Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Supplementary Information

Hexylsilane-mediated direct amidation of amino acids with catalytic amount of 1,2,4-triazole

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List of abbreviation

Ph	phenyl
DMF	*N,N*-dimethylformamide
Me	methyl
Et	ethyl
DIPEA	diisopropylethylamine
NMM	*N*-methylmorphorine
TBS	*tert*-butyldimethylsilyl
^{t}Bu	*tert*-butyl
Bn	benzyl
Cbz	benzyloxycarbonyl
Trt	triphenylmethyl
Boc	*tert*-butyloxycarbonyl

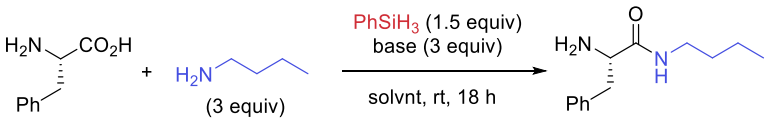
1. General Information

The chemicals were purchased from Tokyo Chemical Industry Co., Ltd., FUJIFILM Wako Pure Chemical Corporation, Nacalai Tesque, Sigma-Aldrich, Watanabe Chemical Industries, Ltd. and Combi-Blocks. Phe, Ala, Pro, Ser, and Sar purchased from FUJIFILM Wako Pure Chemical Corporation and Gly and H-Glu-(OtBu)-OH purchased from Tokyo Chemical Industry Co., Ltd. were used after grinding with mortar and pestle. Dry solvents were purchased from FUJIFILM Wako Pure Chemical Corporation and Kanto Kagaku Co., Ltd. Flash column chromatography was carried out on a Biotage Isolera One using SNAP cartridges. Melting points were measured with an AS ONE ATM-02. Optical rotations were measured with a JASCO P-2200. ^{1}H NMR and ^{13}C NMR spectra were obtained on a JEOL ECA500 spectrometer (500 MHz for ^{1}H NMR and 125.65 MHz for ^{13}C NMR). Chemical shifts (δ) are reported in parts per million (ppm) downfield from internal Me_4Si. Chiral HPLC analysis was carried out on Shimadzu CBM-20A/DGU-20A_{3R}/LC-20AD/SIL-20AC/CTO-20AC/SPD-M20A. HRMS were measured with a Shimadzu LCMS-IT-TOF.

2. Optimization of reaction conditions

We first investigated the solvent and base for the direct amidation of amino acids using hydrosilane as a coupling reagent. We conducted the condensation of L-phenyl alanine **1a** as a test substrate and 3 equivalent of butylamine **2a** with a 1.5 equivalent of phenylsilane and 3 equivalent of base at room temperature. The results showed that acetonitrile and 1,2,4-triazole were the best solvent and base, respectively (Table S1).

Table S1



entry	solvent	base	yield (%)[a]
1	toluene	imidazole	18
2	CH_2Cl_2	imidazole	20
3	DMF	imidazole	1
4	MeOH	imidazole	0
5	MeCN	imidazole	25
6	MeCN	Et_3N	5
7	MeCN	DIPEA	4
8	MeCN	NMM	4
9	MeCN	pyridine	4
10	MeCN	1,2,4-triazole	35
11	MeCN	–	5

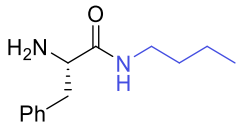
[a] ^{1}H-NMR yields.

3. Experimental procedure and characterization data

General procedure for syntheses of α-amino amides shown in Scheme 2 and 3

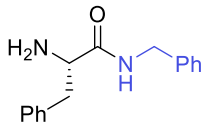
L-Phenylalanine **1a** (33.0 mg, 0.2 mmol), butylamine **2a** (59.6 μL, 0.6 mmol), and 1,2,4-triazole (2.8 mg, 0.04 mmol) were suspended in dry CH_3CN (0.5 mL). Hexylsilane (48.4 μL, 0.3 mmol) was added at room temperature. The reaction mixture was stirred for 18 h at 40 ˚C and then quenched with sat. $NaHCO_3$. Subsequently, the reaction mixture was extracted using ethyl acetate (×2), and the combined organic layer was dried over Na_2SO_4 and concentrated *in vacuo*. The crude product purification by flash chromatography on silica gel (CH_2Cl_2/MeOH/triethylamine = 90/10/0.1) provided (S)-2-amino-*N*-butyl-3-phenylpropanamide **3aa** (36.3 mg, 82%).

(*S*)-2-amino-*N*-butyl-3-phenylpropanamide (**3aa**)[1]



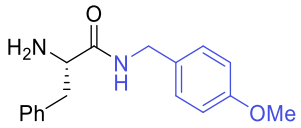
White solid; m.p. 45-47 ºC; 36.3 mg, 82% yield; $[\alpha]^{24}_D$ = –69.2 (c 1.00, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.33-7.30 (m, 2H), 7.27-7.22 (m, 4H), 3.59 (dd, J = 9.3, 4.2 Hz, 1H), 3.29-3.23 (m, 3H), 2.69 (dd, J = 13.7, 9.3 Hz, 1H), 1.50-1.44 (m, 2H), 1.36-1.29 (m, 4H), 0.92 (t, J = 7.4 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.0, 138.0, 129.2, 128.6, 126.7, 56.4, 41.0, 38.7, 31.6, 20.0, 13.7; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 14.5 min (minor), 19.9 min (major); HRMS (ESI) *m/z* calcd for $C_{13}H_{21}N_2O$ $(M+H)^+$ 221.1648, found 221.1640.

(*S*)-2-amino-*N*-benzyl-3-phenylpropanamide (**3ab**)[2]



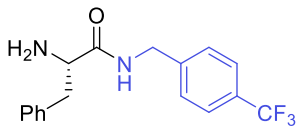
White solid; m.p. 67-68 ºC; 43.7 mg, 86% yield; $[\alpha]^{28}_D$ = –61.9 (c 1.51, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.59 (brs, 1H), 7.33-7.20 (m, 10H), 4.48-4.39 (m, 2H), 3.65 (dd, J = 9.2, 4.2 Hz, 1H), 3.28 (dd, J = 13.7, 4.2 Hz, 1H), 2.75 (dd, J = 13.7, 9.2 Hz, 1H), 1.41 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.0, 138.3, 137.8, 129.3, 128.6, 128.6, 127.7, 127.3, 126.7, 56.4, 43.1, 41.0; HRMS (ESI) *m/z* calcd for $C_{16}H_{19}N_2O$ $(M+H)^+$ 255.1492, found 255.1503.

(*S*)-2-amino-*N*-(4-methoxybenzyl)-3-phenylpropanamide (**3ac**)[3]



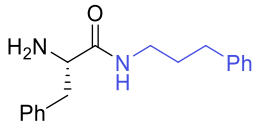
White solid; m.p. 86-87 °C; 47.0 mg, 83% yield; $[\alpha]^{28}_{D}$ = –60.6 (c 1.60, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.50 (brs, 1H), 7.32-7.28 (m, 2H), 7.26-7.20 (m, 3H), 7.17-7.14 (m, 2H), 6.86-6.83 (m, 2H), 4.40-4.33 (m, 2H), 3.78 (s, 3H), 3.63 (dd, J = 9.2, 4.2 Hz, 1H), 3.28 (dd, J = 13.7, 4.2 Hz, 1H), 2.73 (dd, J = 13.7, 9.2 Hz, 1H), 1.40 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.9, 158.9, 137.8, 130.4, 129.3, 129.0, 128.6, 126.7, 113.9, 56.4, 55.2, 42.5, 41.0; HRMS (ESI) *m/z* calcd for $C_{17}H_{21}N_2O_2$ $(M+H)^+$ 285.1598, found 285.1599.

(*S*)-2-amino-3-phenyl-*N*-(4-(trifluoromethyl)benzyl)propenamide (**3ad**)



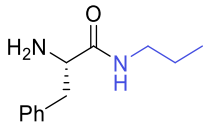
White solid; m.p. 80-82 °C; 27.9 mg, 43% yield; $[\alpha]^{28}_{D}$ = –58.4 (c 0.96, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.73 (brs, 1H), 7.57 (d, J = 8.0 Hz, 2H), 7.34-7.24 (m, 5H), 7.22-7.21 (m, 2H), 4.53 (dd, J = 15.2, 6.2 Hz, 1H), 4.46 (dd, J = 15.2, 6.2 Hz, 1H), 3.68 (dd, J = 8.9, 4.2 Hz, 1H), 3.28 (dd, J = 13.7, 4.2 Hz, 1H), 2.79 (dd, J = 13.7, 8.9 Hz, 1H), 1.45 (brs, 2H); ^{13}C{^{1}H,^{19}F}-NMR (126 MHz, $CDCl_3$) δ 174.3, 142.5, 137.6, 129.6, 129.3, 128.7, 127.8, 126.9, 125.5, 124.1, 56.3, 42.6, 40.9; ^{19}F-NMR (471 MHz, $CDCl_3$) δ –62.4; HRMS (ESI) *m/z* calcd for $C_{17}H_{18}F_3N_2O$ $(M+H)^+$ 323.1366, found 323.1364.

(*S*)-2-amino-3-phenyl-*N*-(3-phenylpropyl)propenamide (**3ae**)



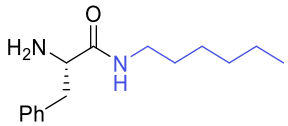
White solid; m.p. 37-38 °C; 47.6 mg, 84% yield; $[\alpha]^{24}_{D}$ = –57.6 (c 1.57, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.25-7.09 (m, 11H), 3.48 (dd, J = 9.3, 4.2 Hz, 1H), 3.24-3.15 (m, 3H), 2.62-2.53 (m, 3H), 1.78-1.72 (m, 2H), 1.25 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.0, 141.5, 137.9, 129.2, 128.6, 128.4, 128.3, 126.7, 125.9, 56.4, 40.9, 38.6, 33.2, 31.0; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 17.9 min (minor), 24.1 min (major); HRMS (ESI) *m/z* calcd for $C_{18}H_{23}N_2O$ $(M+H)^+$ 283.1805, found 283.1806.

(*S*)-2-amino-3-phenyl-*N*-propylpropanamide (**3af**)



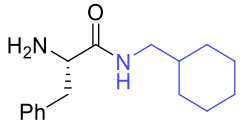
White solid; m.p. 38-40 °C; 24.6 mg, 60% yield; $[\alpha]^{24}_{D}$ = –74.2 (c 0.84, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.26-7.15 (m, 6H), 3.53 (dd, J = 9.4, 4.1 Hz, 1H), 3.20 (dd, J = 13.7, 4.1 Hz, 1H), 3.14 (ddd, J = 13.1, 7.1, 4.2 Hz, 2H), 2.62 (dd, J = 13.7, 9.4 Hz, 1H) 1.48-1.40 (m, 2H), 1.32 (brs, 2H), 0.83 (t, J = 7.4 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.0, 137.9, 129.2, 128.6, 126.7, 56.4, 41.0, 40.7, 22.8, 11.3; HRMS (ESI) *m/z* calcd for $C_{12}H_{19}N_2O$ $(M+H)^+$ 207.1492, found 207.1498.

(*S*)-2-amino-*N*-hexyl-3-phenylpropanamide (**3ag**)[1]



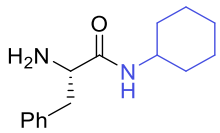
White solid; m.p. 42-43 °C; 40.1 mg, 81% yield; $[\alpha]^{24}_{D}$ = –63.3 (c 1.34, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.31-7.28 (m, 2H), 7.24-7.20 (m, 4H), 3.58 (dd, J = 9.3, 4.2 Hz, 1H), 3.27-3.20 (m, 3H), 2.68 (dd, J = 13.7, 9.3 Hz, 1H), 1.47-1.43 (m, 2H), 1.38 (brs, 2H), 1.31-1.25 (m, 6H), 0.87 (t, J = 6.8 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.9, 137.9, 129.2, 128.6, 126.7, 56.4, 41.0, 39.0, 31.4, 29.4, 26.5, 22.5, 14.0; HRMS (ESI) *m/z* calcd for $C_{15}H_{25}N_2O$ $(M+H)^+$ 249.1961, found 249.1957.

(*S*)-2-amino-*N*-(cyclohexylmethyl)-3-phenylpropanamide (**3ah**)



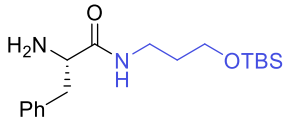
White solid; m.p. 86-87 °C; 44.1 mg, 85% yield; $[\alpha]^{24}_{D}$ = –63.7 (c 1.45, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.31-7.28 (m, 3H), 7.23-7.19 (m, 3H), 3.58 (dd, J = 9.2, 4.2 Hz, 1H), 3.24 (dd, J = 13.7, 4.2 Hz, 1H), 3.12-3.02 (m, 2H), 2.69 (dd, J = 13.7, 9.2 Hz, 1H), 1.71-1.62 (m, 5H), 1.44-1.37 (m, 3H), 1.24-1.08 (m, 3H), 0.91-0.84 (m, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.0, 138.0, 129.3, 128.6, 126.7, 56.5, 45.3, 41.1, 37.8, 30.8, 26.4, 25.8; HRMS (ESI) *m/z* calcd for $C_{16}H_{25}N_2O$ $(M+H)^+$ 261.1961, found 261.1956.

(*S*)-2-amino-*N*-cyclohexyl-3-phenylpropanamide (**3ai**)[3]



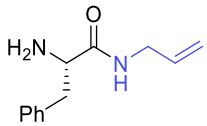
White solid; m.p. 100 °C; 28.3 mg, 57% yield; $[\alpha]^{23}_{D}$ = +26.8 (c 0.76, MeOH); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.32-7.29 (m, 2H), 7.25-7.21 (m, 3H), 7.07 (d, J = 7.9 Hz, 1H), 3.78-3.70 (m, 1H), 3.57 (dd, J = 9.1, 4.4 Hz, 1H), 3.23 (dd, J = 13.7, 4.4 Hz, 1H), 2.70 (dd, J = 13.7, 9.1 Hz, 1H), 1.84 (dd, J = 12.5, 2.4 Hz, 2H), 1.69-1.65 (m, 2H), 1.69-1.652 (m, 1H), 1.47 (brs, 2H), 1.40-1.31 (m, 2H), 1.19-1.07 (m, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.0, 137.9, 129.3, 128.6, 126.7, 56.3, 47.5, 41.0, 33.0, 32.9, 25.5, 24.7; HRMS (ESI) *m/z* calcd for $C_{15}H_{23}N_2O$ $(M+H)^+$ 247.1805, found 247.1807.

(*S*)-2-amino-*N*-(3-((*tert*-butyldimethylsilyl)oxy)propyl)-3-phenylpropanamide (**3aj**)



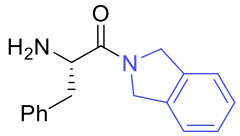
White solid; m.p. 44-47 °C; 55.6 mg, 83% yield; $[\alpha]^{24}_{D}$ = –41.1 (c 1.90, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.45 (brs, 1H), 7.31-7.28 (m, 2H), 7.24-7.20 (m, 3H), 3.66 (t, J = 5.9 Hz, 2H), 3.56 (dd, J = 9.4, 4.2 Hz, 1H), 3.35 (q, J = 6.3 Hz, 2H), 3.25 (dd, J = 13.7, 4.2 Hz, 1H), 2.67 (dd, J = 13.7, 9.4 Hz, 1H), 1.72-1.67 (m, 2H), 1.43 (brs, 1H), 1.20-1.34 (br, 1H), 0.88 (s, 9H), 0.04 (s, 6H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.1, 138.0, 129.2, 128.6, 126.7, 61.6, 56.5, 41.1, 36.9, 31.9, 25.9, 18.3, –5.4; HRMS (ESI) *m/z* calcd for $C_{18}H_{33}N_2O_2Si$ $(M+H)^+$ 337.2306, found 337.2303.

(*S*)-*N*-allyl-2-amino-3-phenylpropanamide (**3ak**)[1]



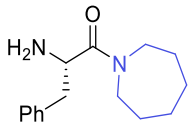
White solid; m.p. 58-60 °C; 23.0 mg, 56% yield; $[\alpha]^{24}_{D}$ = –73.8 (c 0.75, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.38 (brs, 1H), 7.32-7.28 (m, 2H), 7.25-7.20 (m, 3H), 5.84-5.77 (m, 1H), 5.15-5.08 (m, 2H), 3.91-3.84 (m, 2H), 3.62 (dd, J = 9.4, 4.1 Hz, 1H), 3.27 (dd, J = 13.7, 4.1 Hz, 1H), 2.69 (dd, J = 13.7, 9.4 Hz, 1H), 1.43 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.9, 137.8, 134.2, 129.3, 128.7, 126.8, 116.1, 56.4, 41.4, 41.0; HRMS (ESI) *m/z* calcd for $C_{12}H_{17}N_2O$ $(M+H)^+$ 205.1335, found 205.1338.

(*S*)-2-amino-1-(isoindolin-2-yl)-3-phenylpropan-1-one (**3am**)



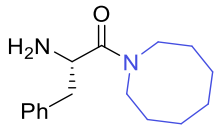
Brown oil; 46.1 mg, 87% yield; $[\alpha]^{24}_{D}$ = –24.0 (c 1.00, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.30-7.24 (m, 7H), 7.20-7.15 (m, 2H), 4.86-4.81 (m, 2H), 4.74 (dd, J = 15.9, 1.4 Hz, 1H), 4.30 (dd, J = 13.5, 2.0 Hz, 1H), 3.83 (t, J = 7.2 Hz, 1H), 3.05 (dd, J = 13.3, 6.7 Hz, 1H), 2.84 (dd, J = 13.3, 7.4 Hz, 1H), 1.70 (s, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.6, 137.6, 136.0, 135.9, 129.2, 128.5, 127.8, 127.5, 126.8, 122.9, 122.5, 55.0, 52.2, 51.9, 42.6; HRMS (ESI) *m/z* calcd for $C_{17}H_{19}N_2O$ $(M+H)^+$ 267.1492, found 267.1495.

(*S*)-2-amino-1-(azepan-1-yl)-3-phenylpropan-1-one (**3an**)



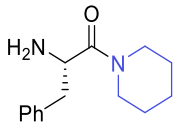
Colorless oil; 19.0 mg, 39% yield; $[\alpha]^{25}_{D}$ =+42.9 (c 0.48, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.31-7.27 (m, 2H), 7.24-7.20 (m, 3H), 3.88 (t, J = 6.9 Hz, 1H), 3.61-3.56 (m, 1H), 3.45-3.40 (m, 1H), 3.37-3.32 (m, 1H), 3.22-3.16 (m, 1H), 3.00 (dd, J = 13.2, 6.9 Hz, 1H), 2.76 (dd, J = 13.2, 7.5 Hz, 1H), 1.82 (brs, 2H), 1.77-1.69 (m, 1H), 1.66-1.58 (m, 2H), 1.56-1.45 (m, 4H), 1.38-1.30 (m, 1H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.3, 138.0, 129.4, 128.5, 126.6, 53.0, 47.3, 46.1, 42.9, 29.1, 27.5, 26.8, 26.7; HRMS (ESI) *m/z* calcd for $C_{15}H_{23}N_2O$ $(M+H)^+$ 247.1805, found 247.1801.

(*S*)-2-amino-1-(azocan-1-yl)-3-phenylpropan-1-one (**3ao**)



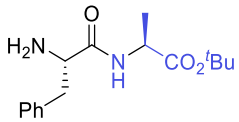
Colorless oil; 15.1 mg, 29% yield; $[\alpha]^{25}_{D}$ = +92.5 (c 0.35, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.31-7.20 (m, 5H), 3.87 (t, J = 7.2 Hz, 1H), 3.62-3.57 (m, 1H), 3.32-3.26 (m, 2H), 3.19-3.14 (m, 1H), 3.02 (dd, J = 13.2, 6.3 Hz, 1H), 2.75 (dd, J = 13.2, 7.5 Hz, 1H), 1.79-1.73 (m, 3H), 1.71-1.39 (m, 9H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.4, 138.0, 129.4, 128.5, 126.6, 53.3, 48.4, 47.6, 42.8, 28.0, 26.8, 25.9, 25.5, 25.3; HRMS (ESI) *m/z* calcd for $C_{16}H_{25}N_2O$ $(M+H)^+$ 261.1961, found 261.1958.

(*S*)-2-amino-3-phenyl-1-(piperidin-1-yl)propan-1-one (**3ai**)[4]



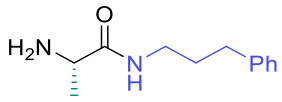
Colorless oil; 6.8 mg, 15% yield; $[\alpha]^{27}_{D}$ = +40.5 (c 0.97, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.31-7.27 (m, 2H), 7.24-7.19 (m, 3H), 3.96 (t, J = 6.9 Hz, 1H), 3.59-3.48 (m, 2H), 3.31-3.26 (m, 1H), 3.15-3.10 (m, 1H), 2.93 (dd, J = 13.2, 6.9 Hz, 1H), 2.76 (dd, J = 13.8, 7.5 Hz, 1H), 1.79 (brs, 2H), 1.58-1.41 (m, 5H), 1.15-1.10 (m, 1H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 172.9, 137.8, 129.3, 128.5, 126.6, 52.3, 46.2, 43.0, 42.9, 26.1, 25.4, 24.4; HRMS (ESI) *m/z* calcd for $C_{14}H_{21}N_2O$ $(M+H)^+$ 233.1648, found 233.1646.

tert-butyl L-phenylalanyl-L-alaninate (**3aq**)[5]



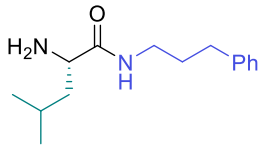
White solid; 40-43 °C; 19.2 mg, 33% yield; $[\alpha]^{27}_{D}$ = –52.4 (c 0.65, $CHCl_3$); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.77 (d, J = 7.6 Hz, 1H), 7.31 (t, J = 7.3 Hz, 2H), 7.25-7.22 (m, 3H), 4.49-4.43 (m, 1H), 3.66 (dd, J = 9.2, 4.1 Hz, 1H), 3.25 (dd, J = 13.7, 4.1 Hz, 1H), 2.74 (dd, J = 13.7, 9.2 Hz, 1H), 1.73 (brs, 2H), 1.46 (s, 9H), 1.36 (d, J = 7.2 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.7, 172.2, 137.8, 129.3, 128.6, 126.8, 81.8, 56.2, 48.2, 40.9, 27.9, 18.6; HRMS (ESI) *m/z* calcd for $C_{16}H_{24}N_2NaO_3$ $(M+Na)^+$ 315.1679, found 315.1687.

(*S*)-2-amino-*N*-(3-phenylpropyl)propenamide (**3be**)



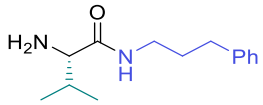
Colorless oil; 16.4 mg, 40% yield; $[\alpha]^{25}_{D}$ = –12.2 (c 0.33, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.53 (brs, 1H), 7.27-7.24 (m, 2H), 7.20-7.09 (m, 3H), 3.71-3.68 (m, 1H), 3.49 (brs, 2H), 3.33-3.20 (m, 2H), 2.63 (t, J = 7.7 Hz, 2H), 1.87-1.81 (m, 2H), 1.37 (d, J = 6.9 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.2, 141.5, 128.4, 128.4, 125.9, 50.5, 38.8, 33.2, 31.0, 20.8; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 12.2 min (minor), 18.1 min (major); HRMS (ESI) *m/z* calcd for $C_{12}H_{18}N_2NaO$ $(M+Na)^+$ 229.1311, found 229.1310.

(*S*)-2-amino-4-methyl-*N*-(3-phenylpropyl)pentanamide (**3ce**)



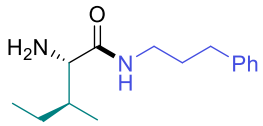
Colorless oil; 37.4 mg, 75% yield; $[\alpha]^{25}_{D}$ = –32.2 (c 0.88, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.30-7.27 (m, 3H), 7.20-7.17 (m, 3H), 3.34 (dd, J = 10.0, 3.7 Hz, 1H), 3.29 (q, J = 6.7 Hz, 2H), 2.66 (t, J = 7.7 Hz, 2H), 1.88-1.82 (m, 2H), 1.74-1.66 (m, 2H), 1.36-1.26 (m, 3H), 0.96 (d, J = 6.3 Hz, 3H), 0.93 (d, J = 6.3 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 175.4, 141.5, 128.4, 128.3, 125.9, 53.4, 44.0, 38.6, 33.3, 31.2, 24.8, 23.4, 21.3; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 10.5 min (minor), 18.3 min (major); HRMS (ESI) *m/z* calcd for $C_{15}H_{25}N_2O$ $(M+H)^+$ 249.1961, found 249.1961.

(*S*)-2-amino-3-methyl-*N*-(3-phenylpropyl)butanamide (**3de**)



Colorless oil; 25.3 mg, 54% yield; $[\alpha]^{25}_{D}$ = –32.2 (c 0.60, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.32 (brs, 1H), 7.30-7.27 (m, 2H), 7.20-7.18 (m, 3H), 3.37-3.24 (m, 2H), 3.20 (d, J = 4.0 Hz, 1H), 2.66 (t, J = 7.7 Hz, 2H), 2.34-2.27 (m, 1H), 1.88-1.82 (m, 2H), 1.31 (brs, 2H), 0.98 (d, J = 6.9 Hz, 3H), 0.81 (d, J = 6.9 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.2, 141.5, 128.4, 128.3, 125.9, 60.1, 38.6, 33.3, 31.3, 30.7, 19.7, 15.9; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 12.0 min (minor), 22.4 min (major); HRMS (ESI) *m/z* calcd for $C_{14}H_{23}N_2O$ $(M+H)^+$ 235.1805, found 235.1806.

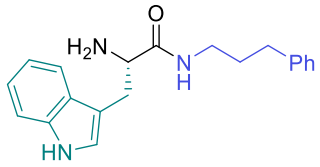
(2*S*,3*S*)-2-amino-3-methyl-*N*-(3-phenylpropyl)pentanamide (**3ee**)



Colorless oil; 26.1 mg, 53% yield; $[\alpha]^{25}_{D}$ = –25.2 (c 0.96, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.34 (brs, 1H), 7.28 (t, J = 7.4 Hz, 2H), 7.18 (d, J = 7.4 Hz, 3H), 3.36-3.23 (m, 3H), 2.66 (t, J = 7.7 Hz, 2H), 2.01-1.98 (m, 1H), 1.88-1.82 (m, 2H), 1.38-1.33 (m, 3H), 1.12-1.03 (m, 1H), 0.96 (d, J = 6.9 Hz, 3H), 0.89 (t, J = 7.2 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.2, 141.5, 128.4, 128.3, 125.9, 59.9, 38.6, 37.8, 33.4, 31.3, 23.5, 16.2, 11.9; HRMS (ESI)

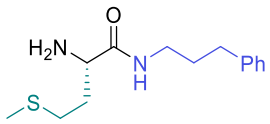
m/*z* calcd for $C_{15}H_{25}N_2O$ $(M+H)^+$ 249.1961, found 249.1958.

(*S*)-2-amino-3-(1*H*-indol-3-yl)-*N*-(3-phenylpropyl)propenamide (**3fe**)



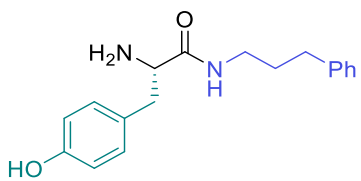
Pale yellow oil; 54.0 mg, 84% yield; $[\alpha]^{25}_D$ = –49.1 (c 1.12, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 8.51 (brs, 1H), 7.65 (d, J = 7.9 Hz, 1H), 7.35 (d, J = 8.0 Hz, 1H), 7.27-7.24 (m, 3H), 7.20-7.08 (m, 5H), 7.02 (d, J = 2.0 Hz, 1H), 3.67 (dd, J = 8.9, 4.0 Hz, 1H), 3.36 (dd, J = 14.5, 4.0 Hz, 1H), 3.28 (q, J = 6.7 Hz, 2H), 2.90 (dd, J = 14.5, 8.9 Hz, 1H), 2.58 (t, J = 7.7 Hz, 2H), 1.81-1.76 (m, 2H), 1.36 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.8, 141.5, 136.4, 128.4, 128.3, 127.5, 125.9, 123.1, 122.1, 119.5, 118.9, 111.6, 111.3, 55.6, 38.7, 33.2, 31.0, 30.8; HPLC (DAICEL CHIRALPAK IC): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 23.8 min (minor), 37.5 min (major); HRMS (ESI) *m*/*z* calcd for $C_{20}H_{24}N_3O$ $(M+H)^+$ 322.1914, found 322.1914.

(*S*)-2-amino-4-(methylthio)-*N*-(3-phenylpropyl)butanamide (**3ge**)



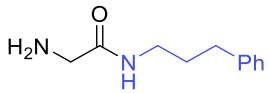
Colorless oil; 83%, 44.2 mg; $[\alpha]^{25}_D$ = –19.8 (c 1.14, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.30-7.18 (m, 6H), 3.45 (dd, J = 8.0, 4.6 Hz, 1H), 3.29 (dd, J = 13.2, 6.3 Hz, 2H), 2.66 (t, J = 7.4 Hz, 2H), 2.59 (t, J = 7.4 Hz, 2H), 2.18-2.10 (m, 4H), 1.89-1.83 (m, 2H), 1.78-1.70 (m, 1H), 1.44 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.3, 141.4, 128.4, 128.3, 125.9, 54.2, 38.7, 34.0, 33.3, 31.1, 30.6, 15.2; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 20.5 min (minor), 26.6 min (major); HRMS (ESI) *m*/*z* calcd for $C_{14}H_{23}N_2OS$ $(M+H)^+$ 267.1526, found 267.1528.

(*S*)-2-amino-3-(4-hydroxyphenyl)-*N*-(3-phenylpropyl)propenamide (**3he**)



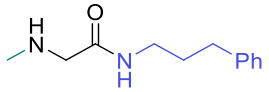
Colorless oil; 35.2 mg, 59% yield; $[\alpha]^{25}_{D}$ = –63.8 (c 0.79, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.29-7.25 (m, 3H), 7.18-7.14 (m, 3H), 7.00 (d, J = 8.4 Hz, 2H), 6.81 (d, J = 8.4 Hz, 2H), 3.51 (dd, J = 9.1, 4.2 Hz, 1H), 3.28 (q, J = 6.7 Hz, 2H), 3.10 (dd, J = 13.9, 4.2 Hz, 1H), 2.62-2.56 (m, 3H), 1.84-1.79 (m, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.8, 155.6, 141.4, 130.3, 128.5, 128.4, 128.3, 125.9, 115.7, 56.4, 40.1, 38.9, 33.2, 30.9; HPLC (DAICEL CHIRALPAK IC): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 15.1 min (minor), 17.4 min (major) HRMS (ESI) *m/z* calcd for $C_{18}H_{23}N_2O_2$ $(M+H)^+$ 299.1754, found 299.1755.

2-amino-*N*-(3-phenylpropyl)acetamide (**3je**)



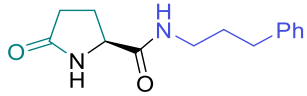
Colorless oil; 2.9 mg, 8% yield. ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.33 (brs, 1H), 7.29-7.25 (m, 2H), 7.19-7.17 (m, 3H), 3.38 (s, 2H), 3.31 (q, J = 6.5 Hz, 2H), 2.65 (t, J = 7.7 Hz, 2H), 2.15 (brs, 2H), 1.88-1.83 (m, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 171.9, 141.5, 128.4, 128.4, 125.9, 44.4, 38.7, 33.3, 31.1; HRMS (ESI) *m/z* calcd for $C_{11}H_{17}N_2O$ $(M+H)^+$ 193.1335, found 193.1338.

2-(methylamino)-*N*-(3-phenylpropyl)acetamide (**3ke**)



Colorless oil; 15.0 mg, 36% yield; ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.29-7.27 (m, 3H), 7.20-7.17 (m, 3H), 3.31 (q, J = 6.9 Hz, 2H), 3.26 (s, 2H), 2.66 (t, J = 7.7 Hz, 2H), 2.63 (brs, 1H), 2.43 (s, 3H), 1.89-1.83 (m, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 170.7, 141.4, 128.4, 128.3, 125.9, 54.1, 38.5, 36.4, 33.2, 31.2; HRMS (ESI) *m/z* calcd for $C_{12}H_{19}N_2O$ $(M+H)^+$ 207.1492, found 207.1499.

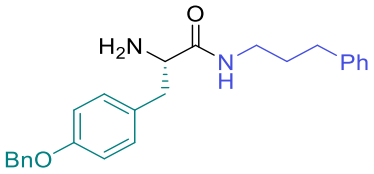
(*S*)-5-oxo-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (**3le**)



White solid; m.p. 94-95 °C; 40.3 mg, 82% yield; $[\alpha]^{28}_{D}$ = –50.2 (c 0.97, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.30-7.26 (m, 2H), 7.21-7.17 (m, 3H), 6.92 (s, 1H), 6.46 (brs, 1H), 4.08-4.06 (m, 1H), 3.35 (td, J = 13.2, 7.1 Hz, 1H), 3.26 (td, J = 13.2, 7.1 Hz, 1H), 2.65 (t, J = 7.4 Hz, 2H), 2.50-2.42 (m, 1H), 2.37-2.23 (m, 2H), 2.14-2.08 (m, 1H), 1.90-1.83 (m, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 179.4, 171.9, 141.4, 128.5, 128.3, 126.1, 57.1, 39.4, 33.3,

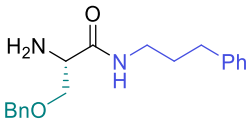
30.8, 29.3, 25.8; HPLC (DAICEL CHIRALPAK OD): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol = 90/10, wavelength: 220 nm, retention time: 52.0 min; HRMS (ESI) *m/z* calcd for $C_{14}H_{19}N_2O_2$ $(M+H)^+$ 247.1441, found 247.1445.

(*S*)-2-amino-3-(4-(benzyloxy)phenyl)-*N*-(3-phenylpropyl)propenamide (**3me**)



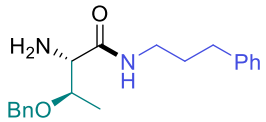
White solid; m.p. 83-84 °C; 59.2 mg, 76% yield; $[\alpha]^{25}_D$ = –46.6 (c 2.12, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.44-7.35 (m, 4H), 7.32-7.21 (m, 4H), 7.18-7.16 (m, 3H), 7.13-7.07 (m, 2H), 6.95-6.89 (m, 2H), 5.00 (s, 2H), 3.51 (dd, J = 9.0, 4.2 Hz, 1H), 3.33-3.23 (m, 2H), 3.15 (dd, J = 13.9, 4.2 Hz, 1H), 2.66-2.60 (m, 3H), 1.86-1.79 (m, 2H), 1.29 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.1, 157.6, 141.4, 136.9, 130.2, 130.0, 128.5, 128.3, 128.3, 127.9, 127.4, 125.8, 114.9, 69.9, 56.4, 40.0, 38.6, 33.2, 31.0; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 25.3 min (minor), 44.2 min (major); HRMS (ESI) *m/z* calcd for $C_{25}H_{29}N_2O_2$ $(M+H)^+$ 389.2224, found 389.2218.

(*S*)-2-amino-3-(benzyloxy)-*N*-(3-phenylpropyl)propenamide (**3ne**)



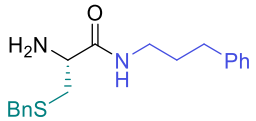
White solid; m.p. 39-40 °C; 40.1 mg, 62% yield; $[\alpha]^{25}_D$ = –17.2 (c 1.26, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.43 (brs, 1H), 7.34-7.25 (m, 7H), 7.19-7.15 (m, 3H), 4.53 (s, 2H), 3.73 (dd, J = 9.3, 4.2 Hz, 1H), 3.63 (dd, J = 9.3, 6.7 Hz, 1H), 3.54 (dd, J = 6.7, 4.2 Hz, 1H), 3.29 (q, J = 6.7 Hz, 2H), 2.64 (t, J = 7.7 Hz, 2H), 1.87-1.81 (m, 2H), 1.60 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 172.4, 141.4, 137.8, 128.4, 128.4, 128.3, 127.7, 127.7, 125.8, 73.2, 72.3, 55.0, 38.6, 33.2, 31.1; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 23.9 min (minor), 26.5 min (major); HRMS (ESI) *m/z* calcd for $C_{19}H_{25}N_2O_2$ $(M+H)^+$ 313.1911, found 313.1910.

(2*S*,3*R*)-2-amino-3-(benzyloxy)-*N*-(3-phenylpropyl)butanamide (**3oe**)



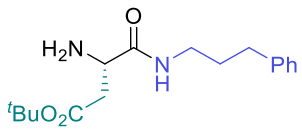
Pale yellow oil; 28.2 mg, 43% yield; $[\alpha]^{28}_{D}$ = –35.7 (c 1.15, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.57 (brs, 1H), 7.30-7.23 (m, 7H), 7.19-7.14 (m, 3H), 4.55 (d, J = 11.5 Hz, 1H), 4.45 (d, J = 11.5 Hz, 1H), 4.22 (qd, J = 6.5, 2.6 Hz, 1H), 3.35-3.27 (m, 2H), 3.19 (d, J = 2.9 Hz, 1H), 2.64 (t, J = 7.7 Hz, 2H), 1.87-1.81 (m, 2H), 1.65 (brs, 2H), 1.24 (t, J = 6.0 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.2, 141.5, 138.4, 128.4, 128.3, 128.3, 127.6, 127.5, 125.8, 75.2, 71.4, 59.1, 38.7, 33.2, 31.2, 16.9; HRMS (ESI) *m*/*z* calcd for $C_{20}H_{27}N_2O_2$ $(M+H)^+$ 327.2067, found 327.2066.

(*R*)-2-amino-3-(benzylthio)-*N*-(3-phenylpropyl)propenamide (**3pe**)



White solid; m.p. 41-43 ºC; 53.6 mg, 82% yield; $[\alpha]^{25}_{D}$ = –27.9 (c 0.96, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.35-7.22 (m, 8H), 7.19-7.16 (m, 3H), 3.71 (s, 2H), 3.39 (dd, J = 8.6, 3.9 Hz, 1H), 3.27 (q, J = 6.7 Hz, 2H), 2.97 (dd, J = 13.7, 3.9 Hz, 1H), 2.66-2.61 (m, 3H), 1.87-1.81 (m, 2H), 1.55 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.1, 141.4, 138.1, 128.8, 128.5, 128.4, 128.3, 127.1, 125.9, 53.8, 38.7, 37.3, 36.4, 33.2, 31.1; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 20.6 min (minor), 29.4 min (major); HRMS (ESI) *m*/*z* calcd for $C_{19}H_{25}N_2OS$ $(M+H)^+$ 329.1682, found 329.1677.

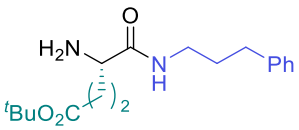
tert-butyl (*S*)-3-amino-4-oxo-4-((3-phenylpropyl)amino)butanoate (**3qe**)



Colorless oil; 46.0 mg, 75% yield; $[\alpha]^{25}_{D}$ = –17.7 (c 1.18, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.40 (brs, 1H), 7.28 (t, J = 7.4 Hz, 2H), 7.19 (d, J = 6.4 Hz, 3H), 3.61 (dd, J = 8.3, 3.7 Hz, 1H), 3.29 (q, J = 6.6 Hz, 2H), 2.83 (dd, J = 16.6, 3.7 Hz, 1H), 2.66 (t, J = 7.6 Hz, 2H), 2.49 (dd, J = 16.6, 8.3 Hz, 1H), 1.88-1.82 (m, 2H), 1.62 (brs, 2H), 1.45 (s, 9H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 173.3, 171.3, 141.5, 128.3, 128.3, 125.8, 81.0, 52.0, 40.5, 38.7, 33.2, 31.1, 28.0; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time:

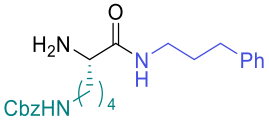
13.1 min (minor), 17.2 min (major); HRMS (ESI) *m/z* calcd for $C_{17}H_{27}N_2O_3$ $(M+H)^+$ 307.2016, found 307.2021.

tert-butyl (*S*)-4-amino-5-oxo-5-((3-phenylpropyl)amino)pentanoate (**3re**)



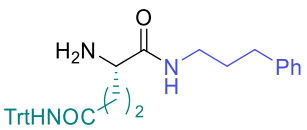
Colorless oil; 42.8 mg, 67% yield; $[\alpha]^{25}_D$ = –22.2 (c 1.00, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.30-7.27 (m, 2H), 7.23 (brs, 1H), 7.20-7.17 (m, 3H), 3.34 (dd, J = 7.4, 5.2 Hz, 1H), 3.29 (q, J = 6.7 Hz, 2H), 2.66 (t, J = 7.7 Hz, 2H), 2.37 (dd, J =16.1, 7.4 Hz, 1H), 2.31 (dd, J = 16.1, 7.4 Hz, 1H), 2.11-2.04 (m, 1H), 1.89-1.76 (m, 3H), 1.46 (brs, 2H), 1.44 (s, 9H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.3, 172.8, 141.4, 128.4, 128.3, 125.9, 80.5, 54.6, 38.6, 33.3, 32.0, 31.2, 30.3, 28.0; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 12.8 min (minor), 16.9 min (major); HRMS (ESI) *m/z* calcd for $C_{18}H_{29}N_2O_3$ $(M+H)^+$ 321.2173, found 312.2185.

benzyl (*S*)-(5-amino-6-oxo-6-((3-phenylpropyl)amino)hexyl)carbamate (**3se**)



White solid; m.p. 80-82 °C; 62.1 mg, 78% yield; $[\alpha]^{25}_D$ = –18.3 (c 1.19, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.36-7.26 (m, 8H), 7.19-7.17 (m, 3H), 5.08 (s, 2H), 4.90 (s, 1H), 3.31-3.24 (m, 3H), 3.19 (q, J = 6.3 Hz, 2H), 2.65 (t, J = 7.4 Hz, 2H), 1.87-1.78 (m, 3H), 1.68 (brs, 2H), 1.56-1.47 (m, 3H), 1.43-1.33 (m, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.7, 156.5, 141.5, 136.6, 128.5, 128.4, 128.3, 128.0, 125.9, 66.5, 54.9, 40.6, 38.7, 34.5, 33.3, 31.1, 29.7, 22.7; HPLC (DAICEL CHIRALPAK AS-3): flow rate: 1 mL/min, eluent: hexane/isopropylalcohol/diethylamine = 80/20/0.1, wavelength: 220 nm, retention time: 23.4 min (minor), 31.4 min (major); HRMS (ESI) *m/z* calcd for $C_{23}H_{32}N_3O_3$ $(M+H)^+$ 398.2438, found 398.2438.

(*S*)-2-amino-*N*^{1}-(3-phenylpropyl)-*N*^{5}-tritylpentanediamide (**3te**)



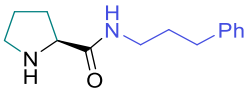
White solid; m.p. 129-134 °C; 24.3 mg, 24% yield; $[\alpha]^{25}_D$ = –11.2 (c 0.84, CH_2Cl_2); ^{1}H-NMR

(500 MHz, $CDCl_3$) δ 7.29-7.15 (m, 21H), 7.03 (s, 1H), 3.28-3.19 (m, 3H), 2.62 (t, J = 7.4 Hz, 2H), 2.43-2.40 (m, 2H), 1.95-1.78 (m, 4H), 1.45 (brs, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.6, 171.7, 144.6, 141.4, 128.6, 128.4, 128.3, 127.9, 126.9, 125.9, 70.5, 54.1, 38.6, 34.0, 33.3, 31.2, 31.1; HRMS (ESI) *m/z* calcd for $C_{33}H_{36}N_3O_2$ $(M+H)^+$ 506.2802, found 506.2793.

Procedure for synthesis of (*S*)-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (3ie) shown in Scheme 3

L-Proline **1a** (92.1 mg, 0.8 mmol), 3-phenylpropylamine **2e** (341.6 μL, 2.4 mmol), and 1,2,4-triazole (11.1 mg, 0.16 mmol) were suspended in dry CH_3CN (2 mL). Hexylsilane (193.5 μL, 11.2 mmol) was added at room temperature. The reaction mixture was stirred for 18 h at 40 ° C and then quenched with sat. $NaHCO_3$. Subsequently, the reaction mixture was extracted using ethyl acetate (×2), and the combined organic layer was dried over Na_2SO_4 and concentrated in vacuo. The crude product purification by flash chromatography on silica gel (CH_2Cl_2/MeOH/triethylamine = 95/5/0.1 to 90/10/0.1) provided (*S*)-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide **3ie** (34.0 mg, 18%).

(*S*)-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (**3ie**)



Colorless oil; 34.0 mg, 18% yield; $[\alpha]^{27}_D$ = –36.5 (c 1.13, CH_2Cl_2); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.69 (brs, 1H), 7.29-7.26 (m, 2H), 7.20-7.17 (m, 3H), 3.77 (dd, J = 9.2, 5.7 Hz, 1H), 3.28 (q, J = 6.7 Hz, 2H), 3.05-3.01 (m, 1H), 2.92-2.88 (m, 1H), 2.65 (t, J = 7.4 Hz, 2H), 2.36 (brs, 1H), 2.19-2.12 (m, 1H), 1.94-1.81 (m, 3H), 1.74-1.69 (m, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 174.6, 141.5, 128.4, 128.4, 125.9, 60.5, 47.2, 38.5, 33.3, 31.3, 30.7, 26.1; HRMS (ESI) *m/z* calcd for $C_{14}H_{21}N_2O$ $(M+H)^+$ 233.1648, found 233.1649.

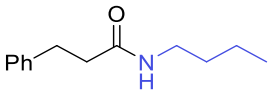
Gram scale syntheses of (*S*)-2-amino-3-(1*H*-indol-3-yl)-*N*-(3-phenylpropyl)propenamide (3fe) shown in Scheme 4

L-Tryptophan **1f** (1.0 g, 5 mmol), 3-phenylpropylamine **2e** (2.1 mL, 15 mmol), and 1,2,4-triazole (69.1 mg, 1 mmol) were suspended in dry CH_3CN (12.5 mL). Hexylsilane (1.2 mL, 7.5 mmol) was then added at room temperature. The reaction mixture was stirred for 18 h at 40 °C and then quenched with sat. $NaHCO_3$. The reaction mixture was extracted using ethyl acetate (×2). The combined organic layer was dried over Na_2SO_4 and concentrated *in vacuo*. The crude product purification by flash chromatography on silica gel (CH_2Cl_2/MeOH/triethylamine = 95/5/0.1 to 90/10/0.1) provided (*S*)-2-amino-3-(1*H*-indol-3-yl)-*N*-(3-phenylpropyl)propenamide **3fe** (1.4 g, 87%).

General procedure for syntheses of amides shown in Scheme 5a

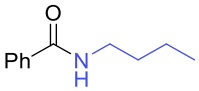
3-Phenylpropionic acid **1x** (30.0 mg, 0.2 mmol), butylamine **2a** (59.6 μL, 0.6 mmol), and 1,2,4-triazole (2.8 mg, 0.04 mmol) were dissolved in dry CH_3CN (0.5 mL), and hexylsilane (48.4 μL, 0.3 mmol) was added at room temperature. The reaction mixture was stirred for 18 h at 40 ˚C and then quenched with sat. $NaHCO_3$. The reaction mixture was extracted using ethyl acetate (×2). The combined organic layer was dried over Na_2SO_4 and concentrated *in vacuo*. The crude product purification by flash chromatography on silica gel (hexane/ethyl acetate = 70/30) provided *N*-butyl-3-phenylpropanamide **3xa** (39.2 mg, 95%).

N-butyl-3-phenylpropanamide (**3xe**)[6]



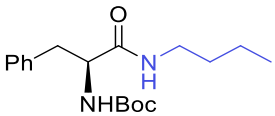
White solid; m.p. 33-35 ºC; 39.2mg, 95% yield; ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.29-7.26 (m, 2H), 7.23-7.18 (m, 3H), 5.57 (brs, 1H), 3.19 (q, J = 6.7 Hz, 2H), 2.95 (t, J = 7.7 Hz, 2H), 2.45 (t, J = 7.7 Hz, 2H), 1.43-1.37 (m, 2H), 1.29-1.21 (m, 2H), 0.88 (t, J = 7.4 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 172.0, 140.9, 128.4, 128.3, 126.1, 39.1, 38.5, 31.7, 31.5, 19.9, 13.7.

N-butylbenzamide (**3ye**)[6]



Colorless oil; 29.4 mg, 83% yield; ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.76-7.74 (m, 2H), 7.47-7.44 (m, 1H), 7.40-7.37 (m, 2H), 6.41 (s, 1H), 3.42 (td, J = 7.3, 5.9 Hz, 2H), 1.60-1.54 (m, 2H), 1.42-1.35 (m, 2H), 0.93 (t, J = 7.4 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 167.5, 134.8, 131.2, 128.4, 126.8, 39.7, 31.6, 20.1, 13.7.

tert-butyl (*S*)-(1-(butylamino)-1-oxo-3-phenylpropan-2-yl)carbamate (**3ze**)

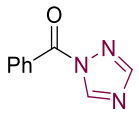


White solid; m.p. 126-127 ºC; 57.7 mg, 90% yield; $[\alpha]^{27}_D$ = +3.7 (c 1.92, $CHCl_3$); ^{1}H-NMR (500 MHz, $CDCl_3$) δ 7.29-7.19 (m, 5H), 6.13 (s, 1H), 5.32 (d, J = 6.3 Hz, 1H), 4.34 (d, J = 6.3 Hz, 1H), 3.18-3.03 (m, 4H), 1.40 (s, 9H), 1.33 (s, 2H), 1.20 (d, J = 6.9 Hz, 2H), 0.86 (t, J = 7.2 Hz, 3H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 171.1, 155.4, 136.9, 129.2, 128.4, 126.7, 79.8, 55.9, 39.0, 38.8, 31.3, 28.2, 19.8, 13.6; HRMS (ESI) *m/z* calcd for $C_{18}H_{28}N_2NaO_3$ $(M+Na)^+$ 343.1997, found 343.1992.

General procedure for syntheses of phenyl(1*H*-1,2,4-triazol-1-yl)methanone (4y) shown in Scheme 5b

Benzoic acid **1y** (24.4 mg, 0.2 mmol) and 1,2,4-triazole (41.4 mg, 0.6 mmol) were dissolved in dry CH_3CN (0.5 mL), and hexylsilane (48.4 μL, 0.3 mmol) and diisopropylethylamine (103.4 μL, 0.6 mmol) were added at room temperature. The reaction mixture was stirred for 18 h at 40 °C. The reaction mixture was concentrated *in vacuo*. The crude product purification by flash chromatography on silica gel (hexane/ethyl acetate = 50/50) provided phenyl(1*H*-1,2,4-triazol-1-yl)methanone **4y** (28.7 mg, 83%).

phenyl(1*H*-1,2,4-triazol-1-yl)methanone (**4y**)[7]



White solid; 28.7 mg, 83% yield; ^{1}H-NMR (500 MHz, $CDCl_3$) δ 9.09 (s, 1H), 8.22 (dd, J = 8.6, 1.1 Hz, 2H), 8.12 (s, 1H), 7.70-7.67 (m, 1H), 7.55 (t, J = 8.0 Hz, 2H); ^{13}C-NMR (126 MHz, $CDCl_3$) δ 164.5, 153.3, 145.8, 134.3, 131.7, 129.8, 128.5.

Procedure for synthesis of *N*-butylbenzamide (3ye) from phenyl(1*H*-1,2,4-triazol-1-yl)methanone (4y) shown in Scheme 5c

Phenyl(1*H*-1,2,4-triazol-1-yl)methanone (**4y**) (17.3 mg, 0.1 mmol) was dissolved in dry CH_3CN (0.5 mL), and butylamine **2a** (29.8 μL, 0.3 mmol) was added at room temperature. The reaction mixture was stirred for 18 h at 40 °C. The reaction mixture was concentrated *in vacuo*. The crude was analyzed through ^{1}H-NMR using 1,1,2,2-tetrachloroethane as internal standard.

4. References

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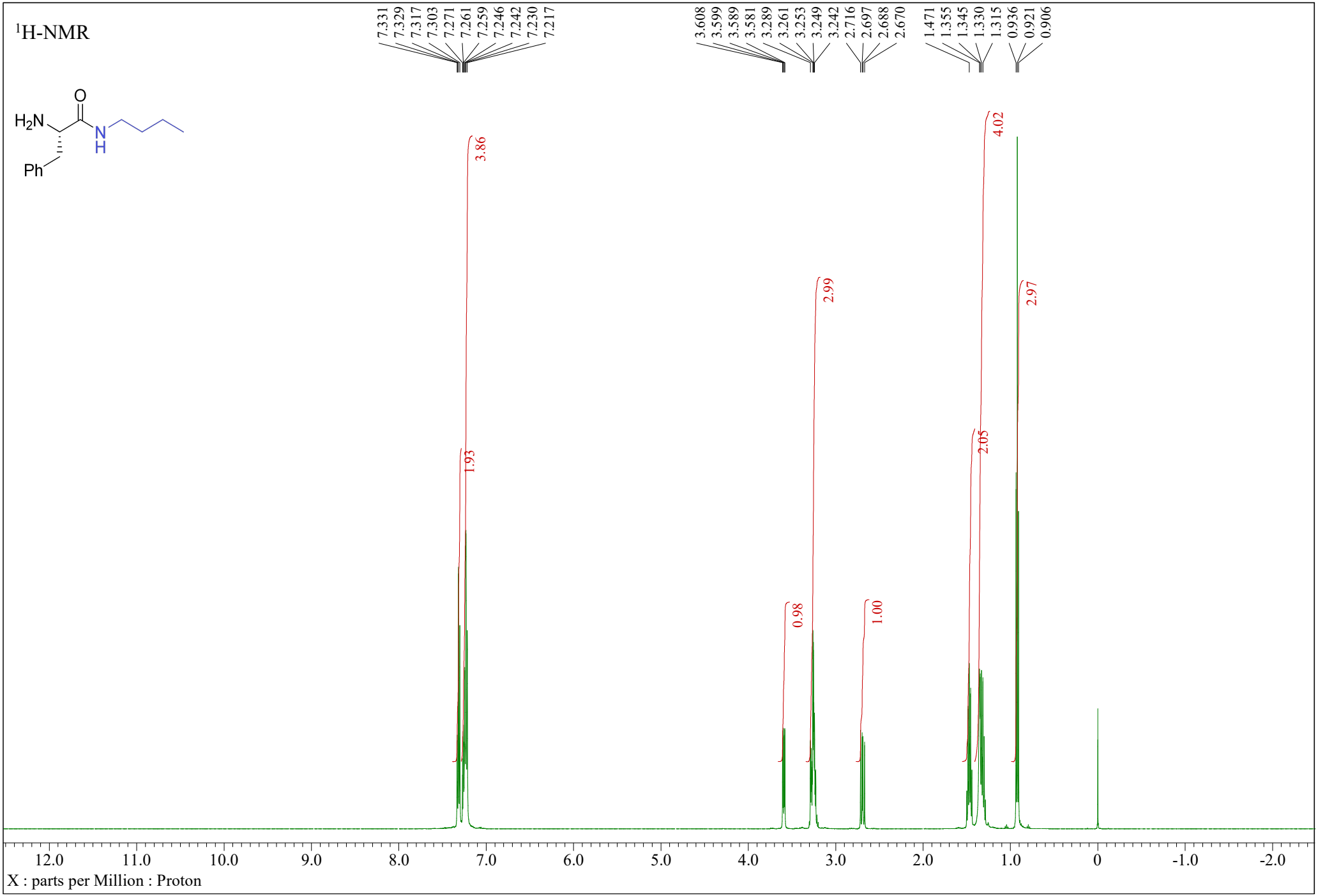
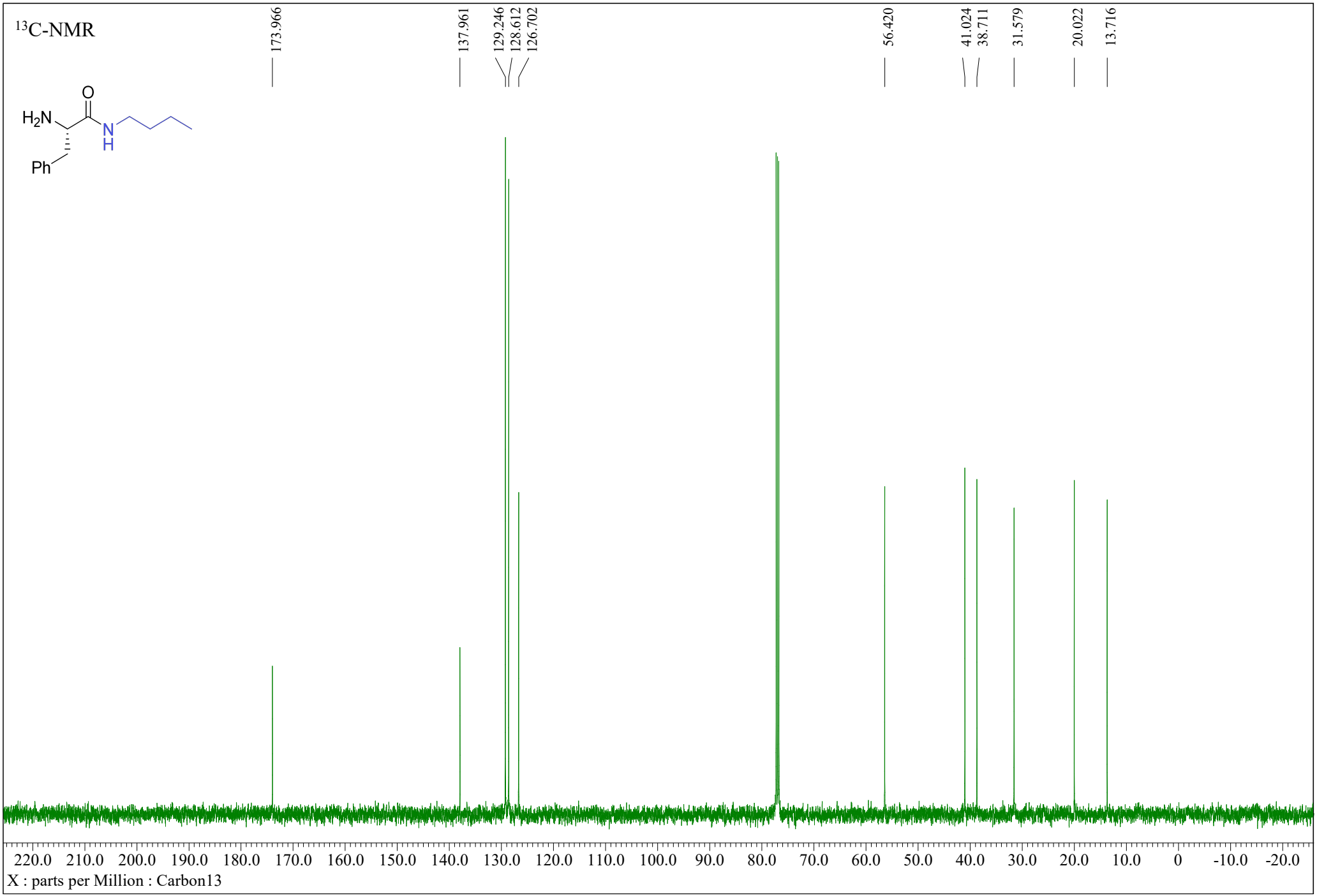
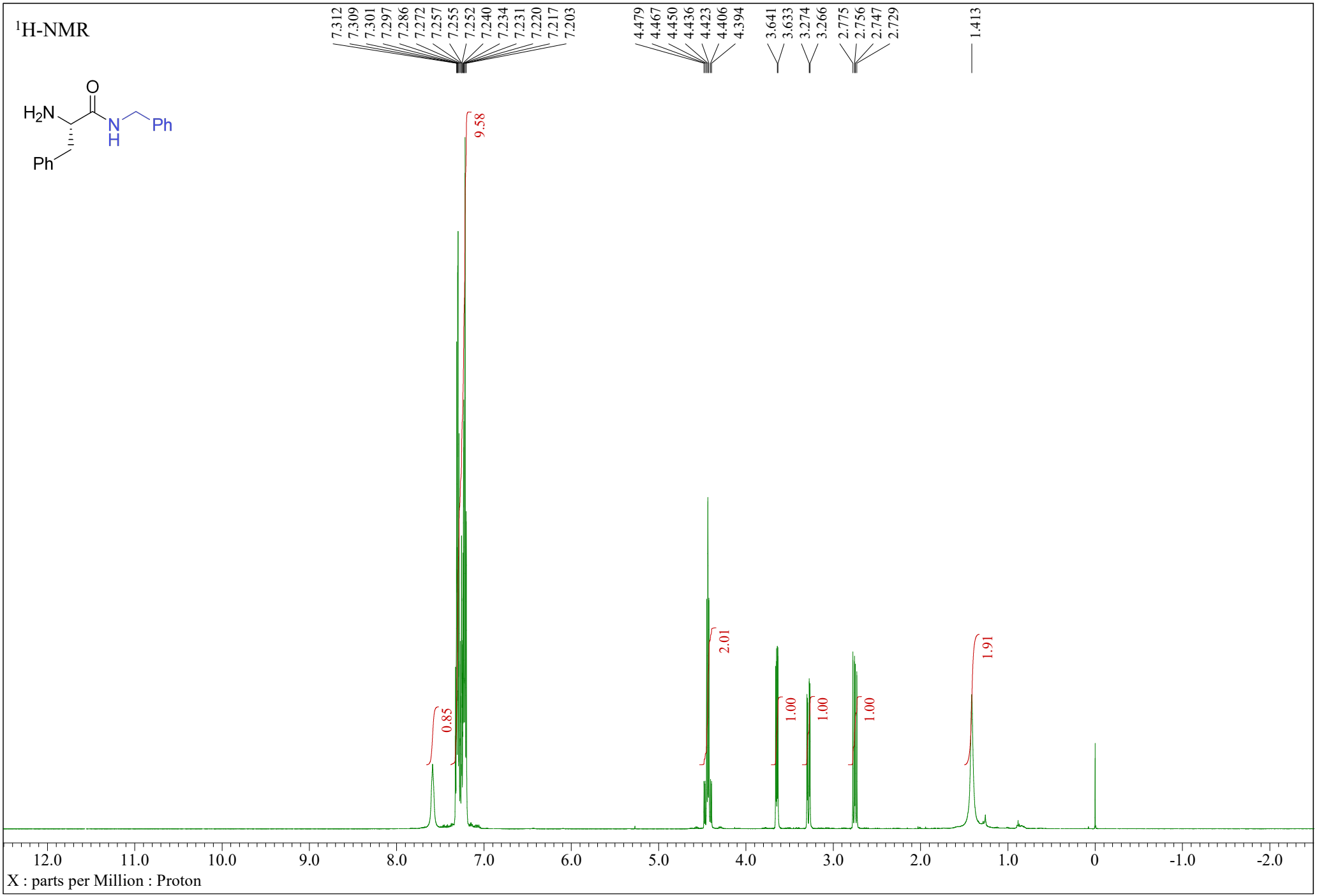
5. ^{1}H and ^{13}C NMR spectra (*S*)-2-amino-*N*-butyl-3-phenylpropanamide (**3aa**)



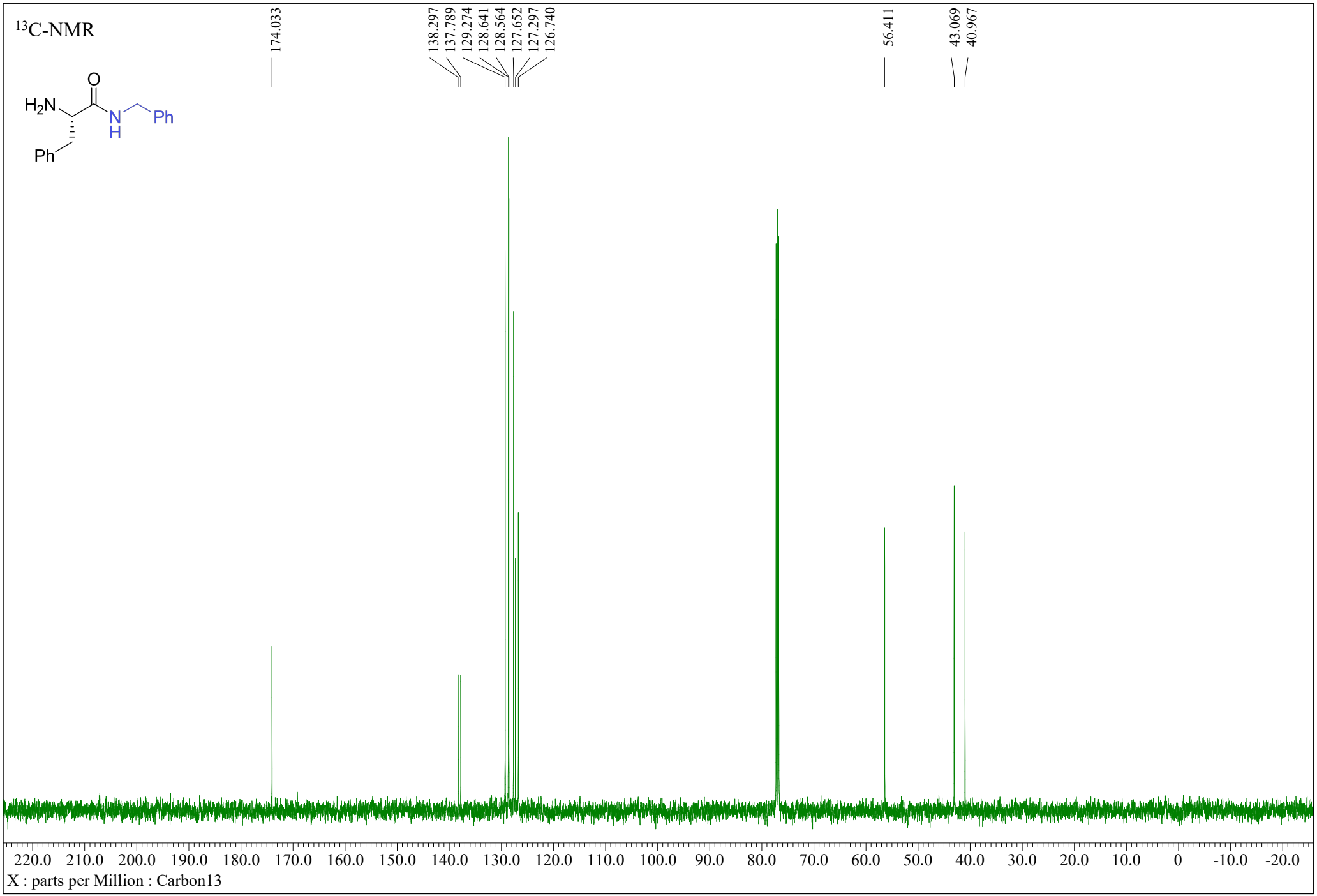
(*S*)-2-amino-*N*-butyl-3-phenylpropanamide (**3aa**)



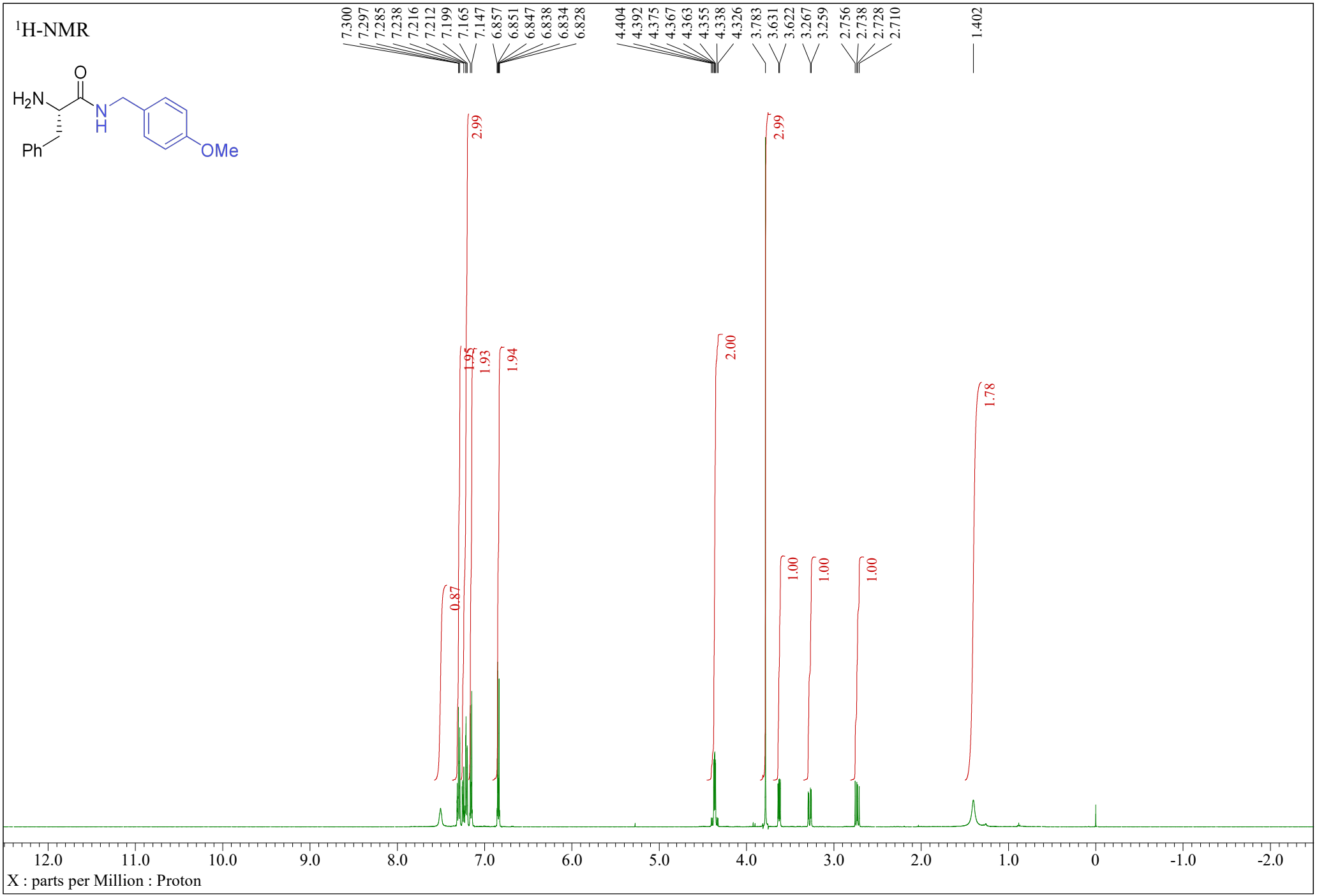
(*S*)-2-amino-*N*-benzyl-3-phenylpropanamide (**3ab**)



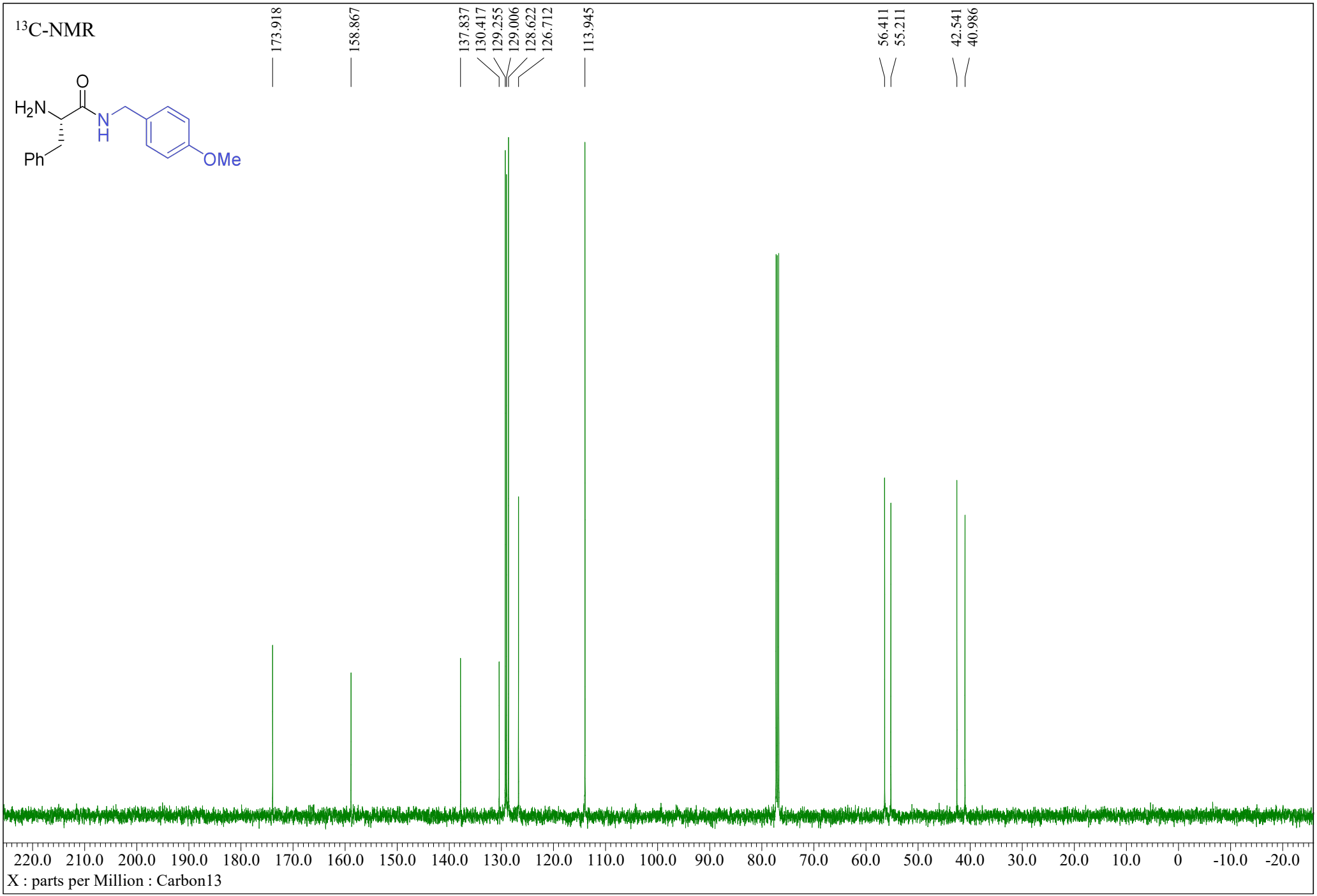
(*S*)-2-amino-*N*-benzyl-3-phenylpropanamide (**3ab**)



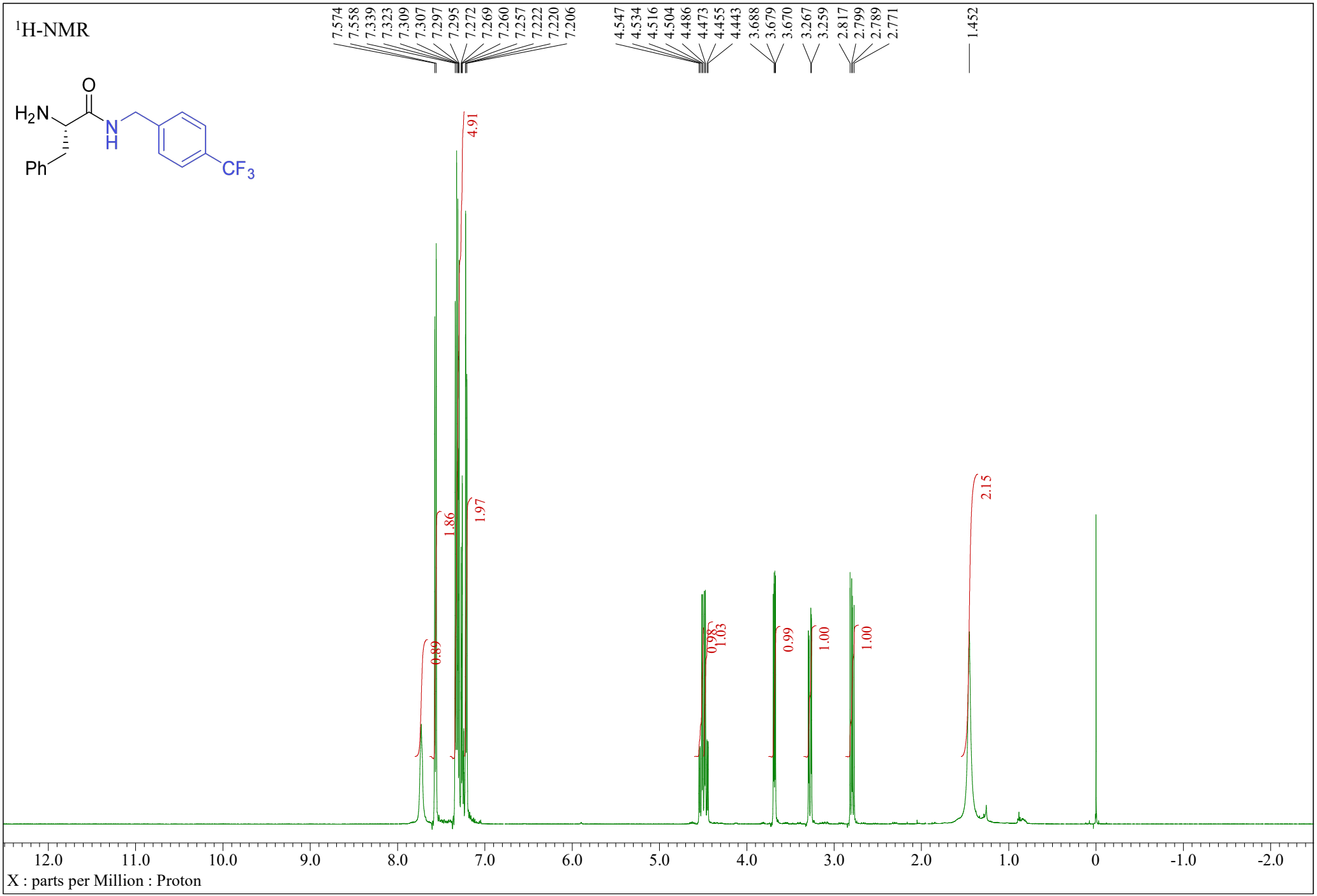
(*S*)-2-amino-*N*-(4-methoxybenzyl)-3-phenylpropanamide (**3ac**)



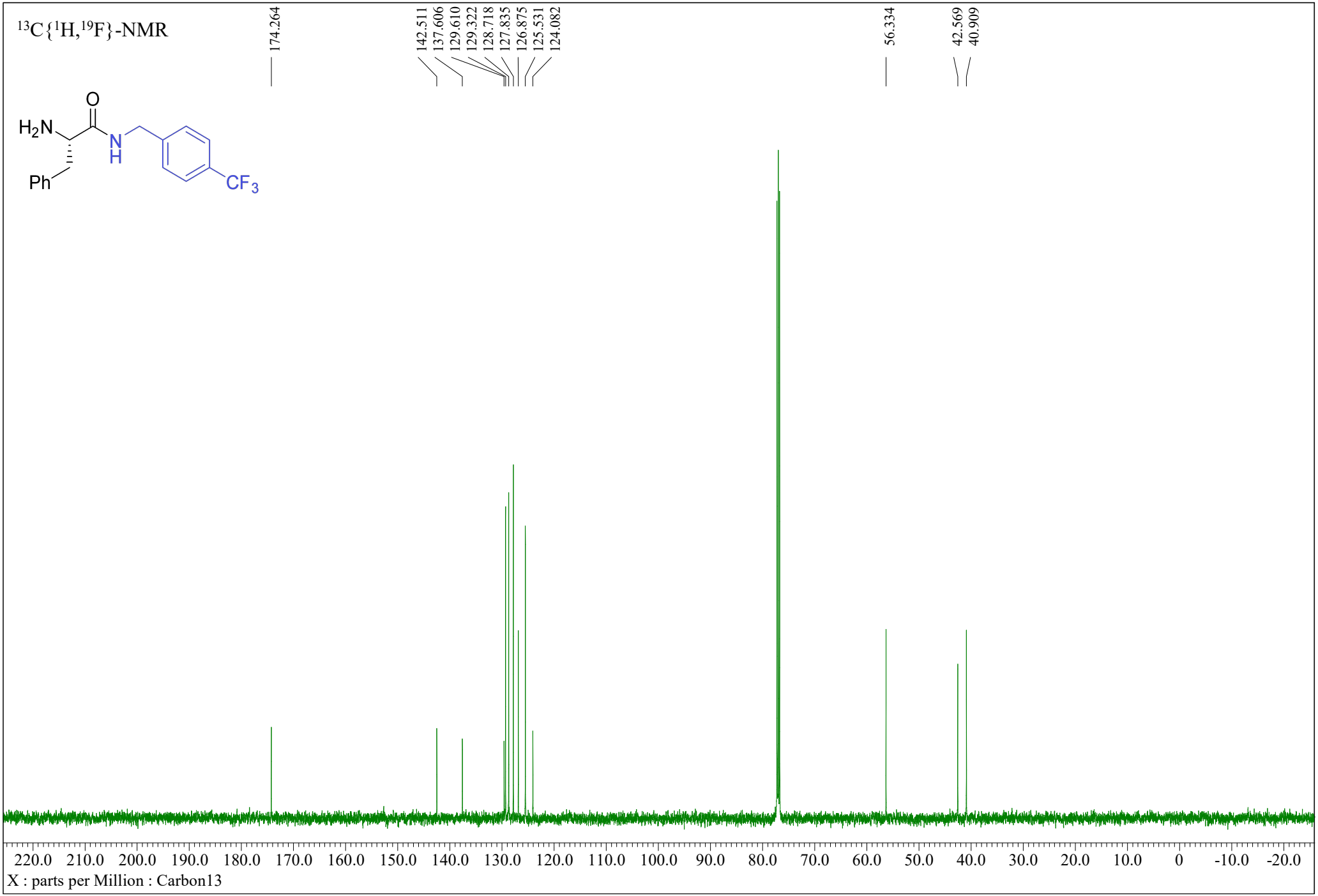
(*S*)-2-amino-*N*-(4-methoxybenzyl)-3-phenylpropanamide (**3ac**)



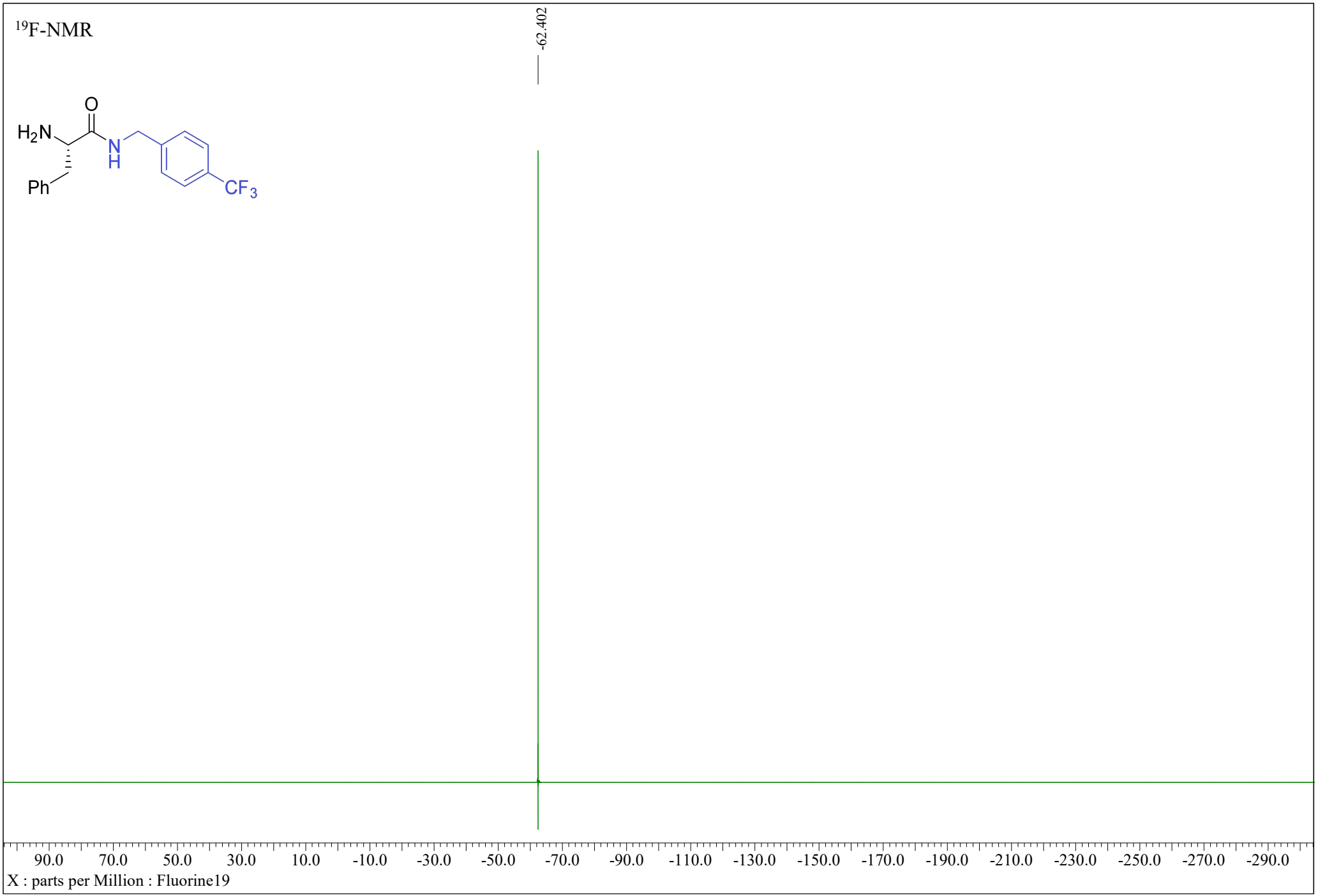
(*S*)-2-amino-3-phenyl-*N*-(4-(trifluoromethyl)benzyl)propenamide (**3ad**)



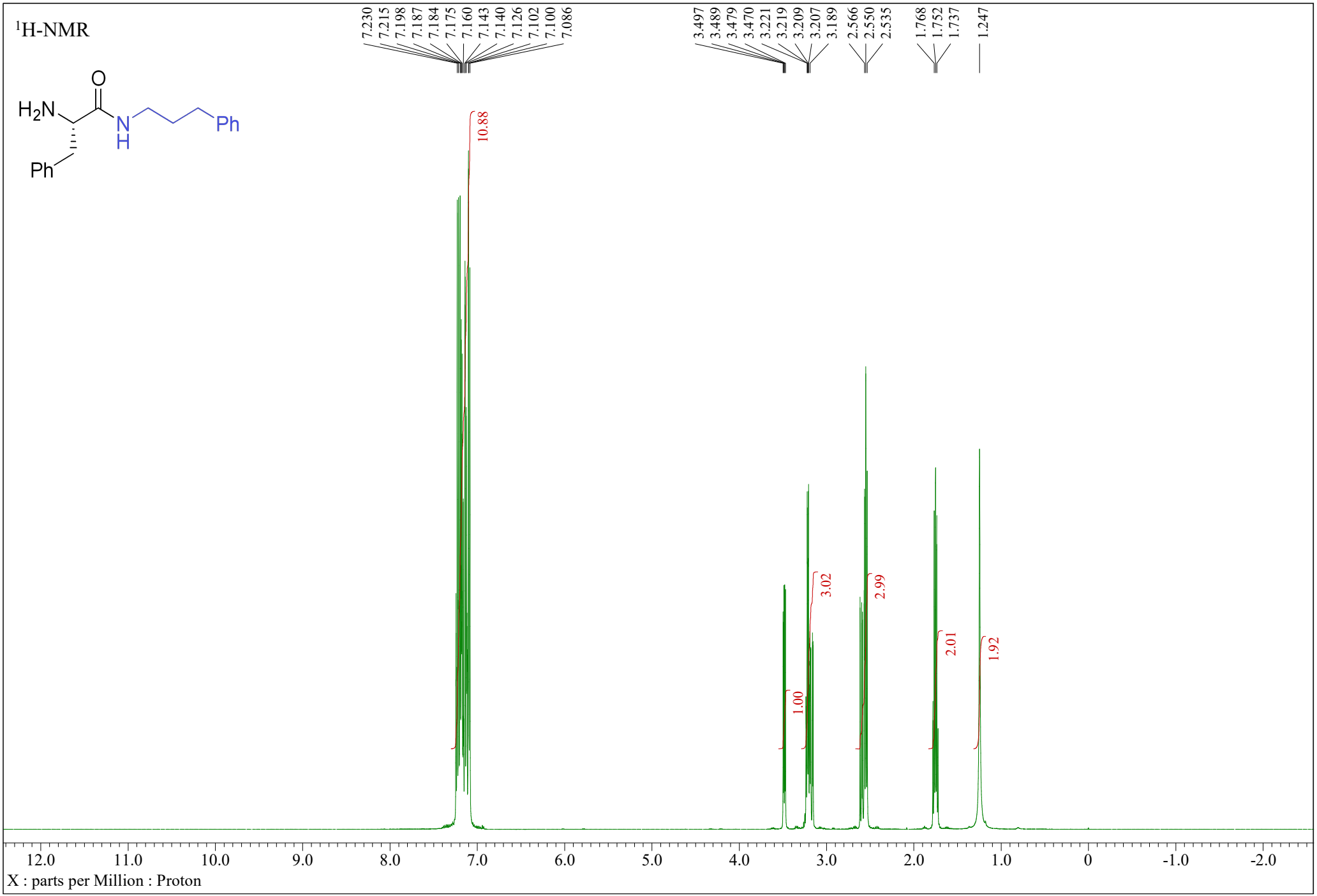
(*S*)-2-amino-3-phenyl-*N*-(4-(trifluoromethyl)benzyl)propenamide (**3ad**)



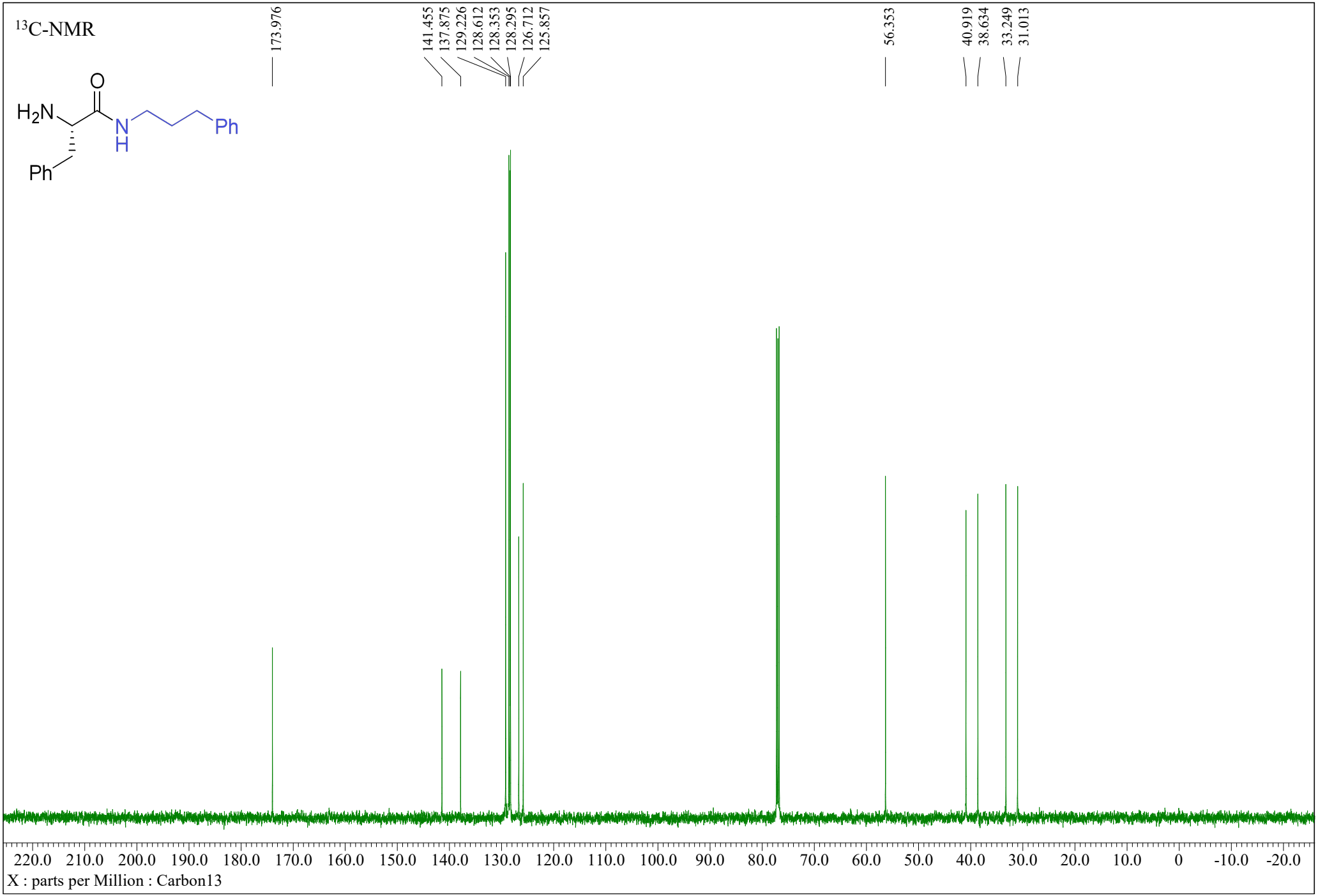
(*S*)-2-amino-3-phenyl-*N*-(4-(trifluoromethyl)benzyl)propenamide (**3ad**)



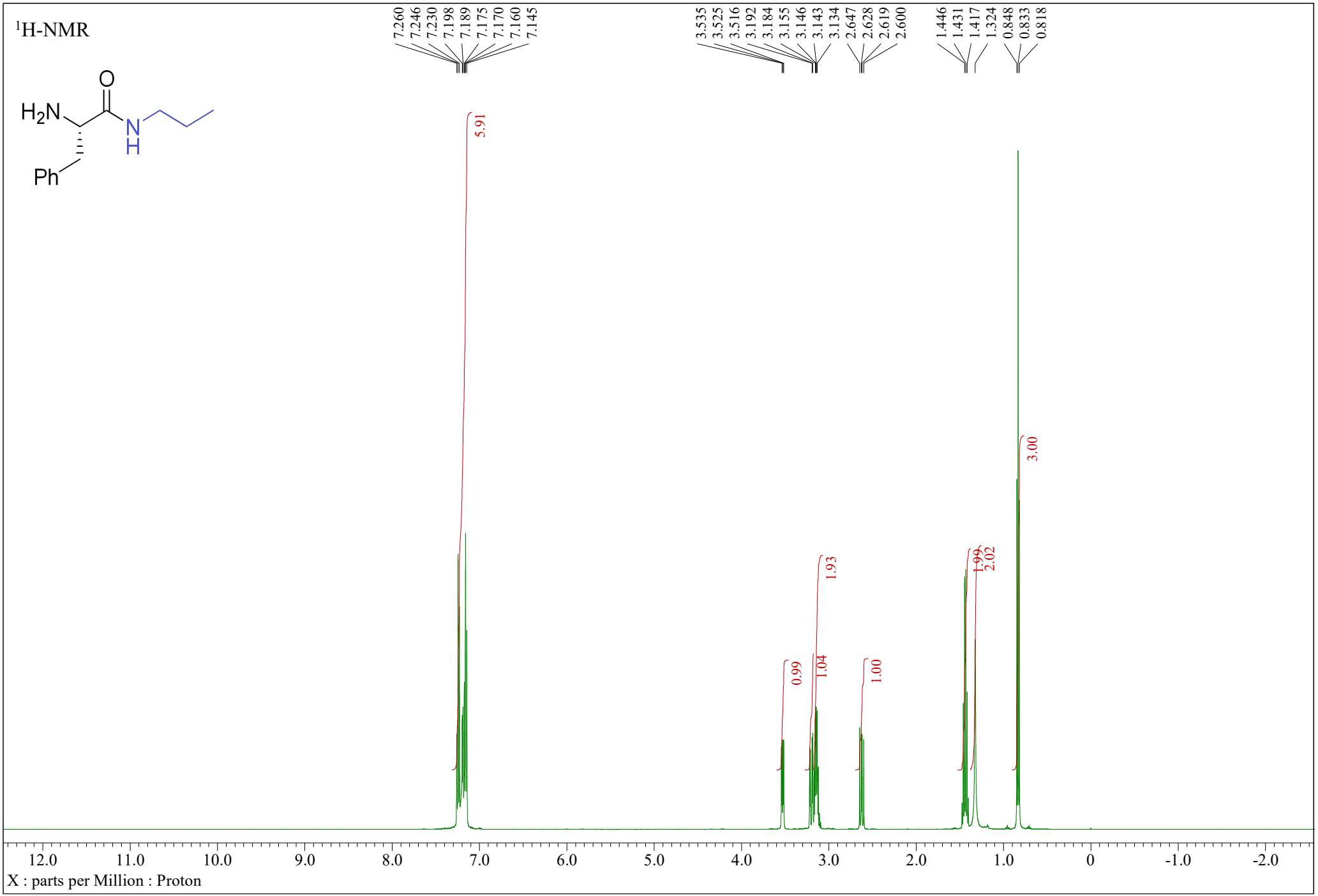
(*S*)-2-amino-3-phenyl-*N*-(3-phenylpropyl)propenamide (**3ae**)



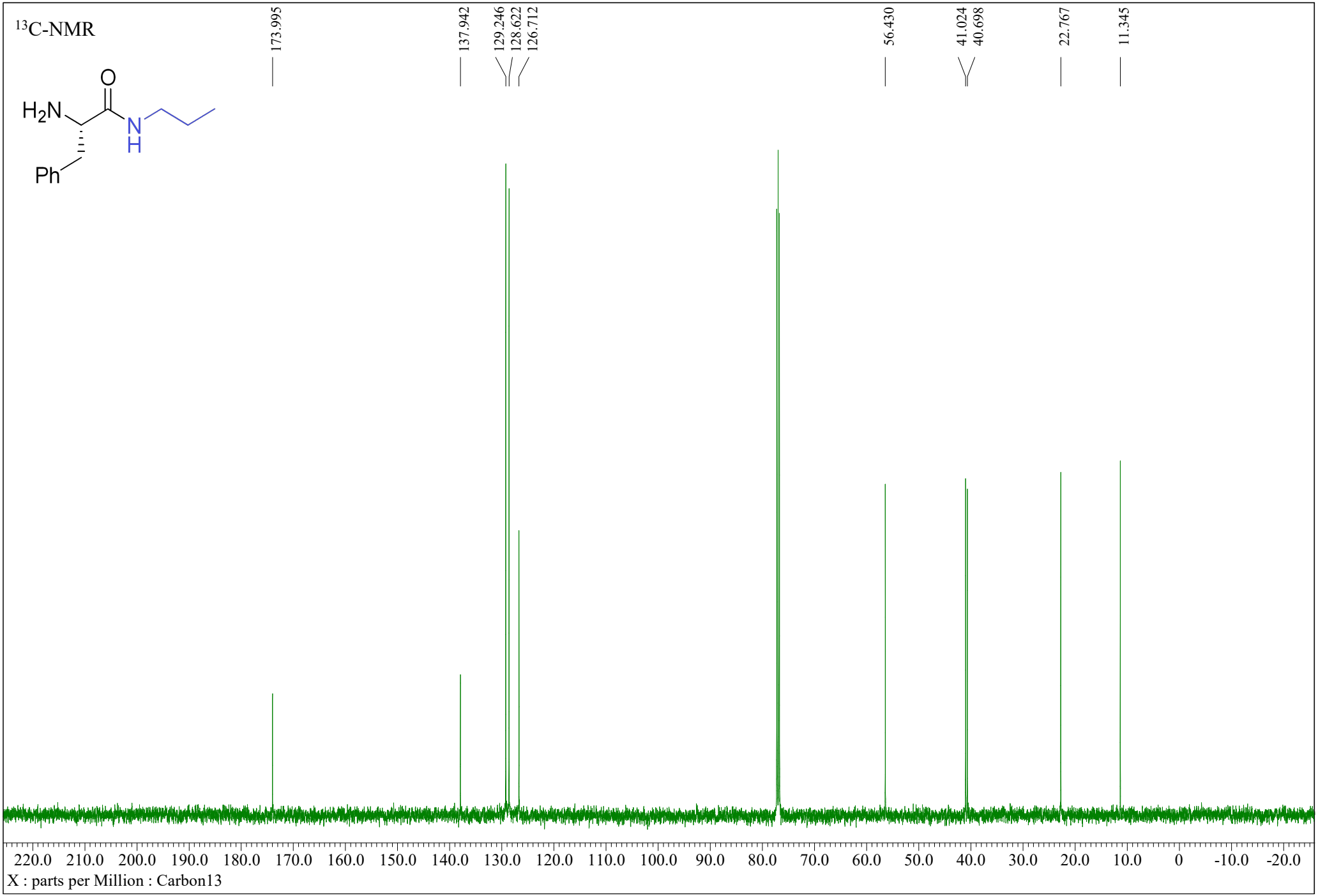
(*S*)-2-amino-3-phenyl-*N*-(3-phenylpropyl)propenamide (**3ae**)



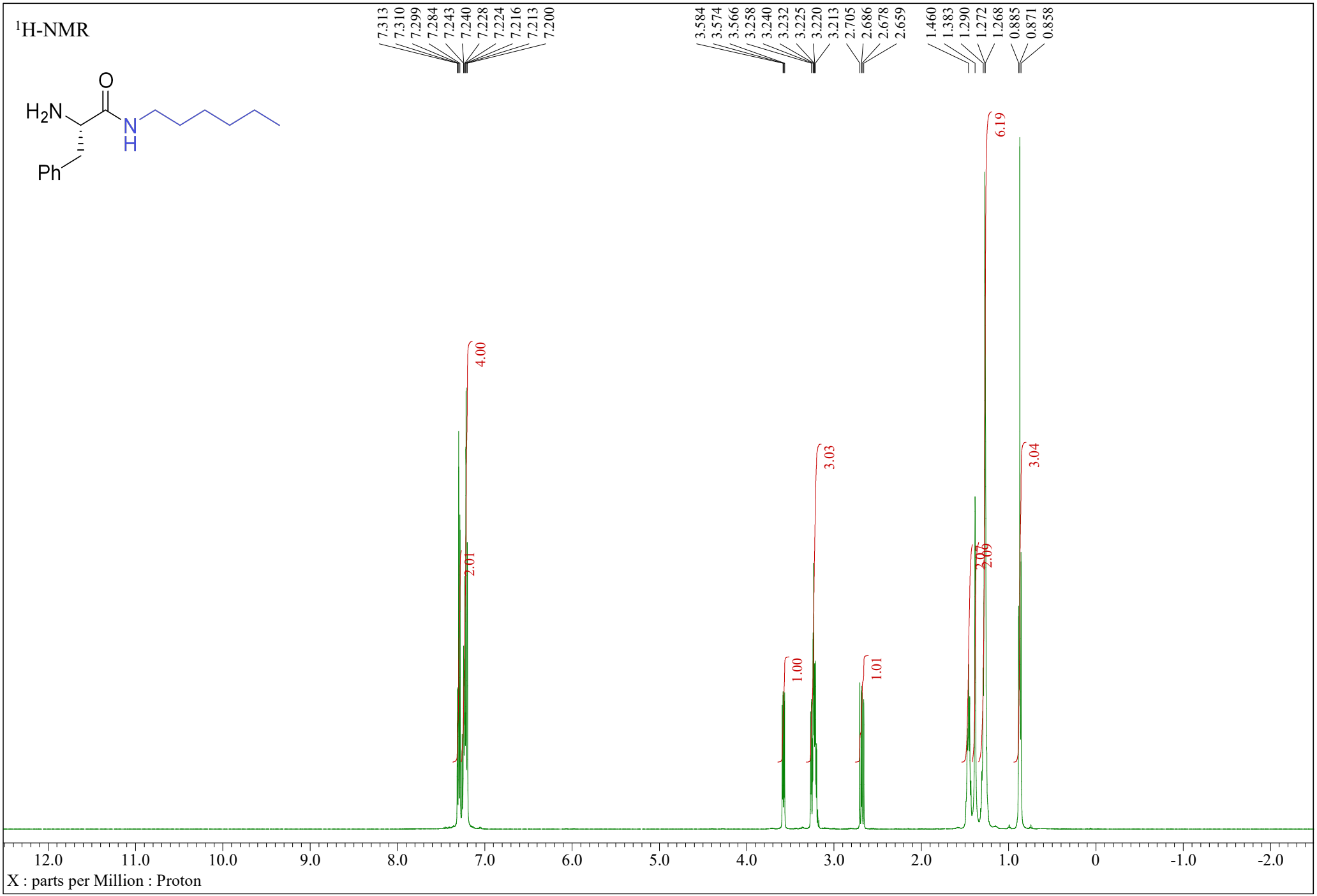
(*S*)-2-amino-3-phenyl-*N*-propylpropanamide (**3af**)



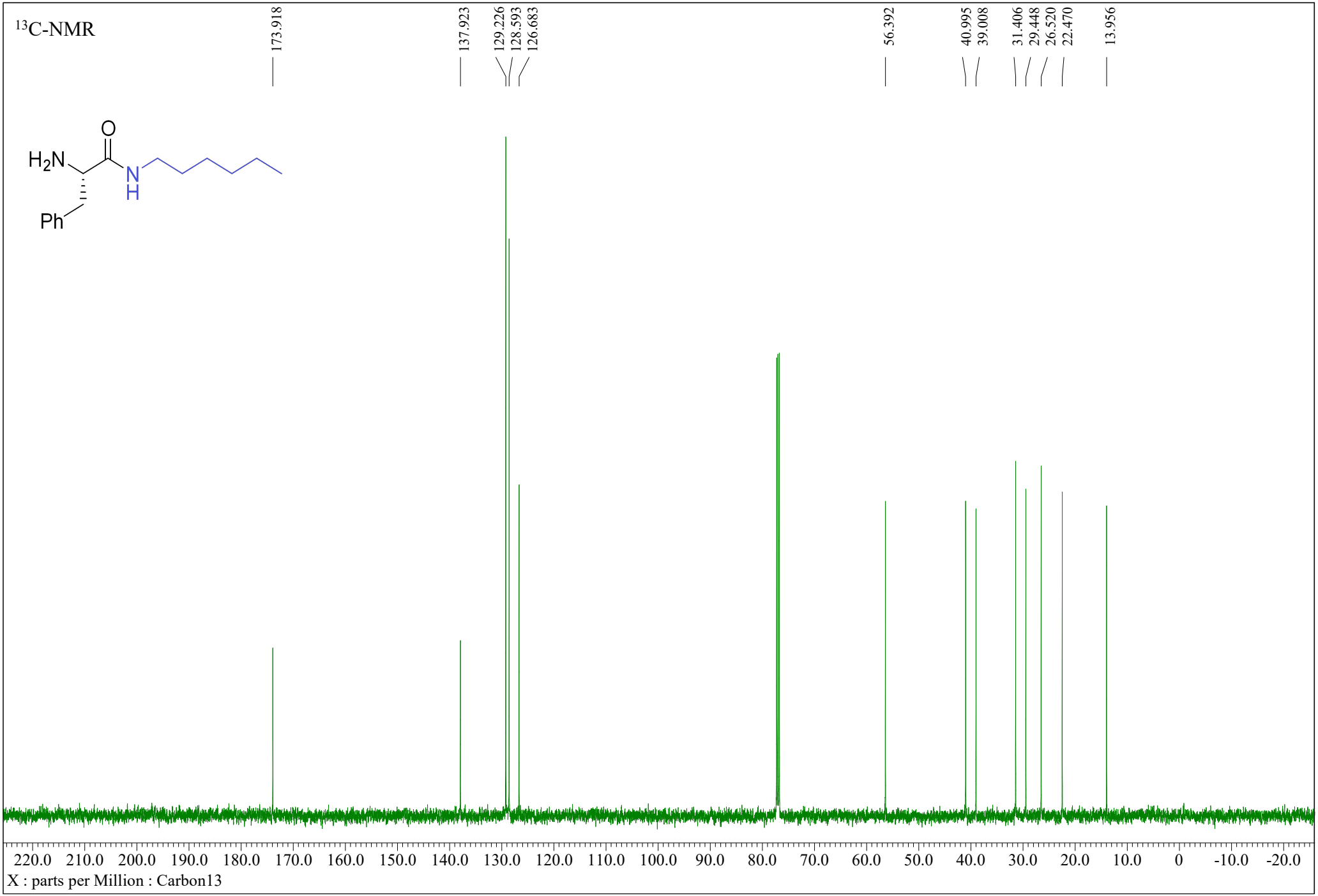
(*S*)-2-amino-3-phenyl-*N*-propylpropanamide (**3af)**



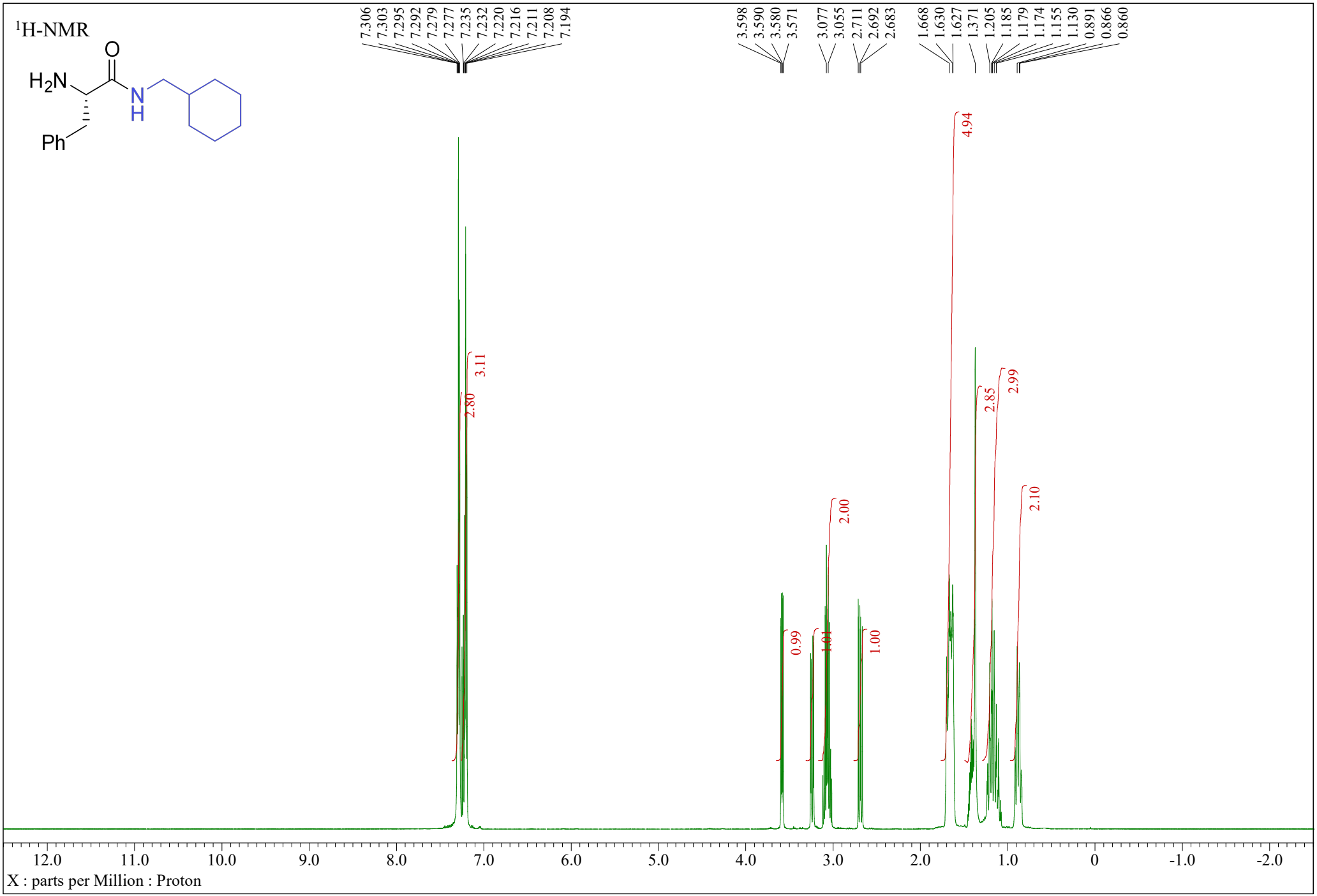
(*S*)-2-amino-*N*-hexyl-3-phenylpropanamide (**3ag**)



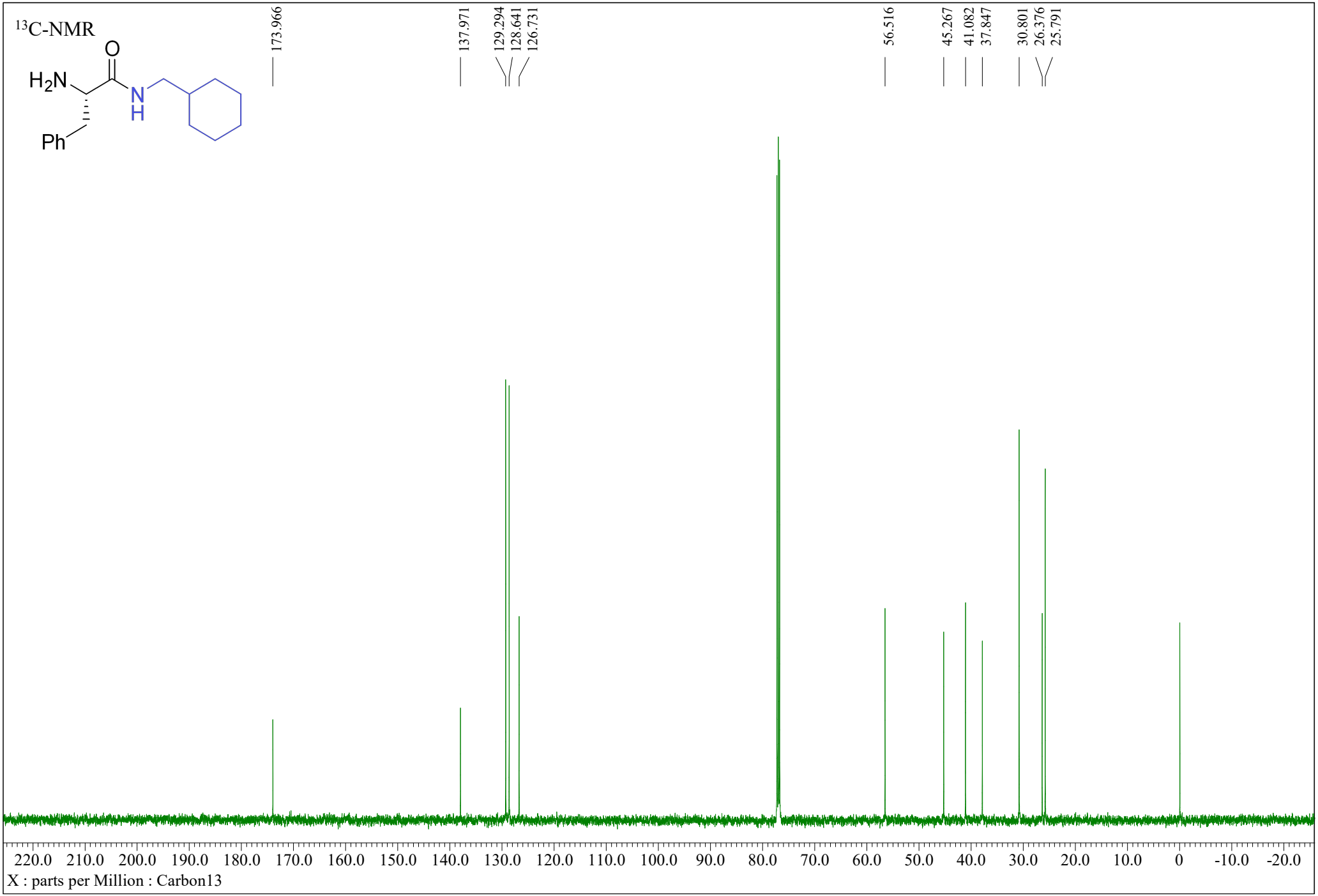
(*S*)-2-amino-*N*-hexyl-3-phenylpropanamide (**3ag**)



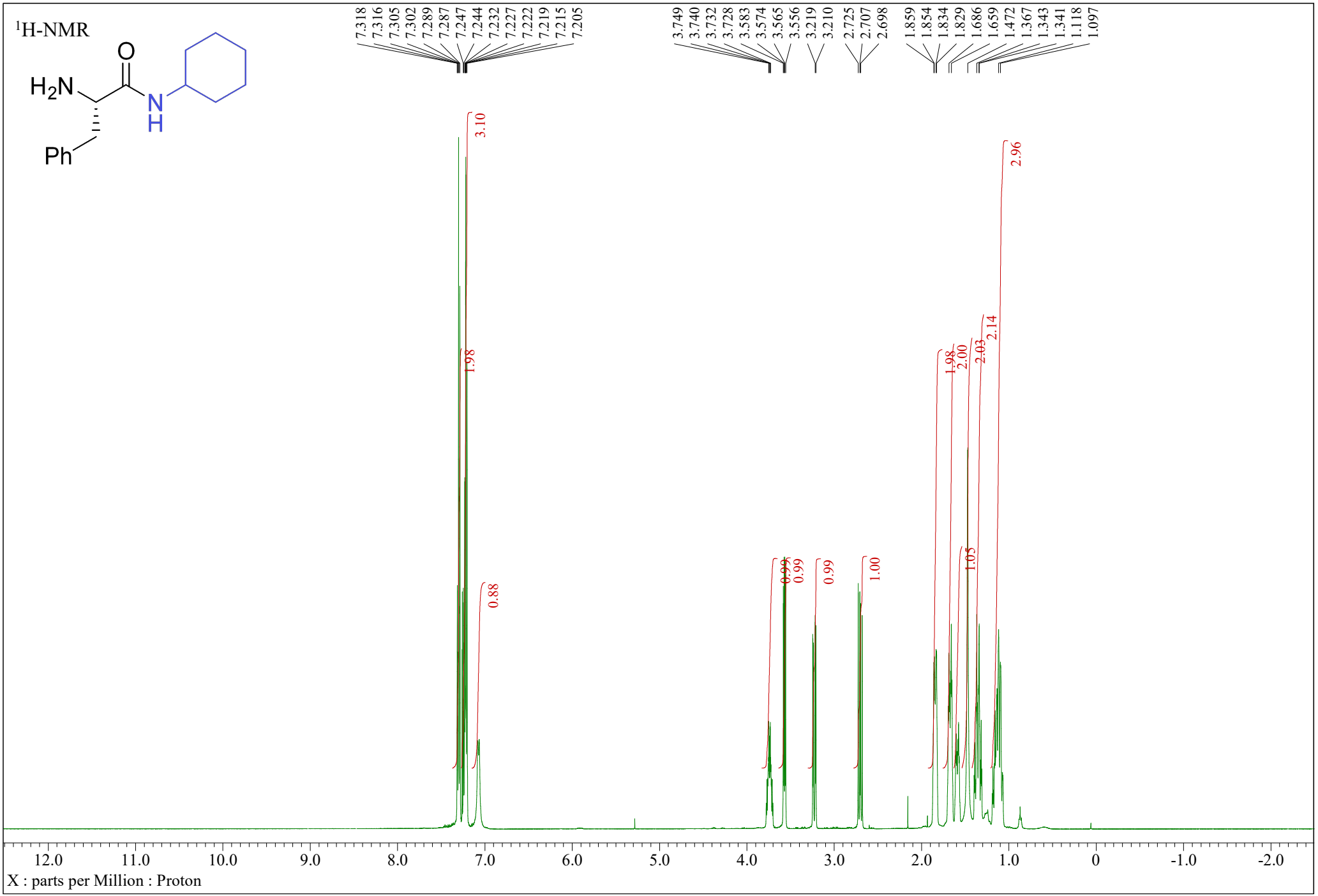
(*S*)-2-amino-*N*-(cyclohexylmethyl)-3-phenylpropanamide (**3ah**)



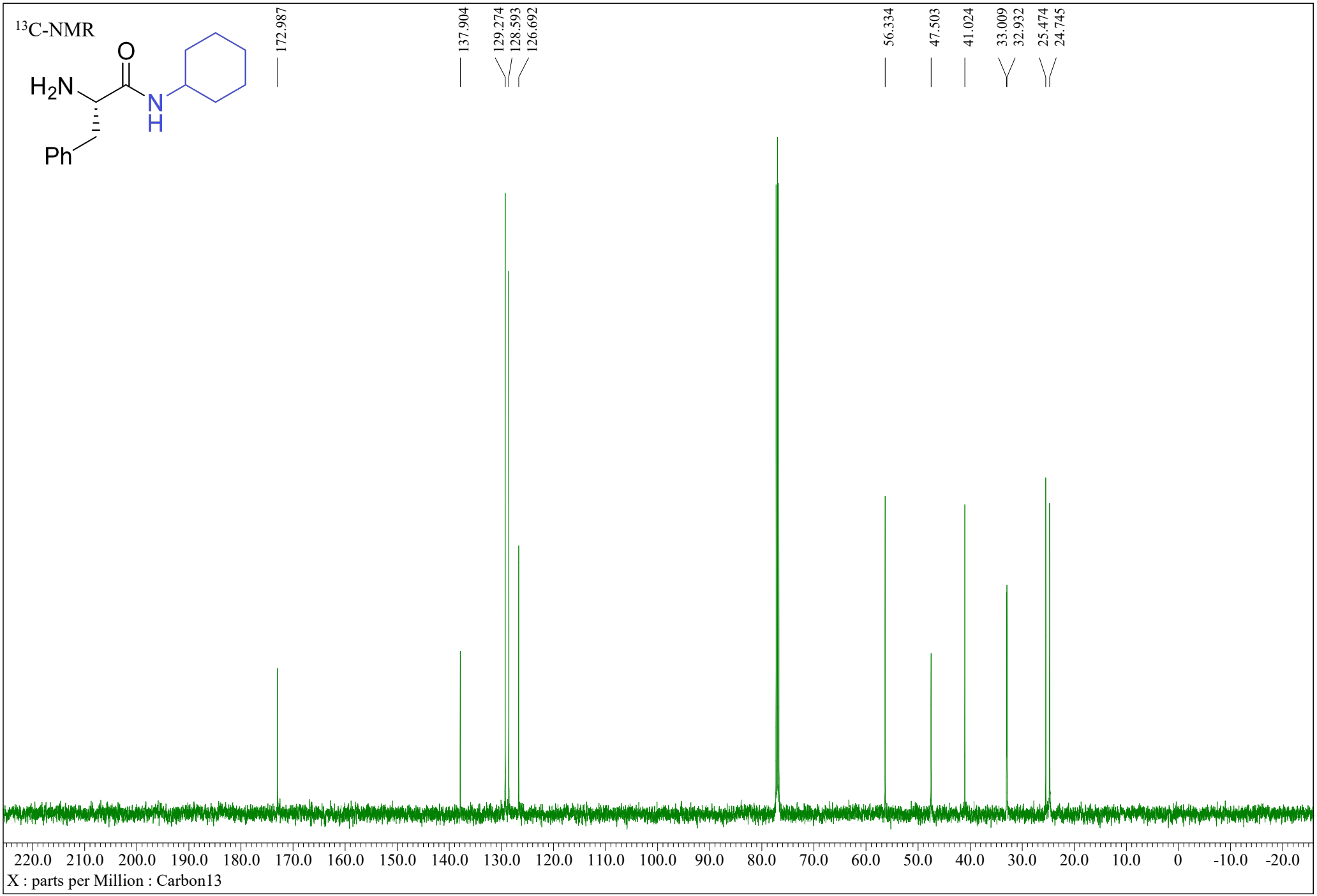
(*S*)-2-amino-*N*-(cyclohexylmethyl)-3-phenylpropanamide (**3ah**)



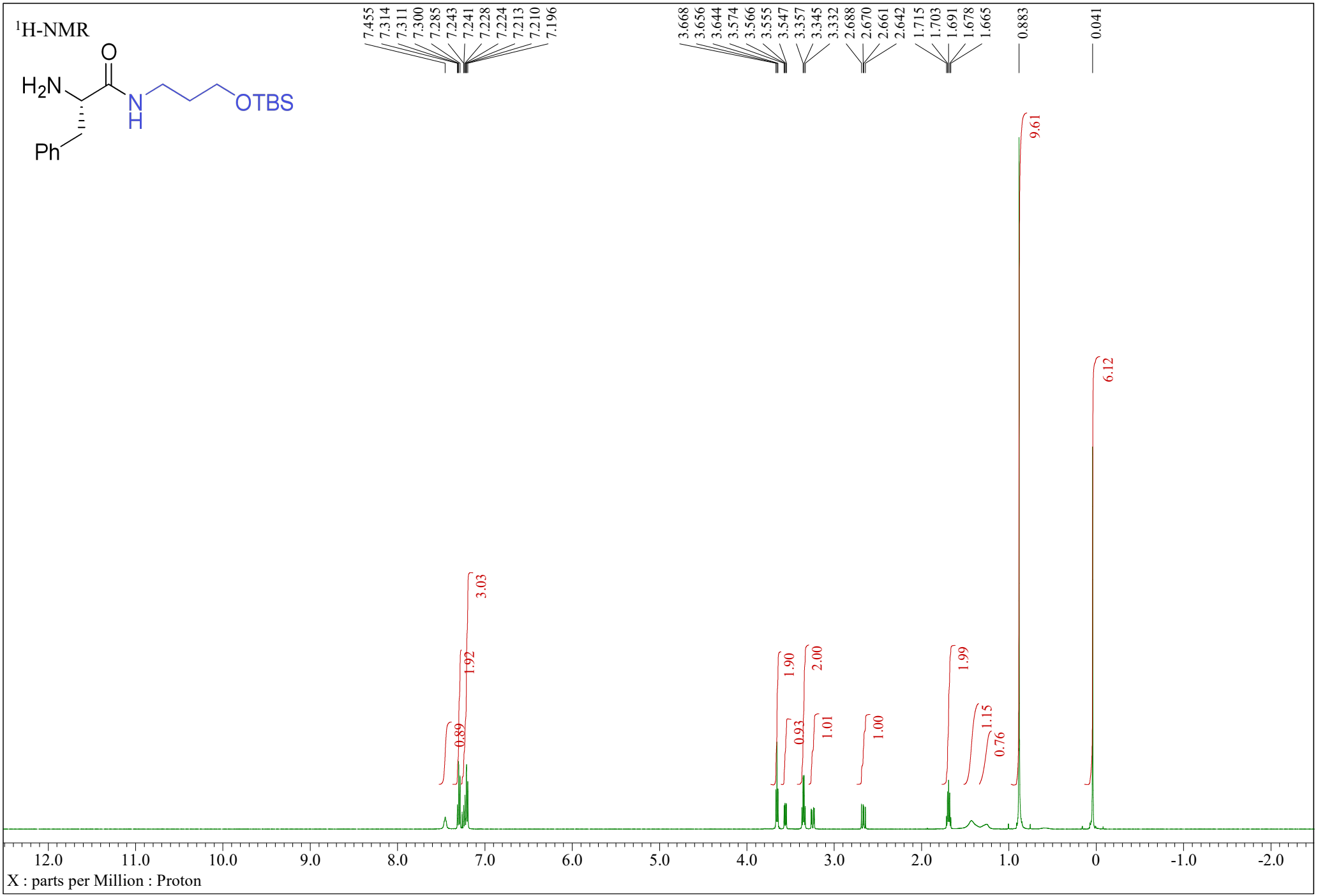
(*S*)-2-amino-*N*-cyclohexyl-3-phenylpropanamide (**3ai**)



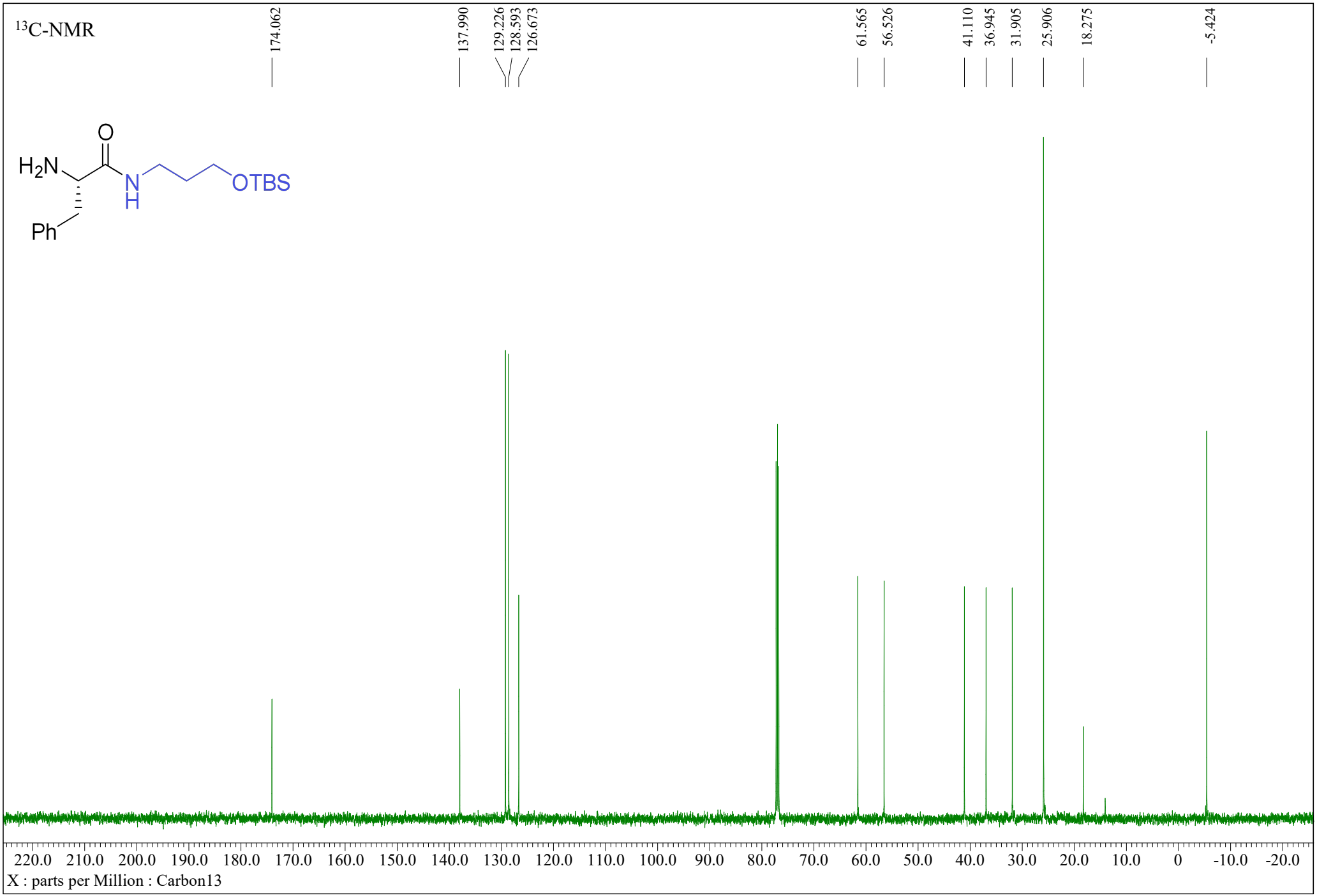
(*S*)-2-amino-*N*-(cyclohexylmethyl)-3-phenylpropanamide (**3ah**)



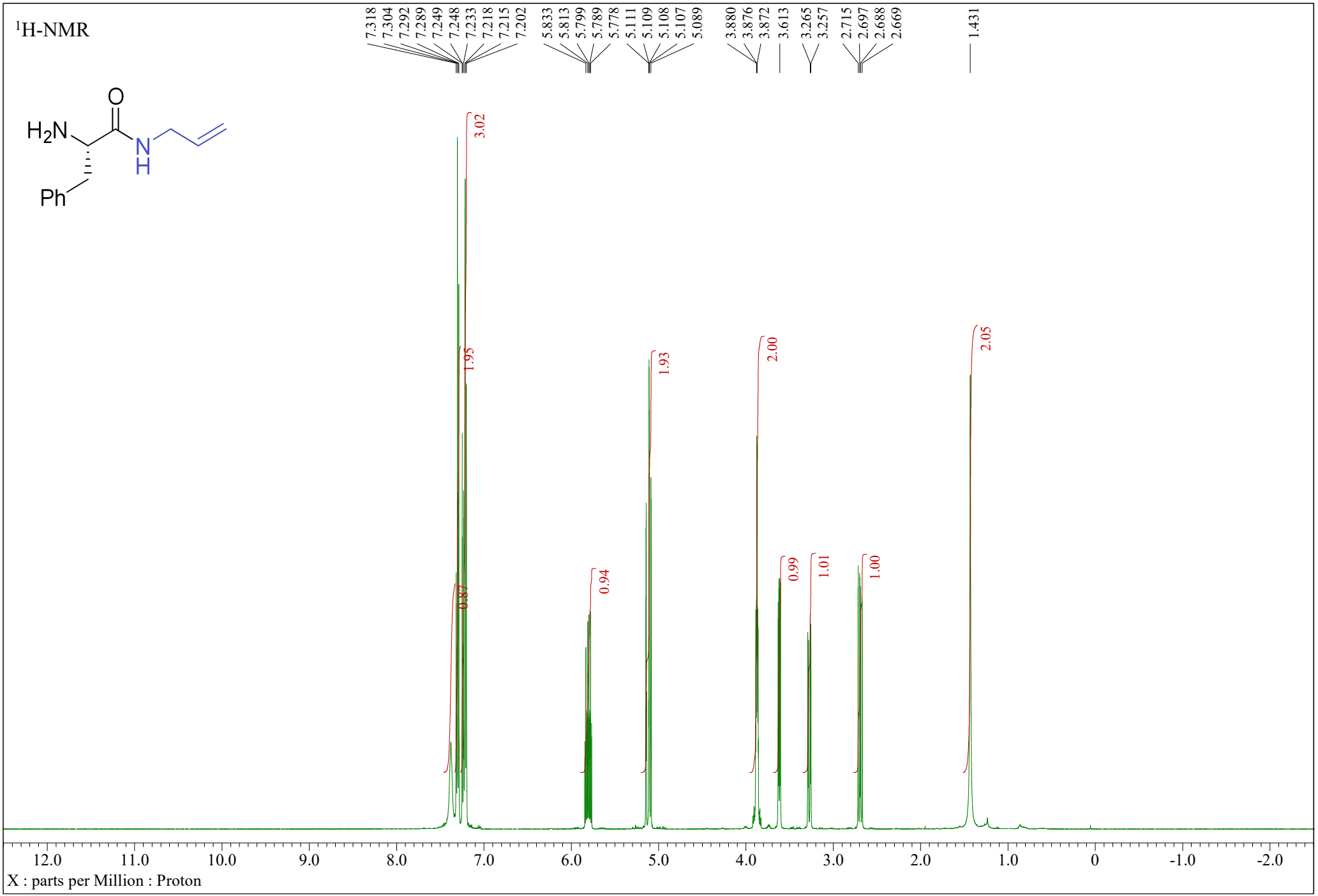
(*S*)-2-amino-*N*-(3-((*tert*-butyldimethylsilyl)oxy)propyl)-3-phenylpropanamide (**3aj**)



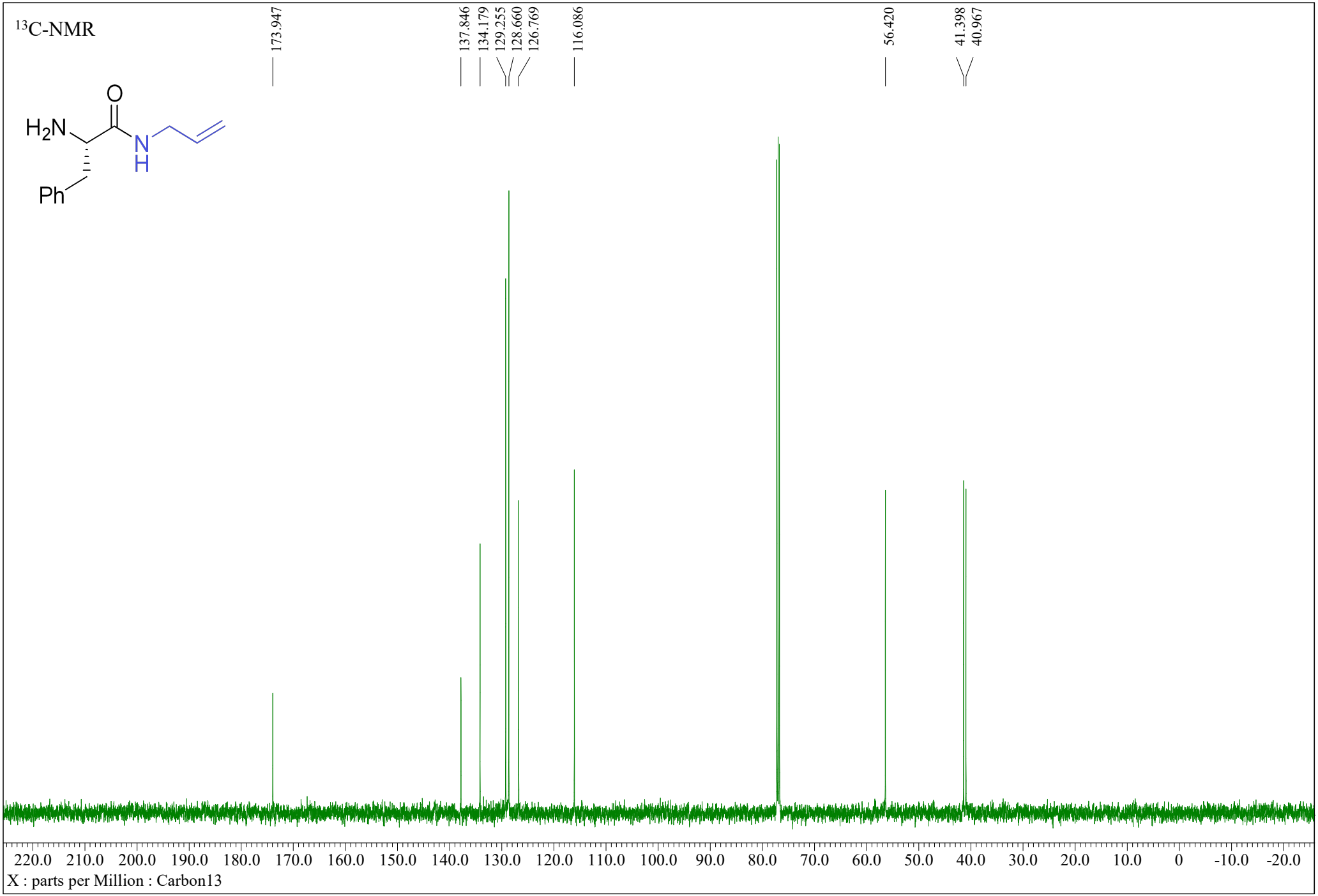
(*S*)-2-amino-*N*-(3-((*tert*-butyldimethylsilyl)oxy)propyl)-3-phenylpropanamide (**3aj**)



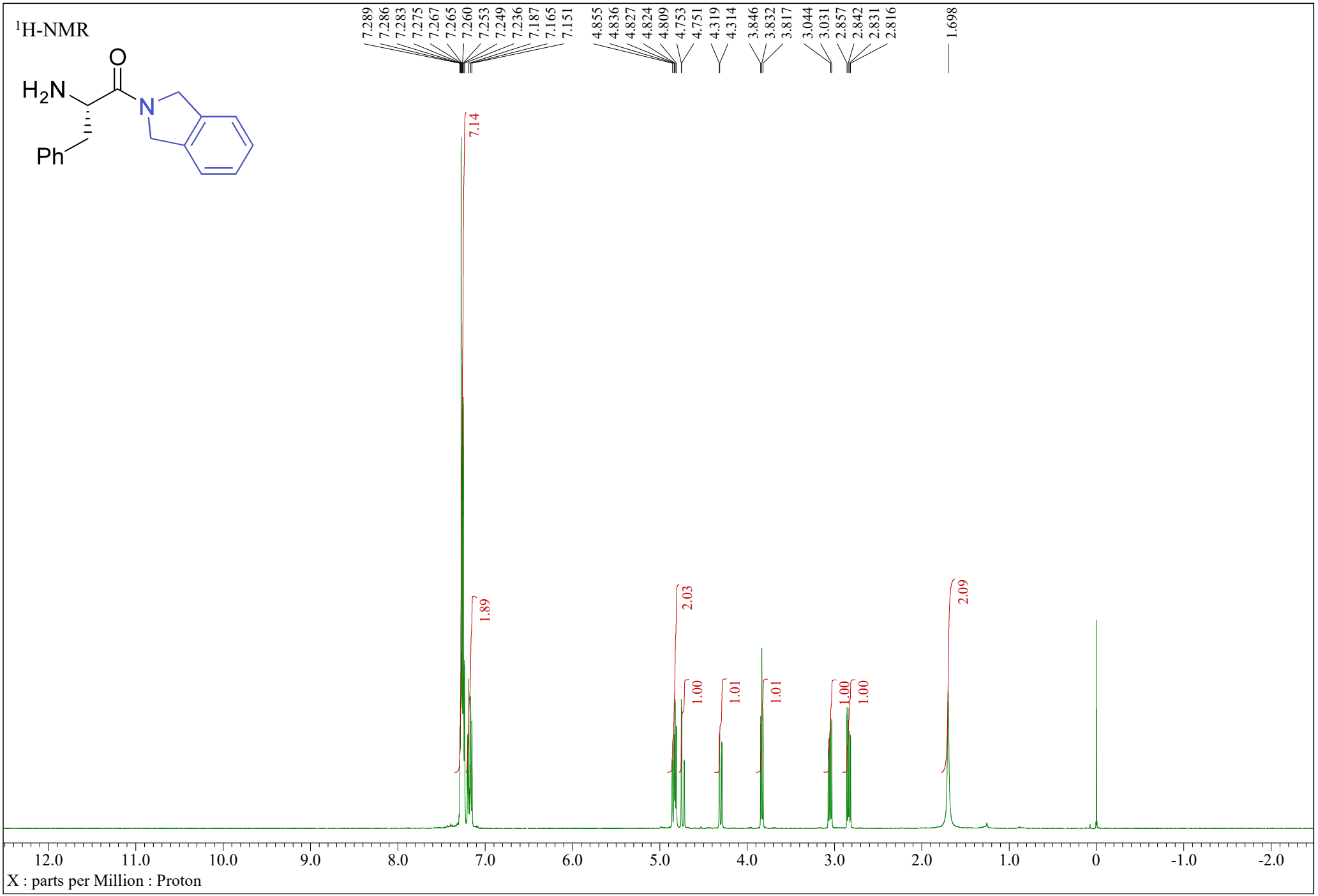
(*S*)-*N*-allyl-2-amino-3-phenylpropanamide (**3ak**)



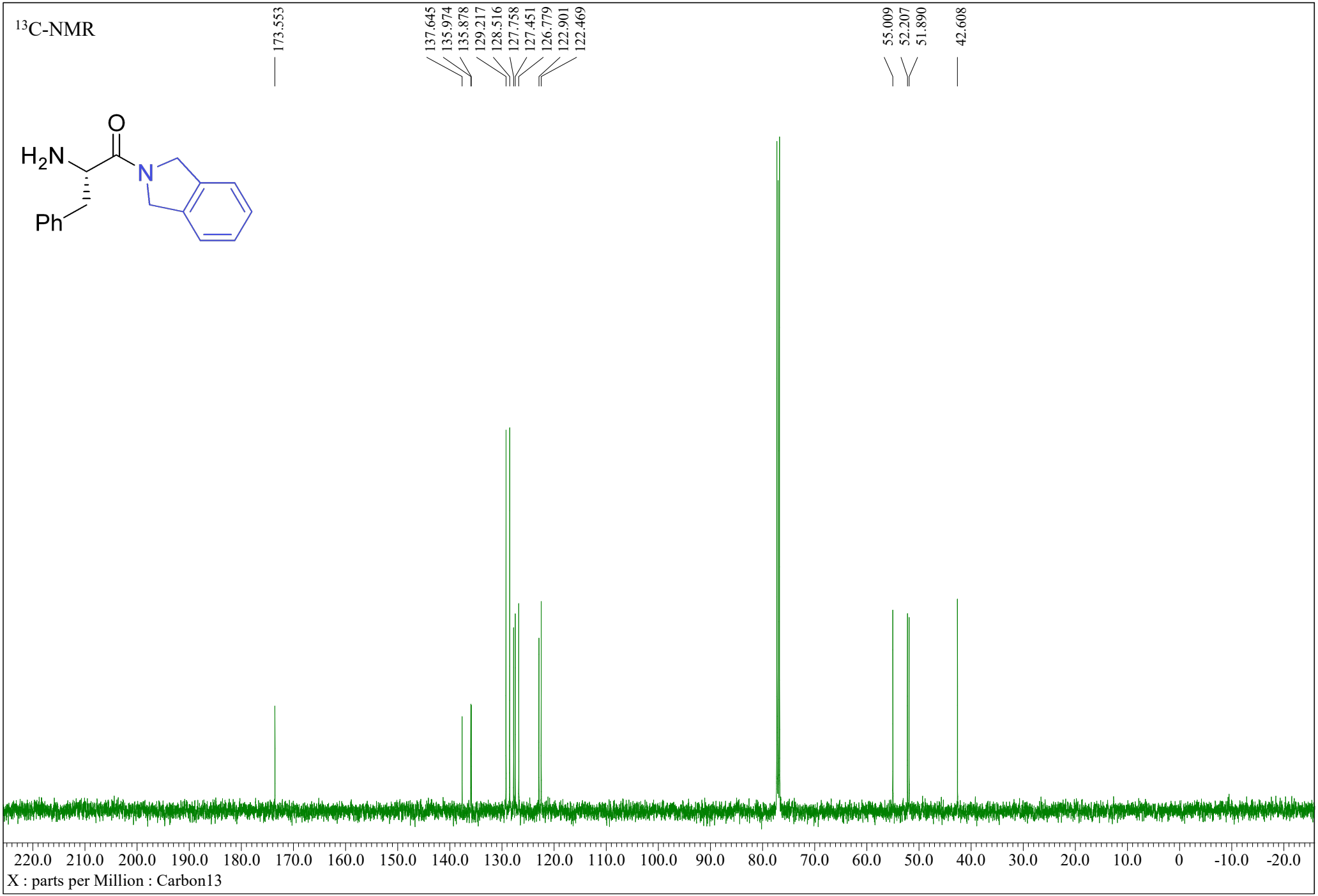
(*S*)-*N*-allyl-2-amino-3-phenylpropanamide (**3ak**)



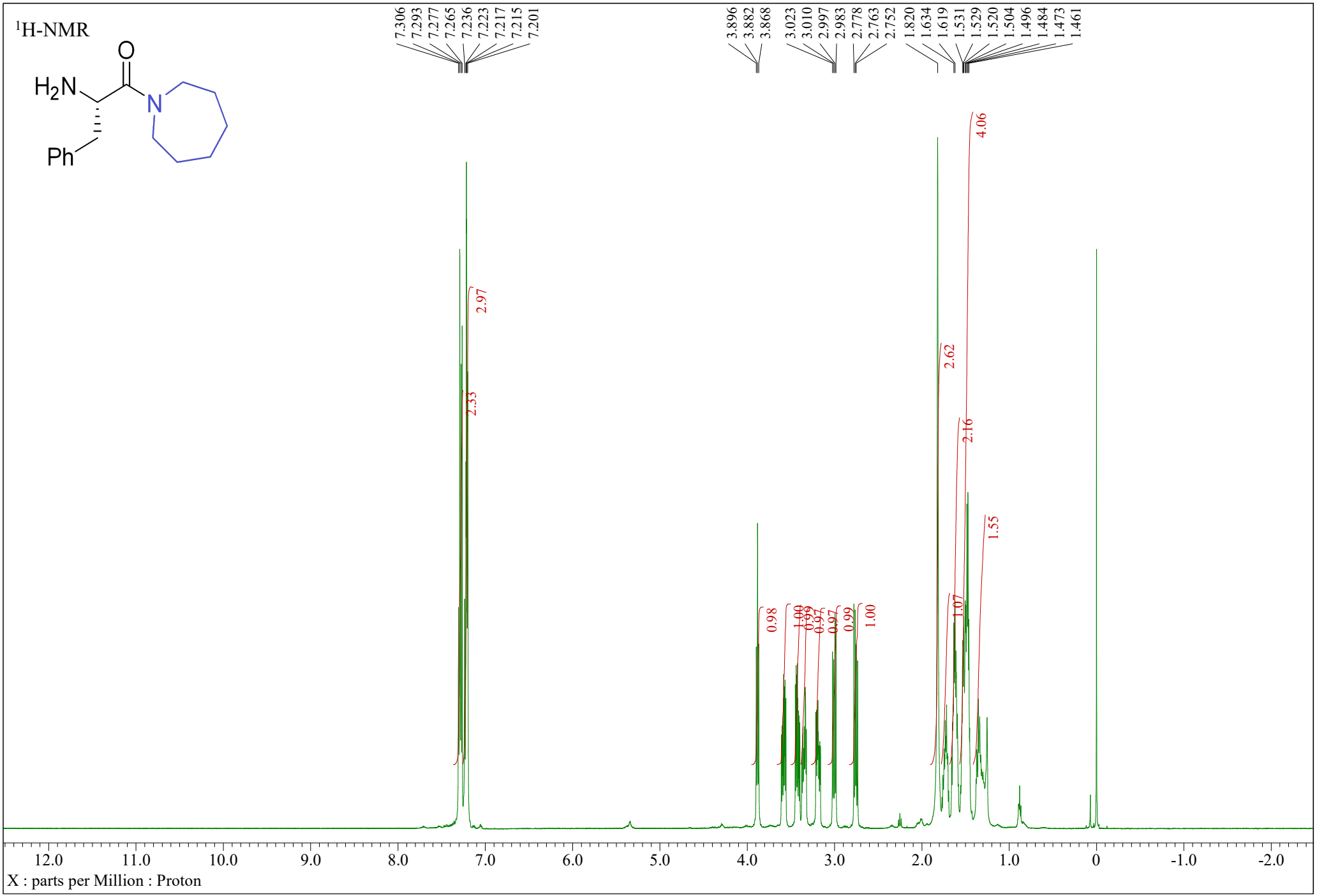
(*S*)-2-amino-1-(isoindolin-2-yl)-3-phenylpropan-1-one (**3am**)



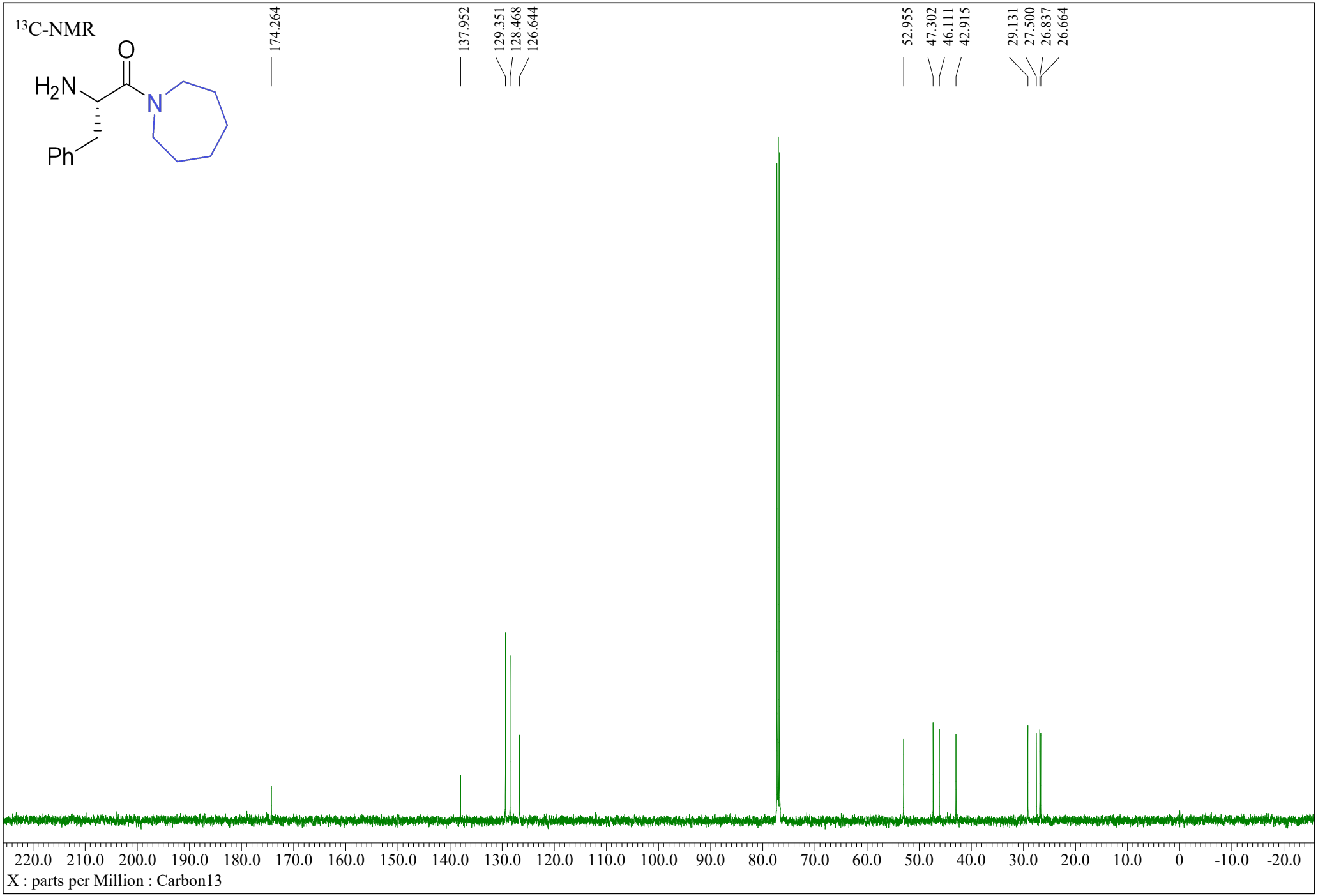
(*S*)-2-amino-1-(isoindolin-2-yl)-3-phenylpropan-1-one (**3am**)



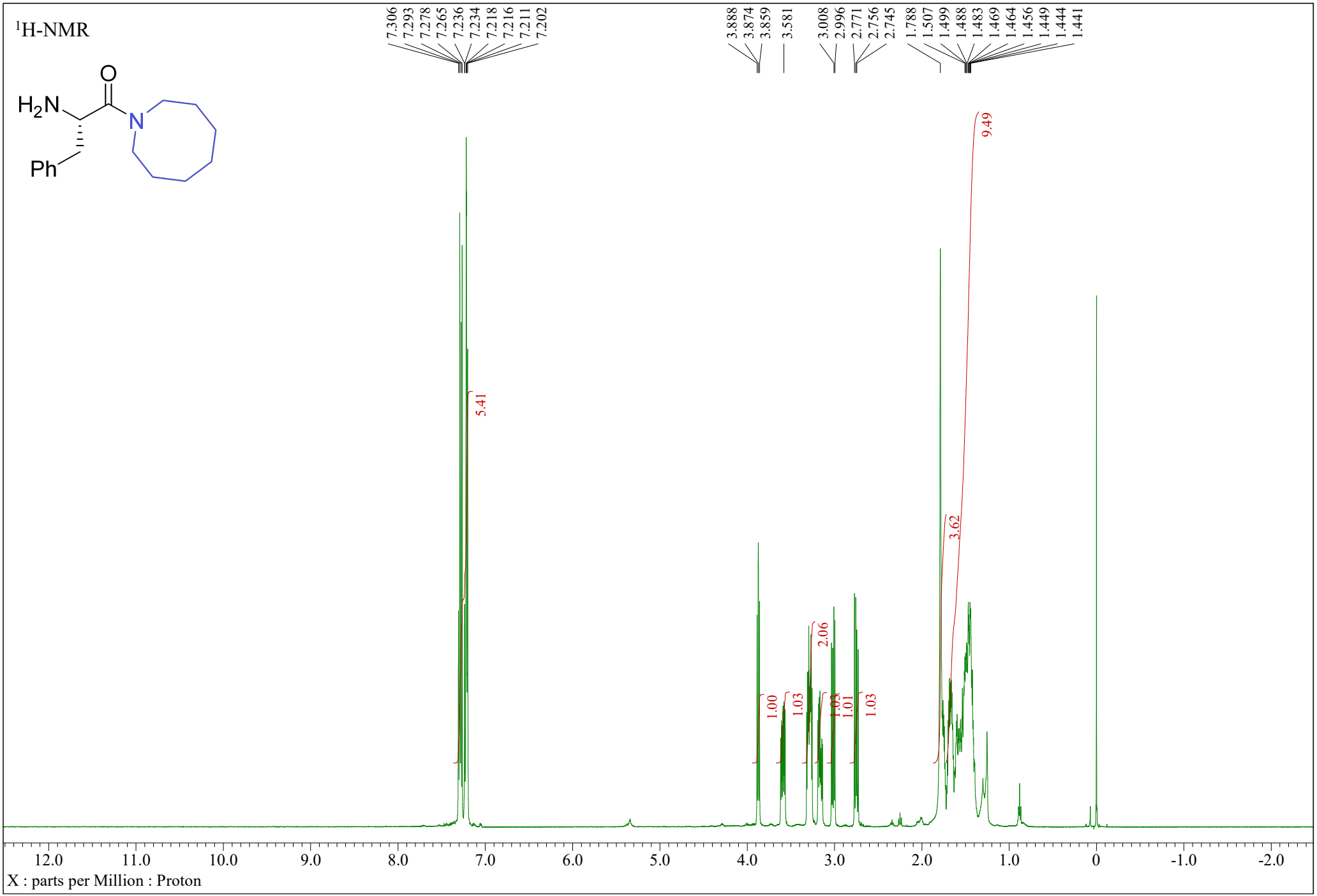
(*S*)-2-amino-1-(azepan-1-yl)-3-phenylpropan-1-one (**3an**)



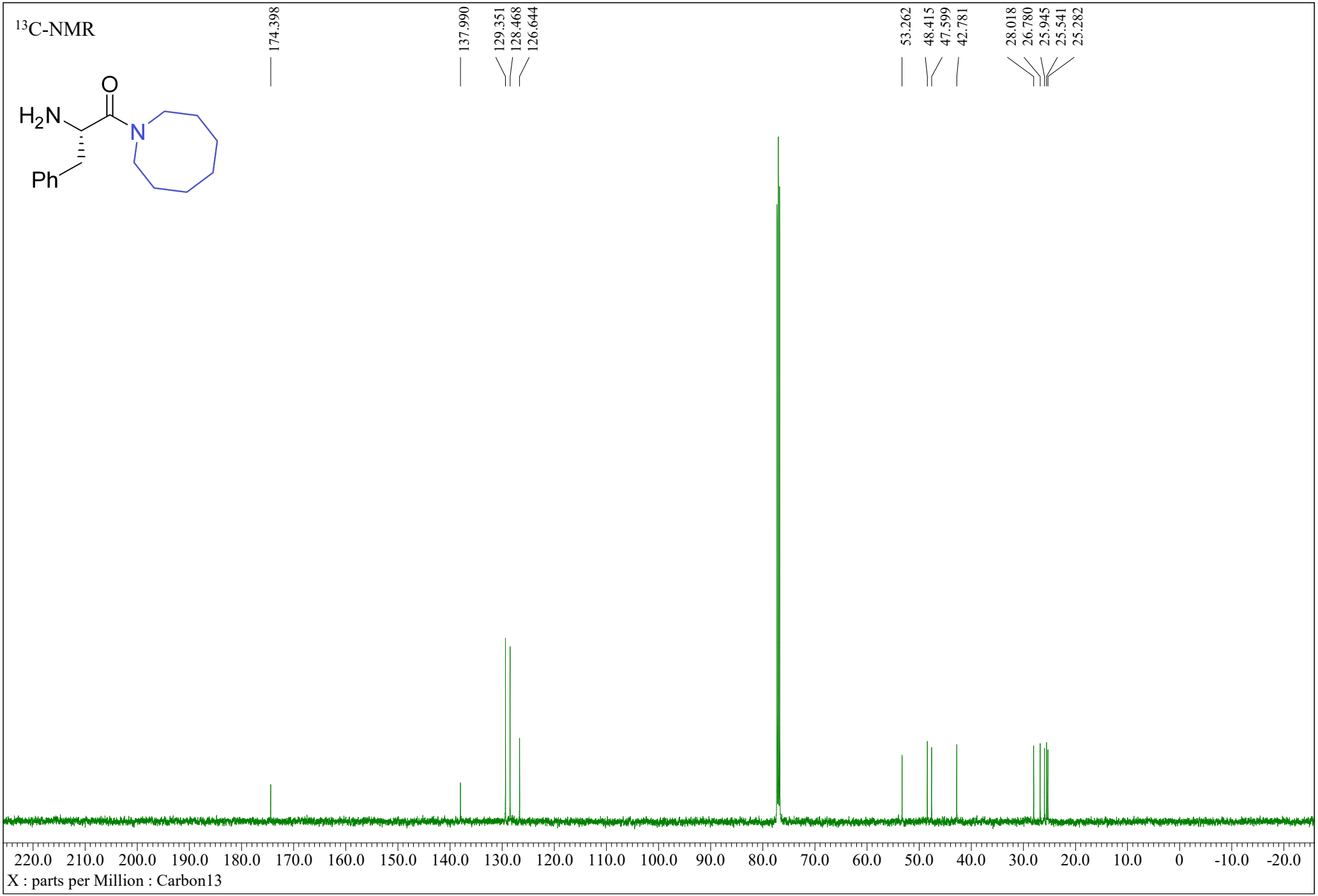
(*S*)-2-amino-1-(azepan-1-yl)-3-phenylpropan-1-one (**3an**)



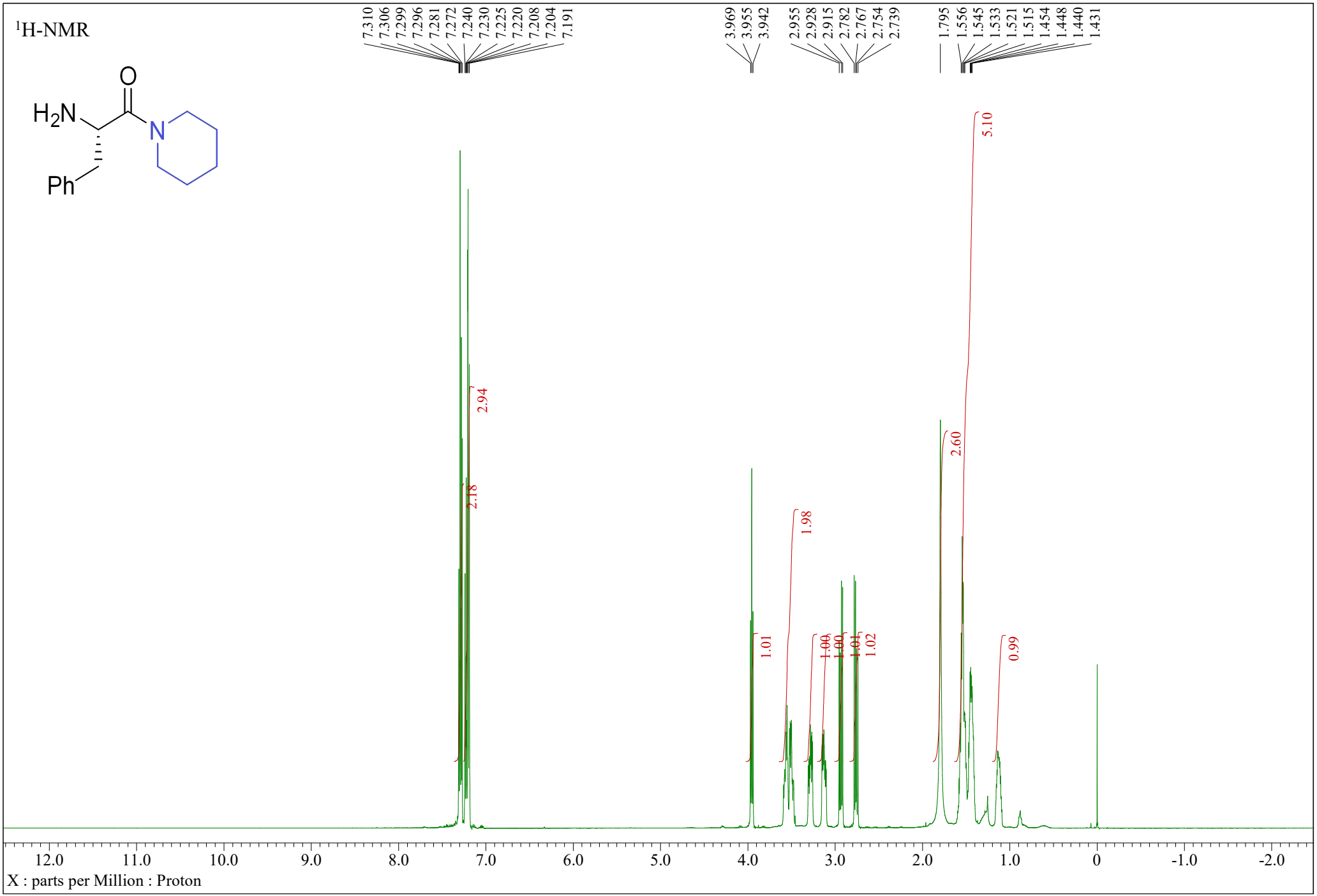
(*S*)-2-amino-1-(azocan-1-yl)-3-phenylpropan-1-one (**3ao**)



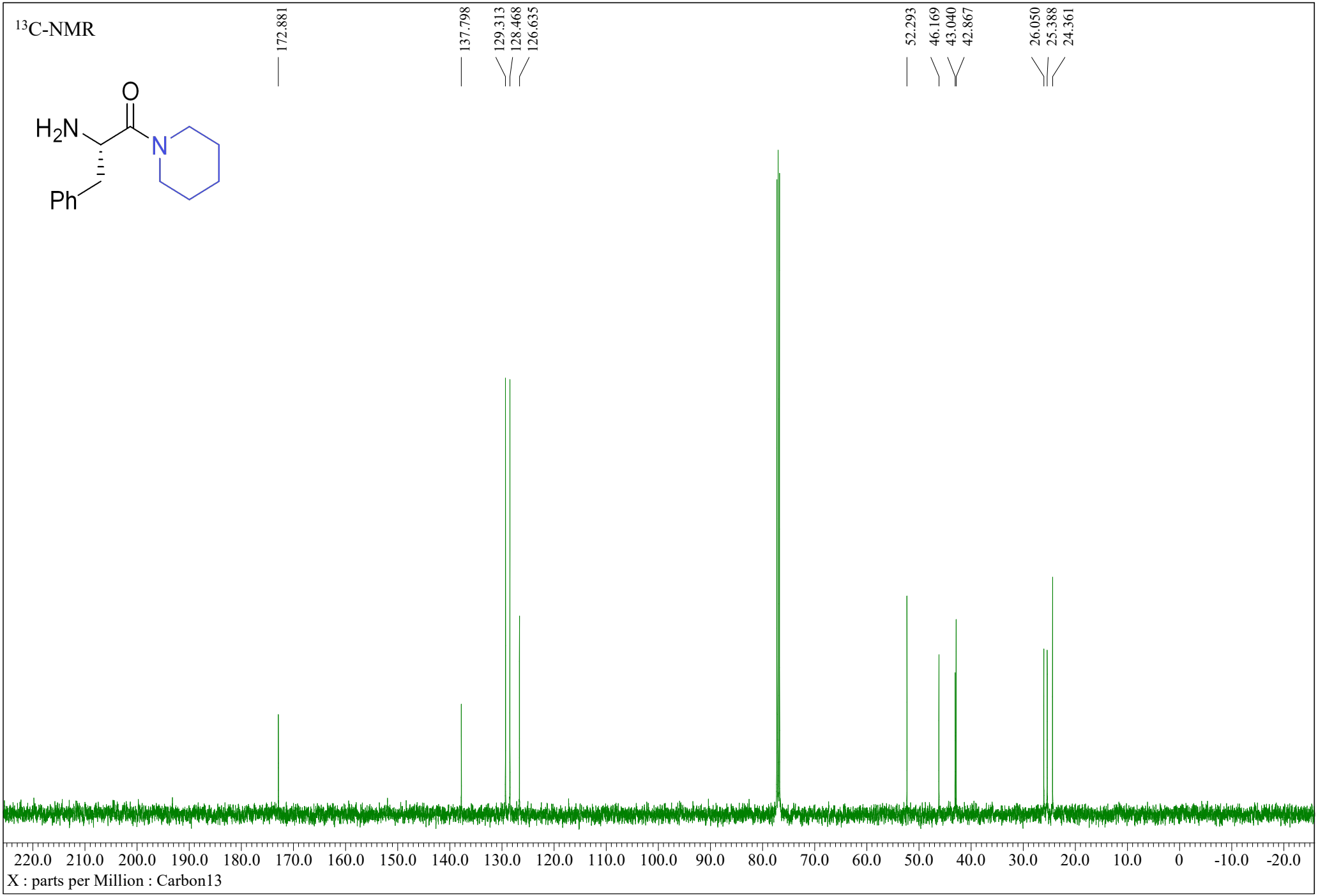
(*S*)-2-amino-1-(azocan-1-yl)-3-phenylpropan-1-one (**3ao**)



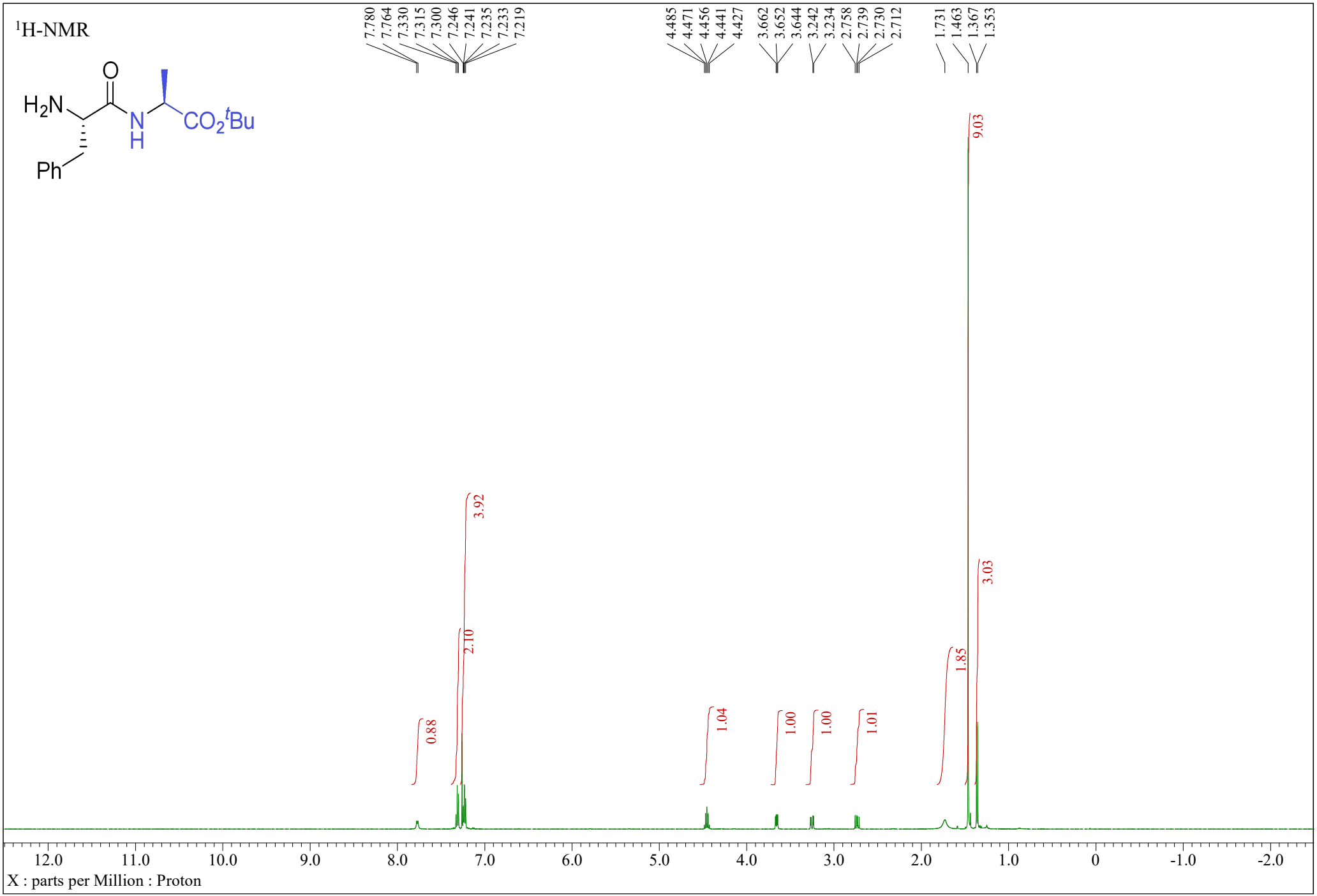
(*S*)-2-amino-3-phenyl-1-(piperidin-1-yl)propan-1-one (**3ai**)



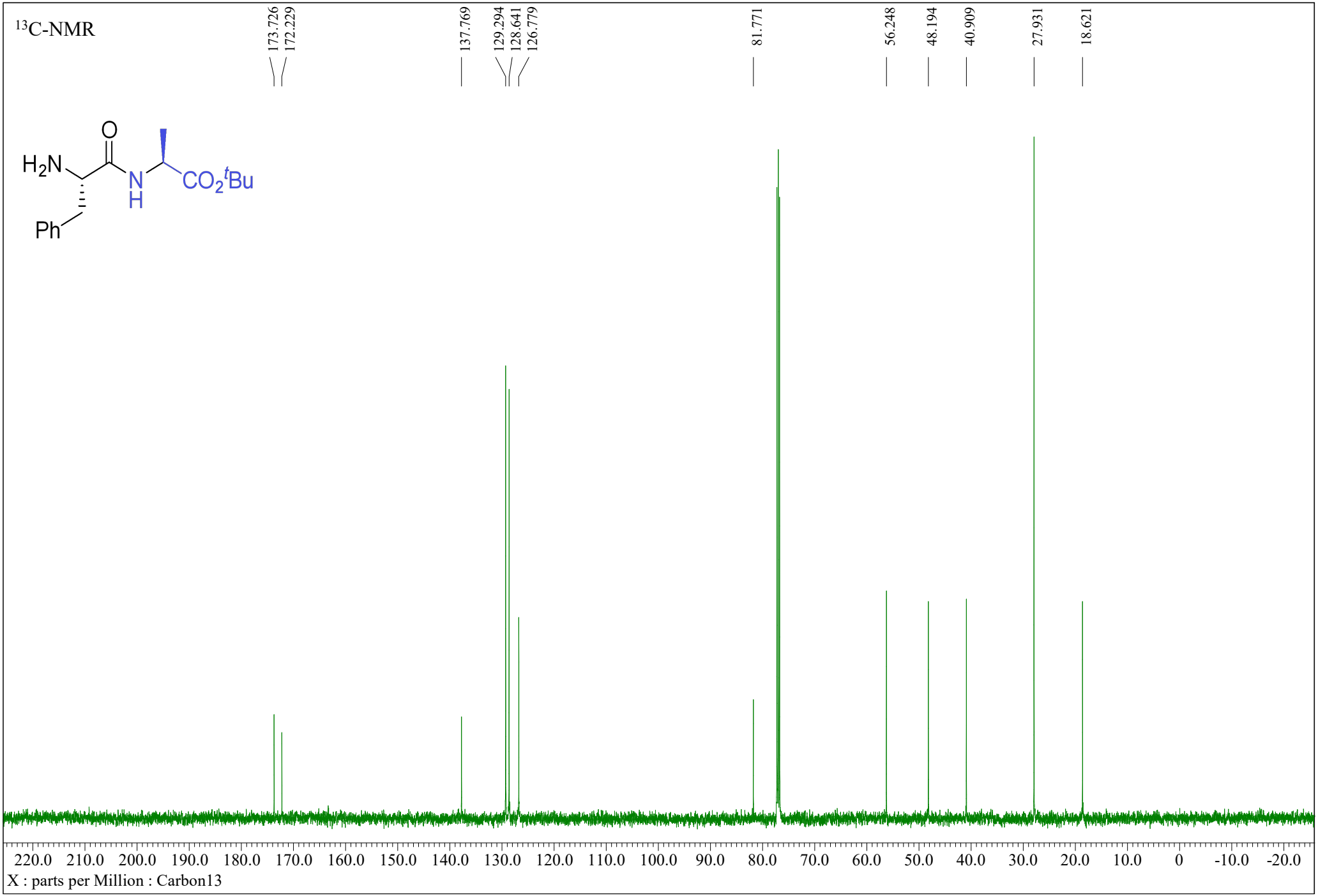
(*S*)-2-amino-3-phenyl-1-(piperidin-1-yl)propan-1-one (**3ai**)



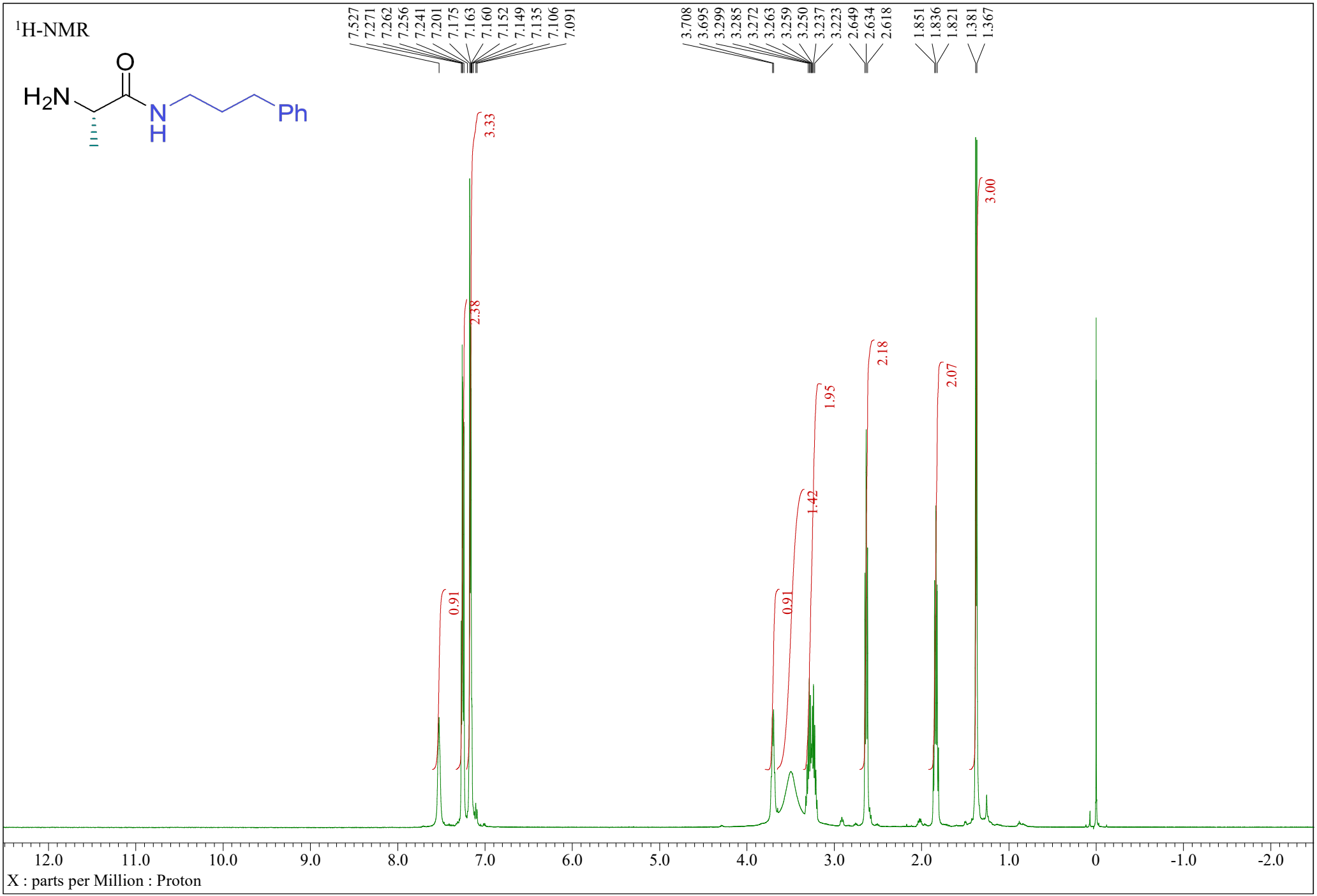
tert-butyl L-phenylalanyl-L-alaninate (**3aq**)



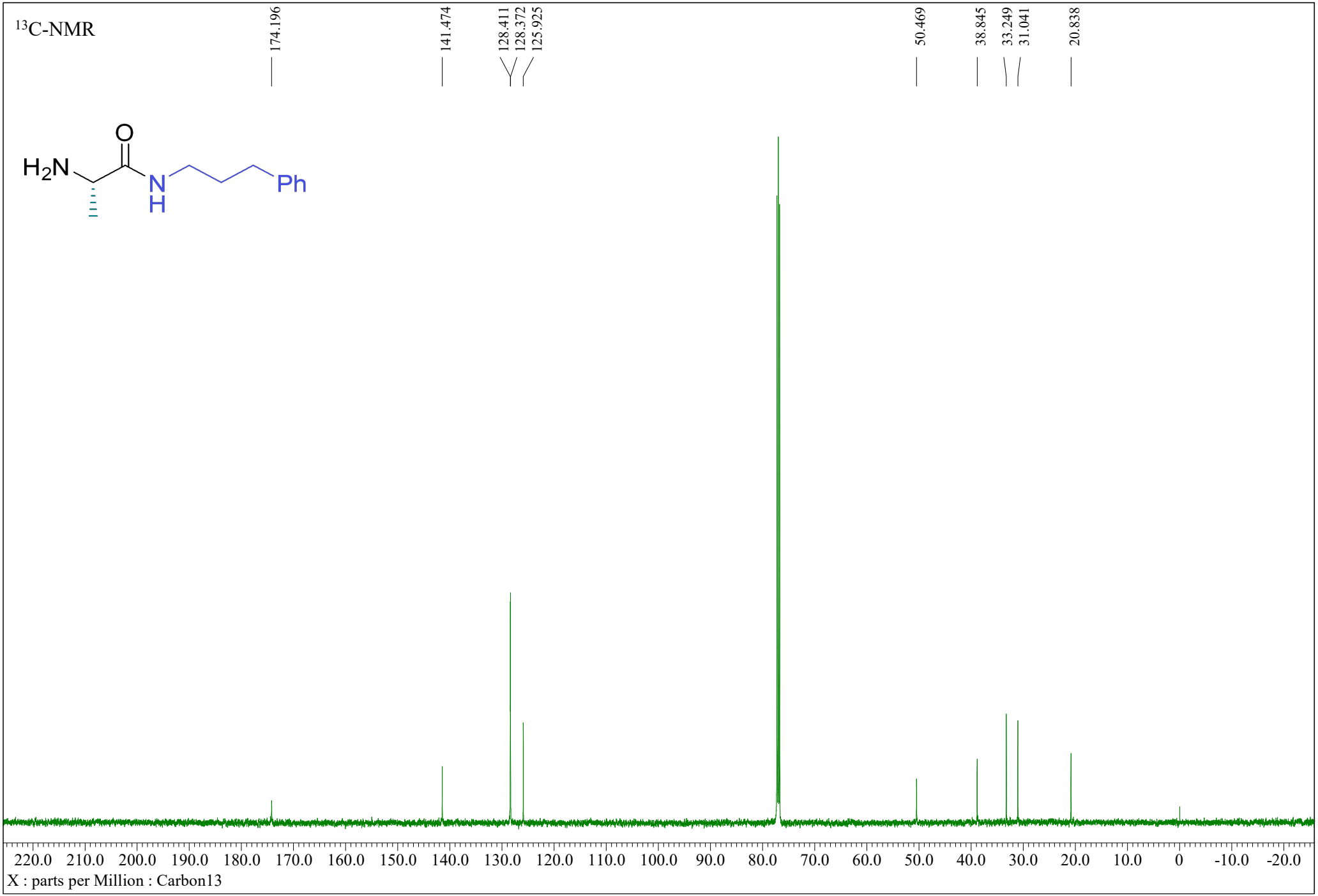
(*S*)-2-amino-3-phenyl-1-(piperidin-1-yl)propan-1-one (**3ai**)



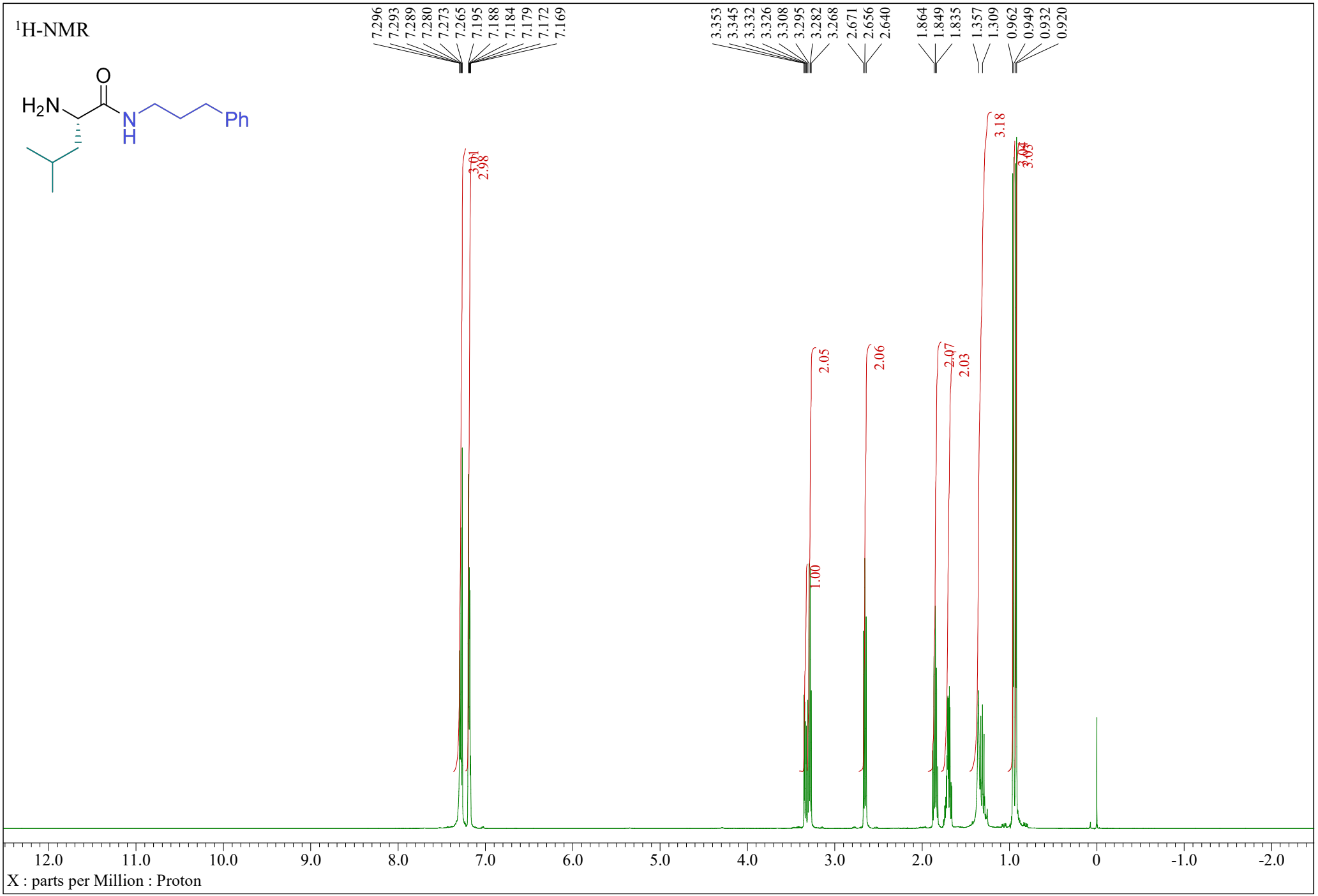
(*S*)-2-amino-*N*-(3-phenylpropyl)propenamide (**3be**)



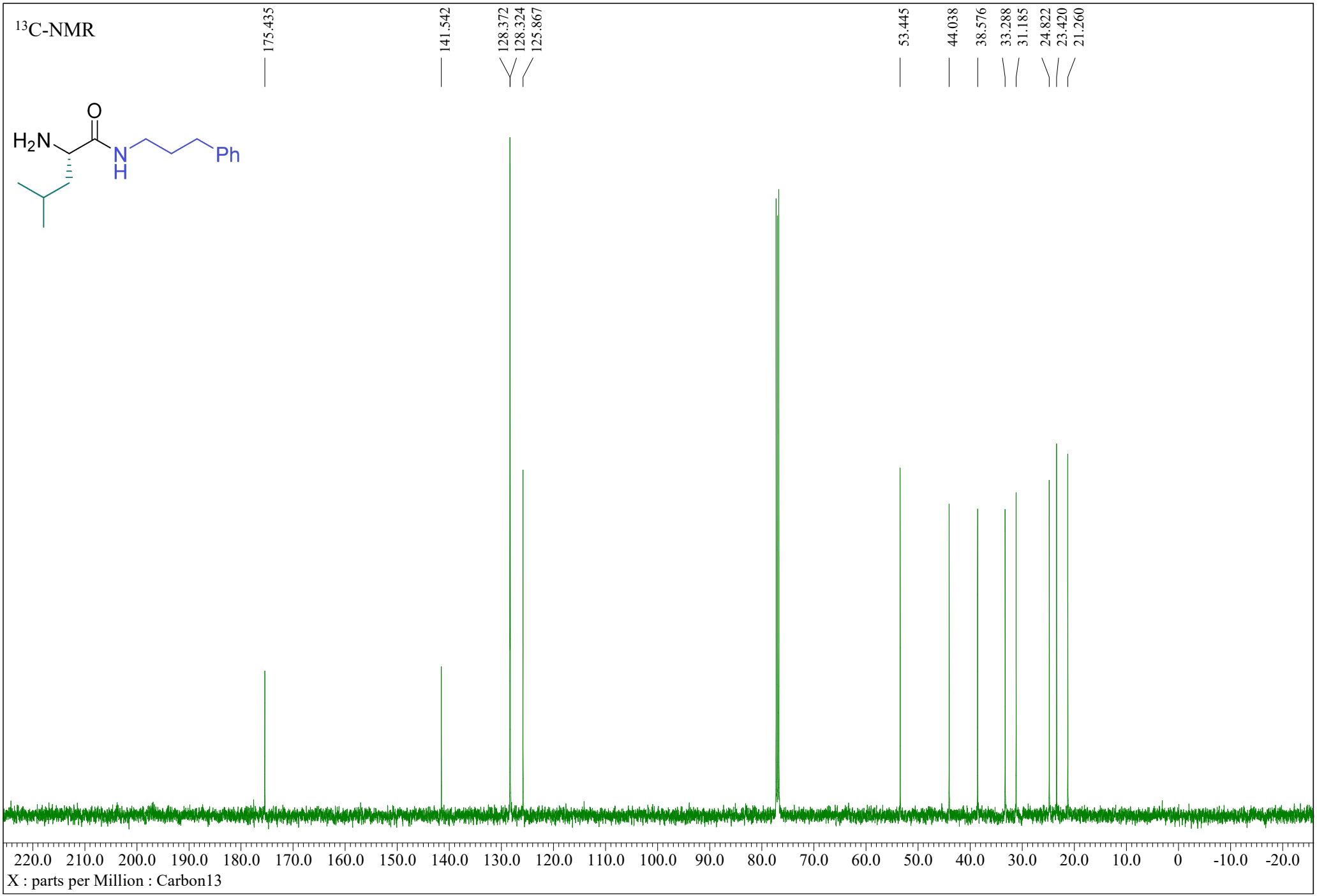
(*S*)-2-amino-*N*-(3-phenylpropyl)propenamide (**3be**)



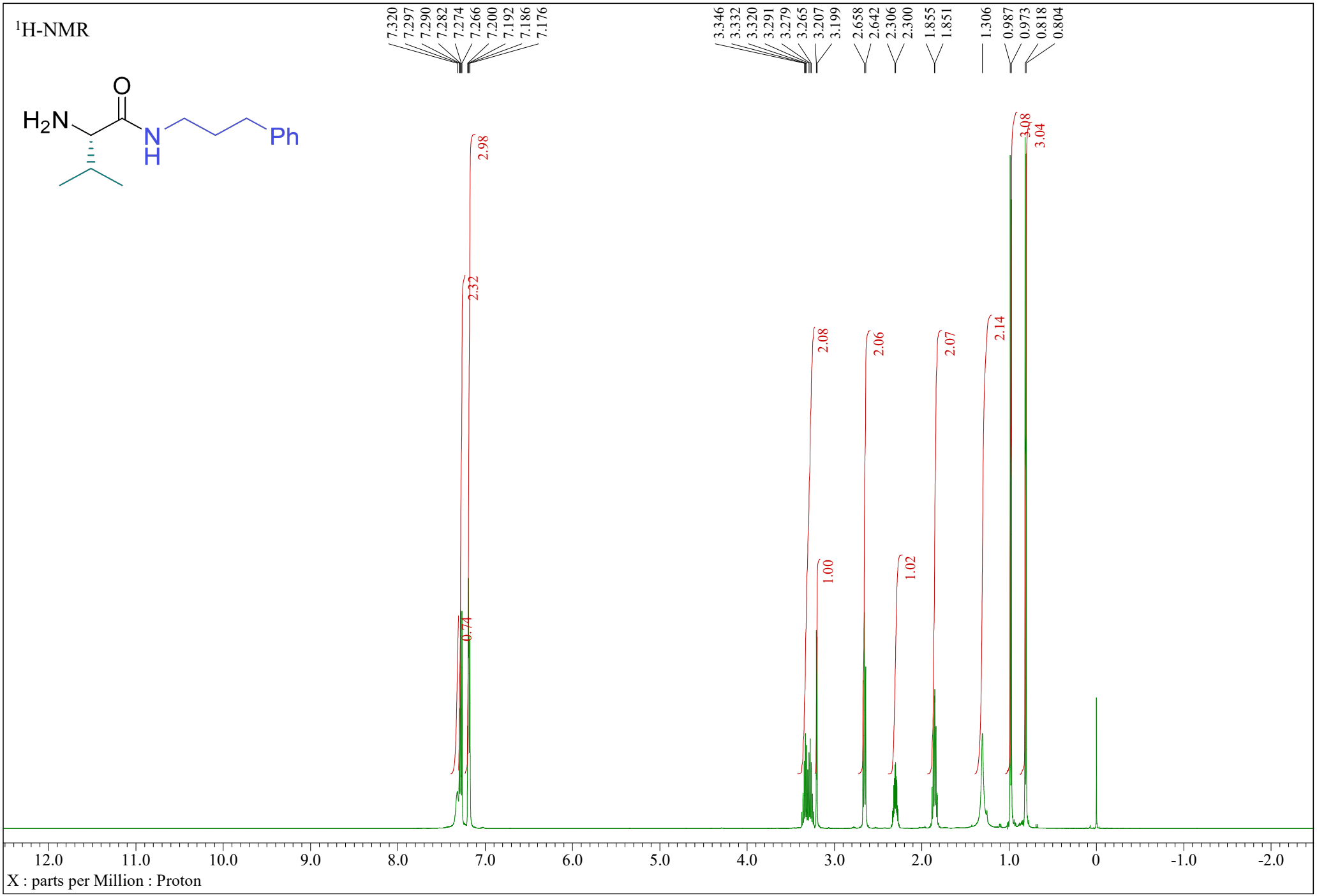
(*S*)-2-amino-4-methyl-*N*-(3-phenylpropyl)pentanamide (**3ce**)



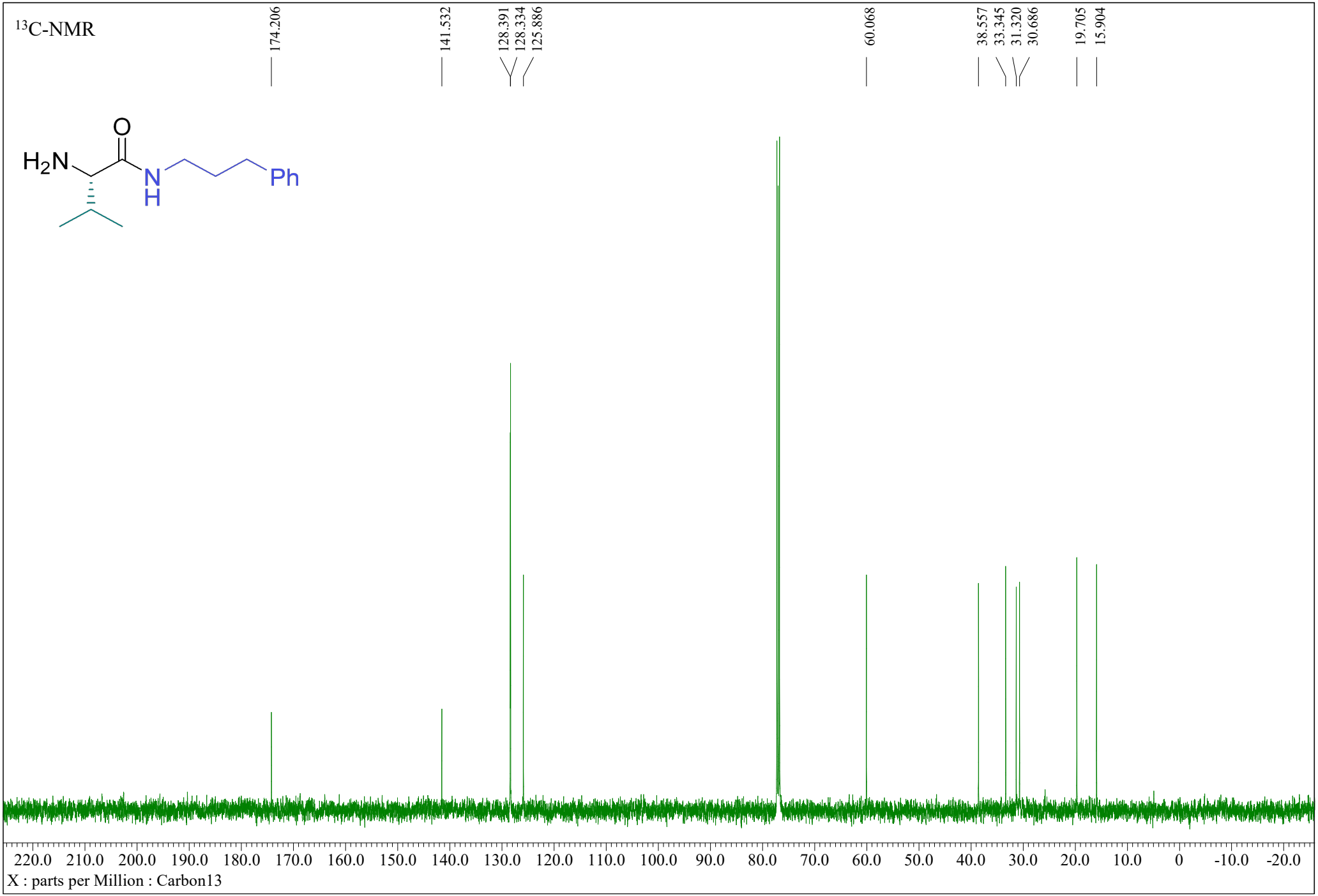
(*S*)-2-amino-4-methyl-*N*-(3-phenylpropyl)pentanamide (**3ce**)



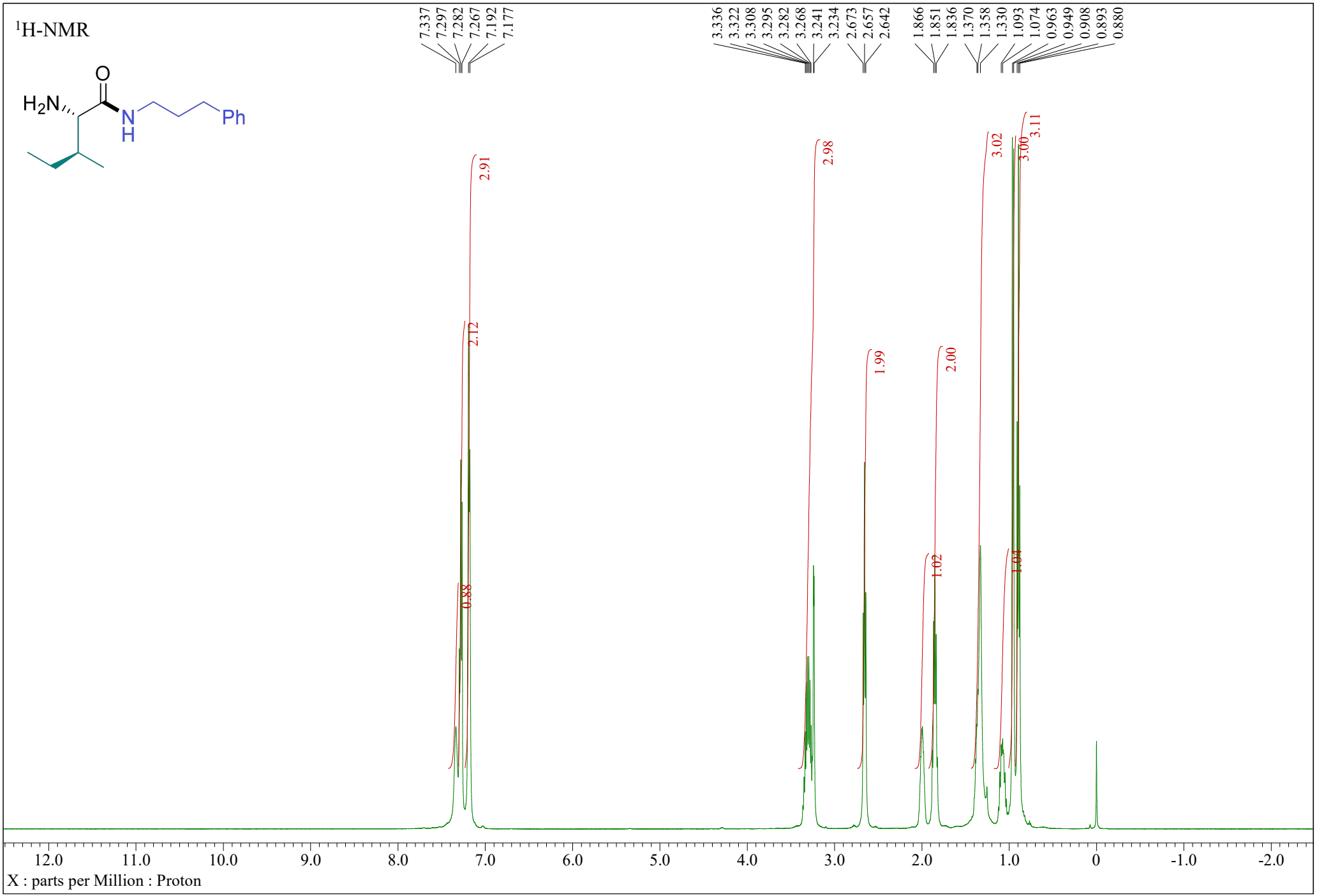
(*S*)-2-amino-3-methyl-*N*-(3-phenylpropyl)butanamide (**3de**)



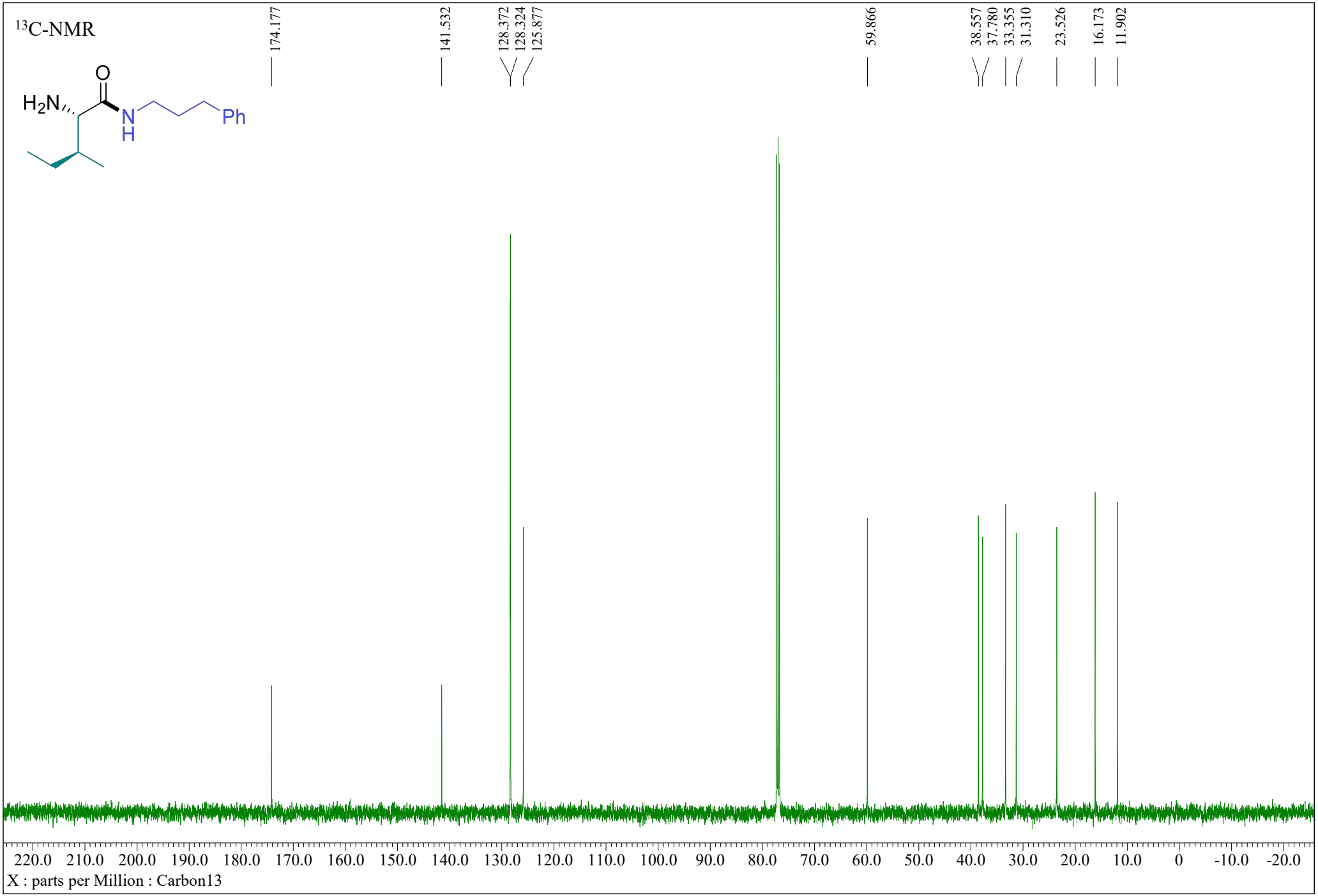
(*S*)-2-amino-3-methyl-*N*-(3-phenylpropyl)butanamide (**3de**)



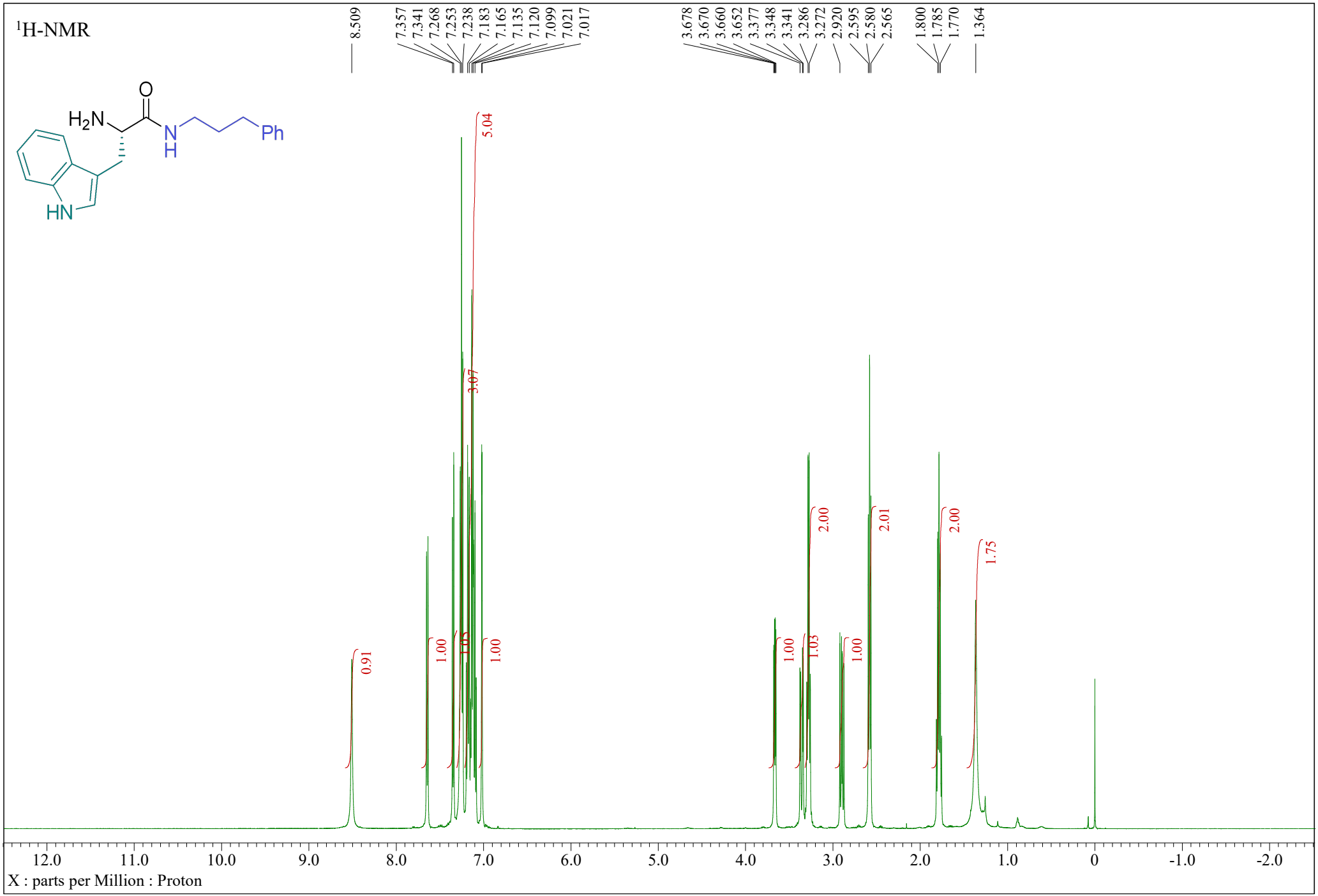
(2*S*,3*S*)-2-amino-3-methyl-*N*-(3-phenylpropyl)pentanamide (**3ee**)



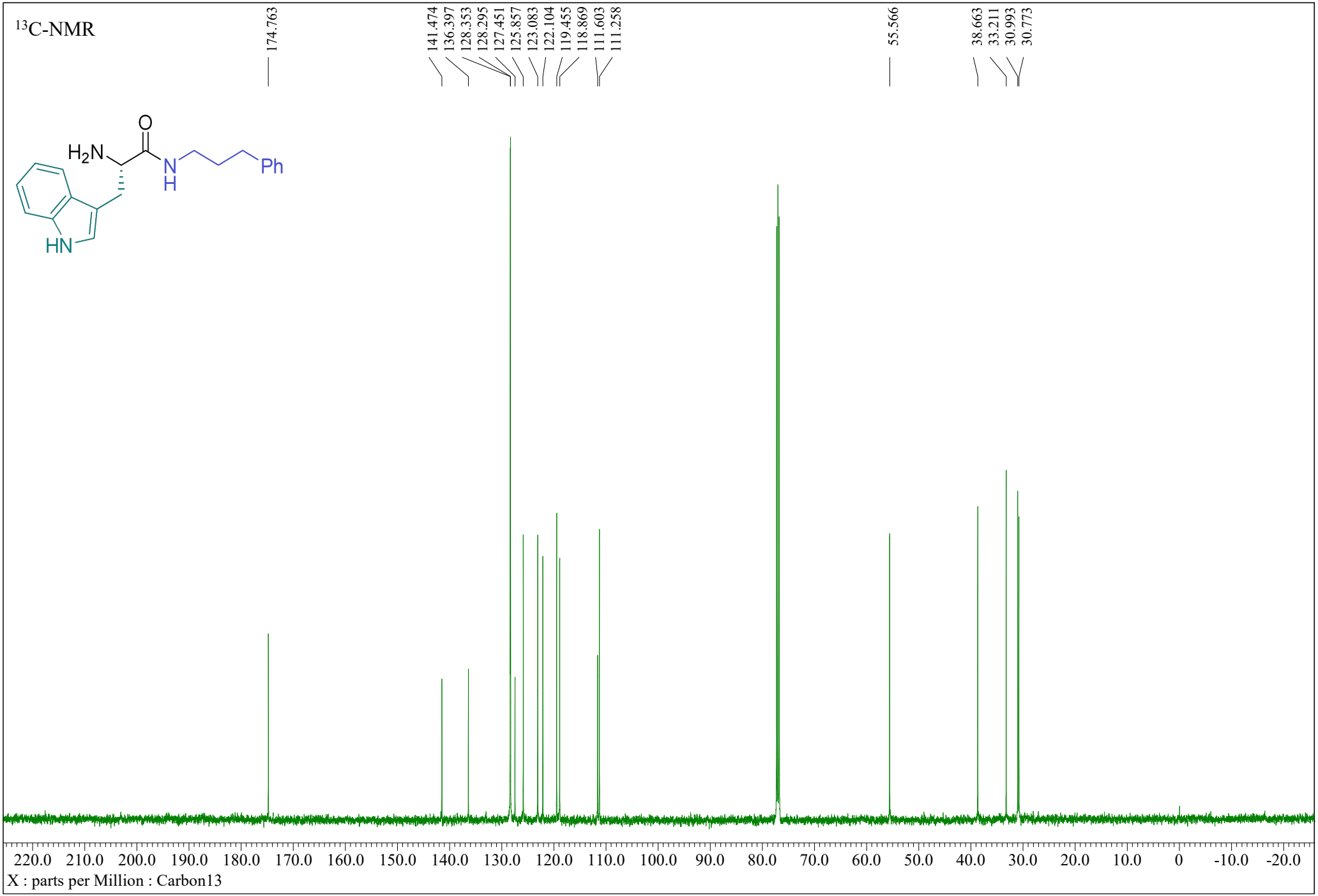
(2*S*,3*S*)-2-amino-3-methyl-*N*-(3-phenylpropyl)pentanamide (**3ee**)



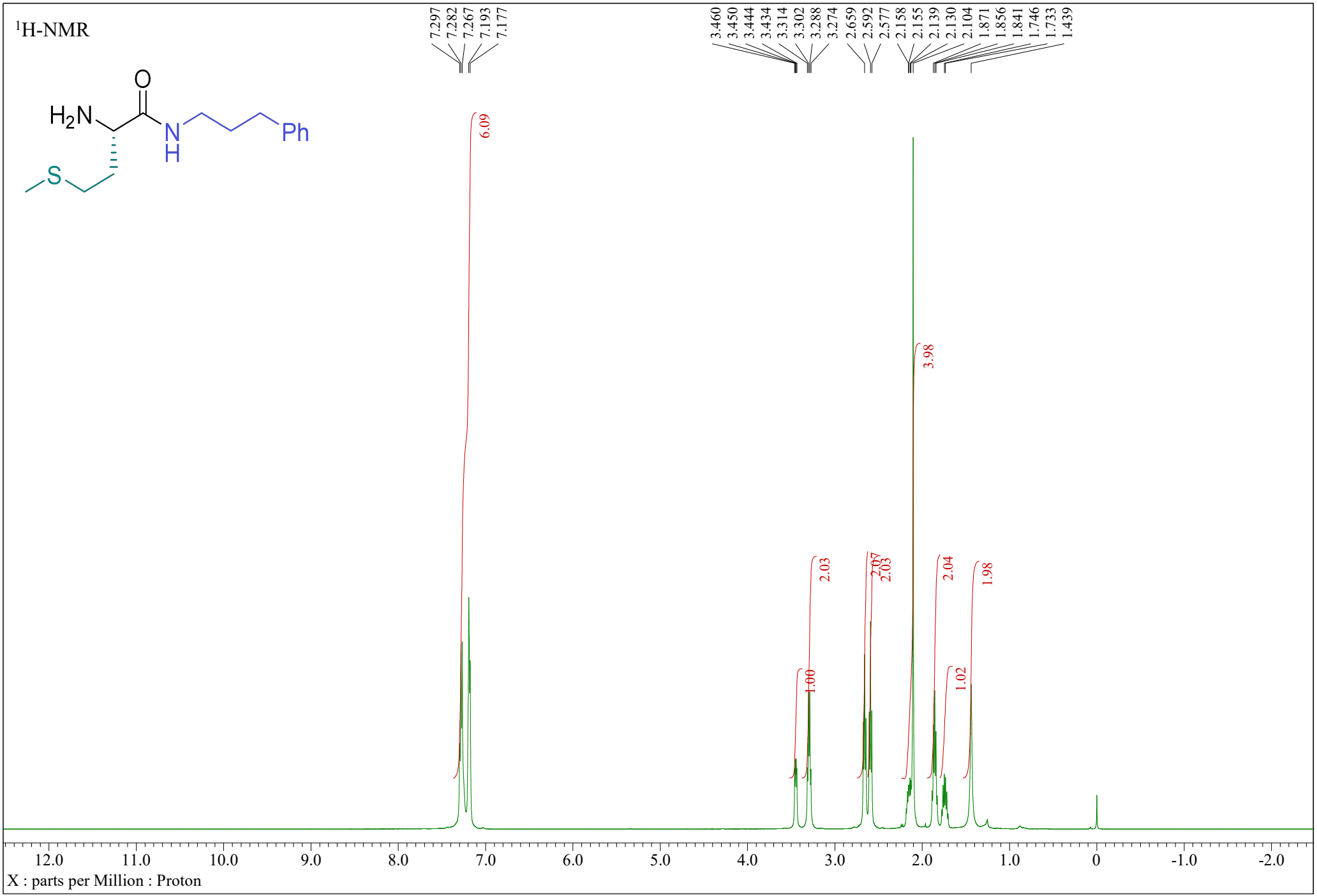
(*S*)-2-amino-3-(1*H*-indol-3-yl)-*N*-(3-phenylpropyl)propenamide (**3fe**)



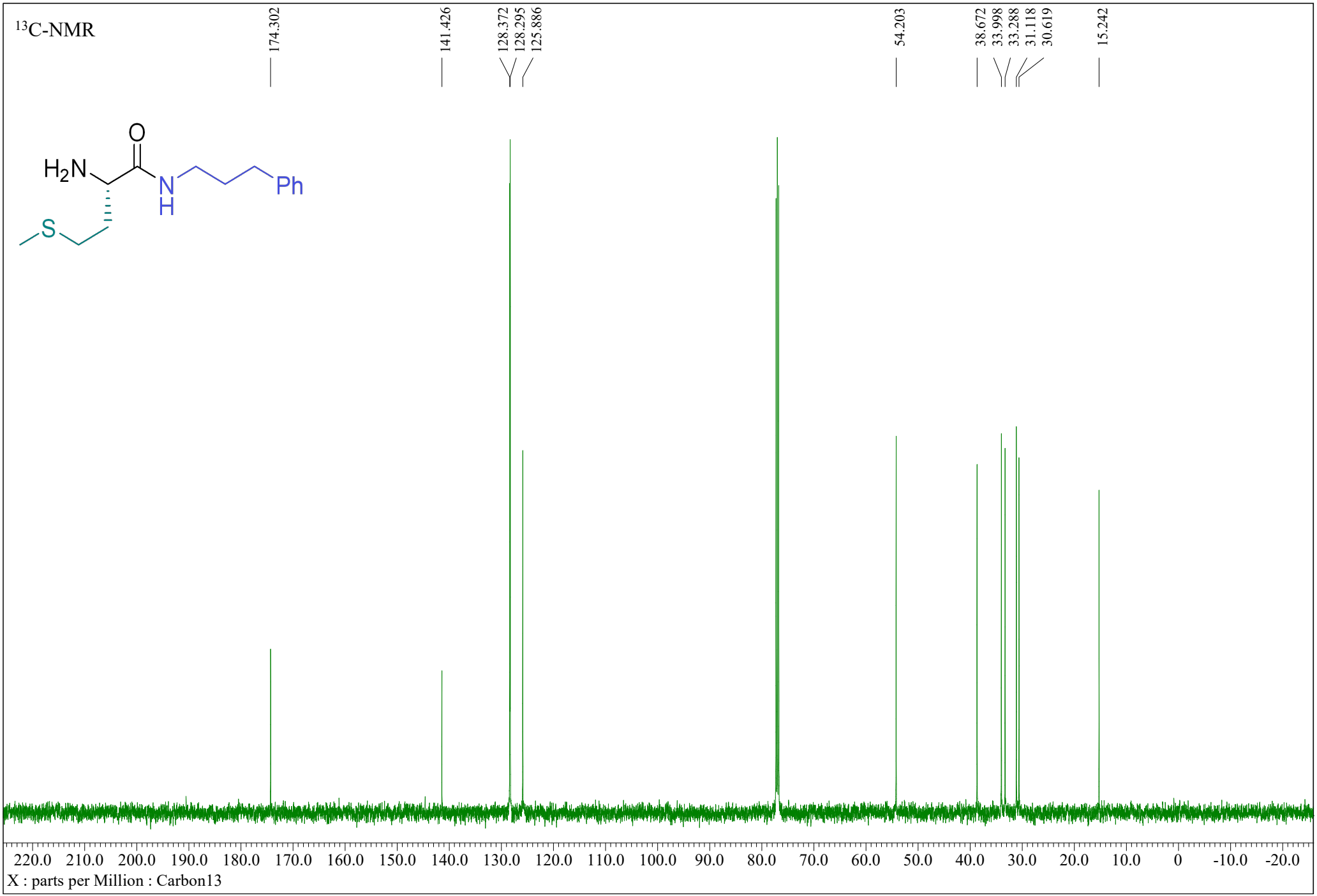
(*S*)-2-amino-3-(1*H*-indol-3-yl)-*N*-(3-phenylpropyl)propenamide (**3fe**)



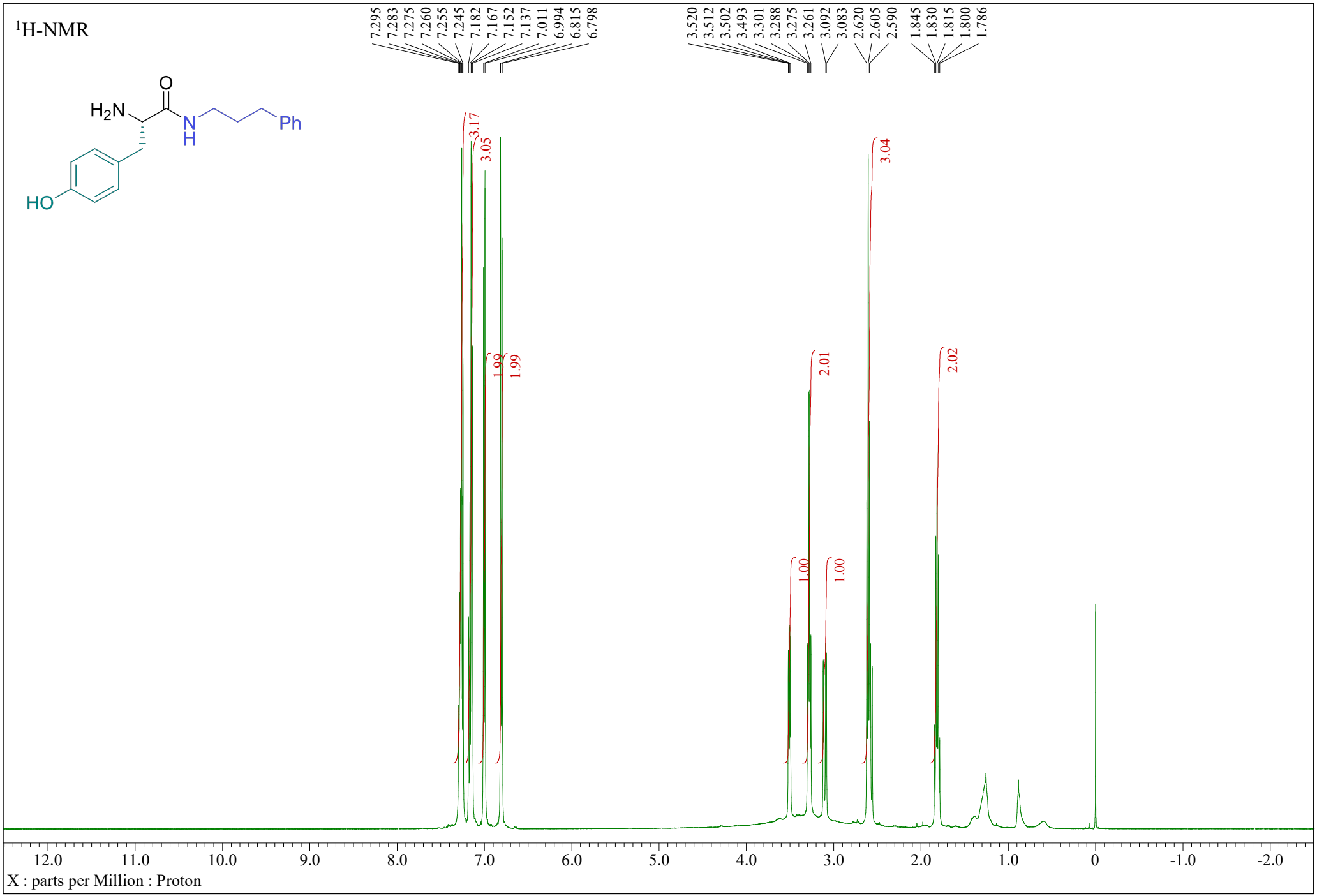
(*S*)-2-amino-4-(methylthio)-*N*-(3-phenylpropyl)butanamide (**3ge**)



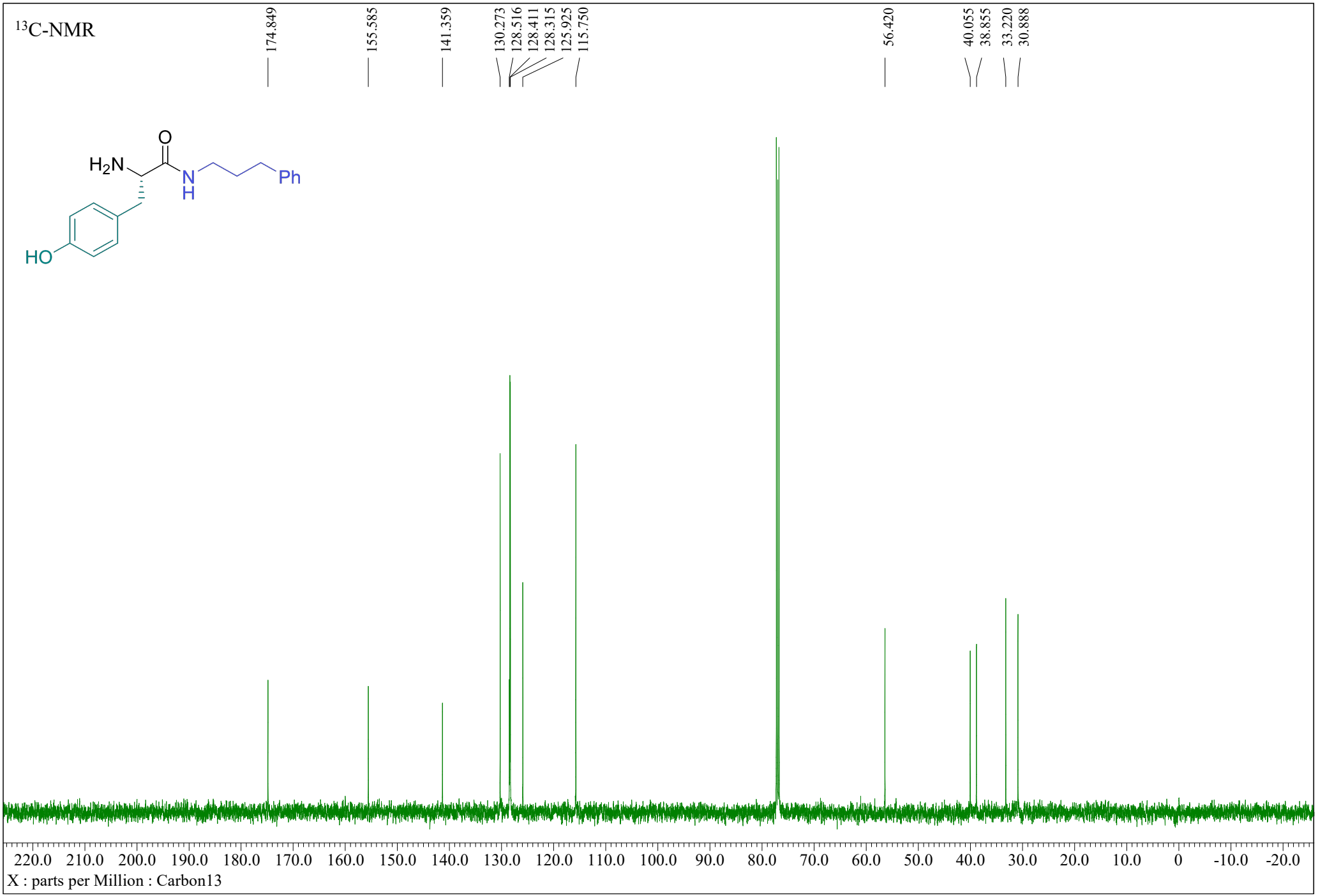
(*S*)-2-amino-4-(methylthio)-*N*-(3-phenylpropyl)butanamide (**3ge**)



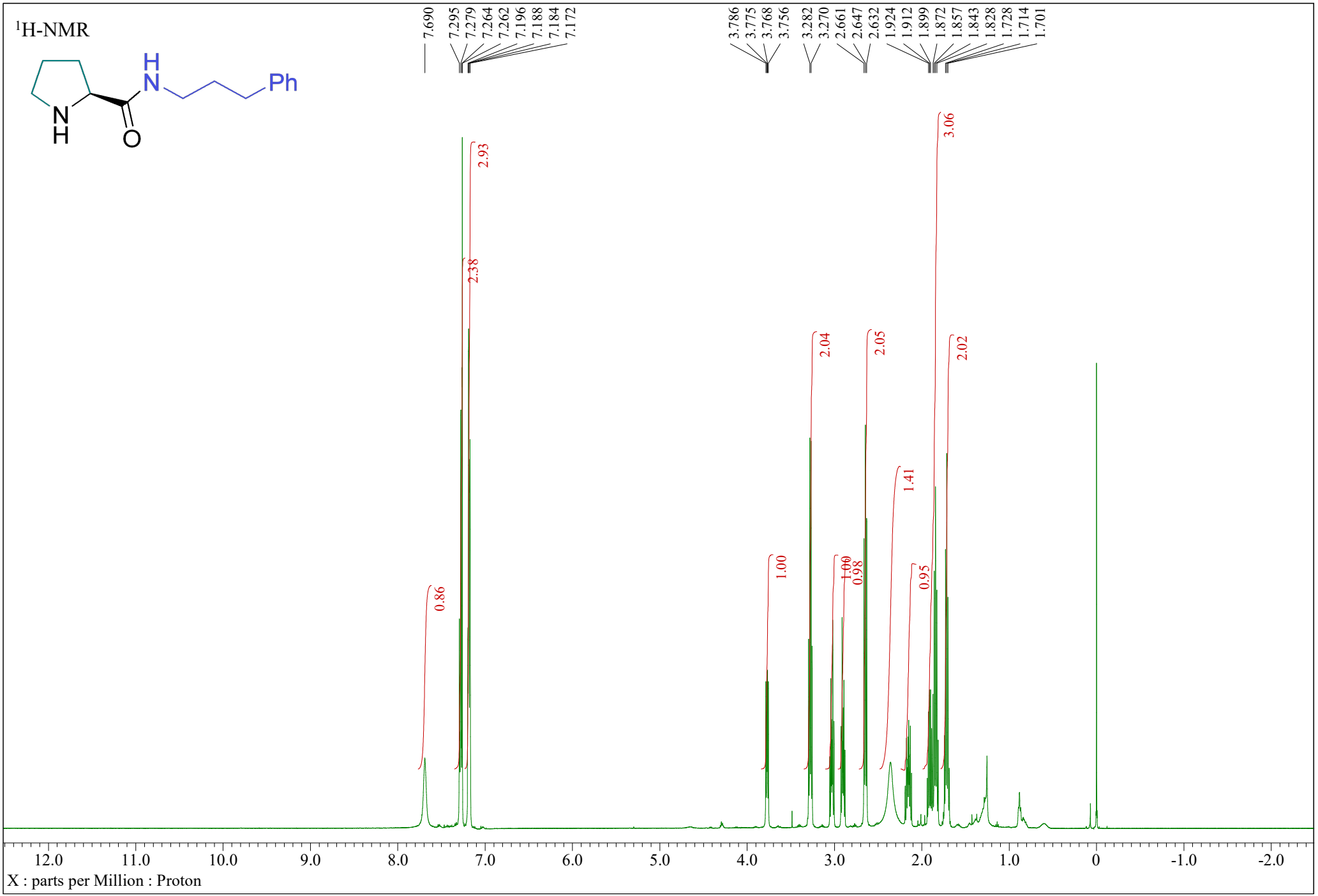
(*S*)-2-amino-3-(4-hydroxyphenyl)-*N*-(3-phenylpropyl)propenamide (**3he**)



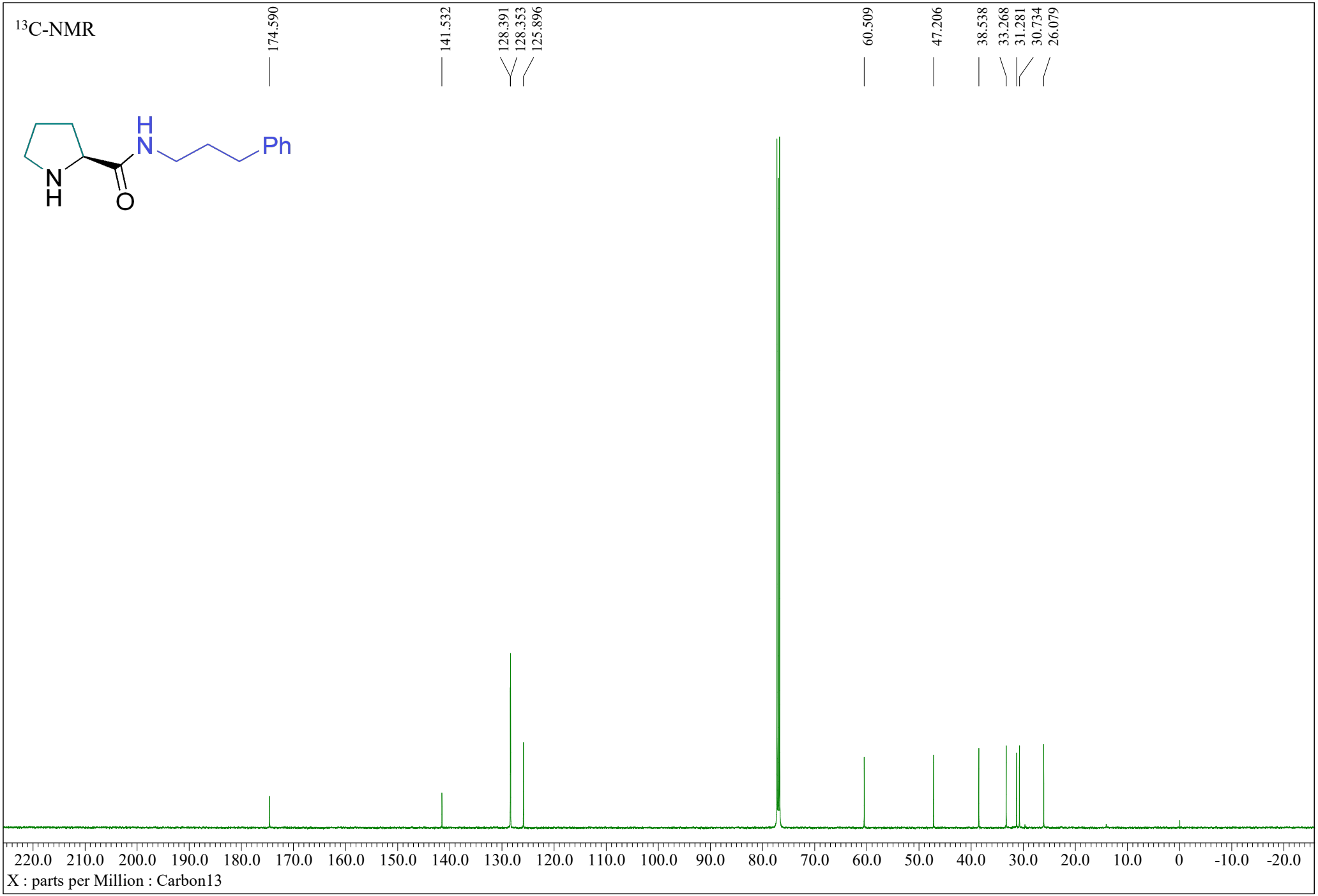
(*S*)-2-amino-3-(4-hydroxyphenyl)-*N*-(3-phenylpropyl)propenamide (**3he**)



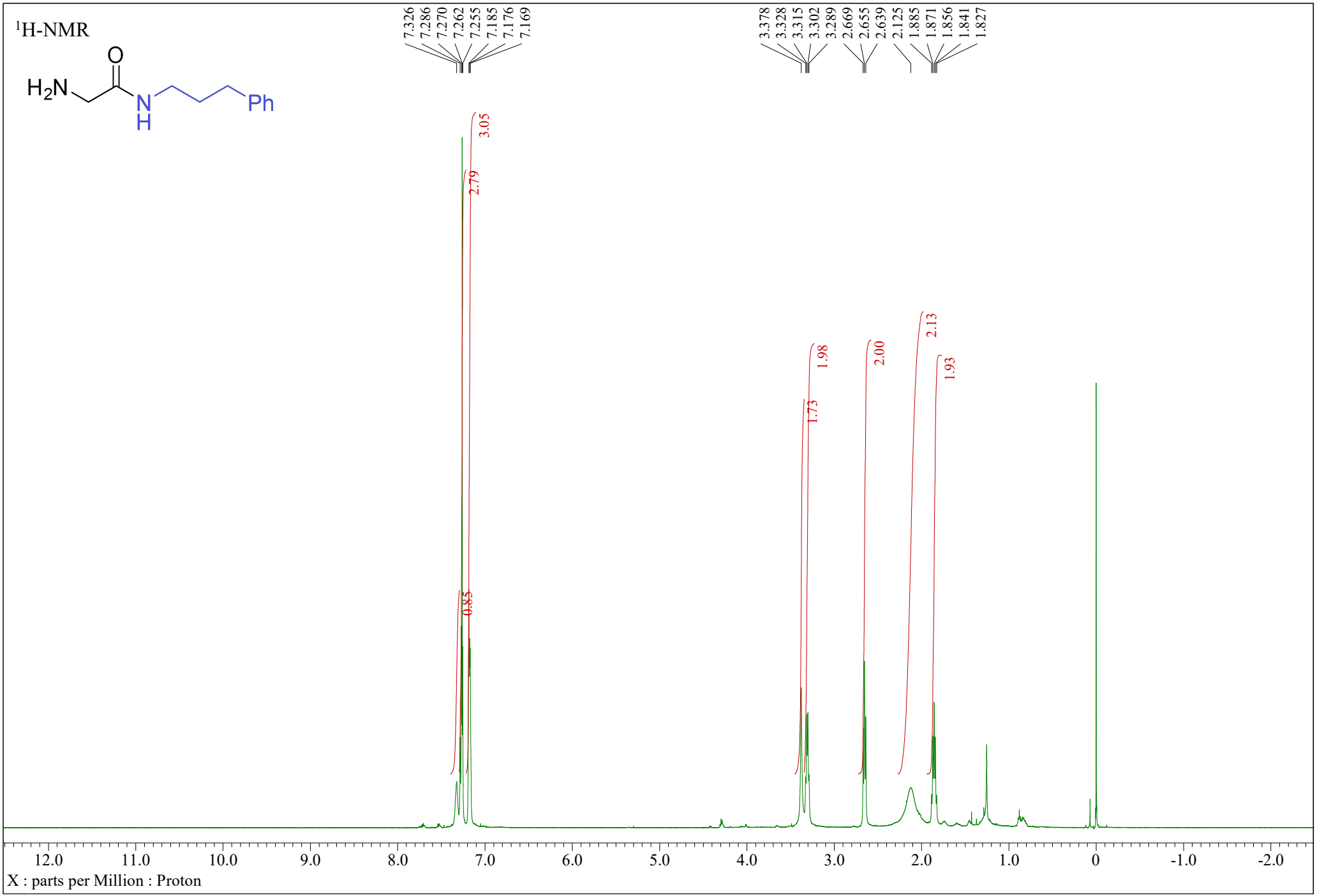
(*S*)-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (**3ie**)



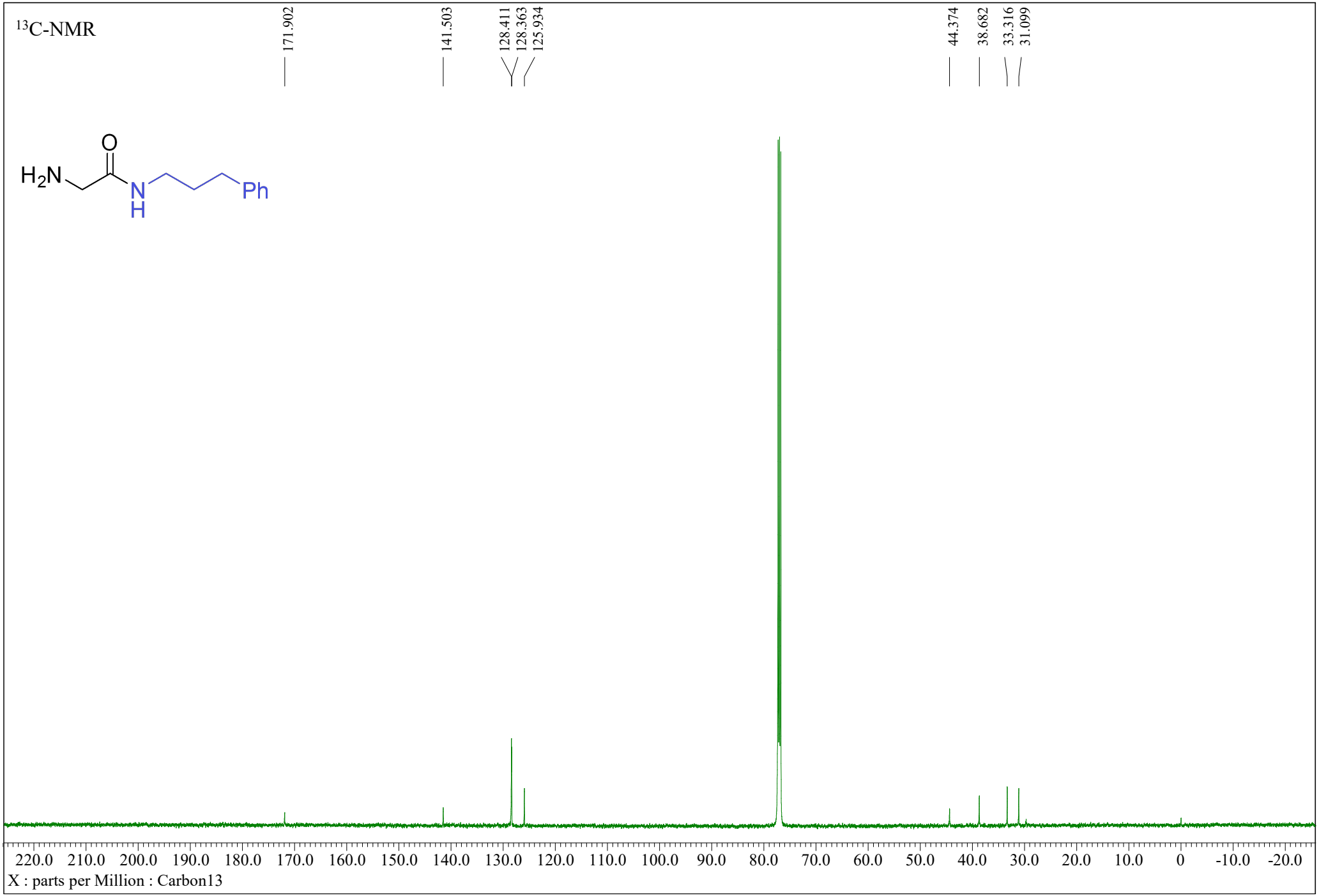
(*S*)-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (**3ie**)



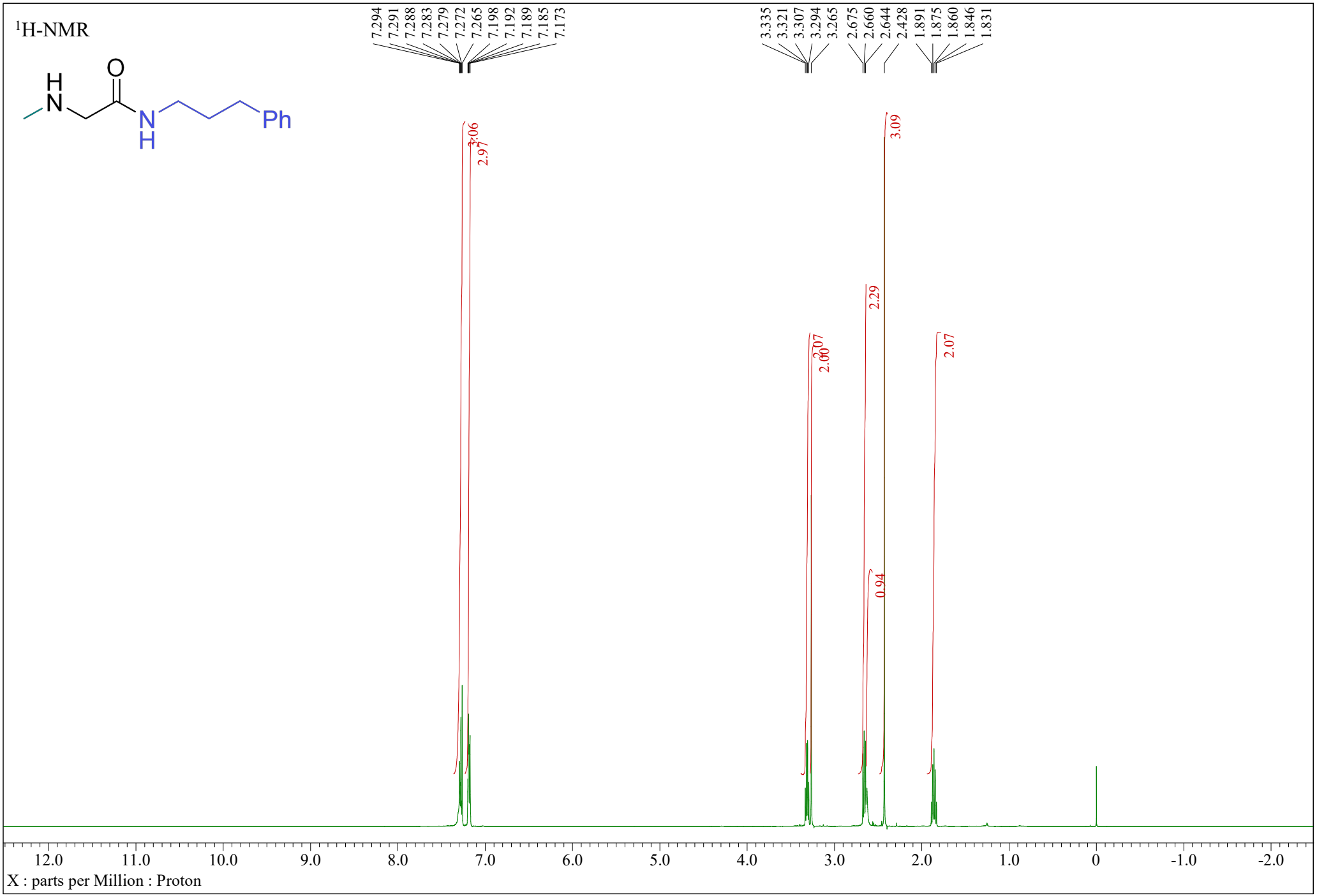
2-amino-*N*-(3-phenylpropyl)acetamide (**3je**)



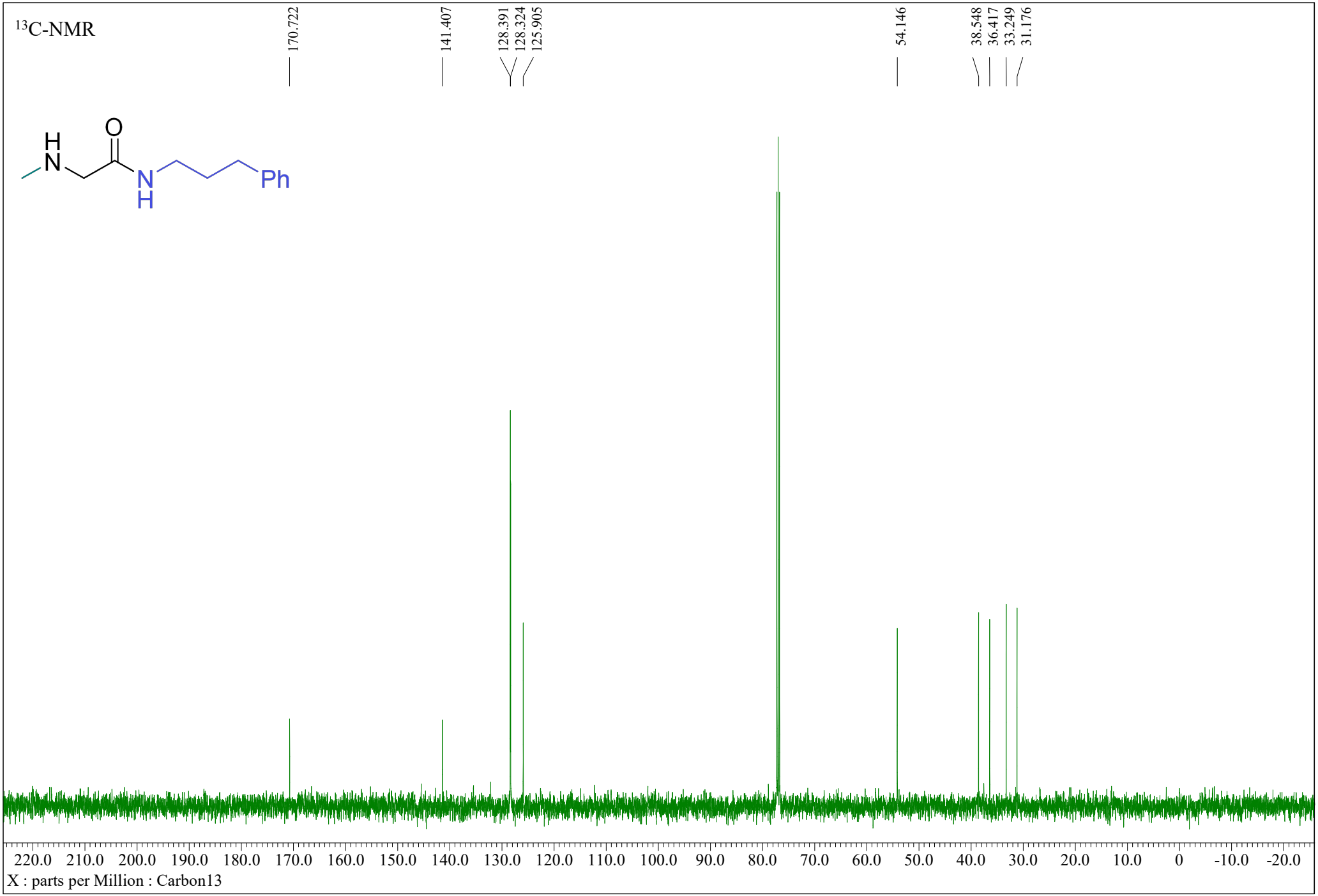
2-amino-*N*-(3-phenylpropyl)acetamide (**3je**)



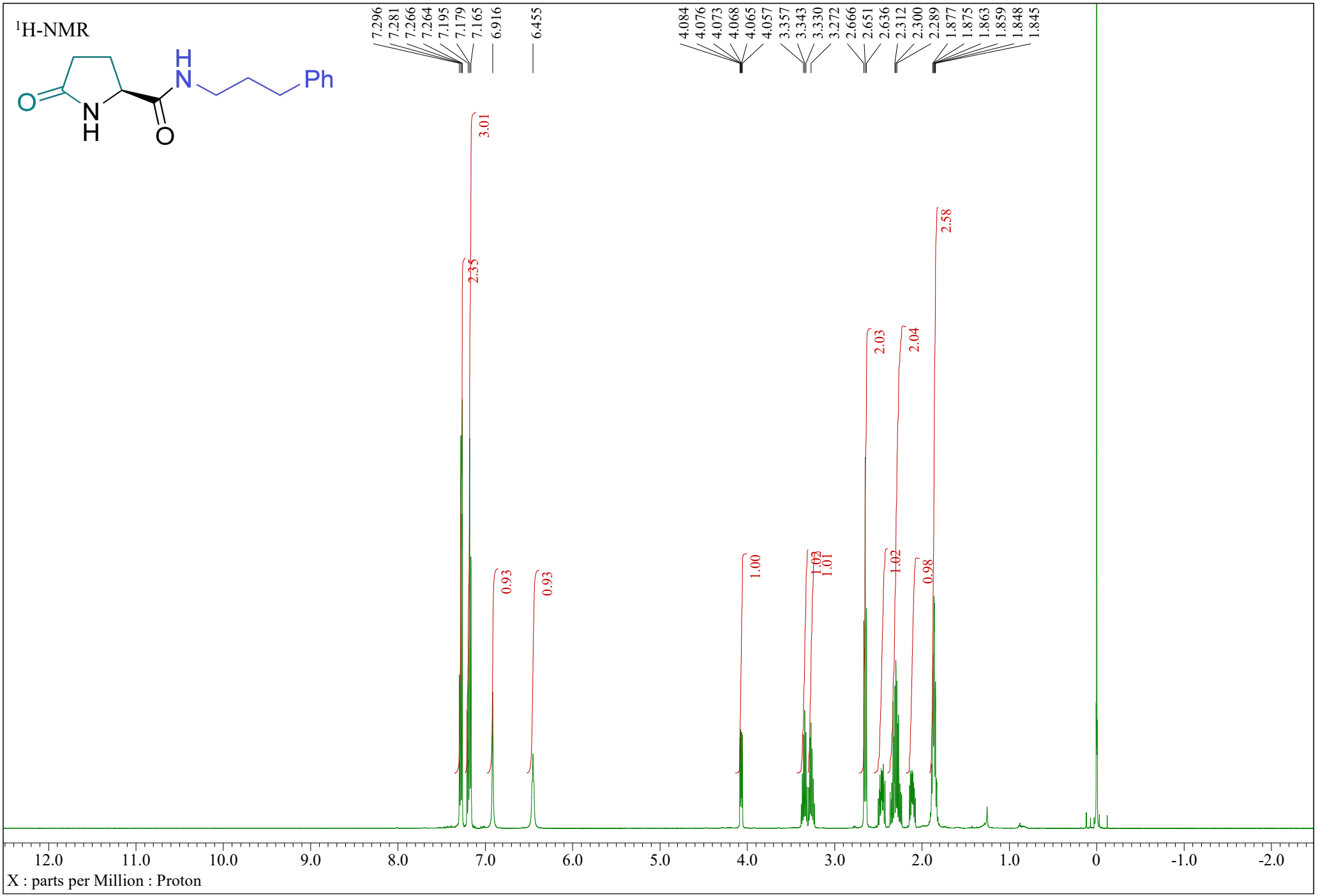
2-(methylamino)-*N*-(3-phenylpropyl)acetamide (**3ke**)



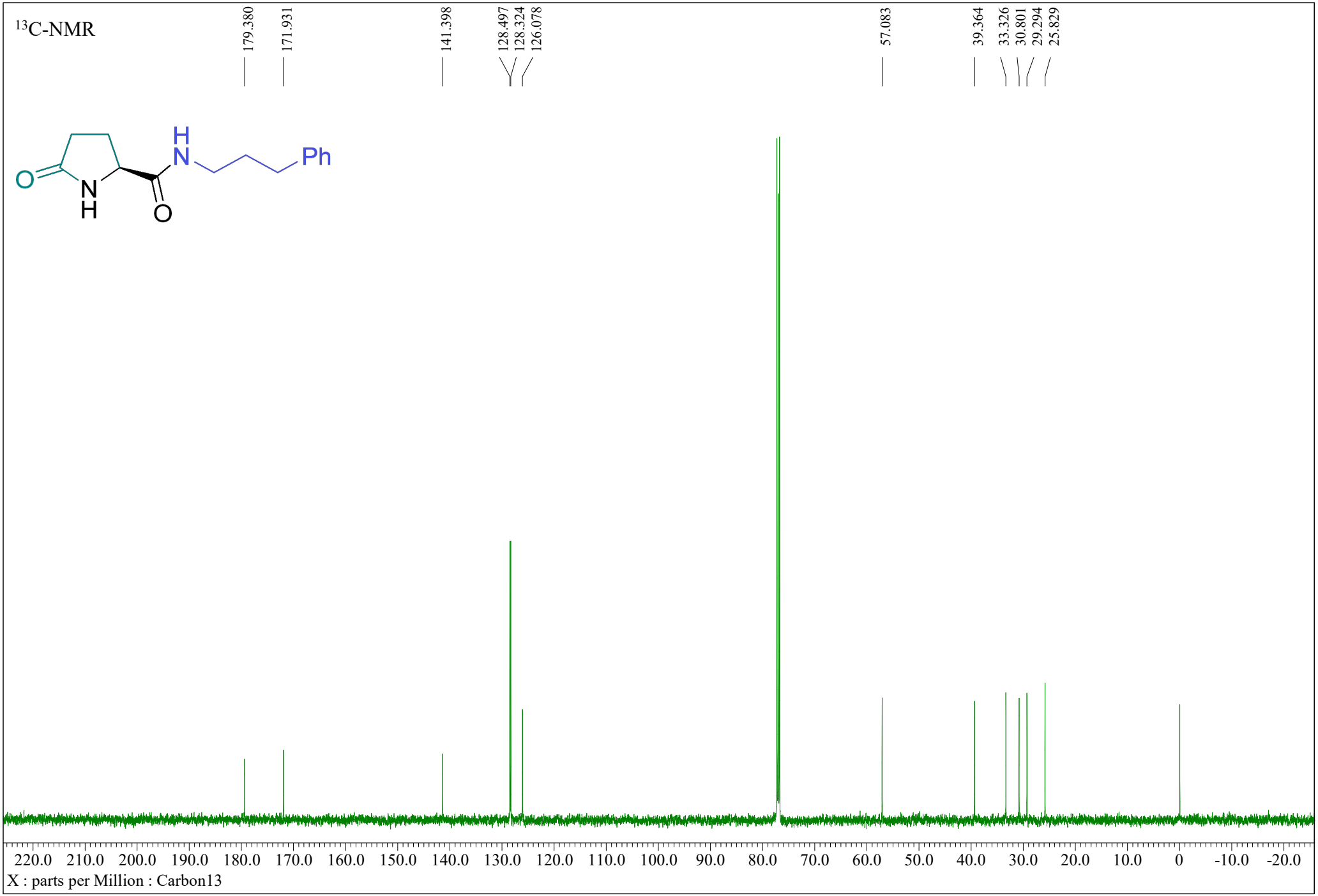
2-(methylamino)-*N*-(3-phenylpropyl)acetamide (**3ke**)



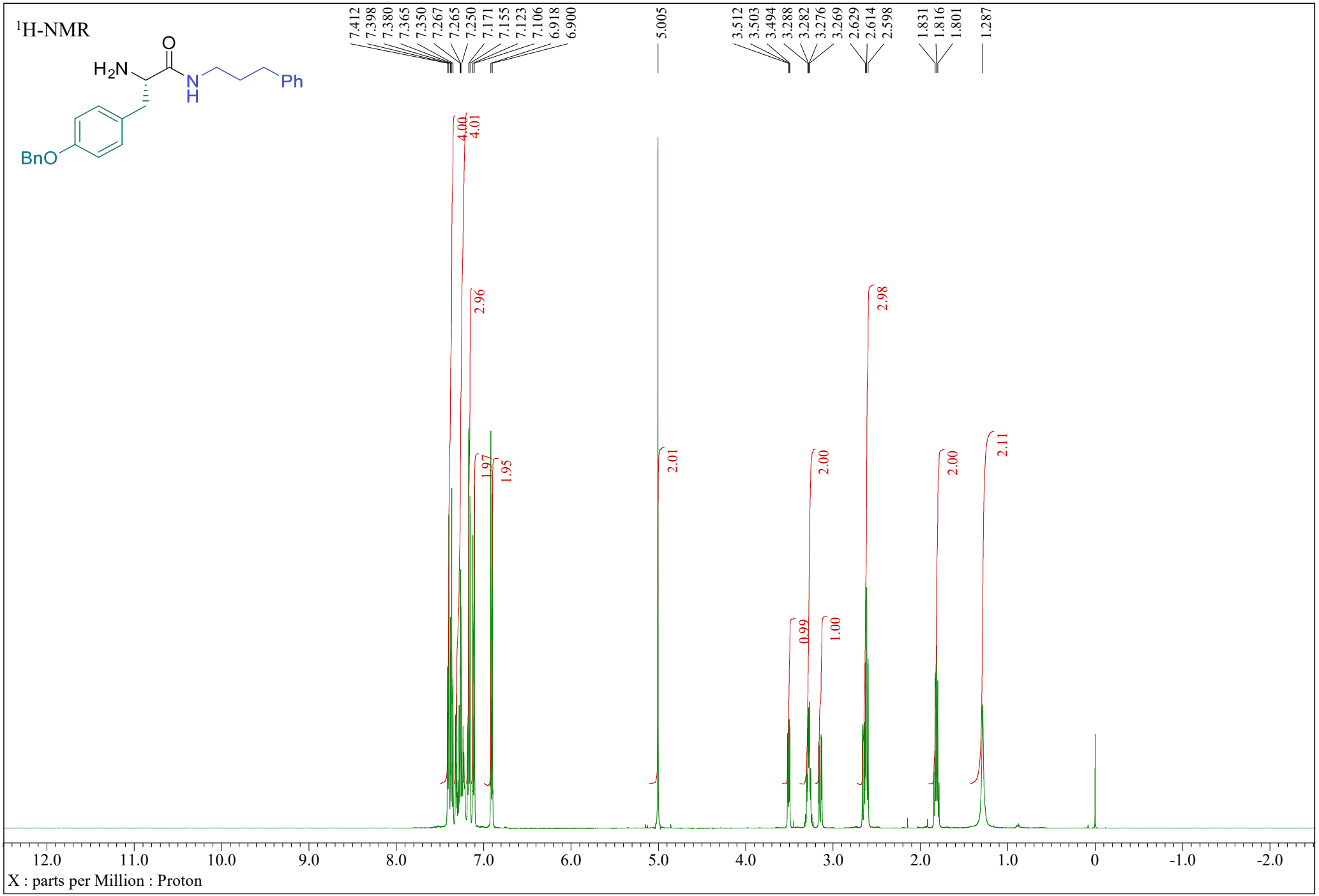
(*S*)-5-oxo-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (**3le**)



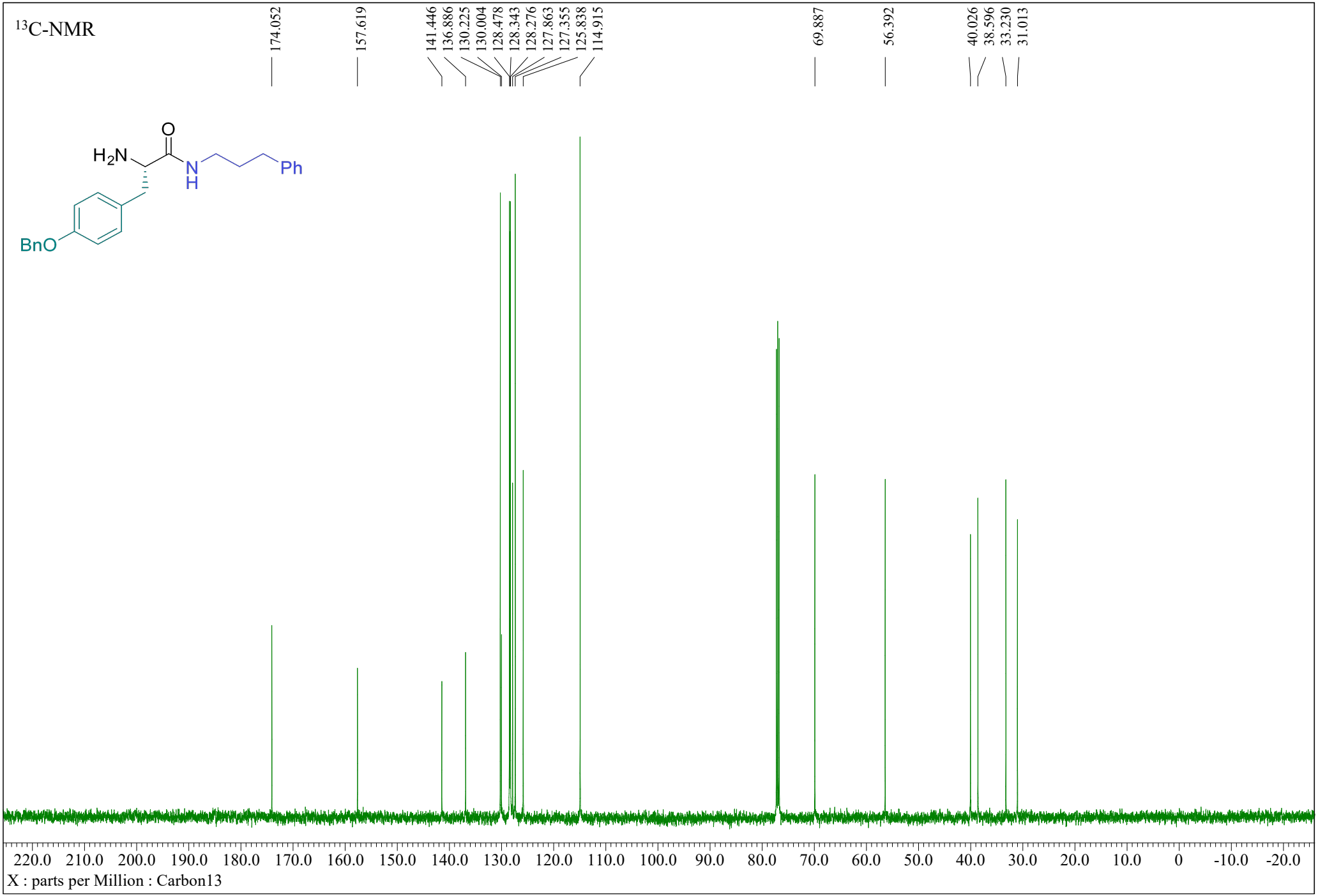
(*S*)-5-oxo-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (**3le**)



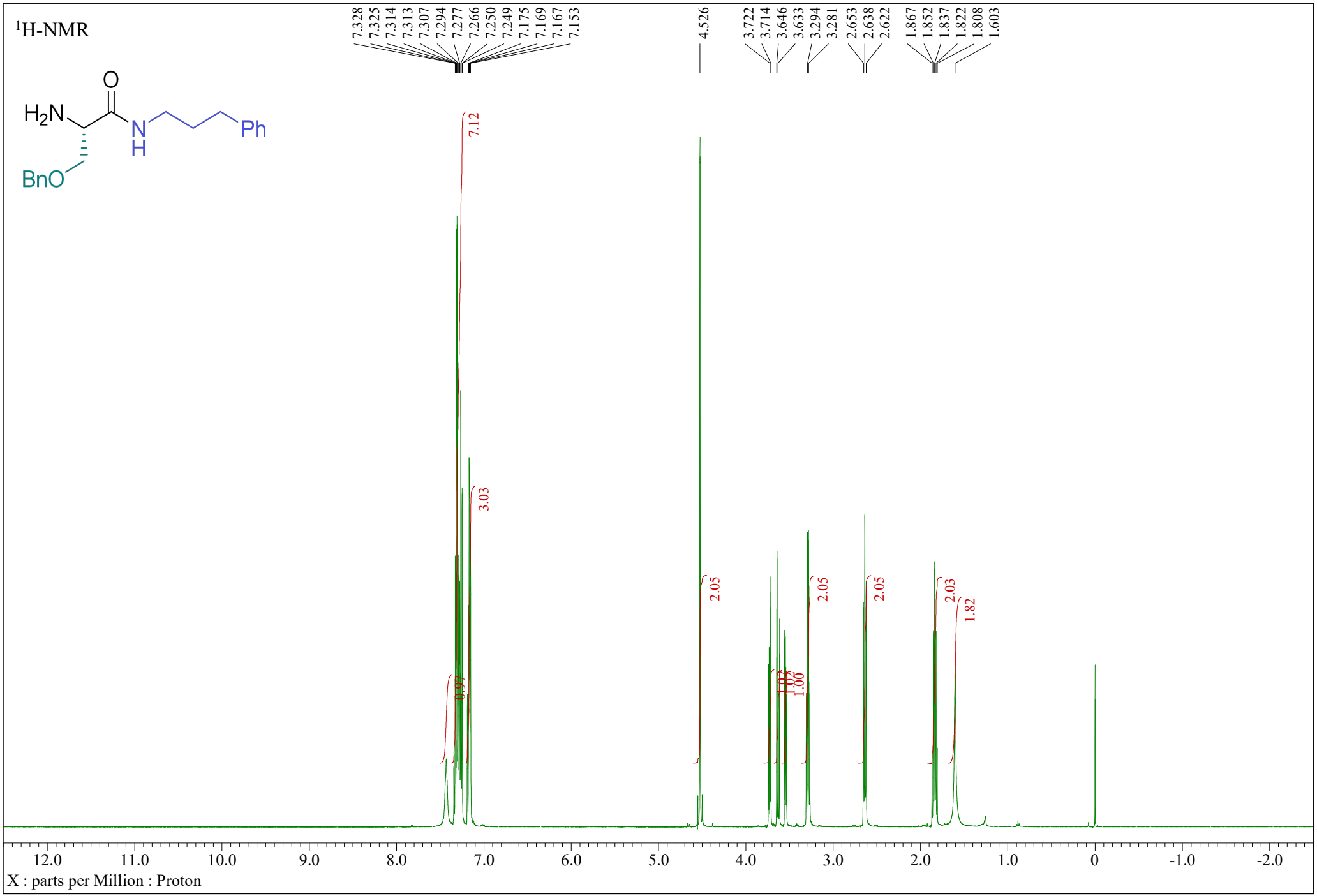
(*S*)-2-amino-3-(4-(benzyloxy)phenyl)-*N*-(3-phenylpropyl)propenamide (**3me**)



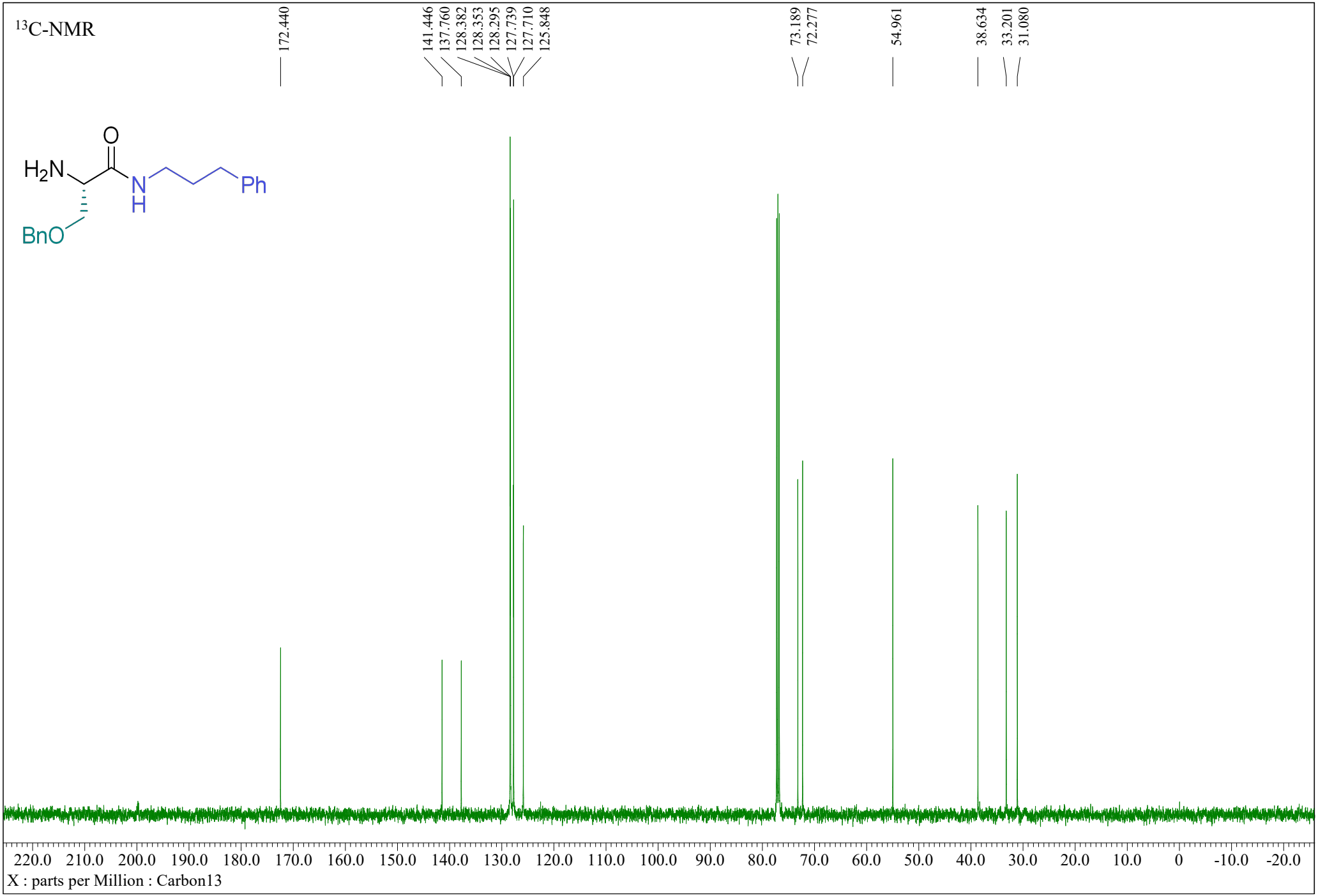
(*S*)-2-amino-3-(4-(benzyloxy)phenyl)-*N*-(3-phenylpropyl)propenamide (**3me**)



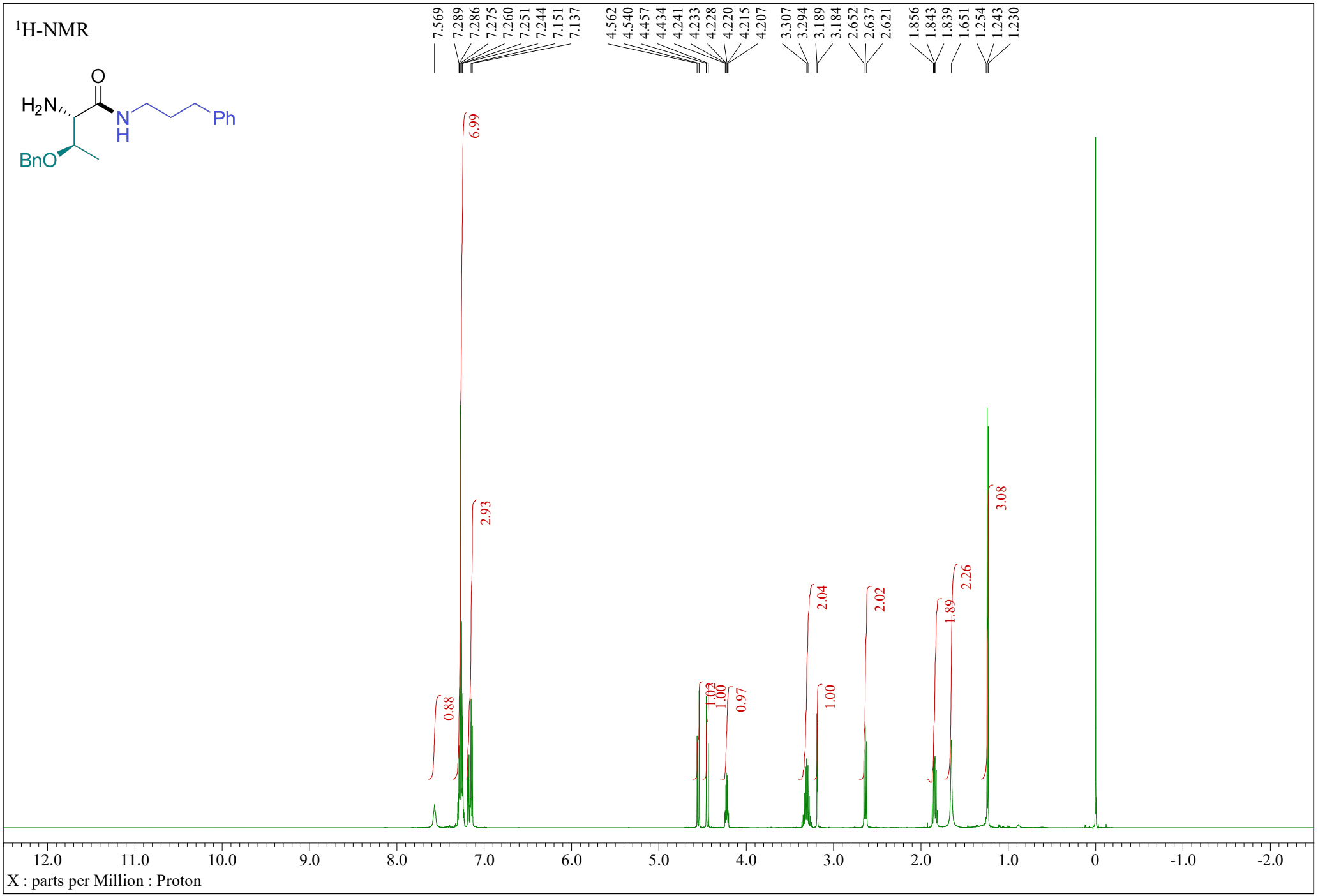
(*S*)-2-amino-3-(benzyloxy)-*N*-(3-phenylpropyl)propenamide (**3ne**)



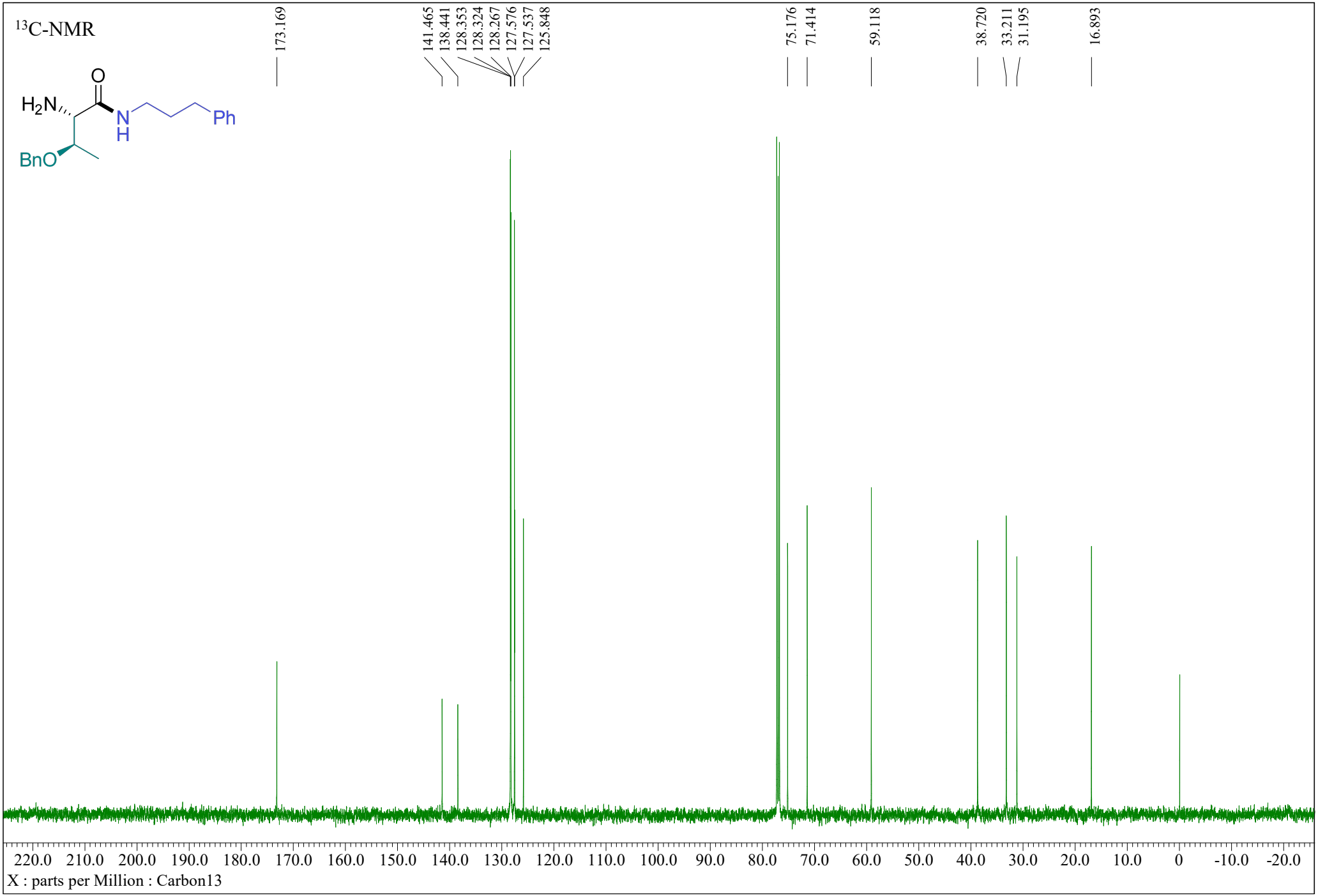
(*S*)-2-amino-3-(benzyloxy)-*N*-(3-phenylpropyl)propenamide (**3ne**)



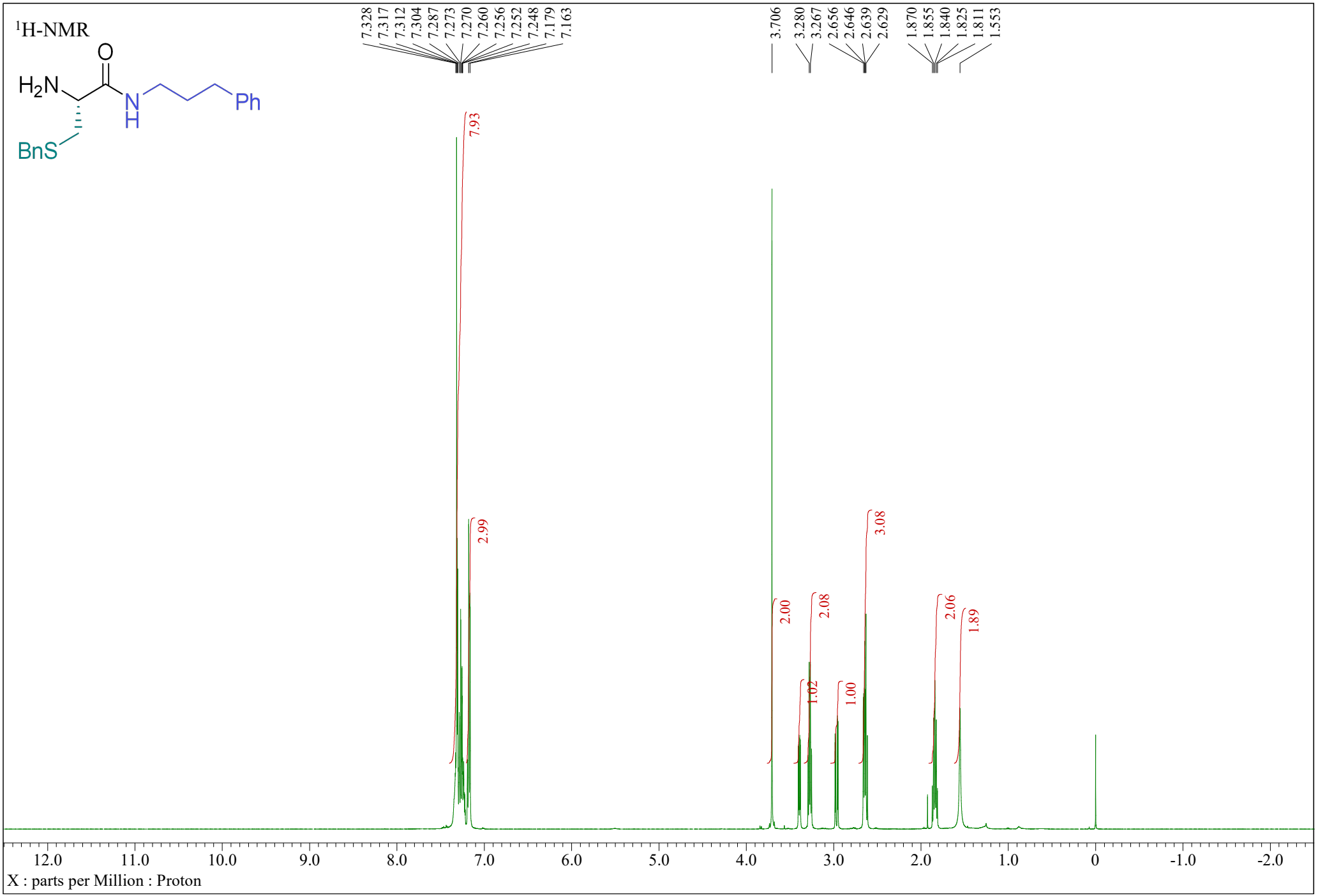
(2*S*,3*R*)-2-amino-3-(benzyloxy)-*N*-(3-phenylpropyl)butanamide (**3oe**)



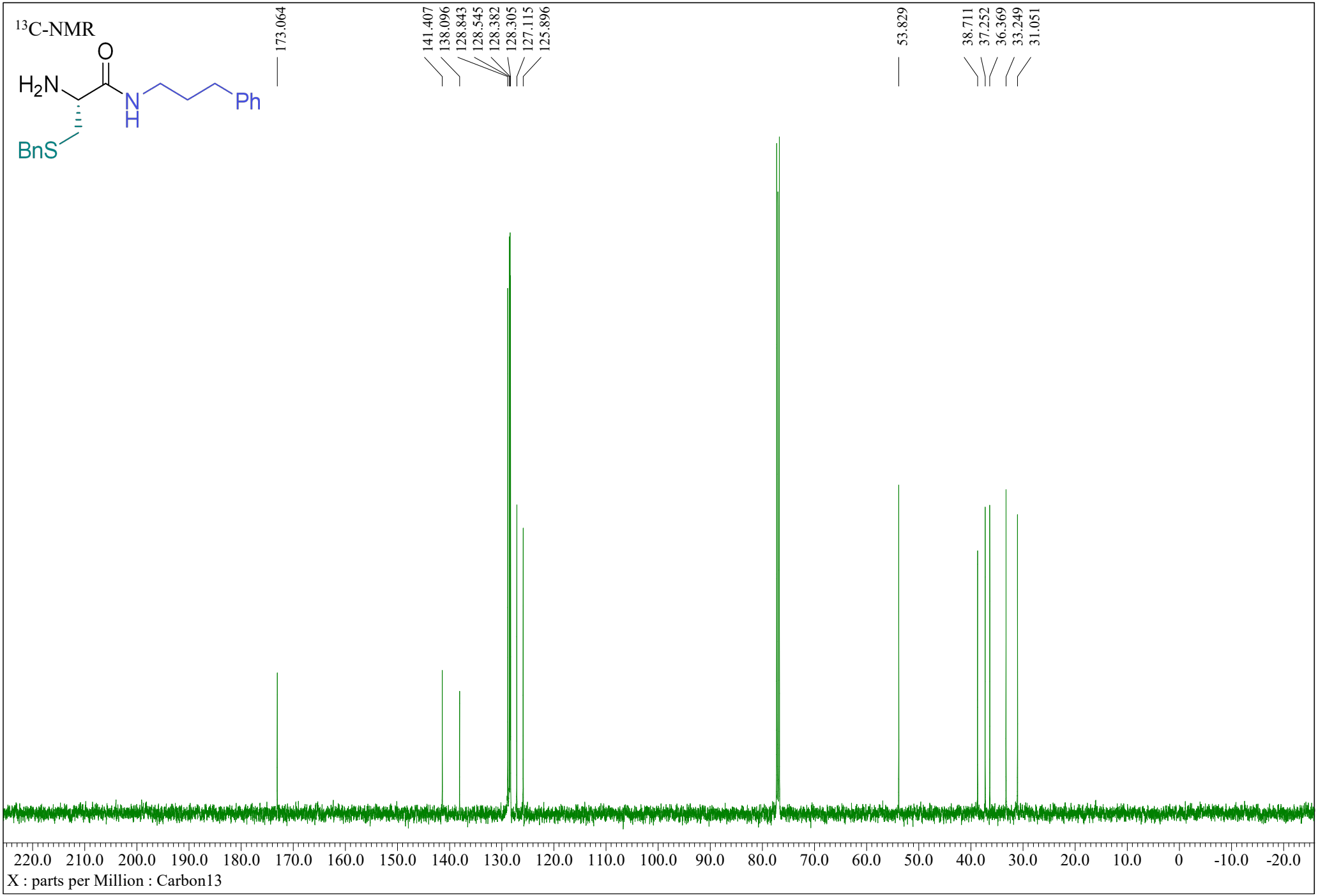
(2*S*,3*R*)-2-amino-3-(benzyloxy)-*N*-(3-phenylpropyl)butanamide (**3oe**)



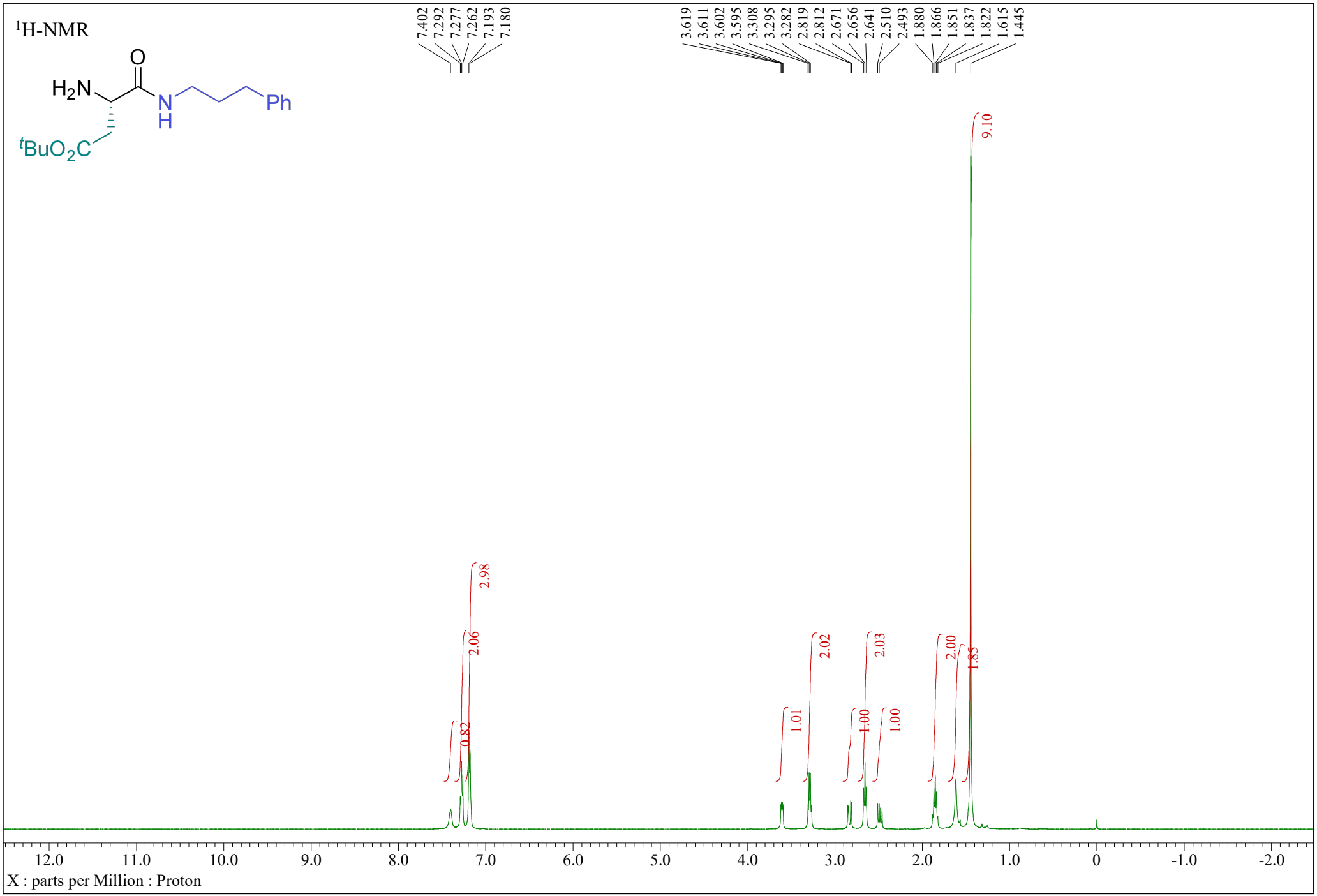
(*R*)-2-amino-3-(benzylthio)-*N*-(3-phenylpropyl)propenamide (**3pe**)



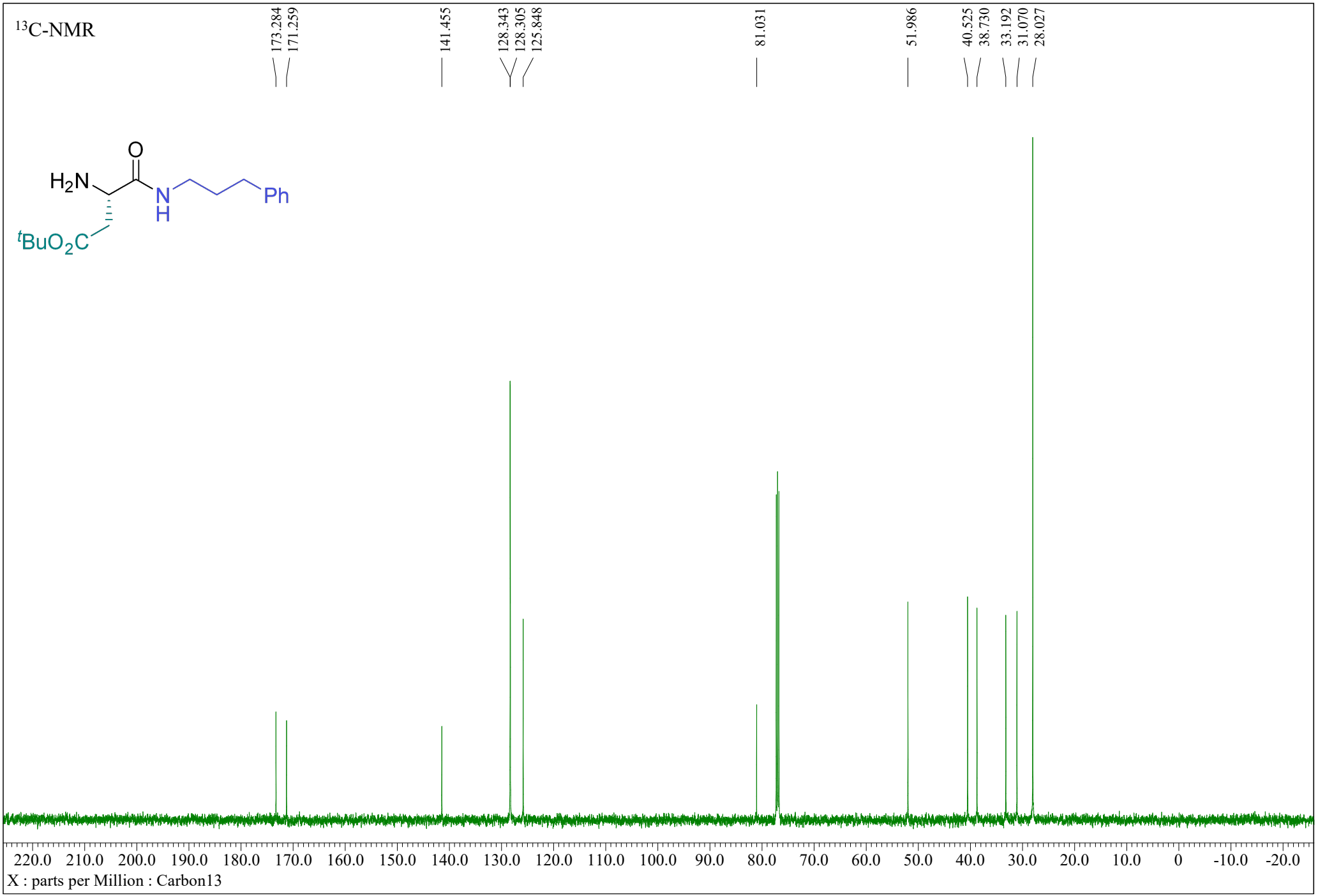
(*R*)-2-amino-3-(benzylthio)-*N*-(3-phenylpropyl)propenamide (**3pe**)



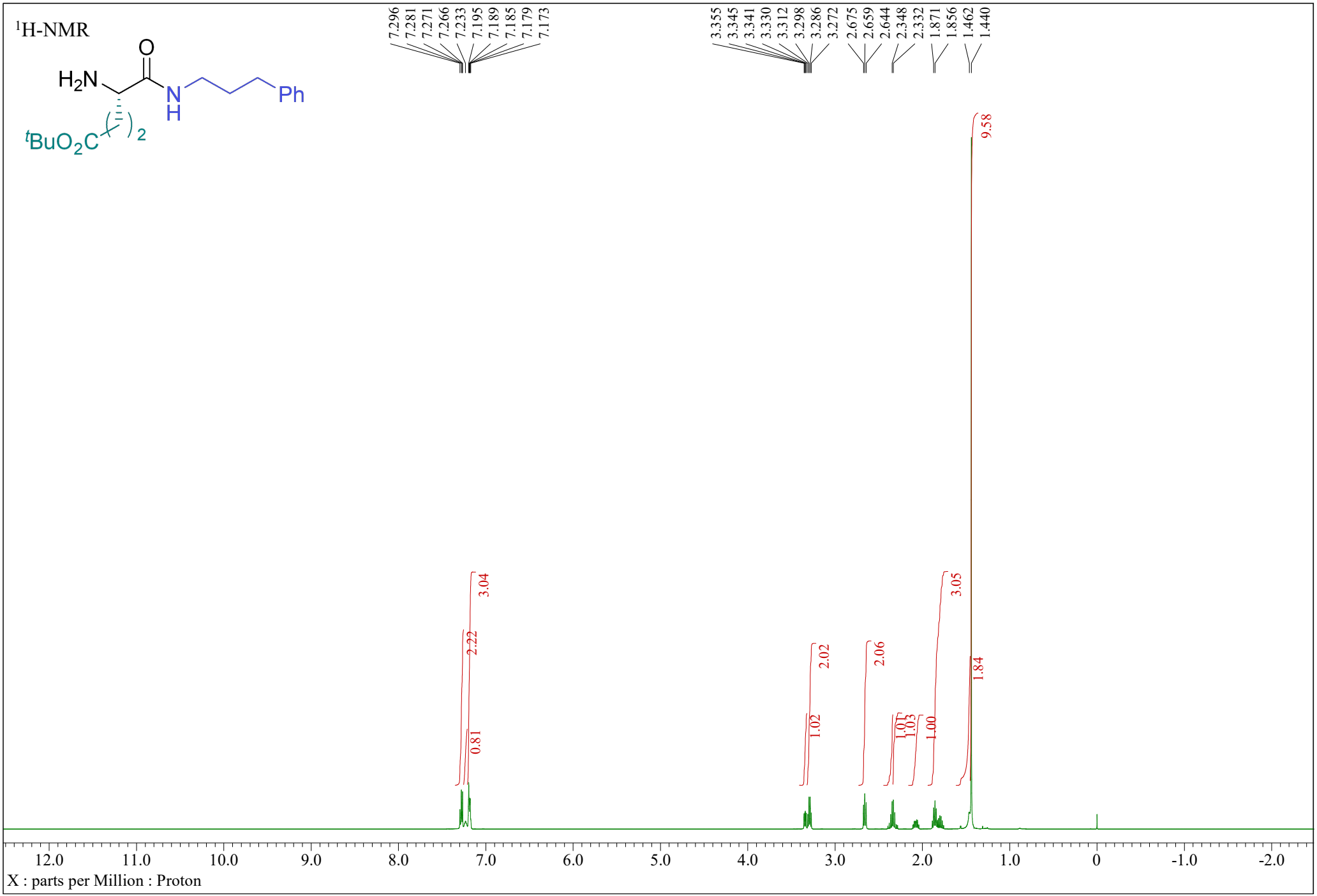
tert-butyl (*S*)-3-amino-4-oxo-4-((3-phenylpropyl)amino)butanoate (**3qe**)



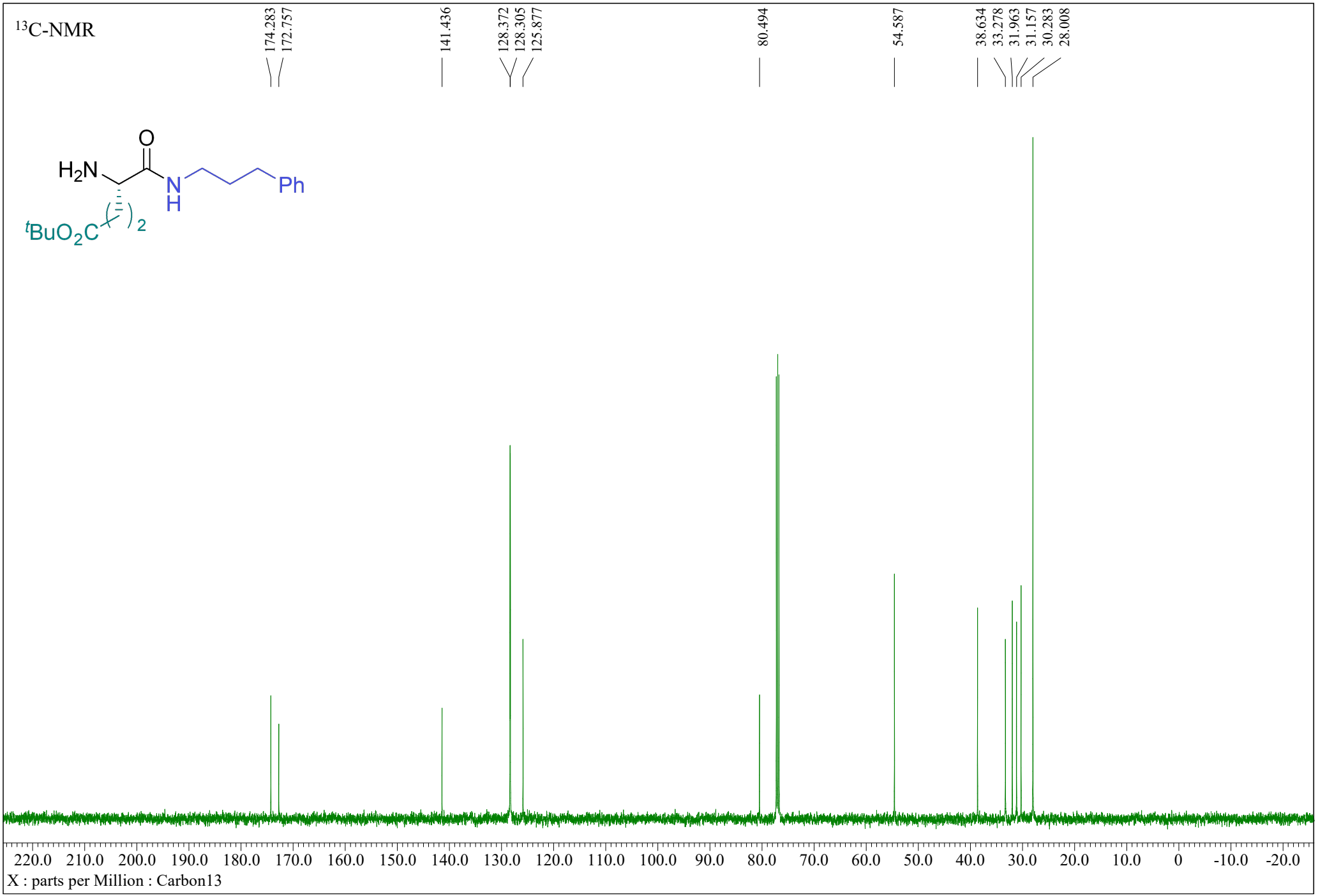
tert-butyl (*S*)-3-amino-4-oxo-4-((3-phenylpropyl)amino)butanoate (**3qe**)



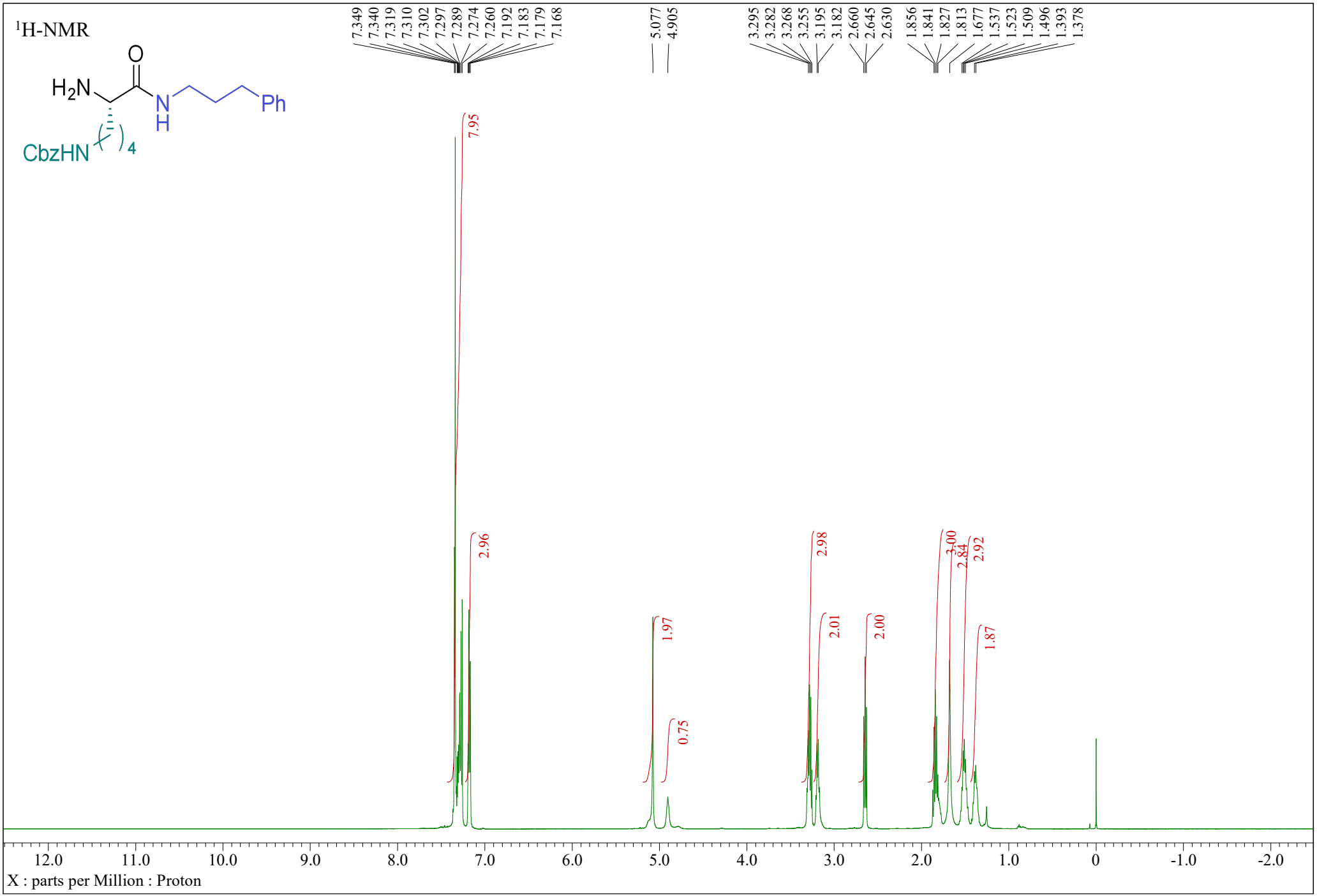
tert-butyl (*S*)-4-amino-5-oxo-5-((3-phenylpropyl)amino)pentanoate (**3re**)



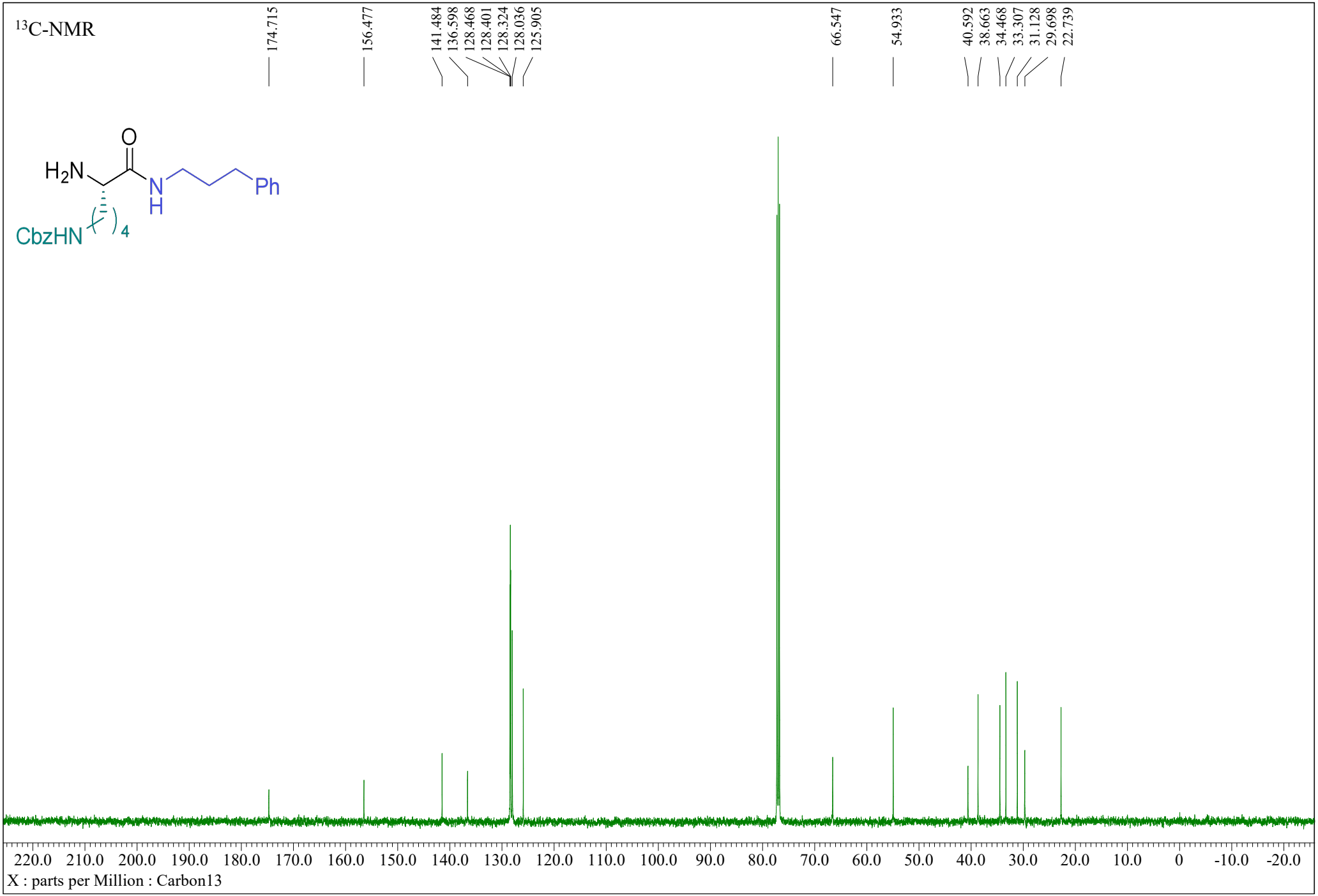
tert-butyl (*S*)-4-amino-5-oxo-5-((3-phenylpropyl)amino)pentanoate (**3re**)



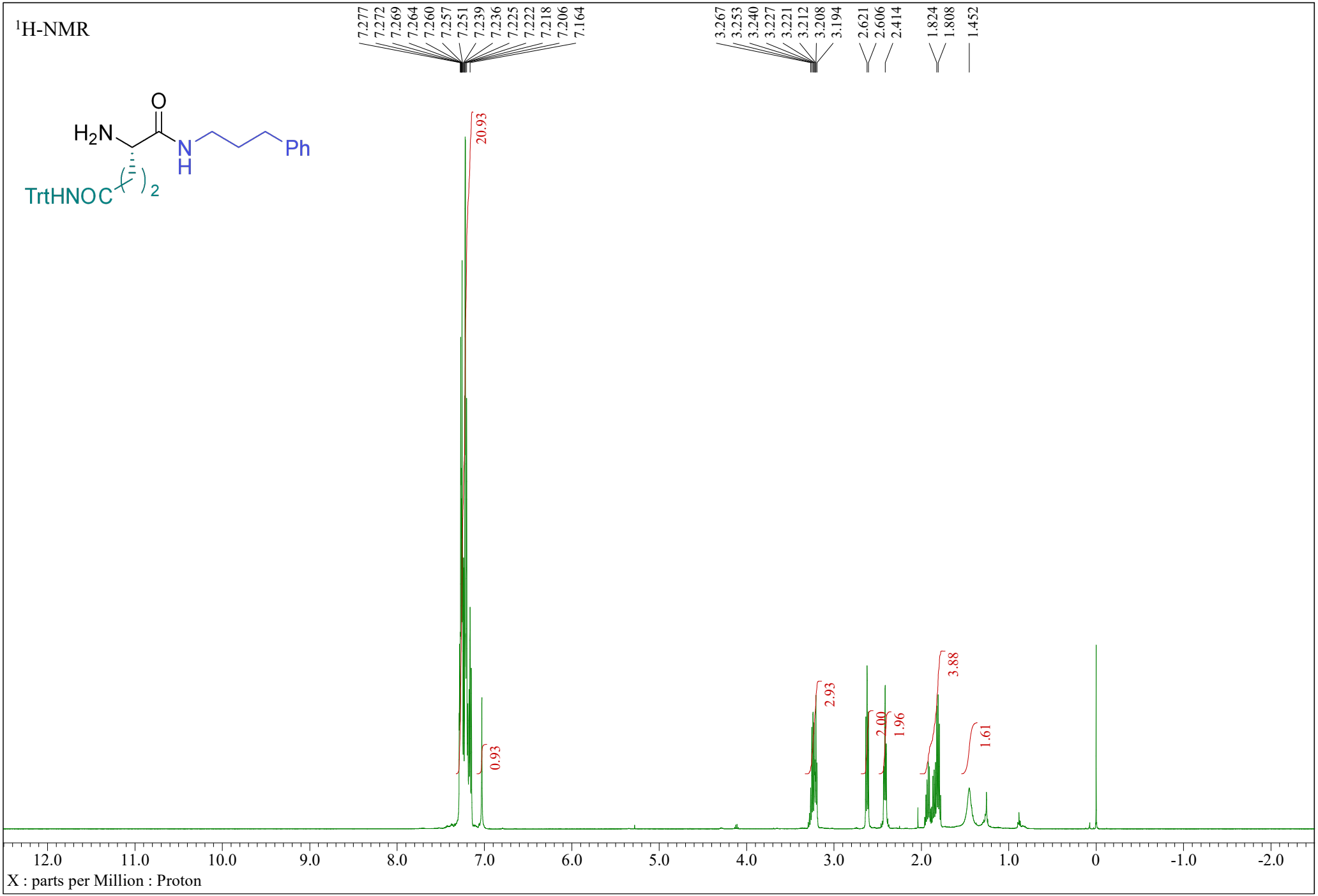
benzyl (*S*)-(5-amino-6-oxo-6-((3-phenylpropyl)amino)hexyl)carbamate (**3se**)



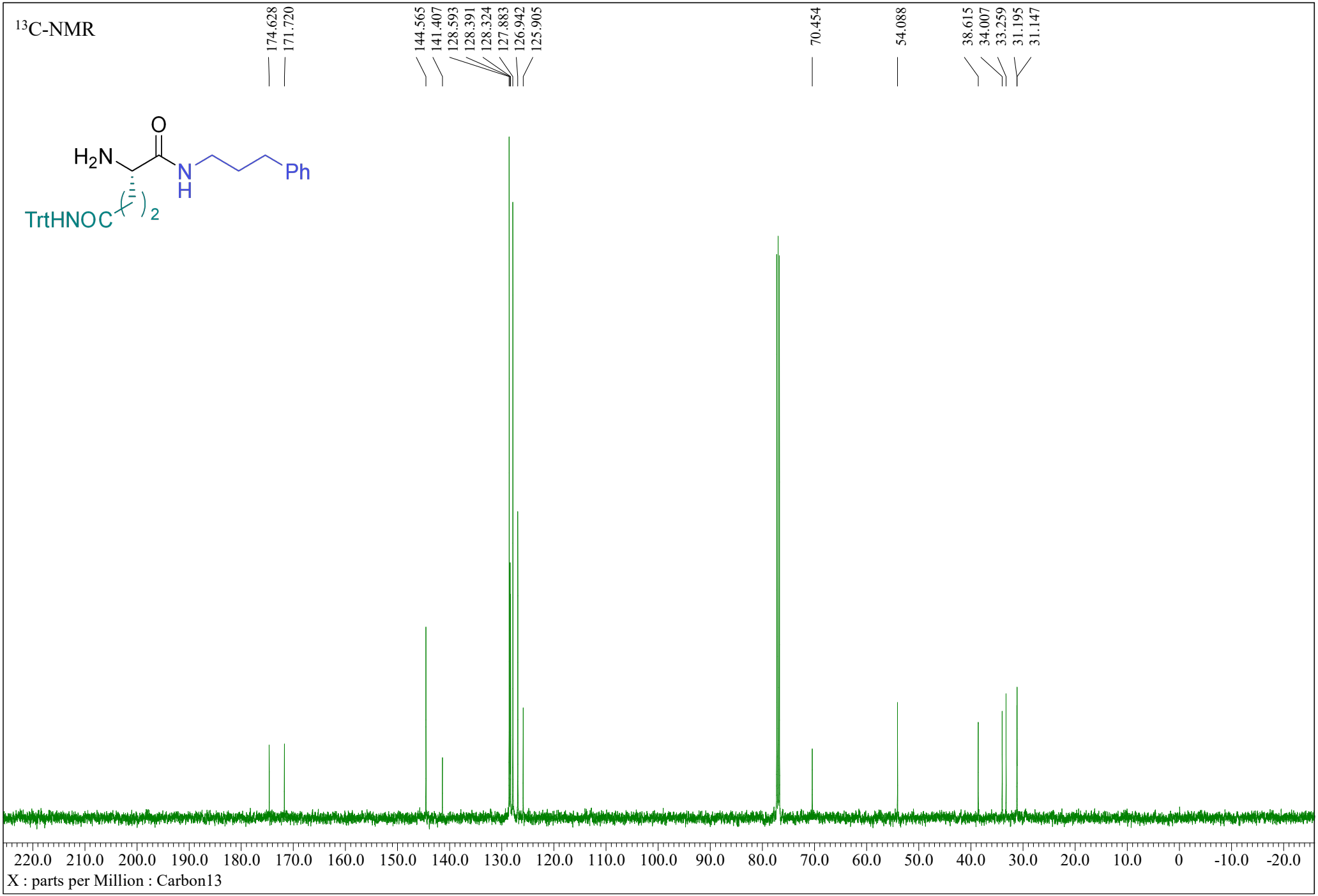
benzyl (*S*)-(5-amino-6-oxo-6-((3-phenylpropyl)amino)hexyl)carbamate (**3se**)



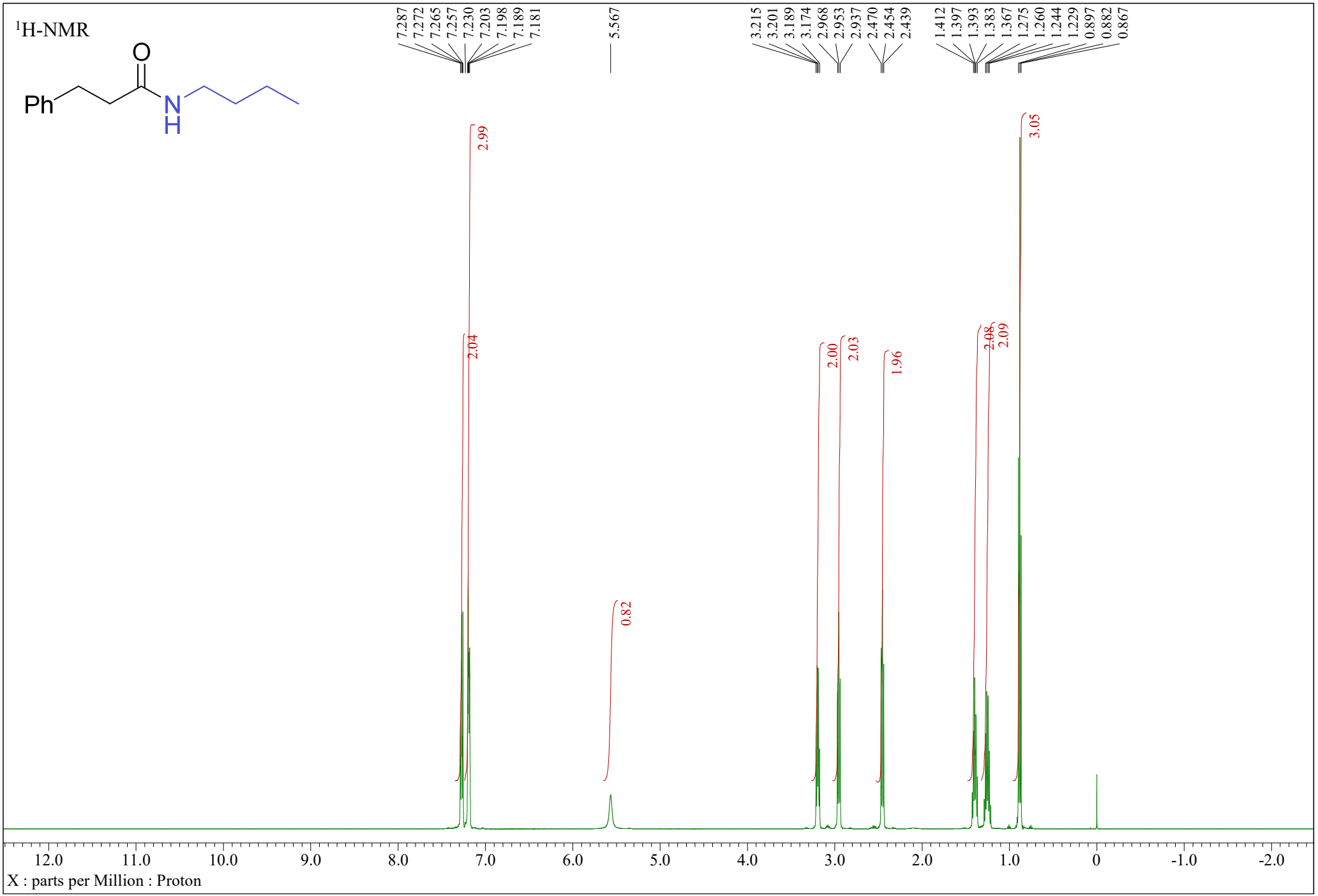
(*S*)-2-amino-N^1-(3-phenylpropyl)-N^5-tritylpentanediamide (**3te**)



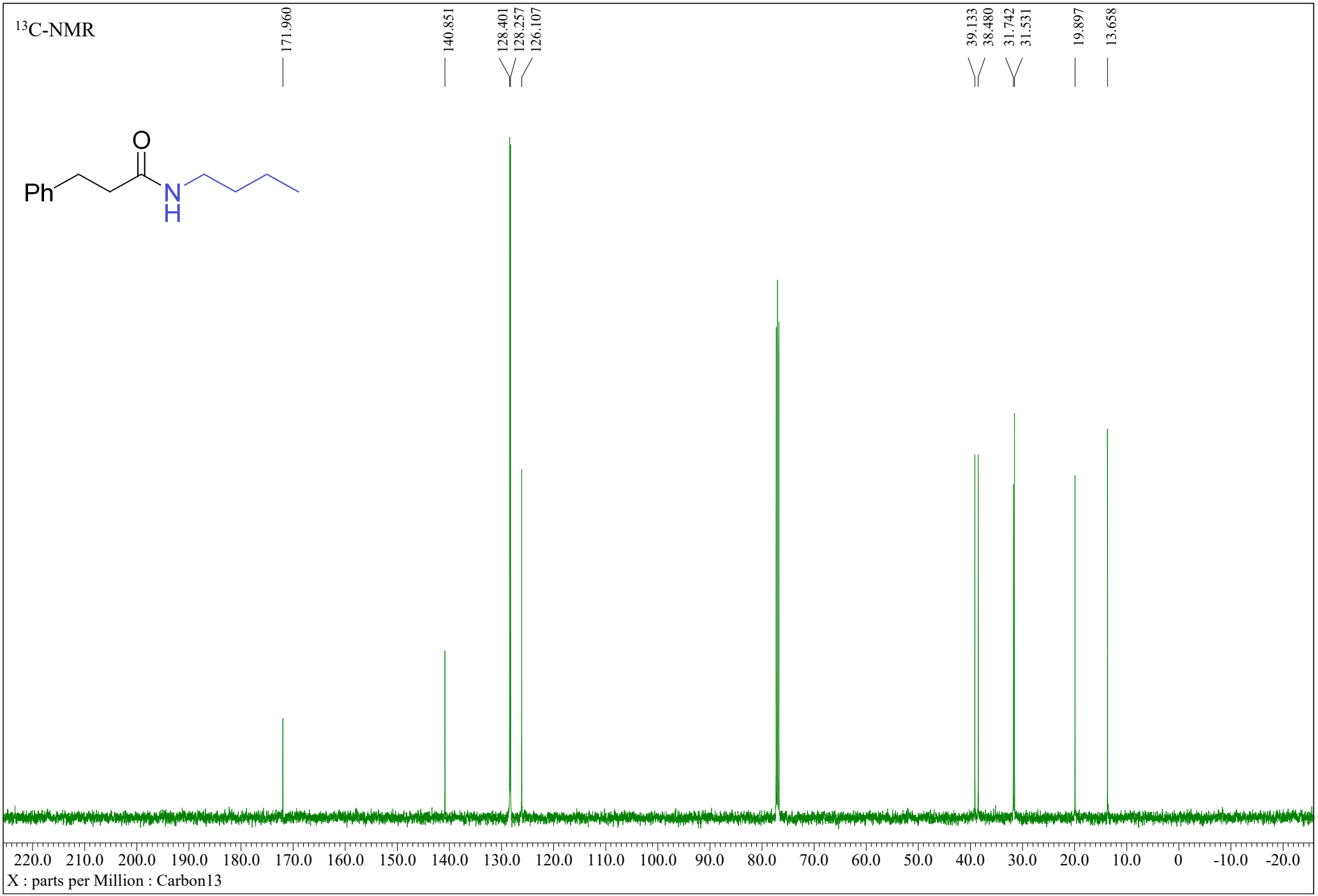
(*S*)-2-amino-N^1-(3-phenylpropyl)-N^5-tritylpentanediamide (**3te**)



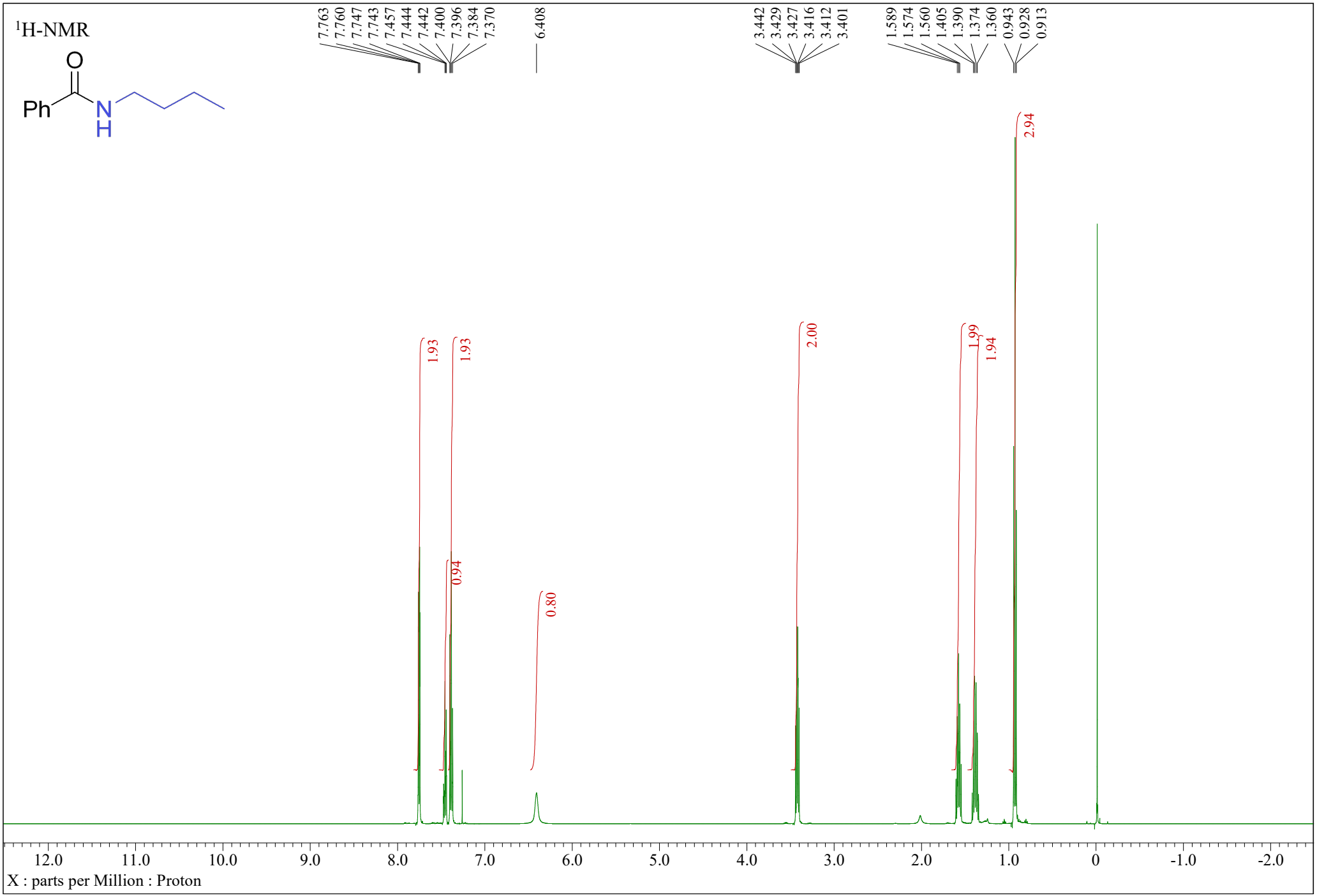
N-butyl-3-phenylpropanamide (**3xe**)



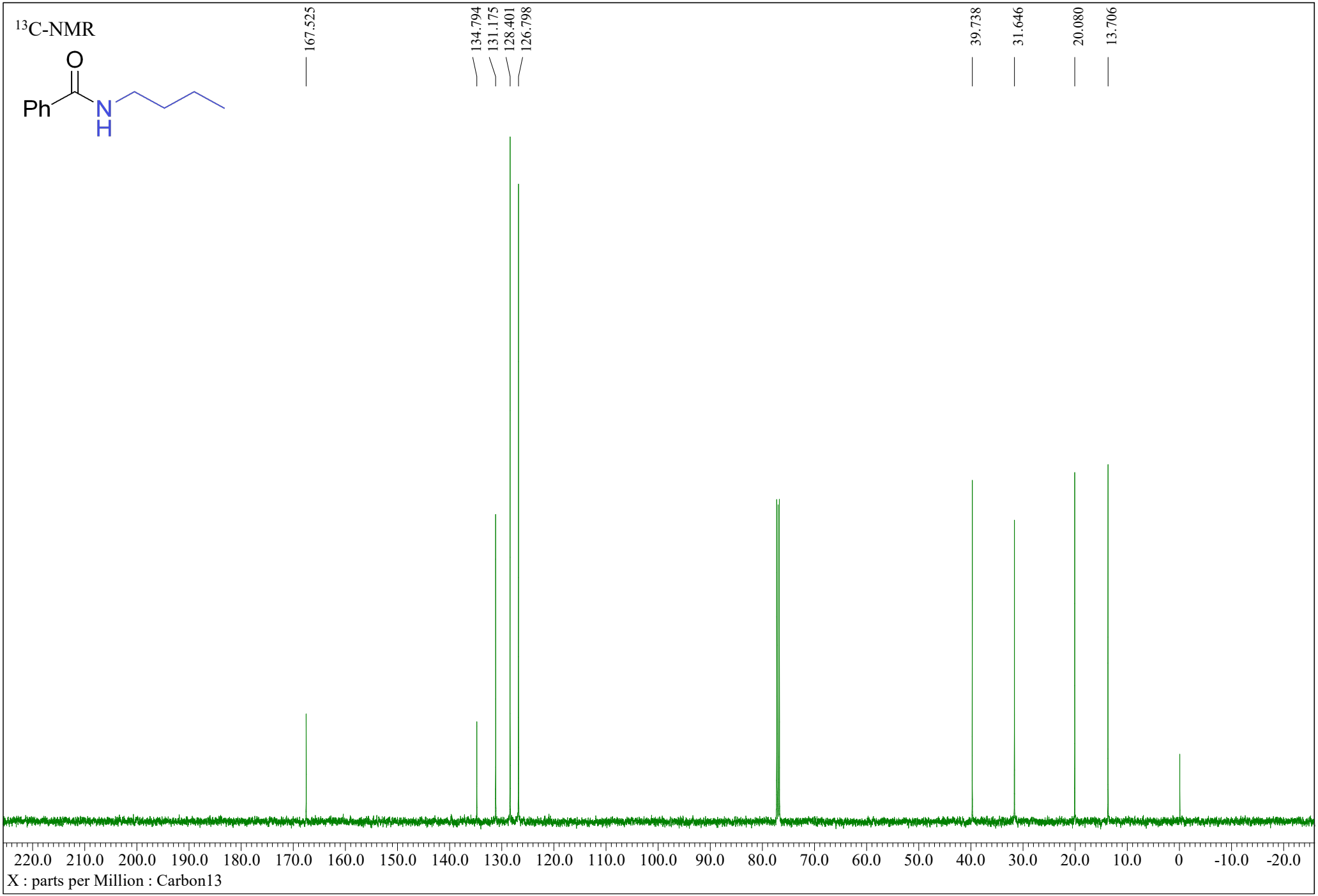
N-butyl-3-phenylpropanamide (**3xe**)



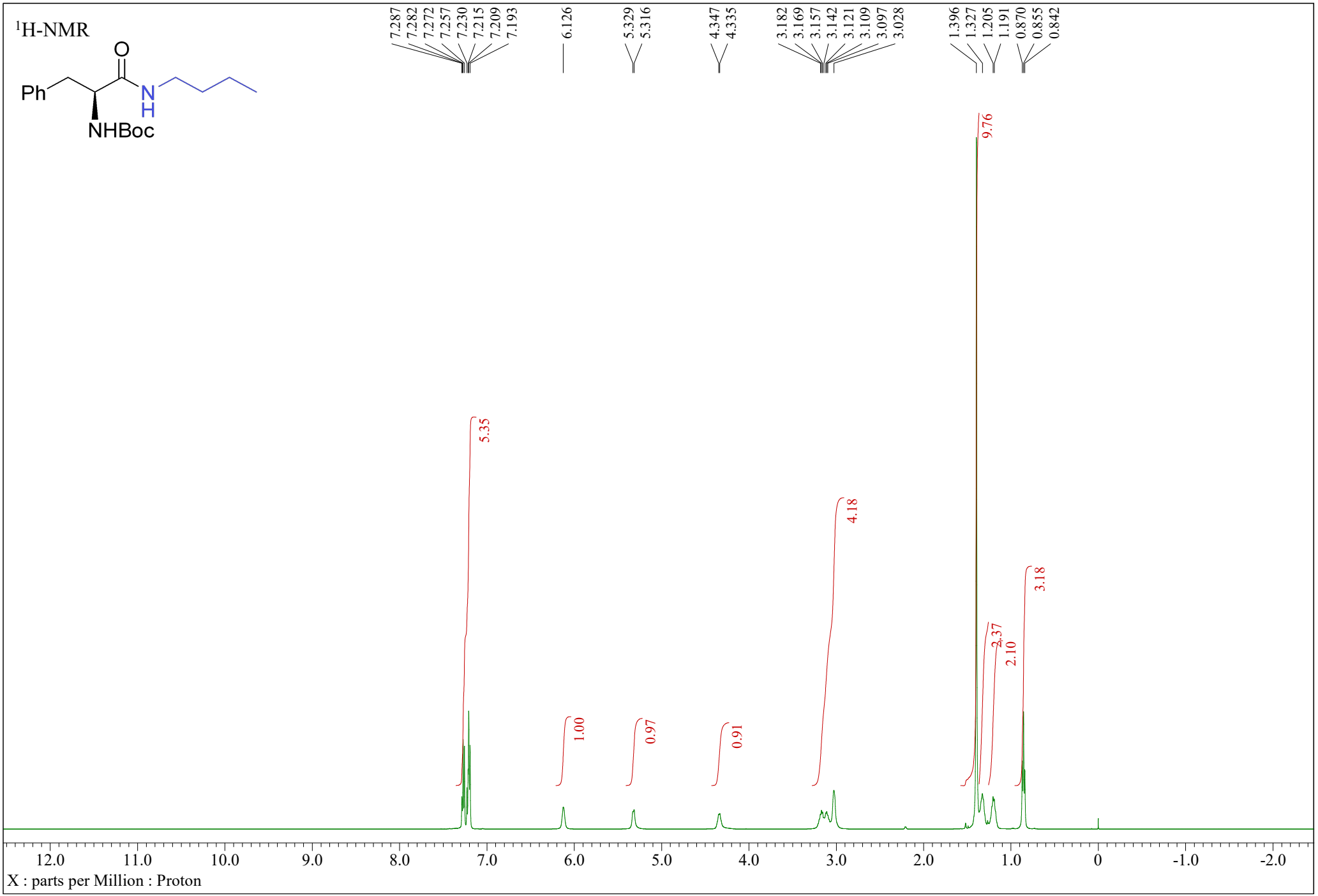
N-butylbenzamide (**3ye**)



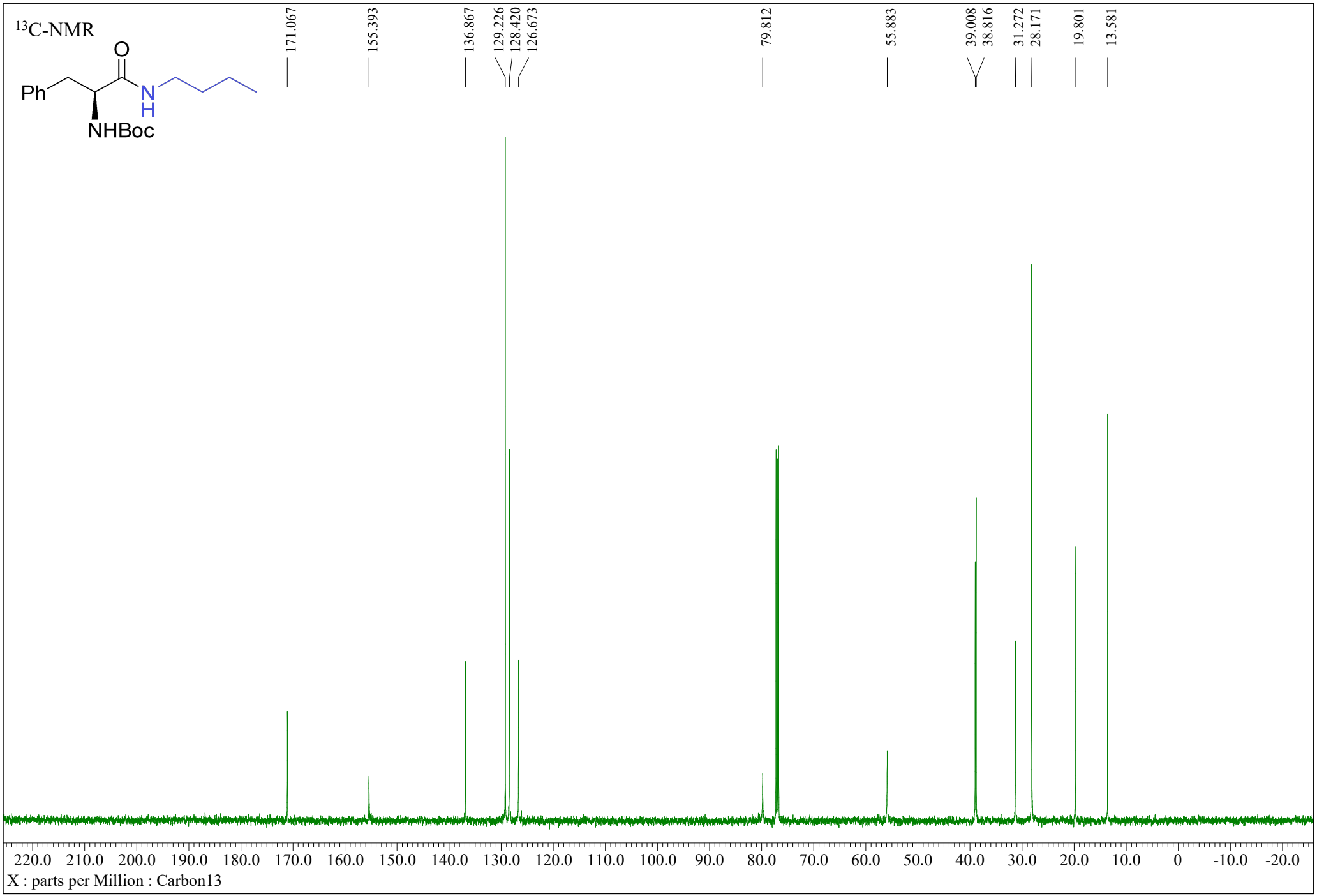
N-butylbenzamide (**3ye**)



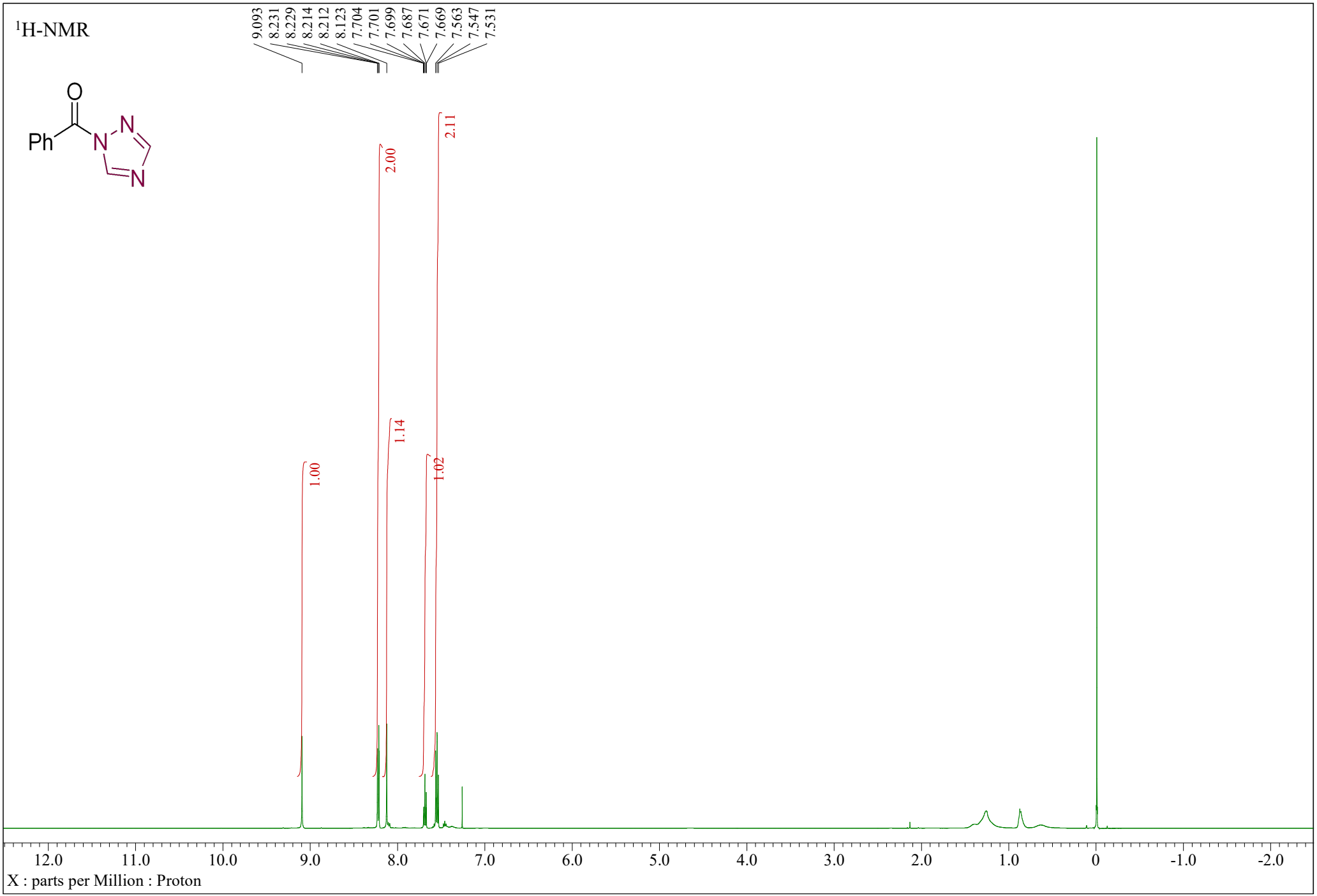
tert-butyl (*S*)-(1-(butylamino)-1-oxo-3-phenylpropan-2-yl)carbamate (**3ze**)



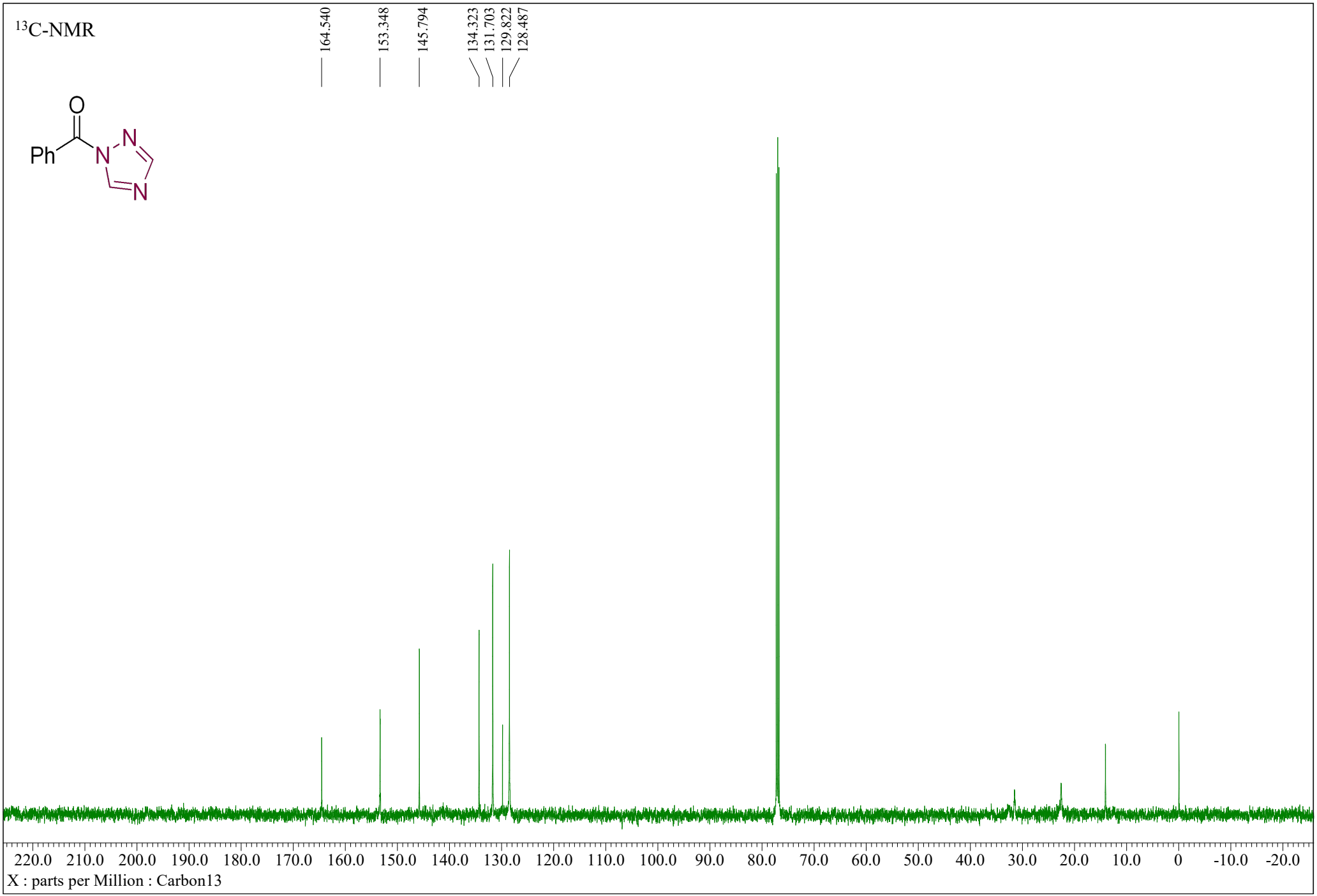
tert-butyl (*S*)-(1-(butylamino)-1-oxo-3-phenylpropan-2-yl)carbamate (**3ze**)



phenyl(1*H*-1,2,4-triazol-1-yl)methanone (**4y**)

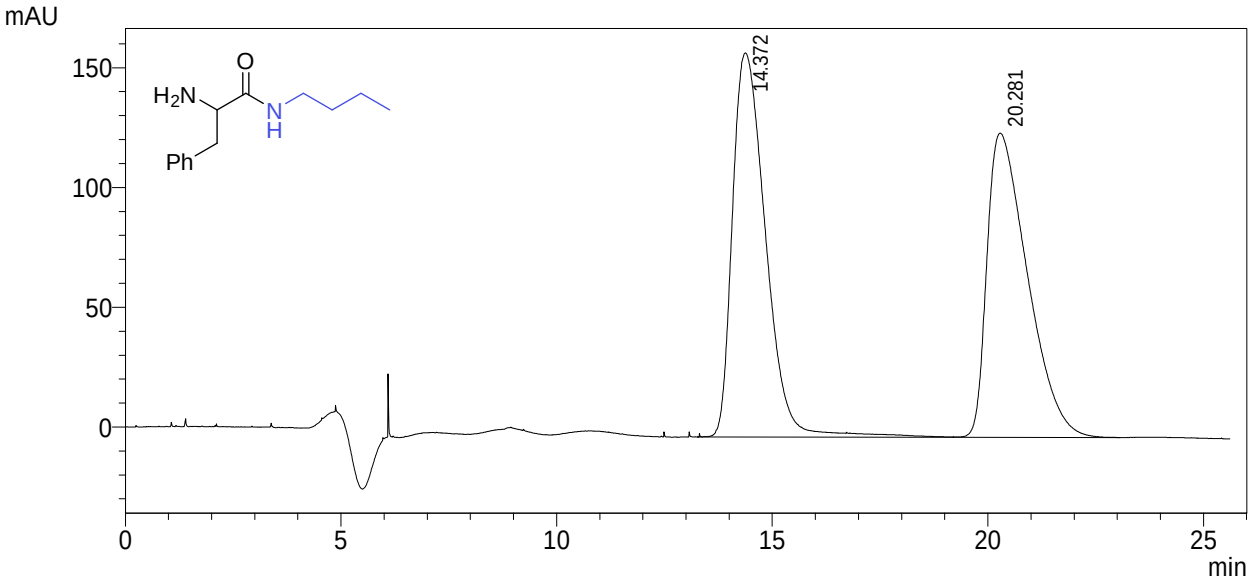


phenyl(1*H*-1,2,4-triazol-1-yl)methanone (**4y**)



6. HPLC data

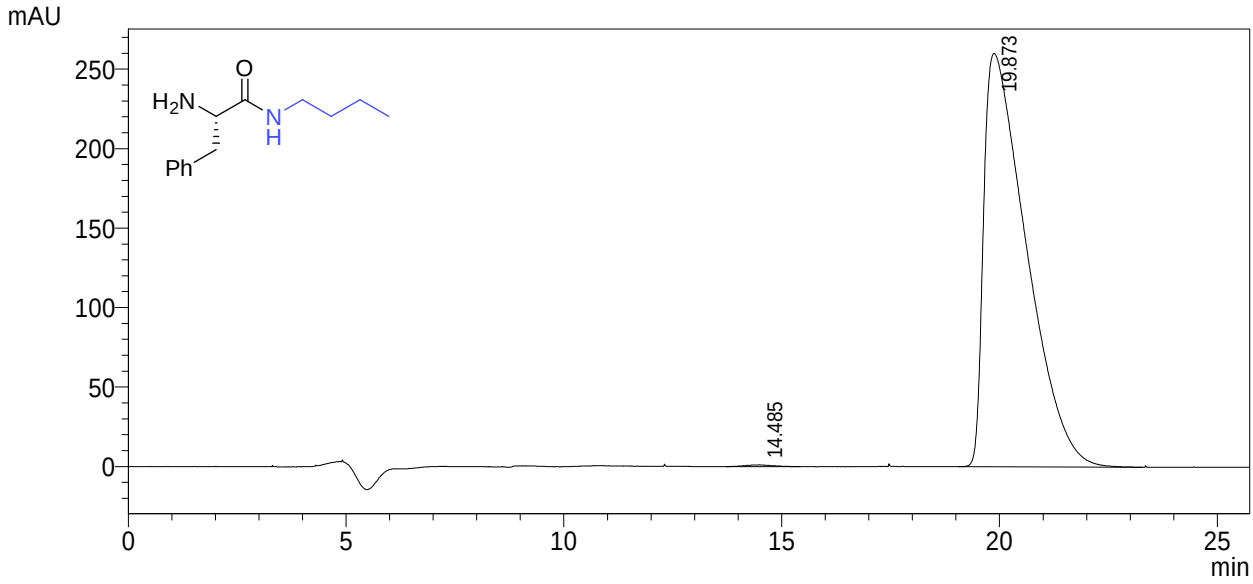
2-amino-*N*-butyl-3-phenylpropanamide (**rac-3aa**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	14.372	160133	8606799	50.964
2	20.281	126932	8281073	49.036

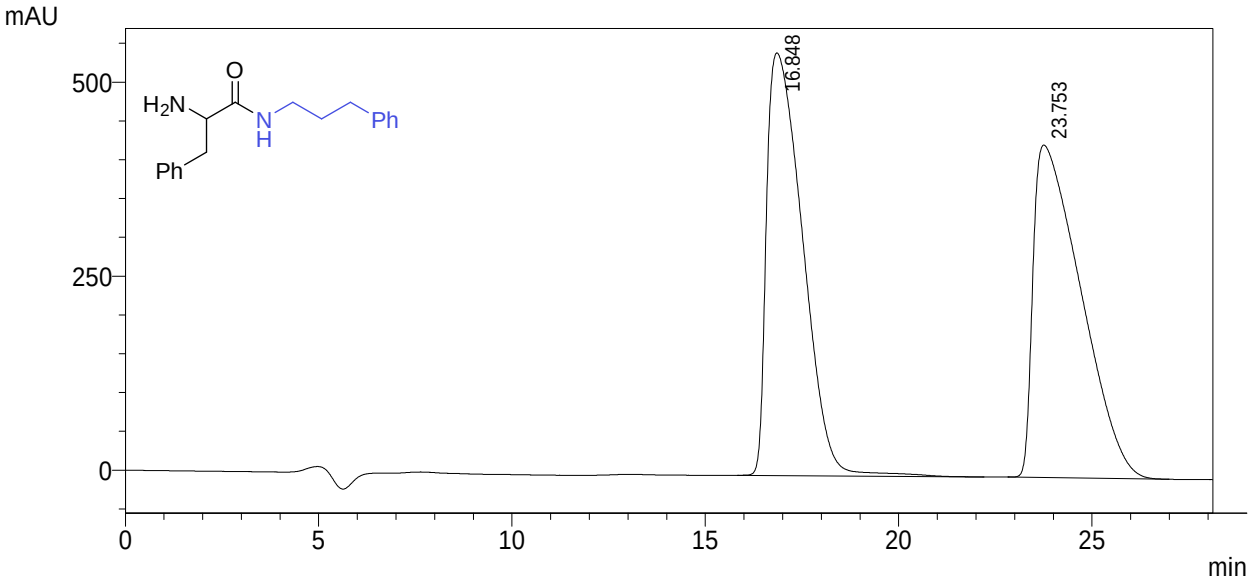
(*S*)-2-amino-*N*-butyl-3-phenylpropanamide (**3aa**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	14.485	1110	46701	0.263
2	19.873	259944	17696458	99.737

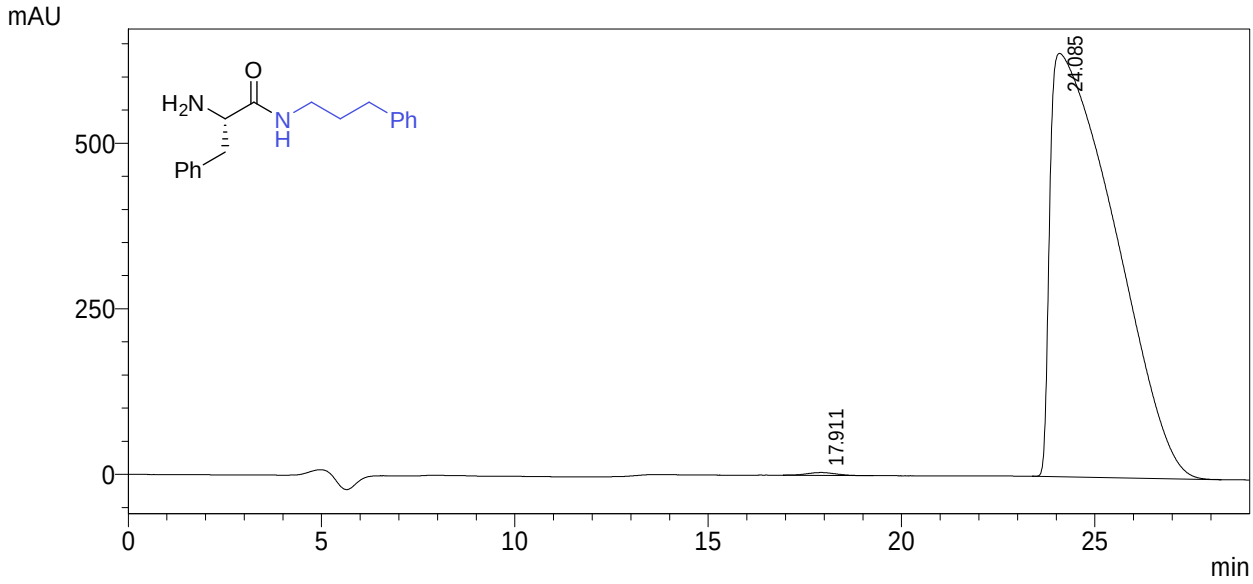
2-amino-3-phenyl-*N*-(3-phenylpropyl)propenamide (**rac-3ae**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	16.848	544212	35309881	48.992
2	23.753	428443	36762915	51.008

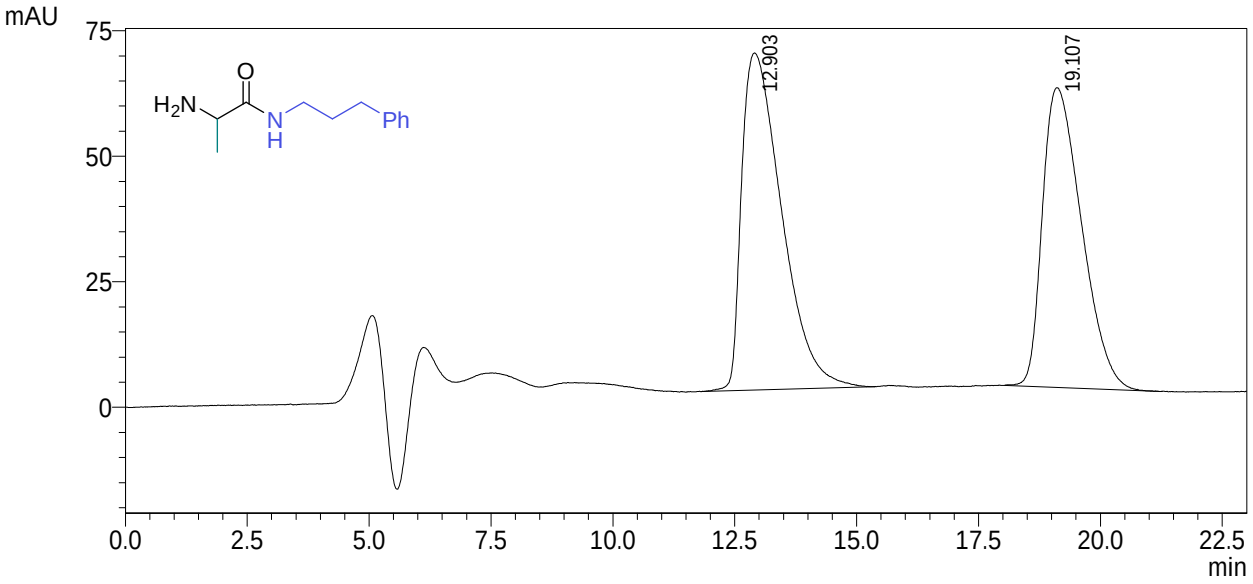
(*S*)-2-amino-3-phenyl-*N*-(3-phenylpropyl)propenamide (**3ae**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	17.911	4282	221550	0.298
2	24.085	639222	74138905	99.702

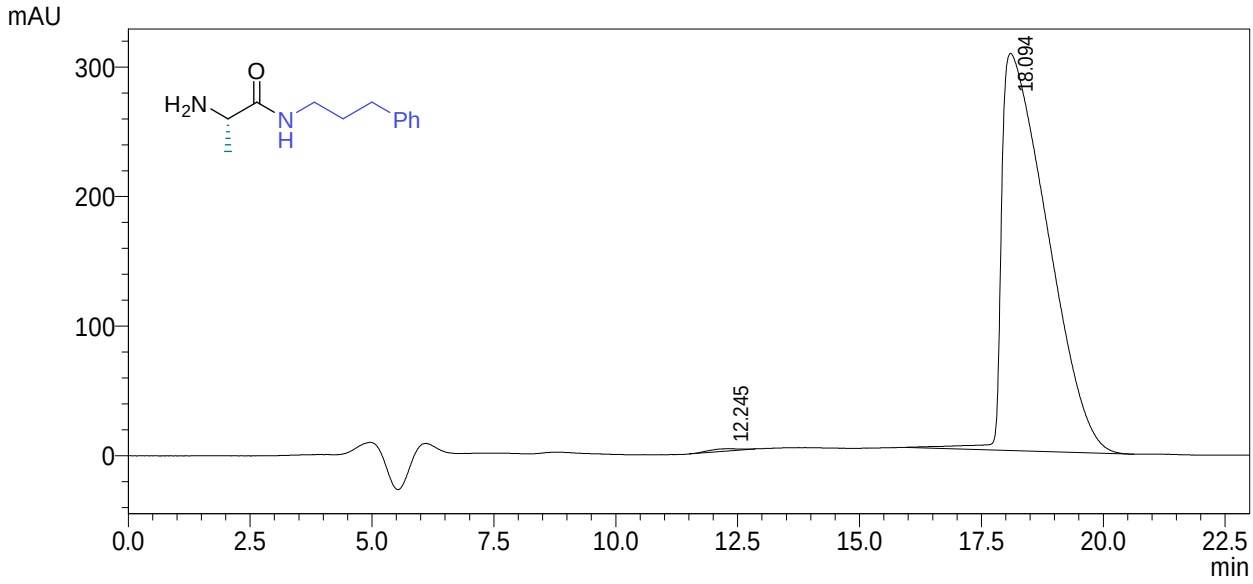
2-amino-*N*-(3-phenylpropyl)propenamide (**rac-3be**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	12.903	67114	3841205	53.506
2	19.107	59613	3337821	46.494

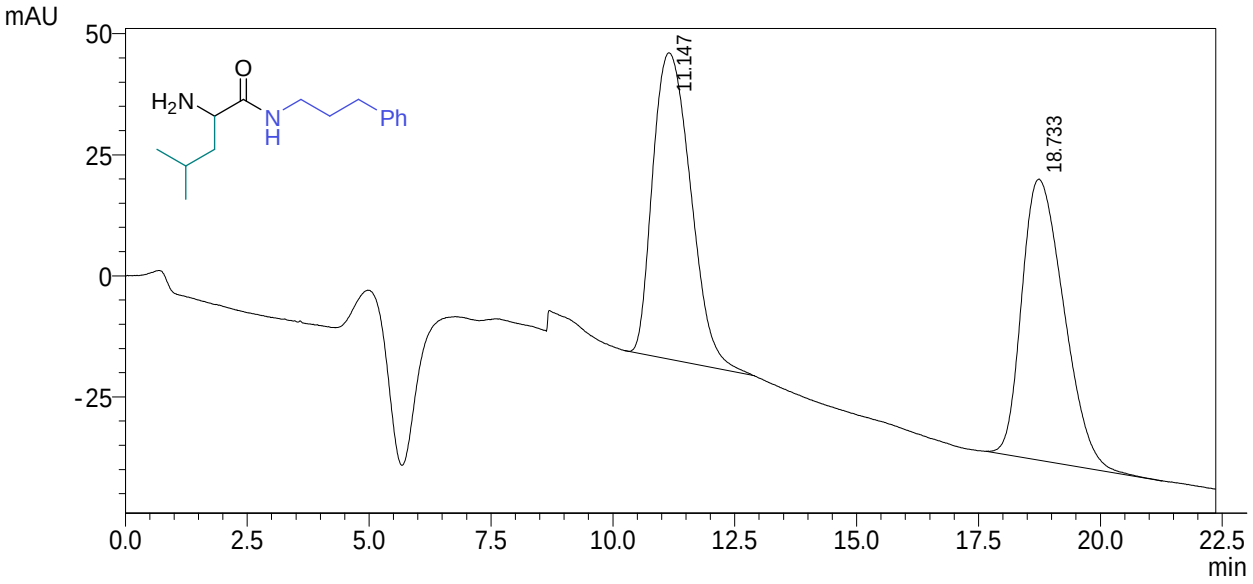
(*S*)-2-amino-*N*-(3-phenylpropyl)propenamide (**3be**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	12.245	1818	84228	0.420
2	18.094	305805	19973812	99.580

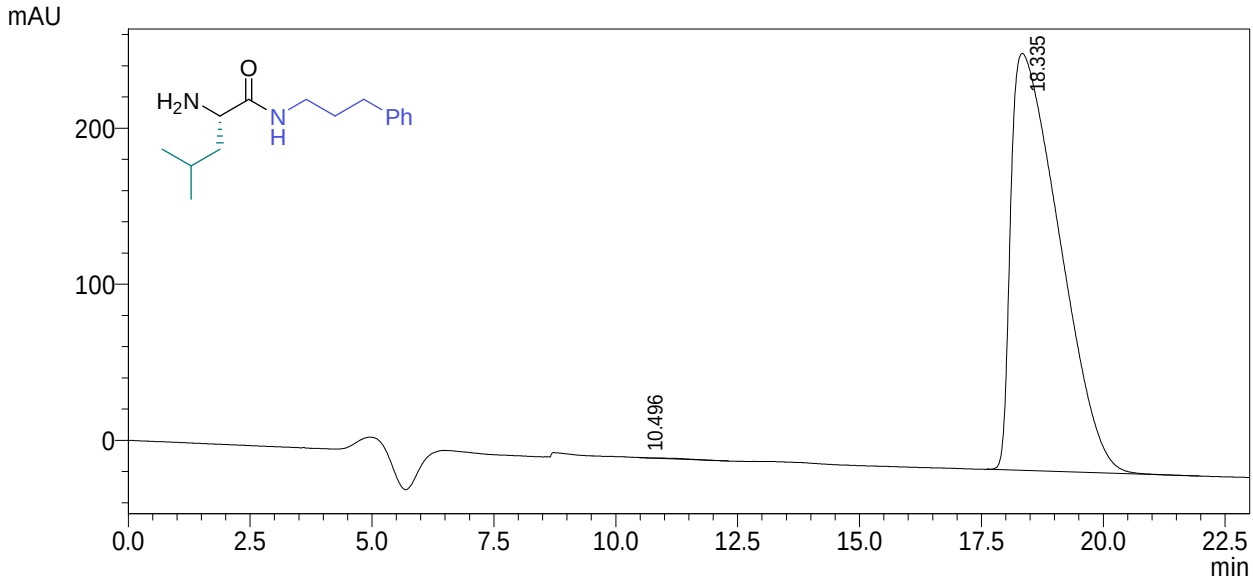
2-amino-4-methyl-*N*-(3-phenylpropyl)pentanamide (**rac-3ce**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	11.147	63167	3420554	49.546
2	18.733	57915	3483281	50.454

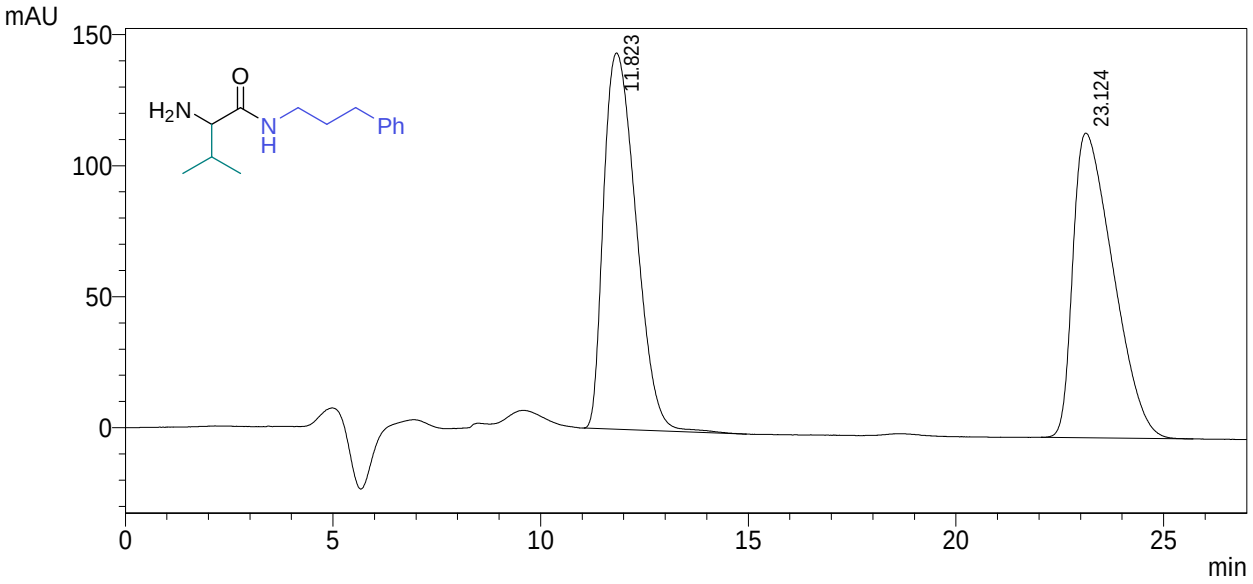
(*S*)-2-amino-4-methyl-*N*-(3-phenylpropyl)pentanamide (**3ce**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	10.496	0	10943	0.059
2	18.335	267127	18497266	99.941

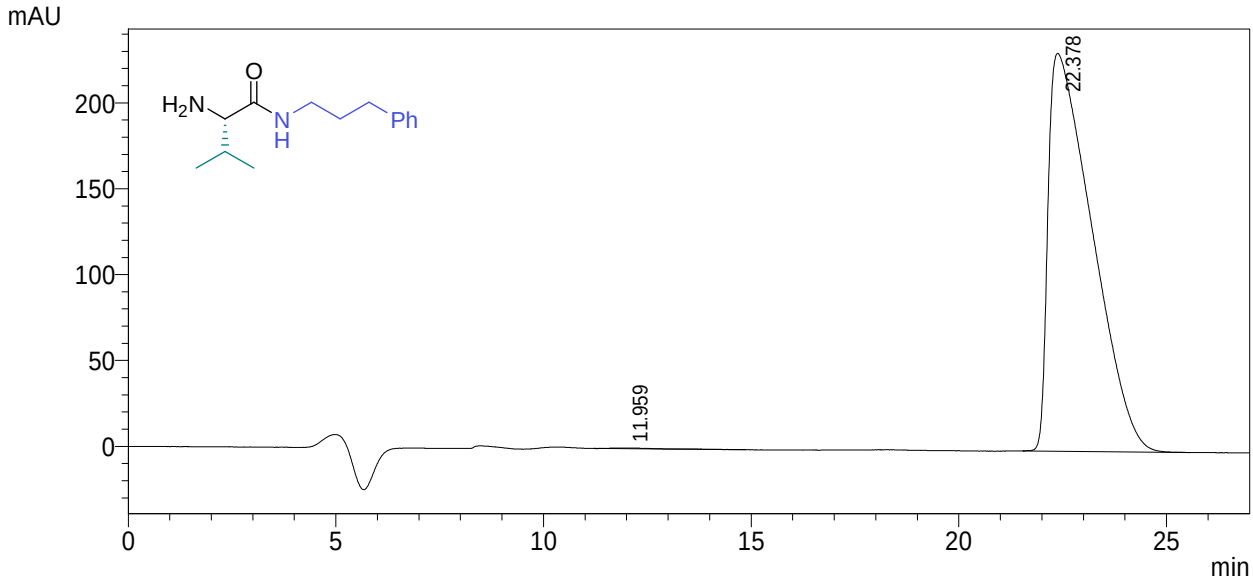
2-amino-3-methyl-*N*-(3-phenylpropyl)butanamide (**rac-3de**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	11.823	143443	7799740	49.969
2	23.124	116108	7809305	50.031

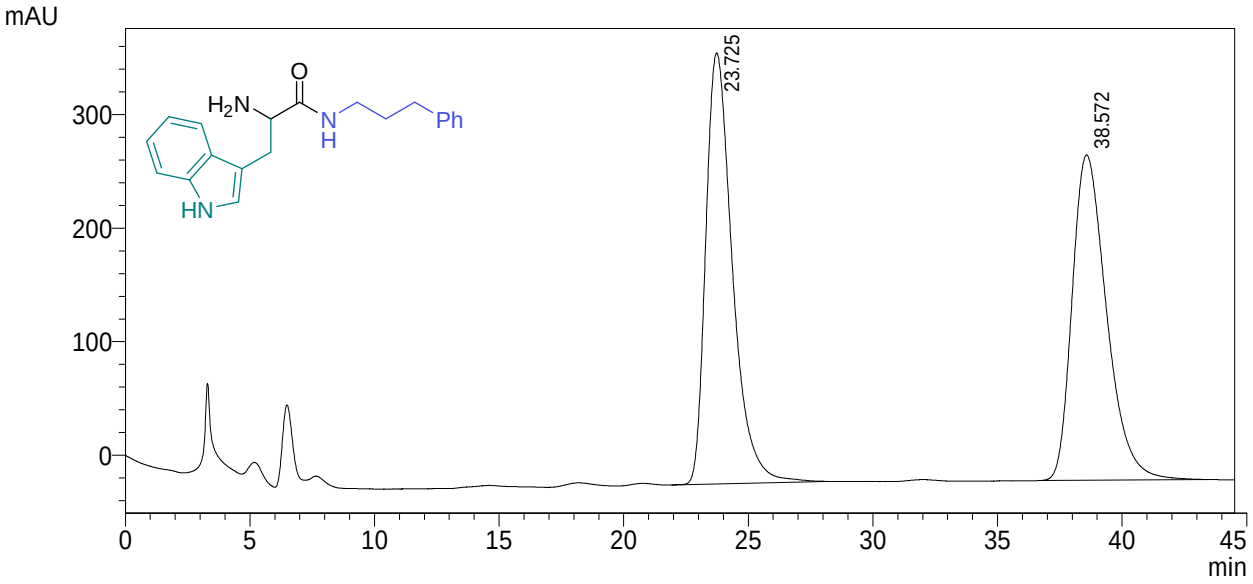
(*S*)-2-amino-3-methyl-*N*-(3-phenylpropyl)butanamide (**3de**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	11.959	445	33177	0.194
2	22.378	231518	17031962	99.806

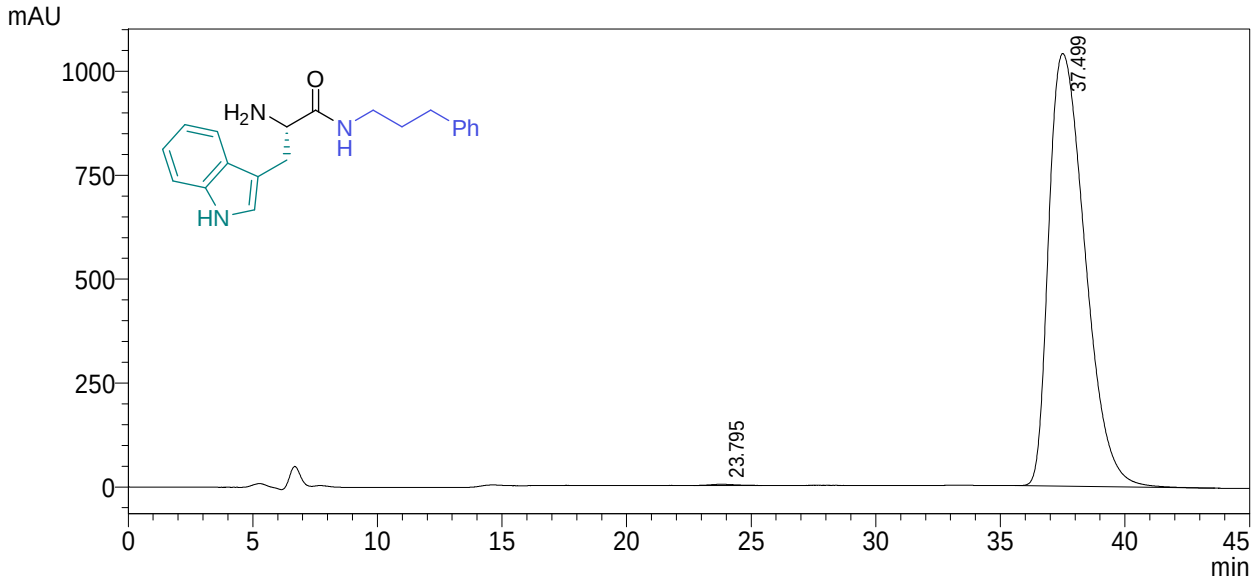
2-amino-3-(1*H*-indol-3-yl)-*N*-(3-phenylpropyl)propenamide (**rac-3fe**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	23.725	379100	28228236	50.651
2	38.572	286229	27502422	49.349

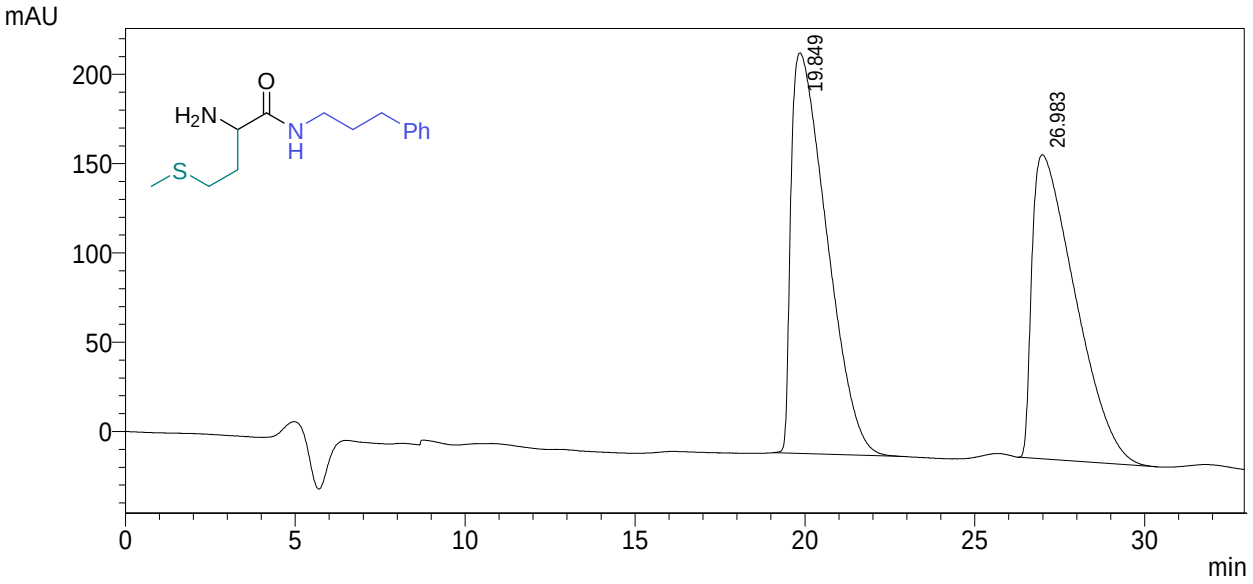
(*S*)-2-amino-3-(1*H*-indol-3-yl)-*N*-(3-phenylpropyl)propenamide (**3fe**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	23.795	2714	188226	0.178
2	37.499	1040930	105345689	99.822

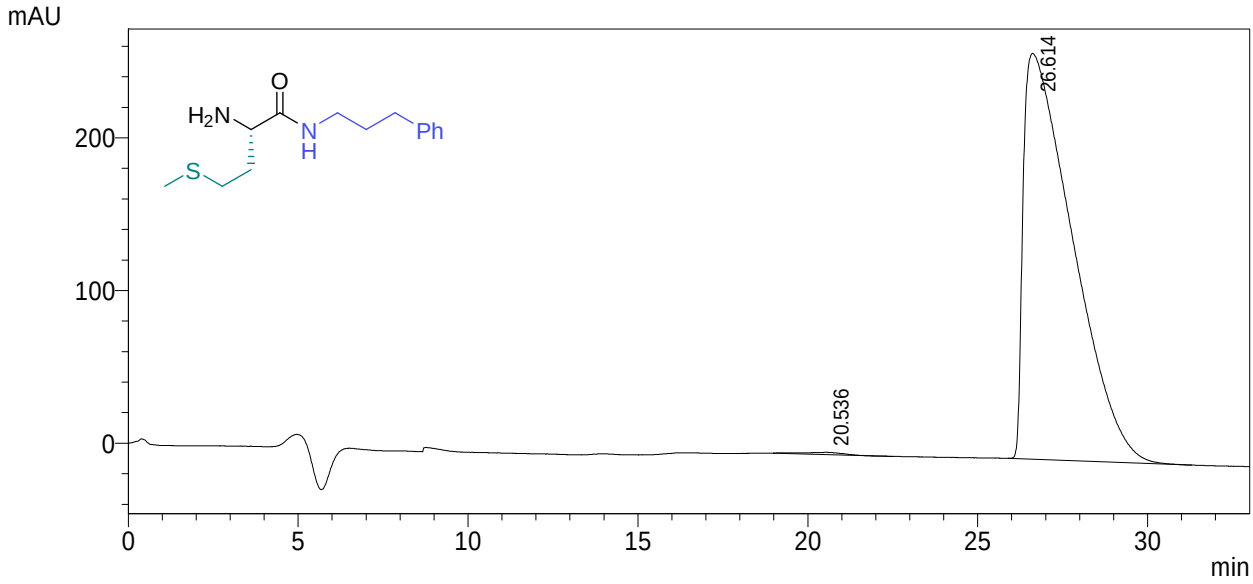
2-amino-4-(methylthio)-*N*-(3-phenylpropyl)butanamide (**rac-3ge**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	19.849	224221	16370564	52.050
2	26.983	170317	15081135	47.950

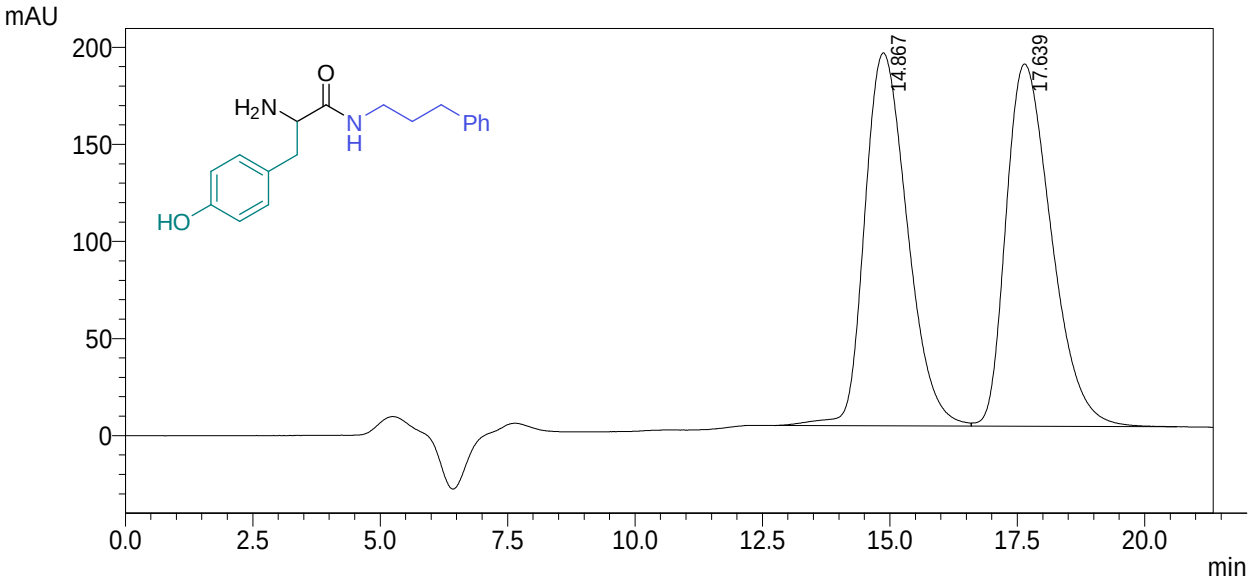
(*S*)-2-amino-4-(methylthio)-*N*-(3-phenylpropyl)butanamide (**3ge**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	20.536	1428	107940	0.401
2	26.614	265697	26797566	99.599

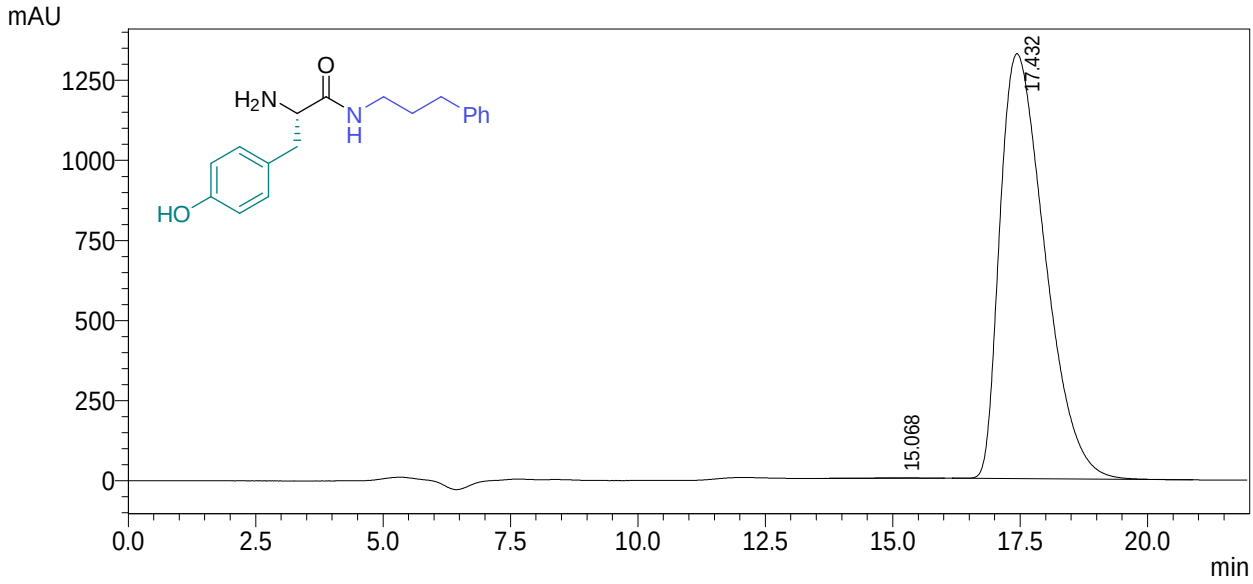
2-amino-3-(4-hydroxyphenyl)-*N*-(3-phenylpropyl)propenamide (**rac-3he**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	14.867	192015	11191973	49.218
2	17.639	186571	11547697	50.782

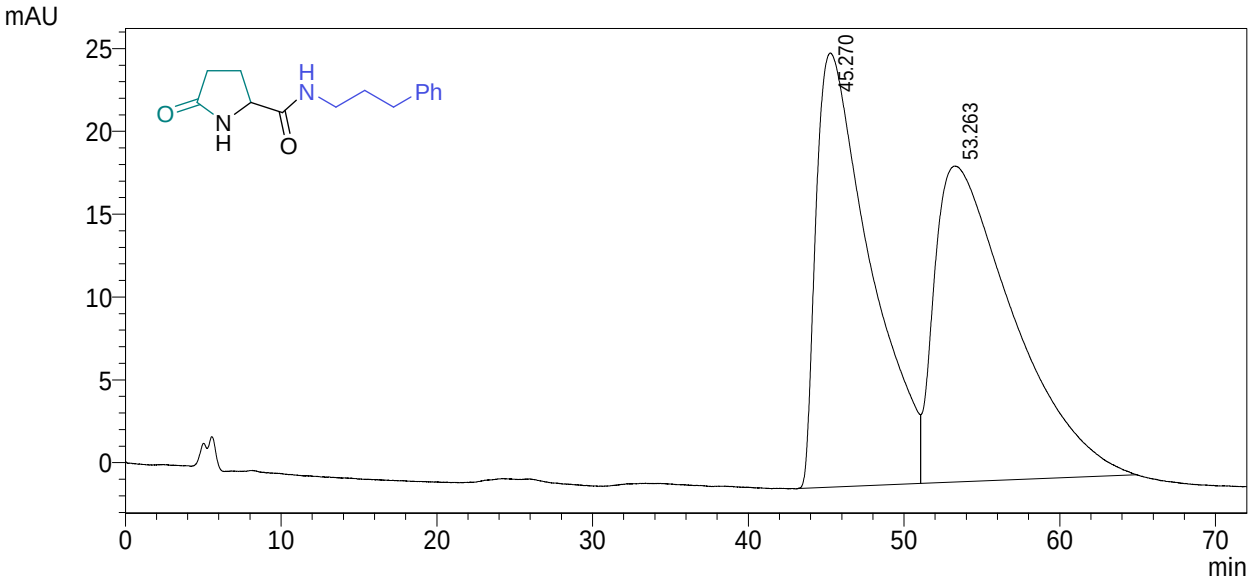
(*S*)-2-amino-3-(4-hydroxyphenyl)-*N*-(3-phenylpropyl)propenamide (**3he**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	15.068	1625	90894	0.111
2	17.432	1325765	81510815	99.889

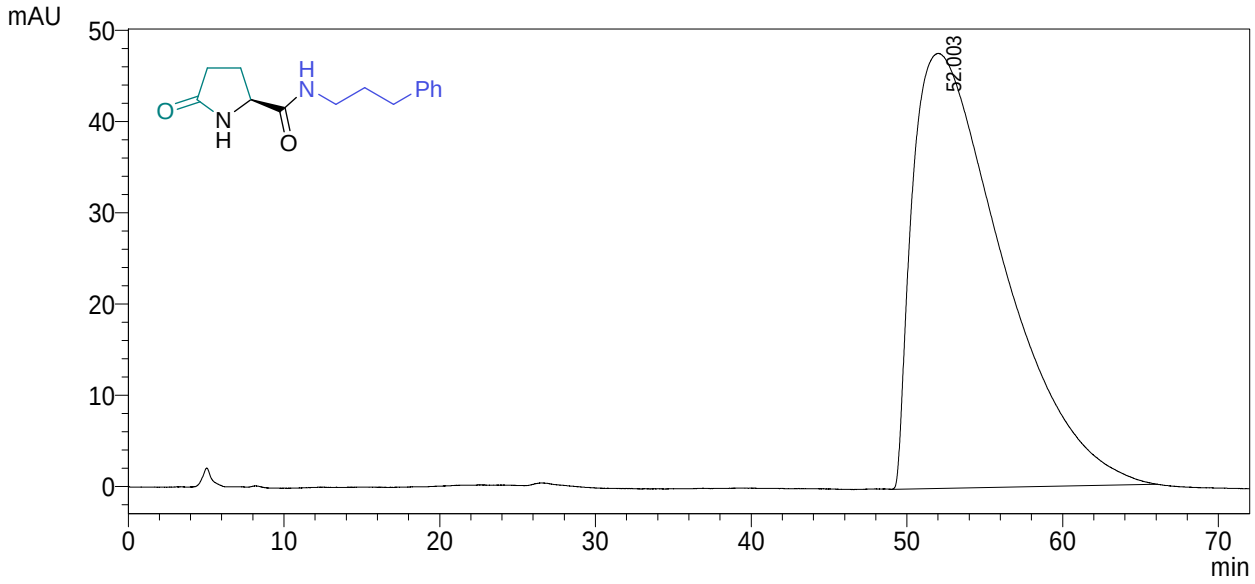
5-oxo-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (**rac-3le**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	45.270	26205	6307938	48.279
2	53.263	19079	6757728	51.721

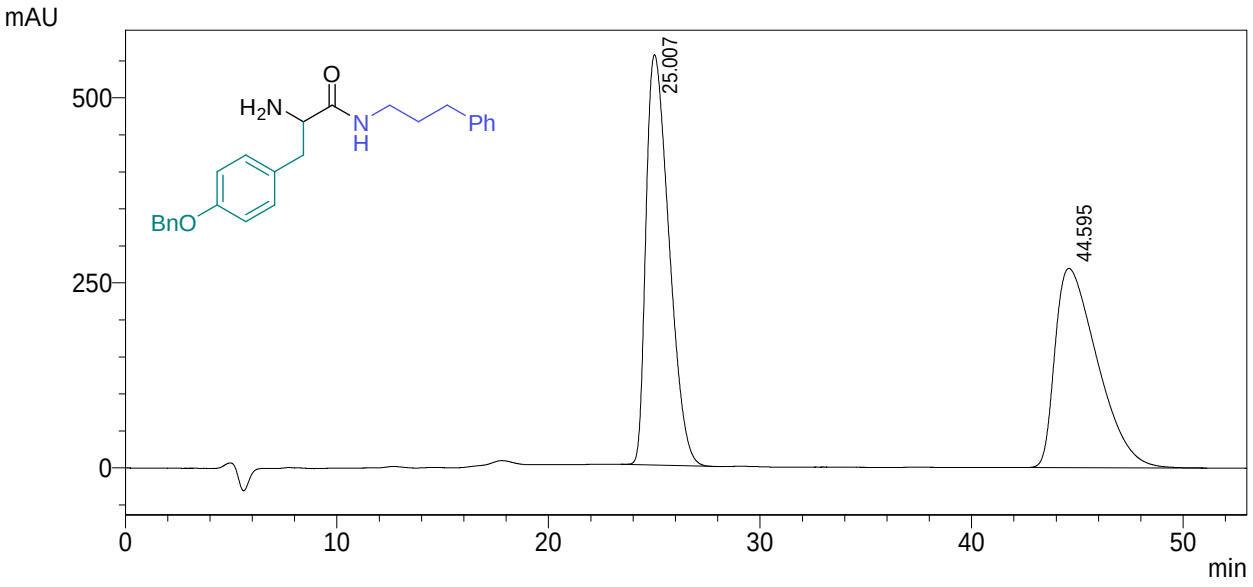
(*S*)-5-oxo-*N*-(3-phenylpropyl)pyrrolidine-2-carboxamide (**3le**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	52.003	47641	19210158	100.000

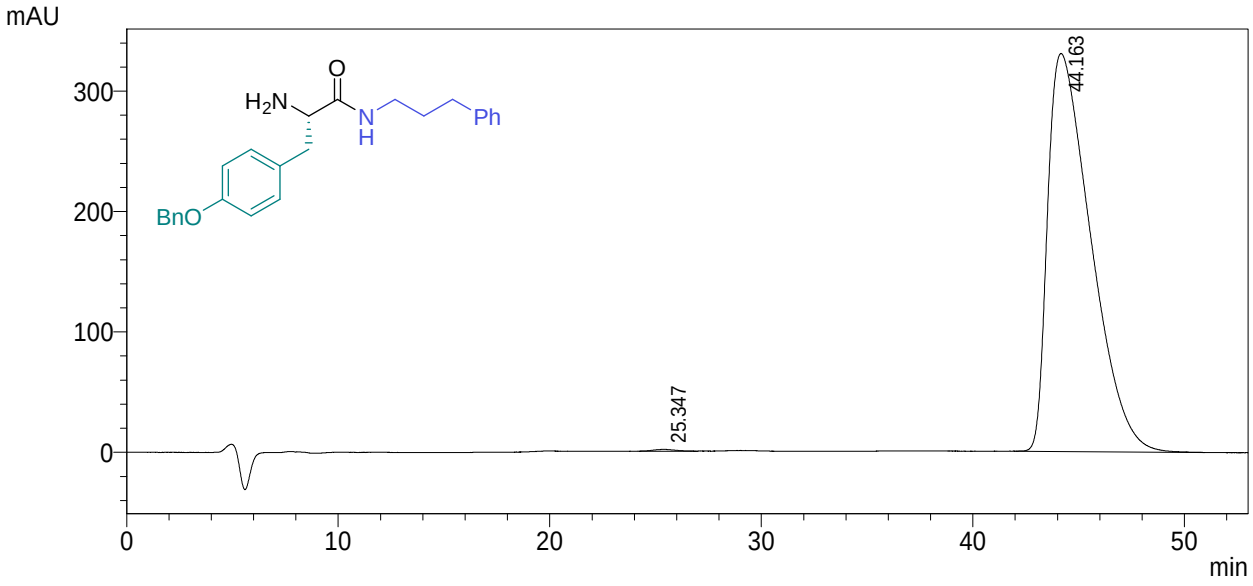
2-amino-3-(4-(benzyloxy)phenyl)-*N*-(3-phenylpropyl)propenamide (**rac-3me**)



PDA Ch1 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	25.007	553025	41954274	52.836
2	44.595	269112	37450249	47.164

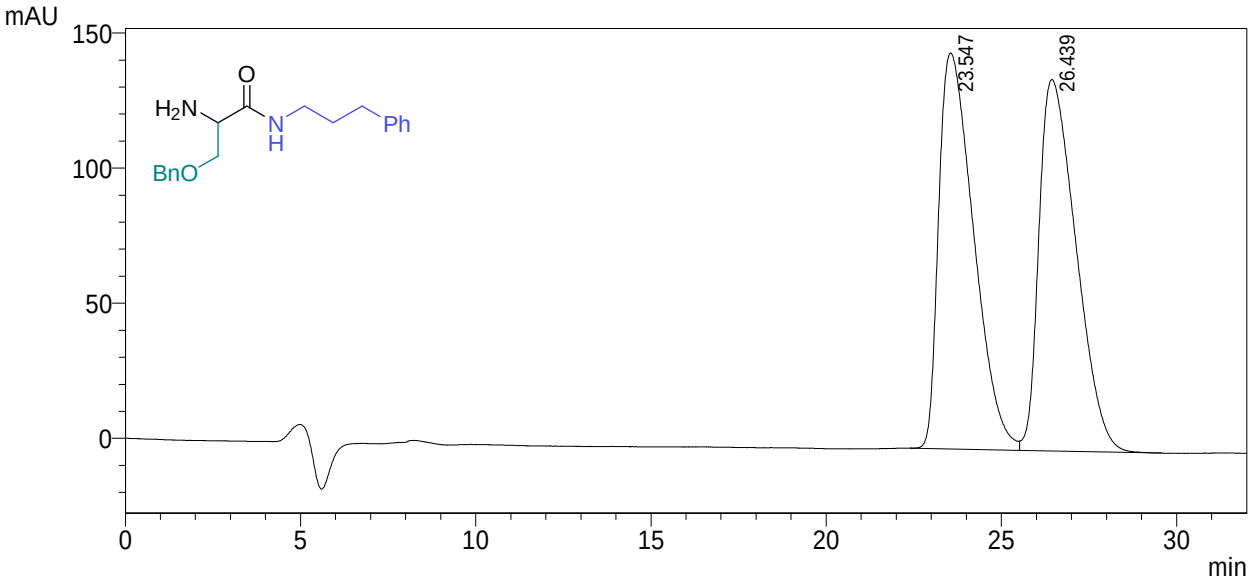
(*S*)-2-amino-3-(4-(benzyloxy)phenyl)-*N*-(3-phenylpropyl)propenamide (**3me**)



PDA Ch1 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	25.347	1420	98935	0.211
2	44.163	330431	46822579	99.789

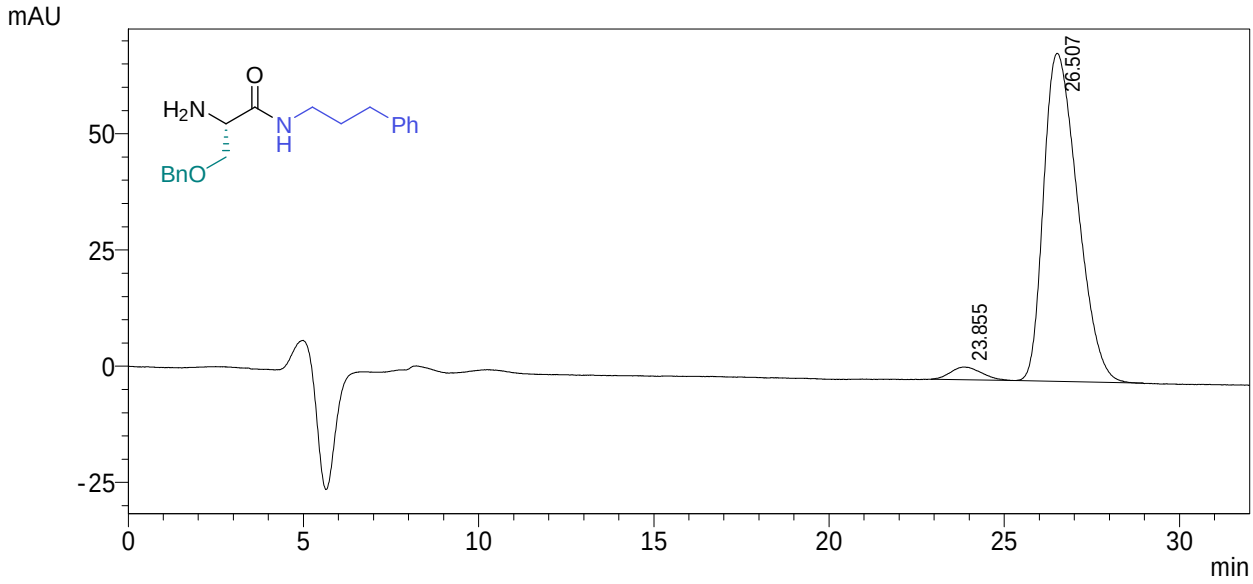
2-amino-3-(benzyloxy)-*N*-(3-phenylpropyl)propenamide (**rac-3ne**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	23.547	146435	10148646	50.115
2	26.439	137404	10102107	49.885

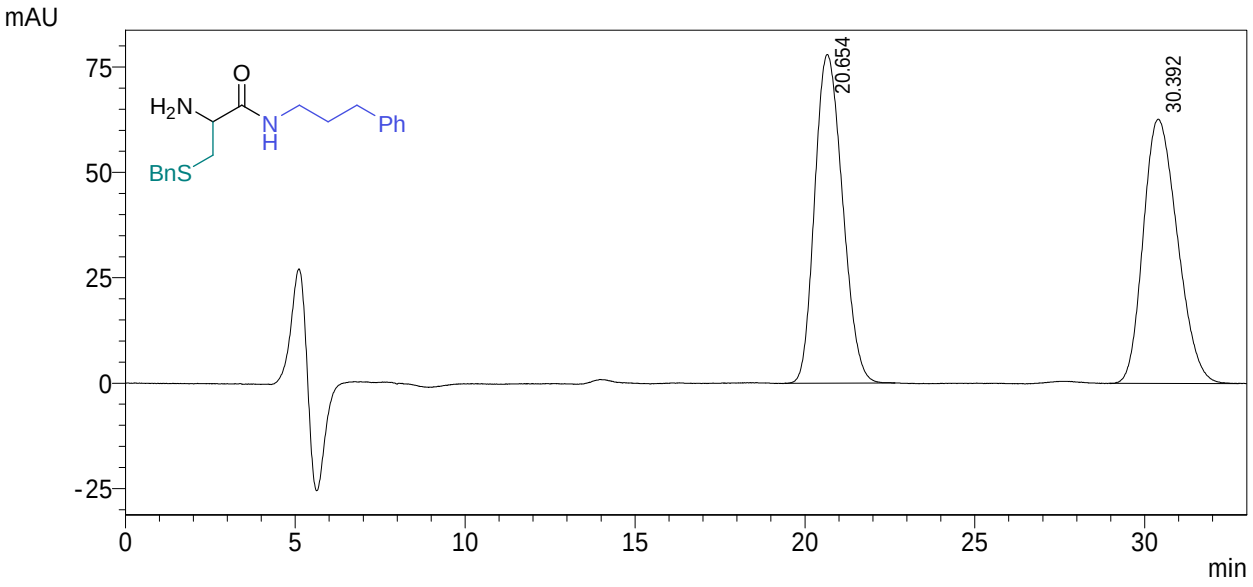
(*S*)-2-amino-3-(benzyloxy)-*N*-(3-phenylpropyl)propenamide (**3ne**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	23.855	2738	167757	3.409
2	26.507	70508	4752602	96.591

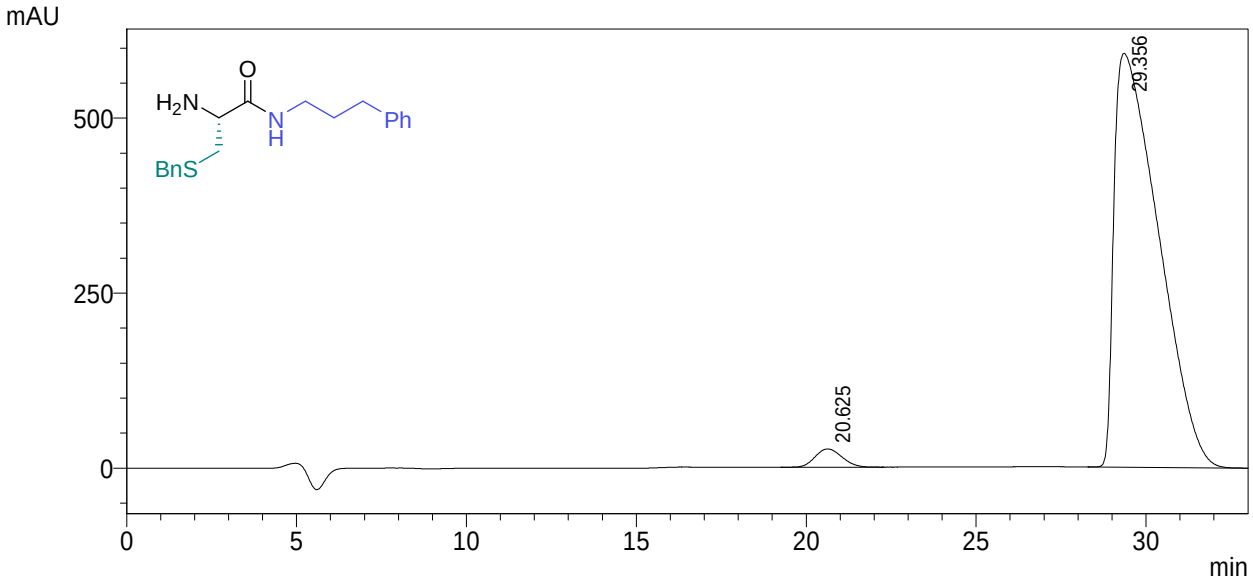
2-amino-3-(benzylthio)-*N*-(3-phenylpropyl)propenamide (**rac-3pe**)



PDA Ch1 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	20.654	77859	4445609	50.376
2	30.392	62457	4379250	49.624

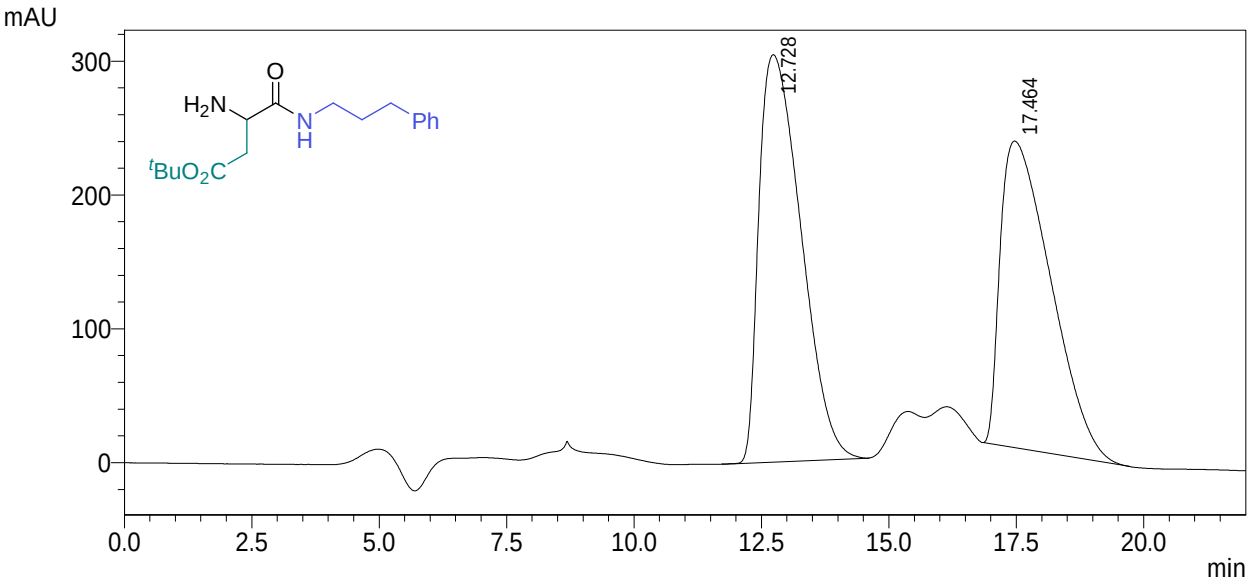
(*R*)-2-amino-3-(benzylthio)-*N*-(3-phenylpropyl)propenamide (**3pe**)



PDA Ch1 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	20.625	25976	1431312	2.594
2	29.356	589925	53741675	97.406

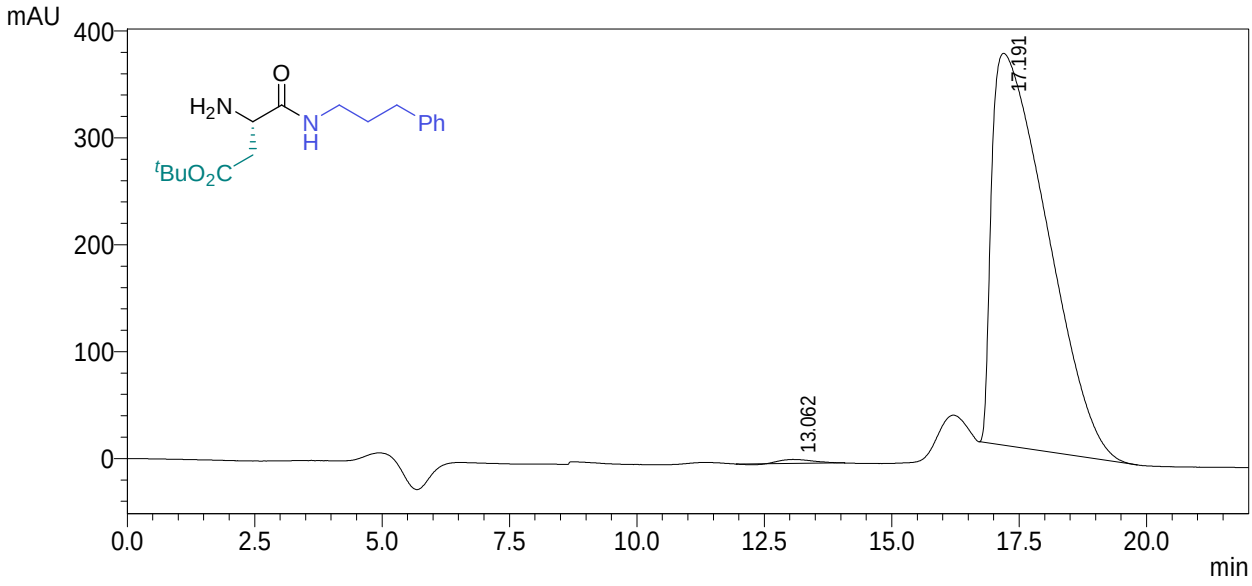
tert-butyl 3-amino-4-oxo-4-((3-phenylpropyl)amino)butanoate (**rac-3qe**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	12.728	304185	17470587	52.456
2	17.464	228916	15834746	47.544

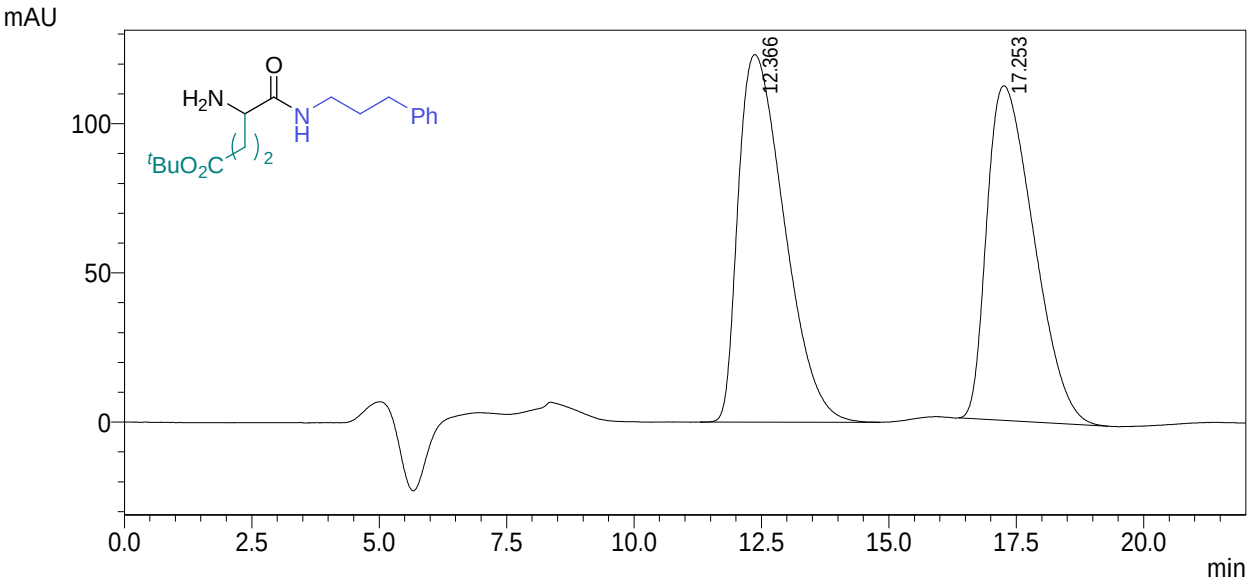
tert-butyl (*S*)-3-amino-4-oxo-4-((3-phenylpropyl)amino)butanoate (**3qe**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	13.062	3749	157630	0.564
2	17.191	366243	27772169	99.436

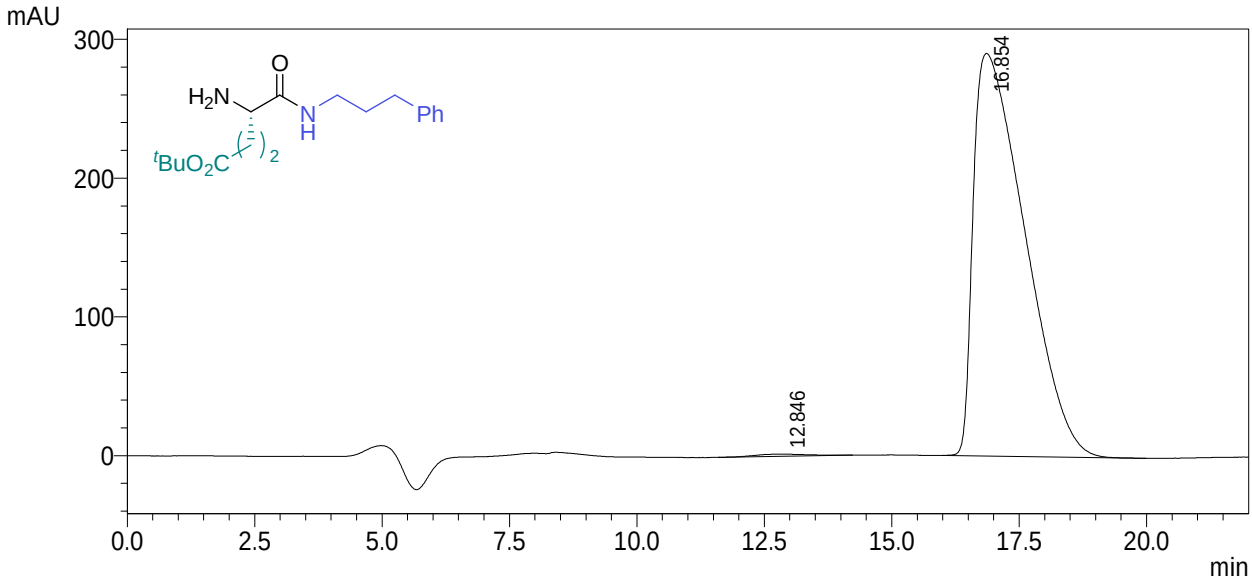
tert-butyl 4-amino-5-oxo-5-((3-phenylpropyl)amino)pentanoate (**rac-3re**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	12.366	123110	7743670	51.881
2	17.253	112085	7182120	48.119

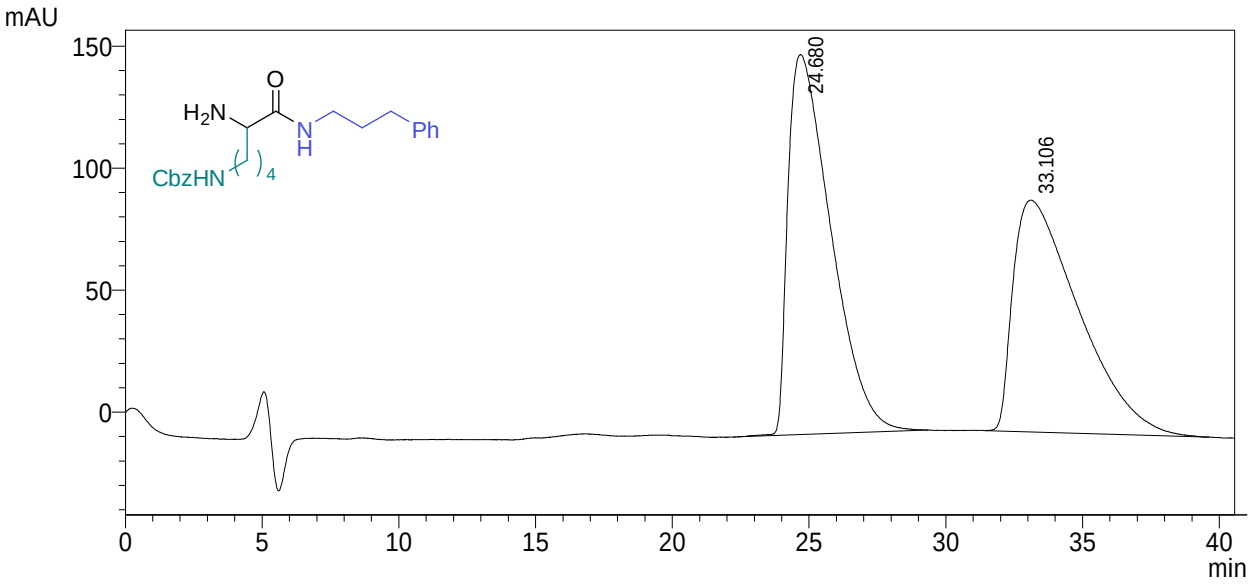
tert-butyl (*S*)-4-amino-5-oxo-5-((3-phenylpropyl)amino)pentanoate (**3re**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	12.846	1618	118936	0.581
2	16.854	289761	20349268	99.419

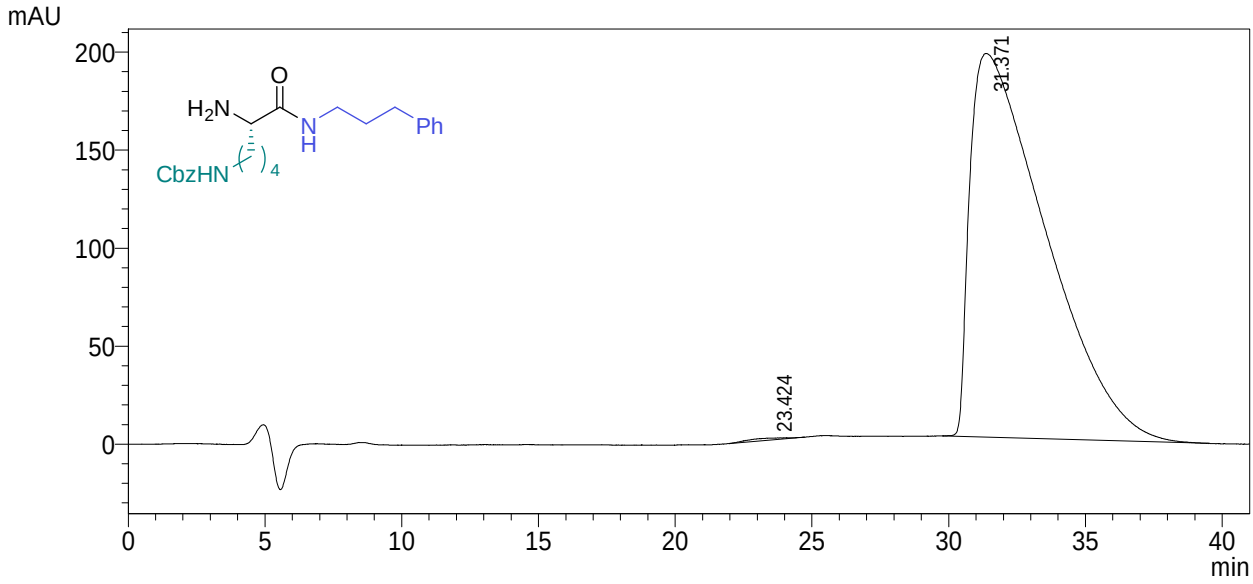
benzyl (5-amino-6-oxo-6-((3-phenylpropyl)amino)hexyl)carbamate (**rac-3se**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	24.680	155726	17012376	51.723
2	33.106	94970	15878812	48.277

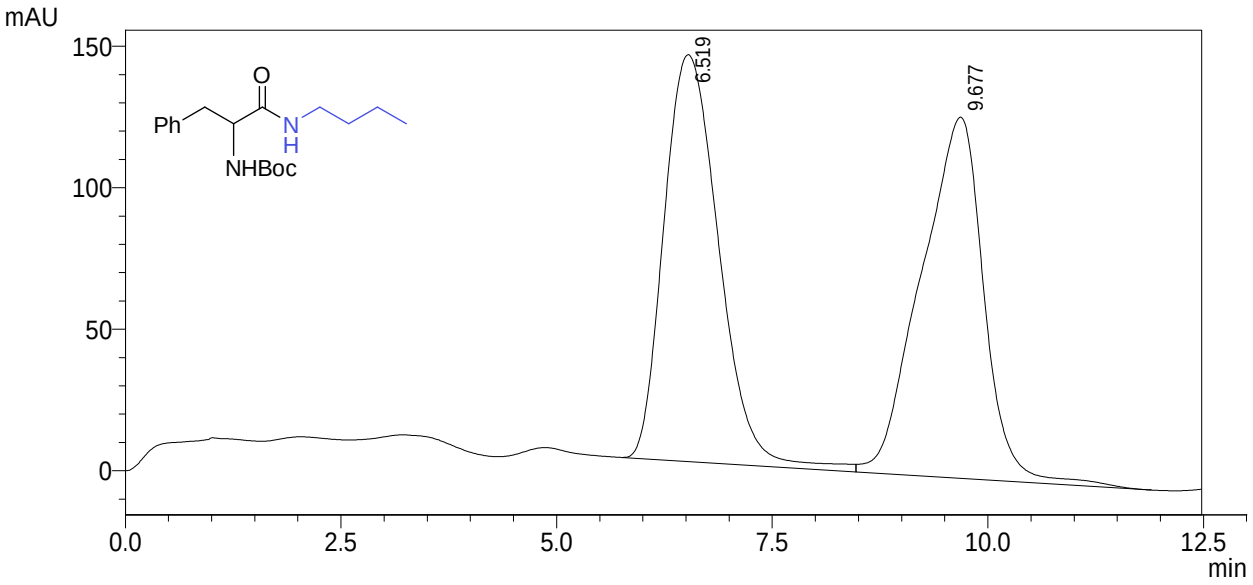
benzyl (*S*)-(5-amino-6-oxo-6-((3-phenylpropyl)amino)hexyl)carbamate (**3se**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	23.424	1069	109931	0.290
2	31.371	195710	37769282	99.710

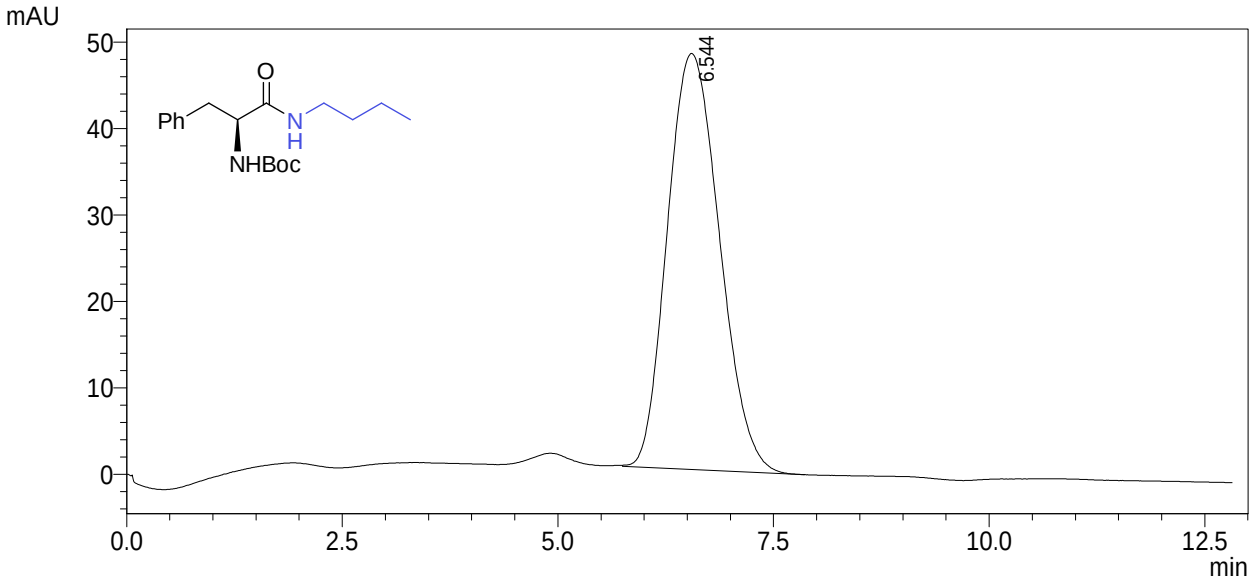
tert-butyl (1-(butylamino)-1-oxo-3-phenylpropan-2-yl)carbamate (**rac-3ze**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	6.519	143769	6380856	49.732
2	9.677	127632	6449653	50.268

tert-butyl (*S*)-(1-(butylamino)-1-oxo-3-phenylpropan-2-yl)carbamate (**3ze**)



PDA Ch2 220nm 4nm

Peak#	RetTime (min)	Height	Area	Area%
1	6.544	48124	2025740	100.000