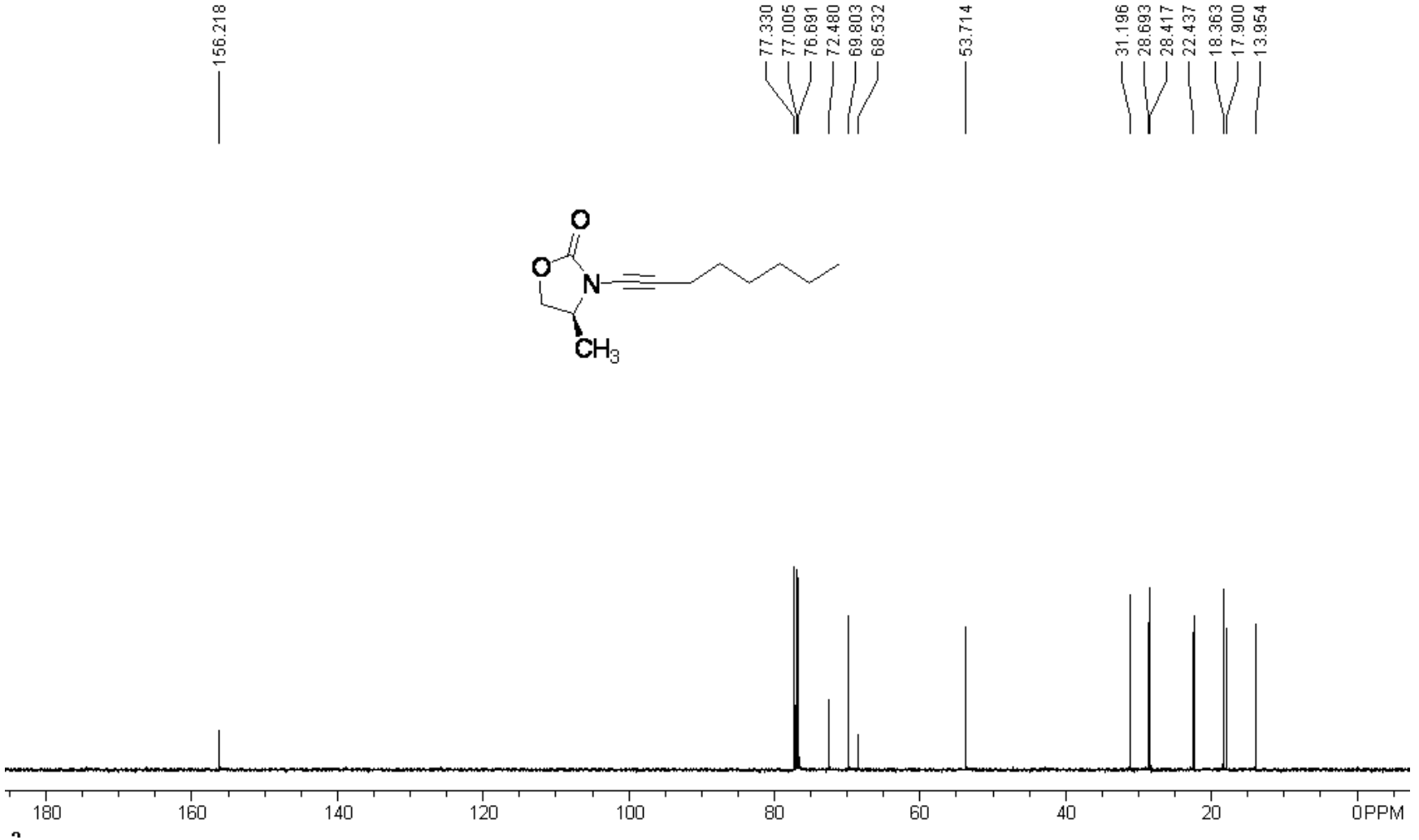
N-(2-(4-chlorophenyl)ethynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 12]**



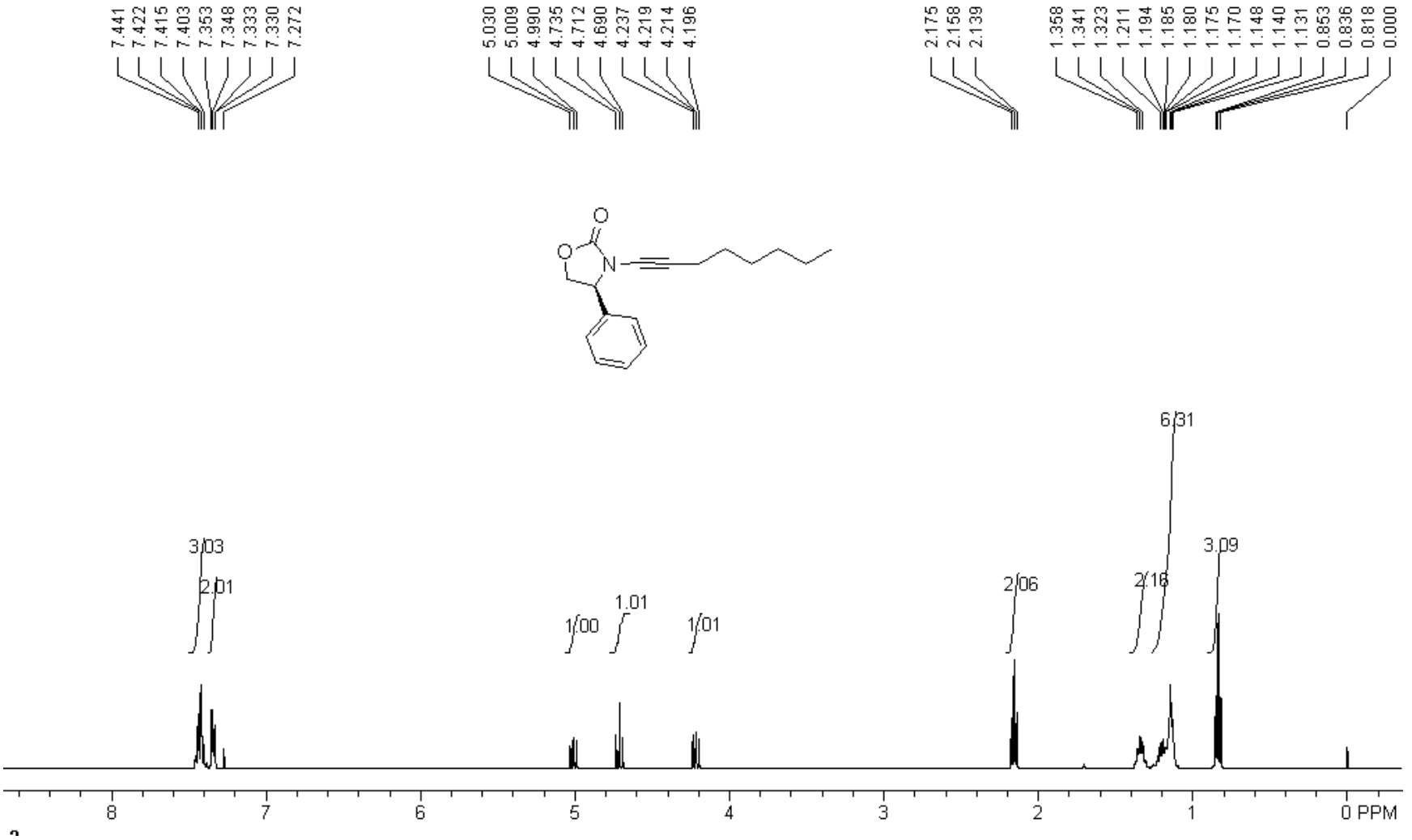
(*S*)-3-(oct-1-ynyl)-4-methyloxazolidin-2-one [**Table 3, entry 13]**



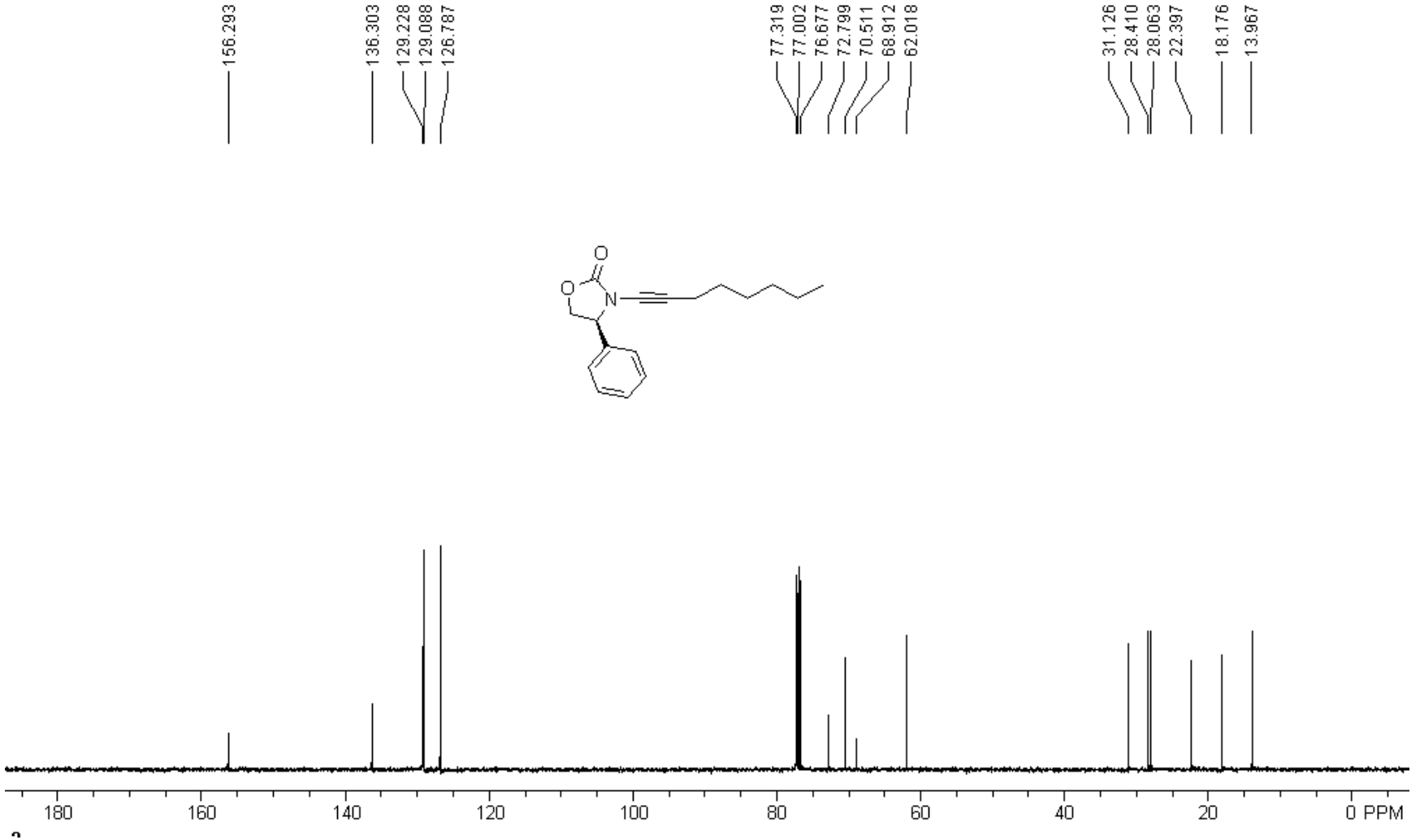
(*S*)-3-(oct-1-ynyl)-4-methyloxazolidin-2-one [**Table 3, entry 13]**



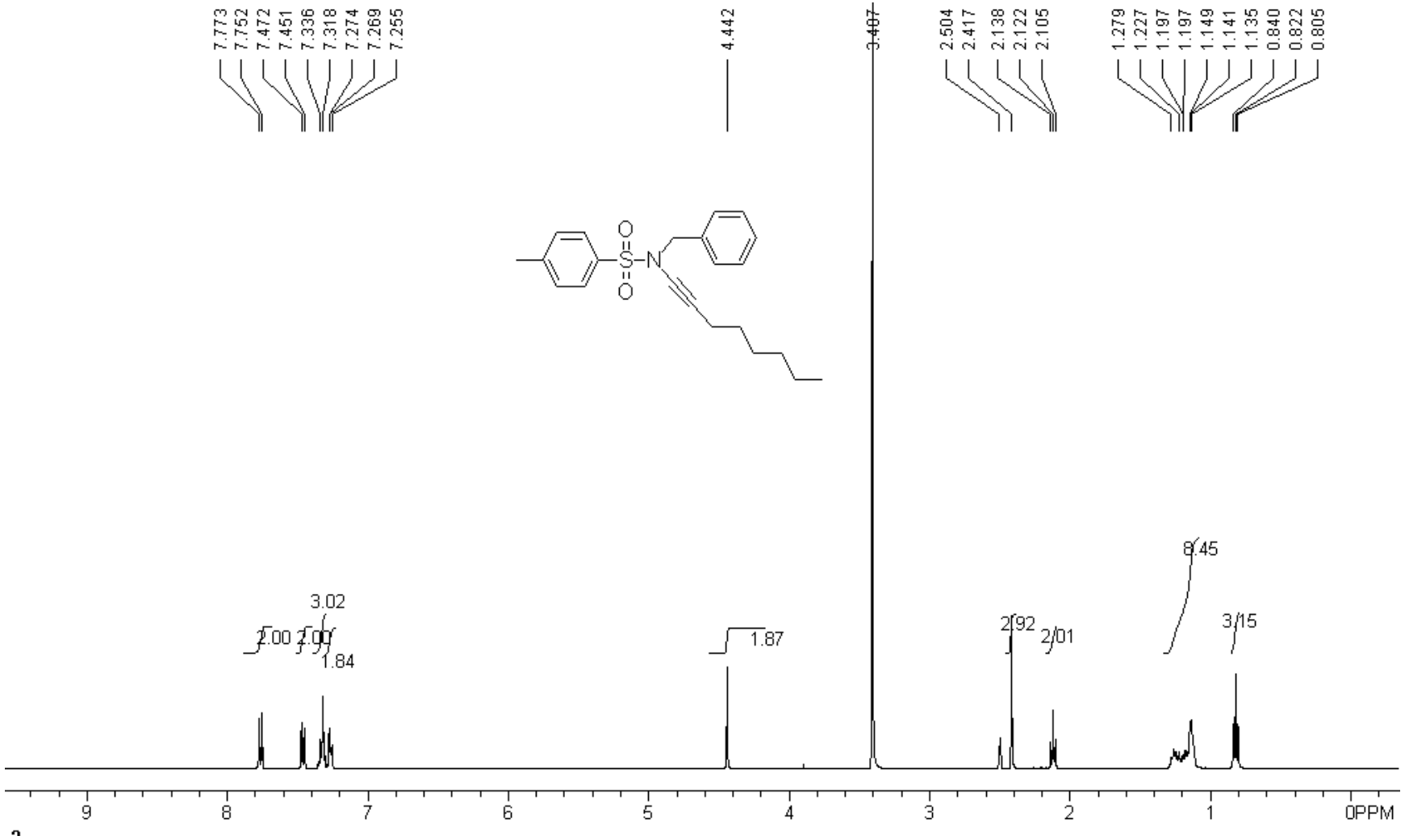
(*S*)-3-(oct-1-ynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 14]**



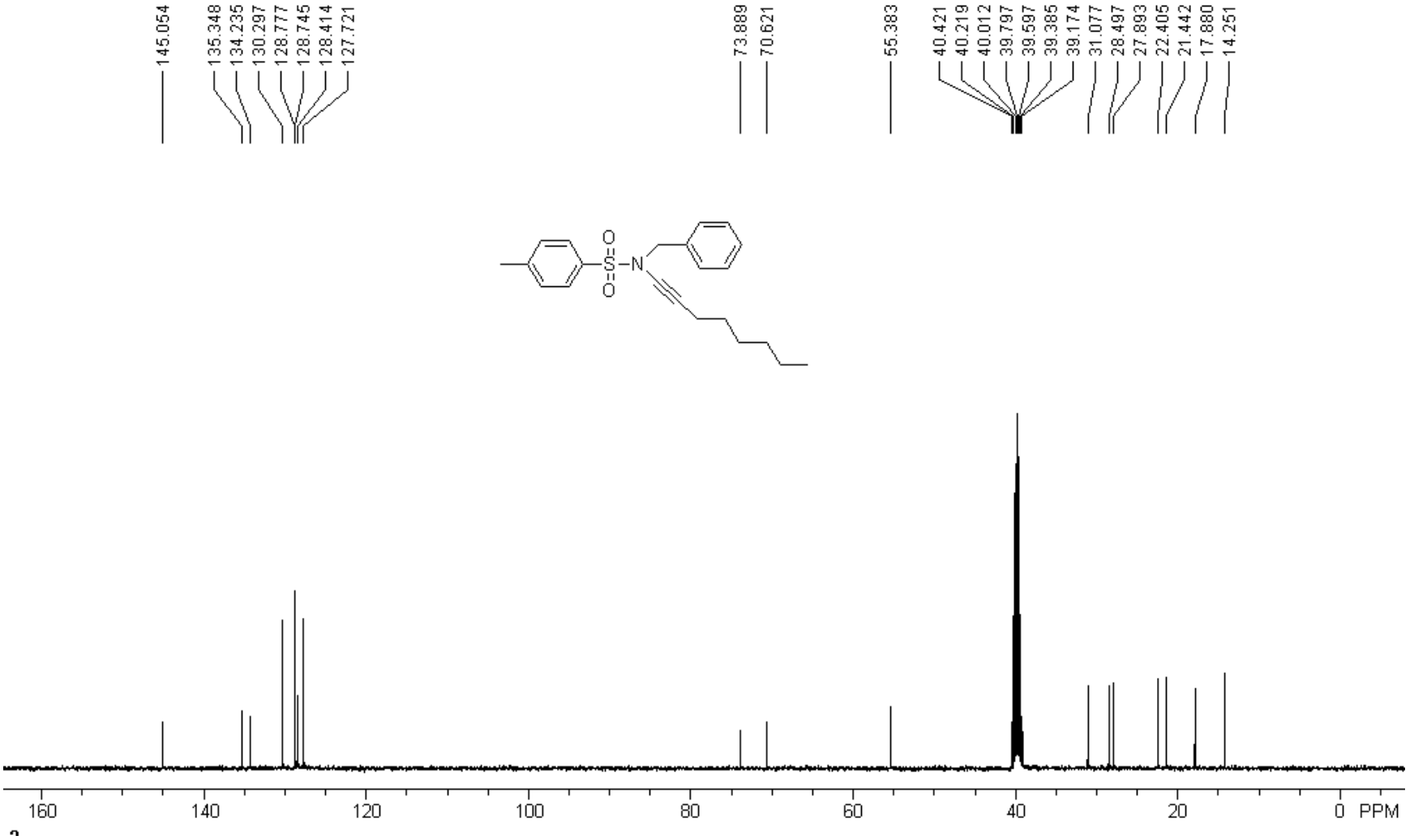
(*S*)-3-(oct-1-ynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 14]**



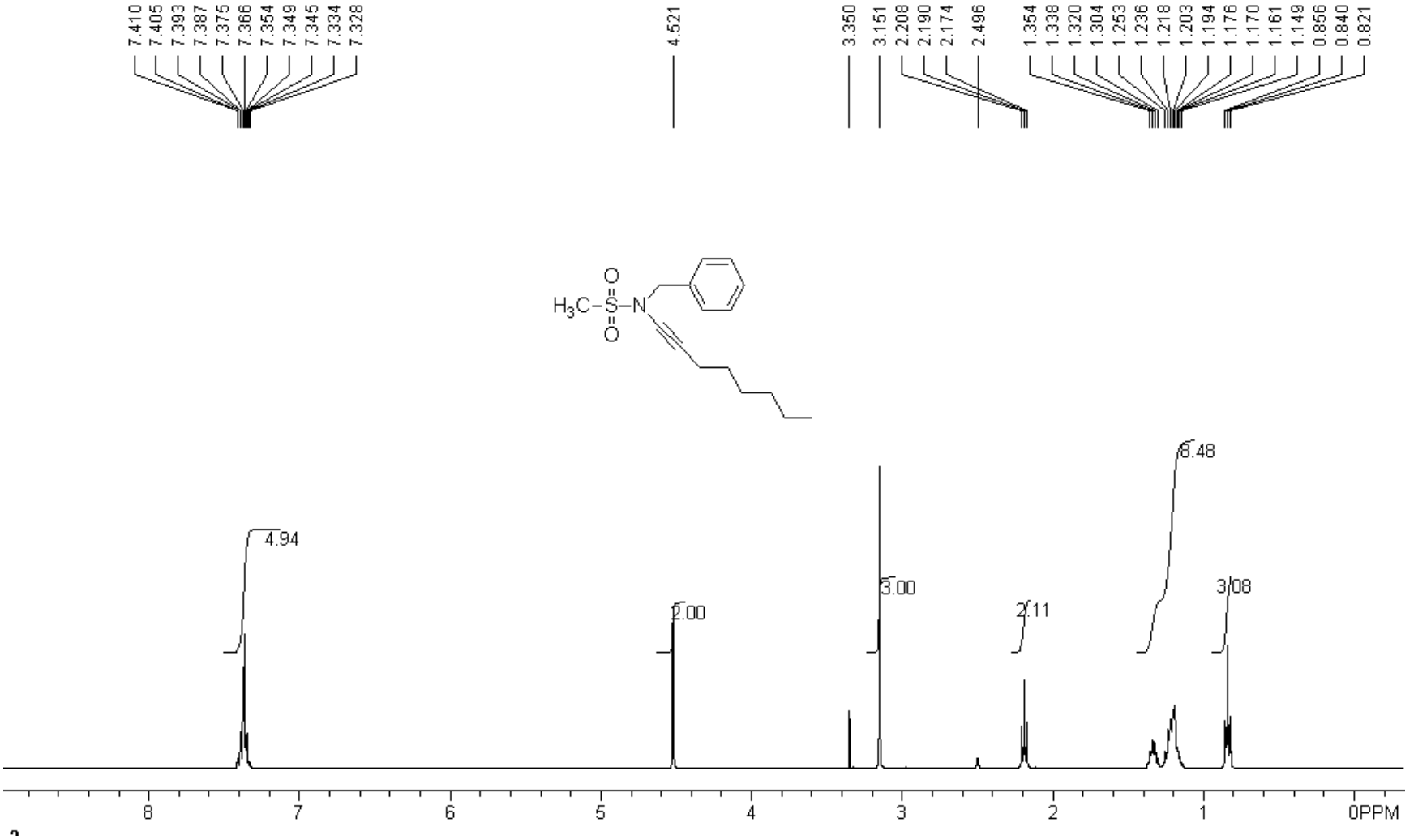
N-benzyl-*N*-tosyloct-1-yn-1-amine [**Table 3, entry 15]**



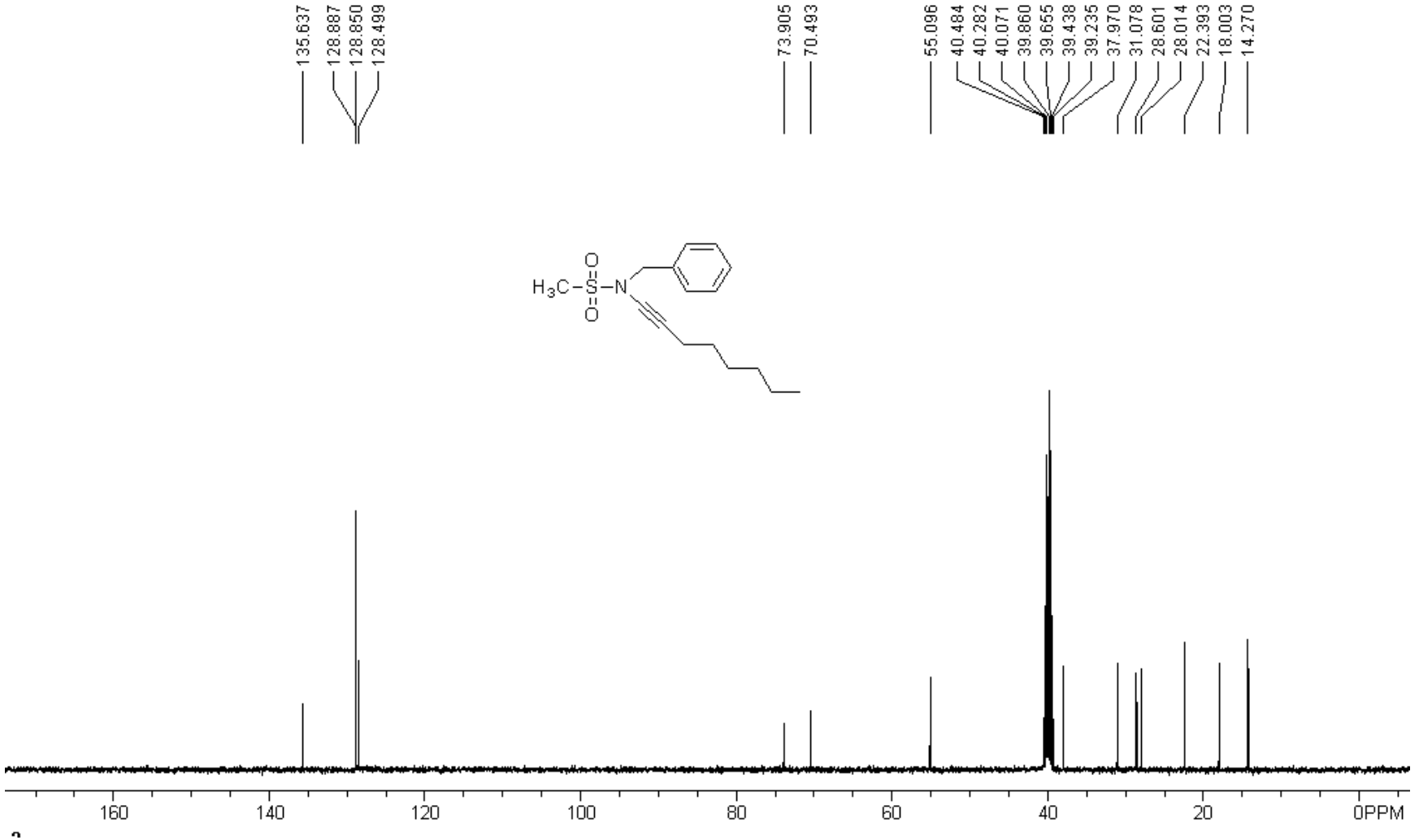
N-benzyl-*N*-tosyloct-1-yn-1-amine [**Table 3, entry 15]**



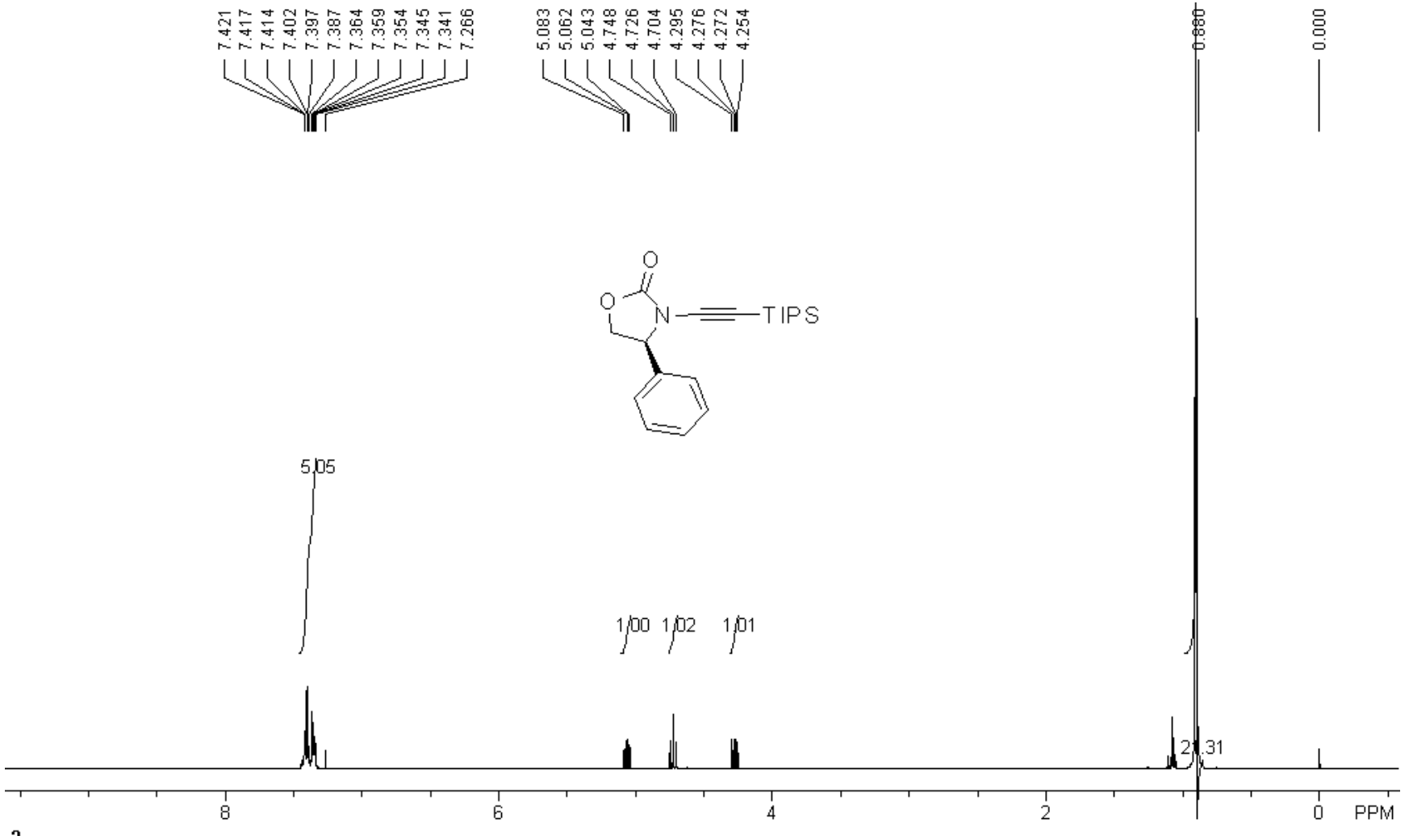
N-(oct-1-ynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 16]**



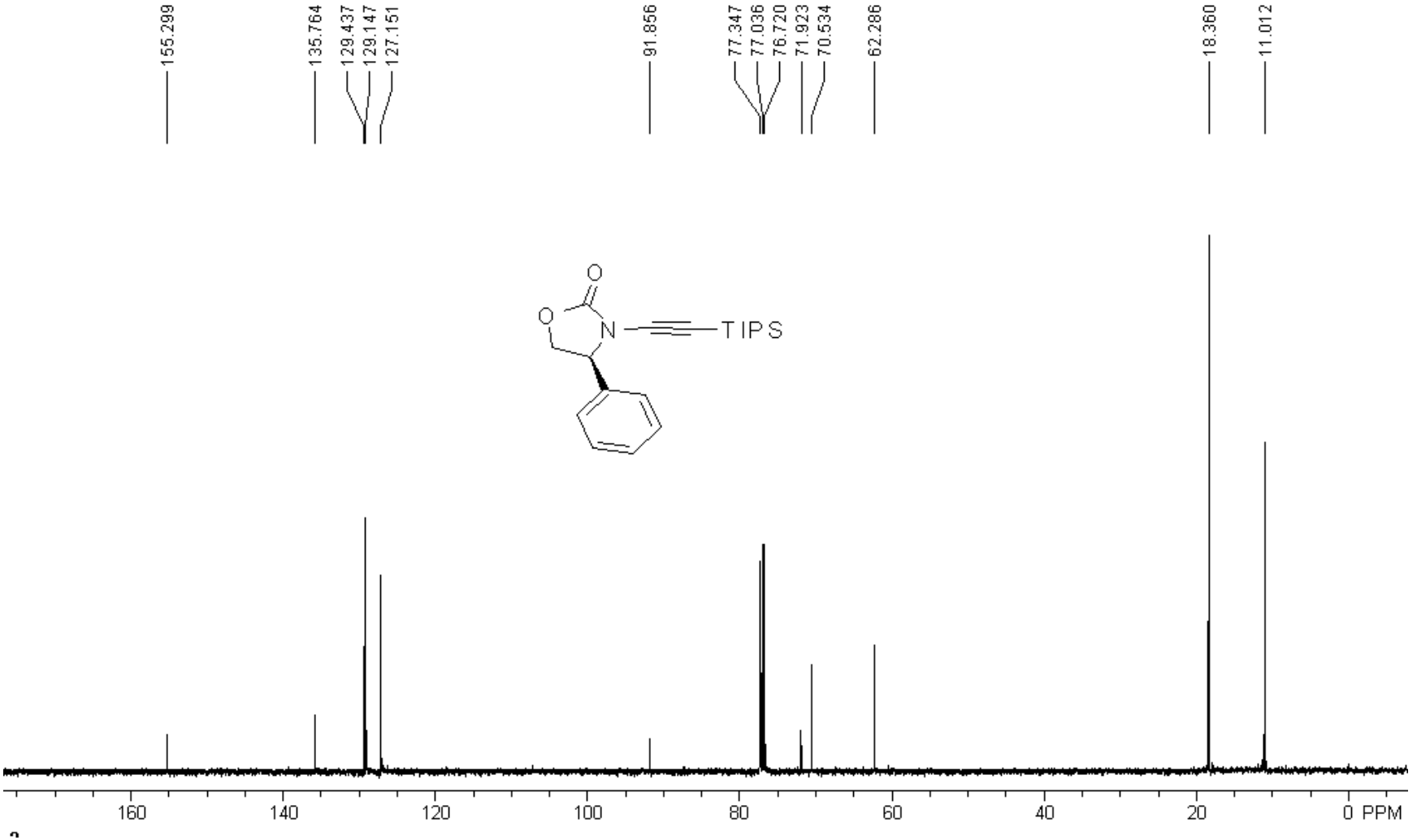
N-(oct-1-ynyl)-*N*-methanesulfonylbenzylamine [**Table 3, entry 16]**



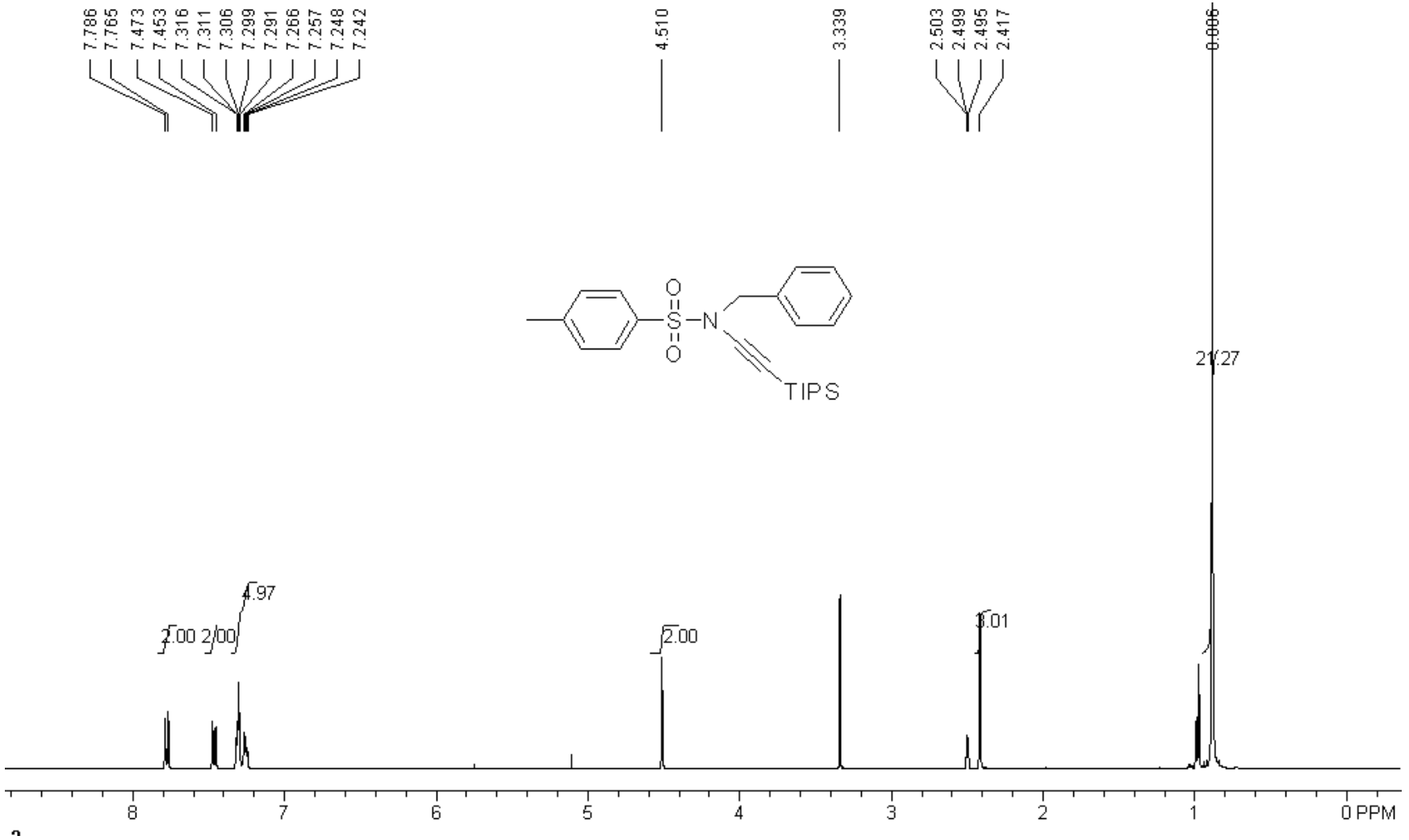
(*S*)-3-(2-(triisopropylsilyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 17]**



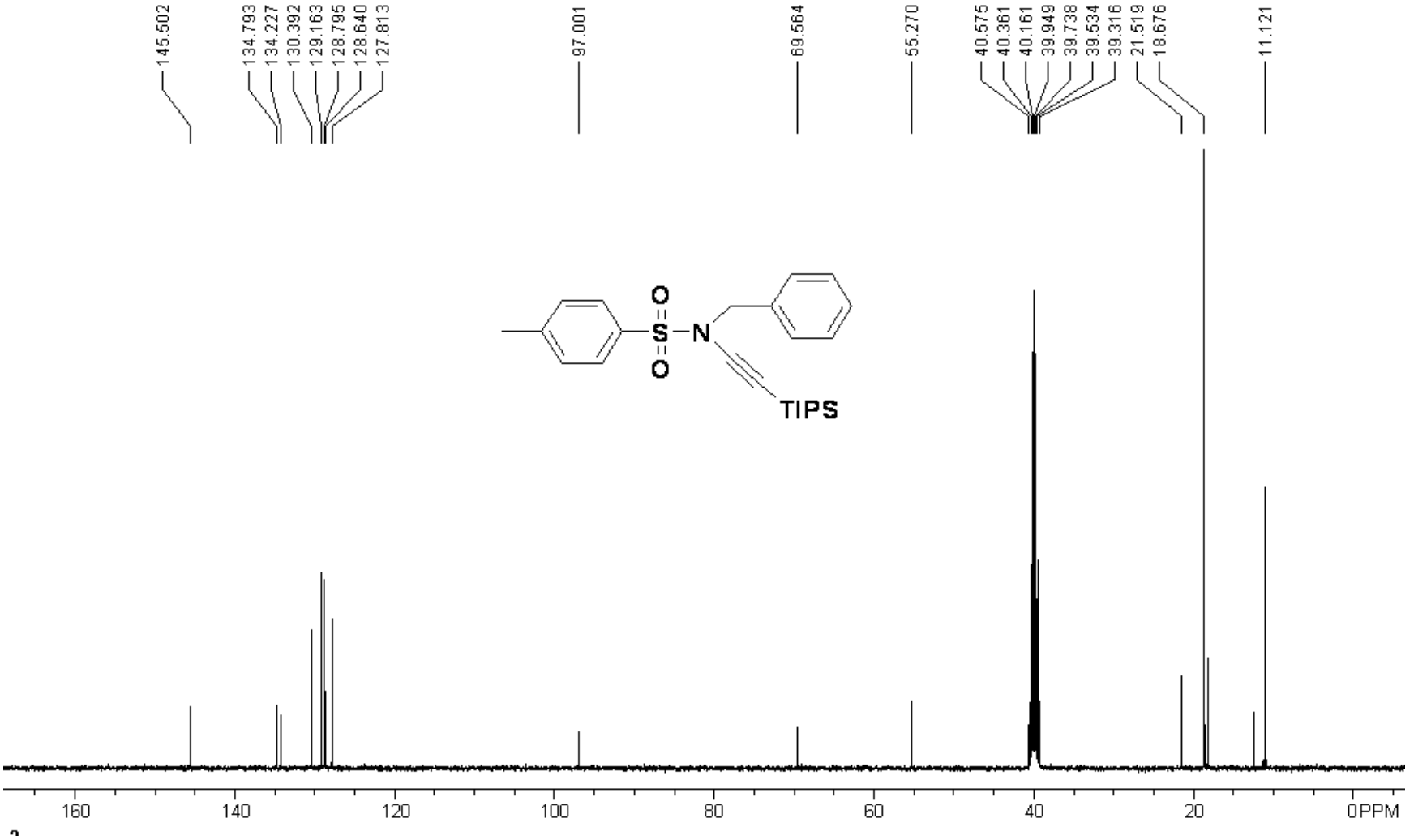
(*S*)-3-(2-(triisopropylsilyl)ethynyl)-4-phenyloxazolidin-2-one [**Table 3, entry 17]**



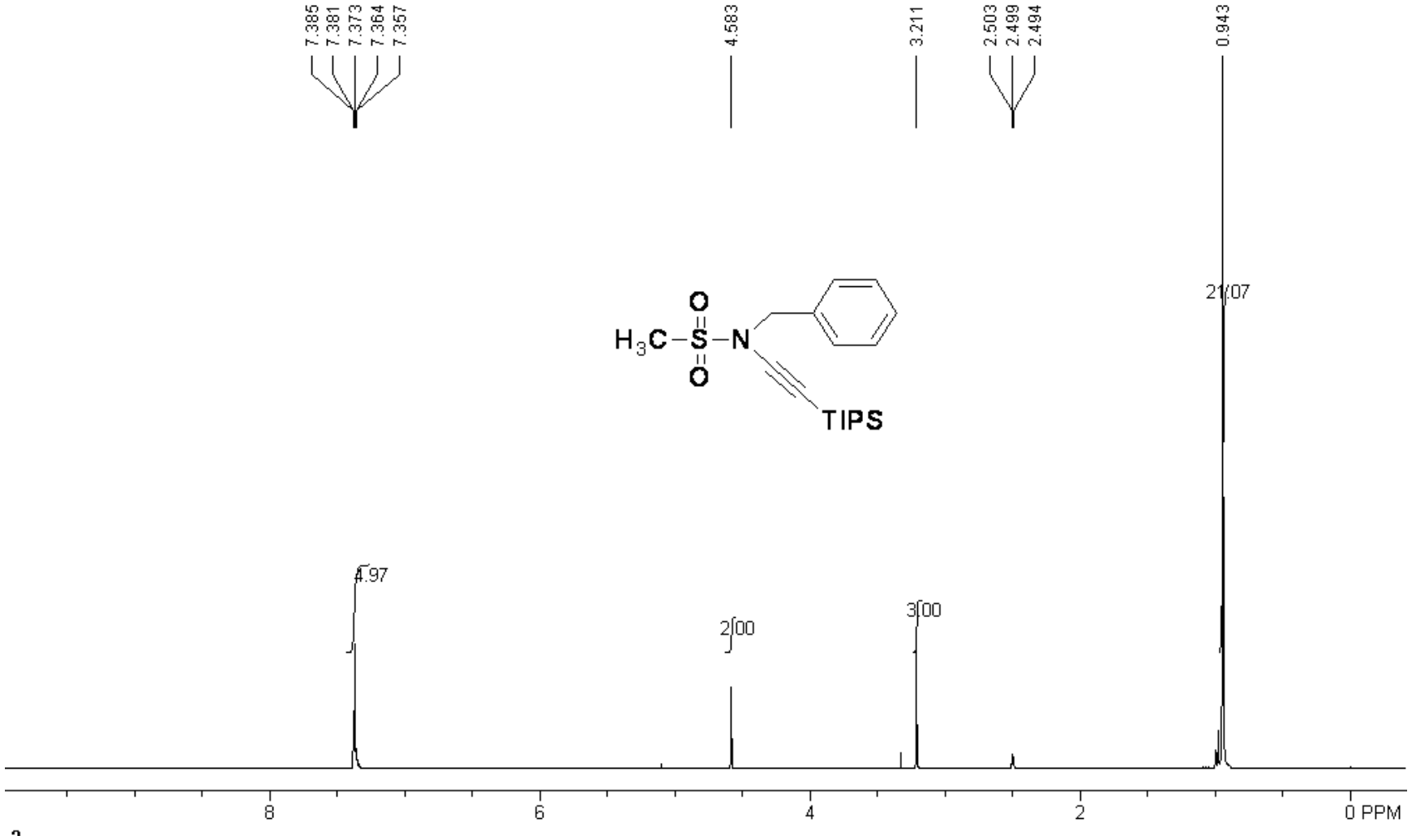
N-benzyl-2-(triisopropylsilyl)-*N*-tosylethynamine [**Table 3, entry 18]**



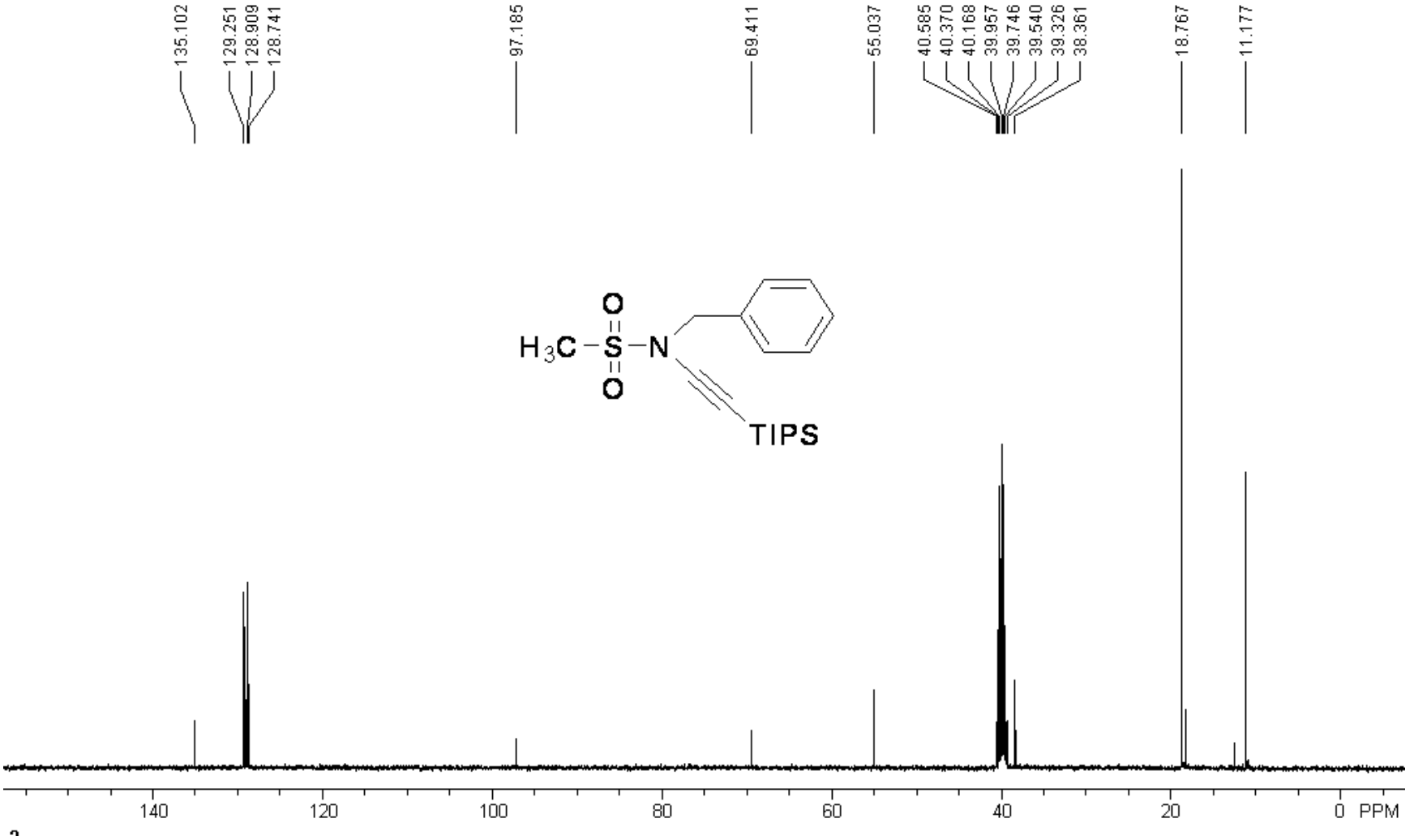
N-benzyl-2-(triisopropylsilyl)-*N*-tosylethynamine [**Table 3, entry 18]**



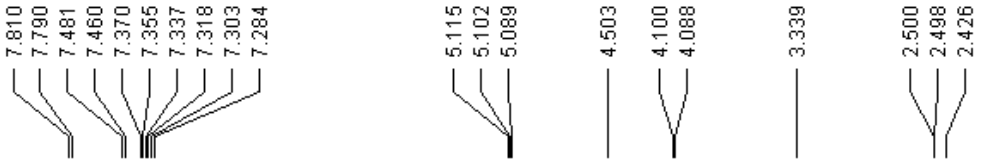
N-(1-triisopropylsilyl)ethynyl-*N*-methanesulfonylbenzylamine [**Table 3, entry 19]**

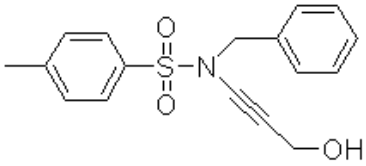


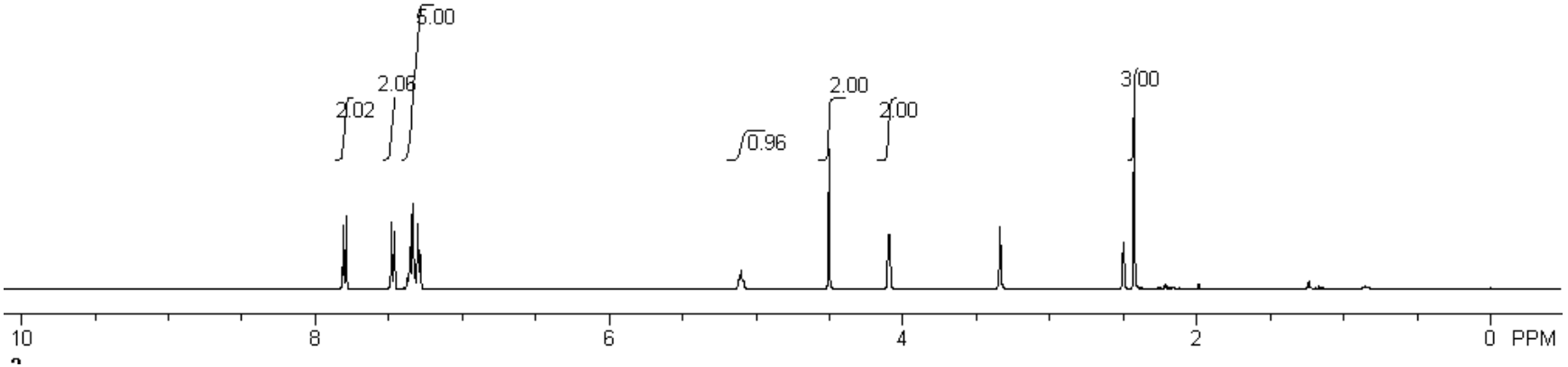
N-(1-triisopropylsilyl)ethynyl-*N*-methanesulfonylbenzylamine [**Table 3, entry 19]**



3-(*N*-benzyl-*N*-tosylamino)prop-2-yn-1-ol [**Table 3, entry 20]**







3-(*N*-benzyl-*N*-tosylamino)prop-2-yn-1-ol [**Table 3, entry 20]**