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# Common Precursor Strategy for the Synthesis of Bestatin, Amprenavir intermediate and *Syn*-4-hydroxy-5-phenyl-*γ*-lactam

Brijesh Kumar,[a] Mushtaq A. Aga,[a] Abdul Rouf,[a] Bhahwal A. Shah,[b] Subhash C. Taneja*[a]

*a. Bio-organic Chemistry Division, CSIR - Indian Institute of Integrative Medicine, Canal Road, Jammu, India-180001. E-mail: drsctaneja@gmail.com*

*b. Natural Product Microbe, CSIR - Indian Institute of Integrative Medicine, Canal Road, Jammu Tawi, India-180001.*

# SUPPORTING INFORMATION

## Section A: General Information:

$^{1}$H NMR and $^{13}$C NMR spectra were recorded on Bruker ARX spectrometers (400 MHz, 500 MHz) with TMS as an internal standard. Chemical shifts are expressed in parts per million (δ ppm) and *J* values are given in Hertz. Reagents and solvents used were mostly LR grade. Silica gel coated aluminium plates from M/s Merck were used for TLC. MS were recorded on High Resolution Mass Spectrometer MS.Q-TOF LC/MS, Agilent Technologies 6540. MPs were measured in a Buchi-510 apparatus. Optical rotations were measured on Perkin-Elmer 241 polarimeter at 25 $^{o}$C using sodium D light.

## Section B: Experimental procedure and spectral analysis of the compounds:

**Synthesis of (*E*)-ethyl 4-phenylbut-2-enoate (6):** NaH (1.2 eq.) was added lot wise in 15 min. to a solution of triethyl phosphonoacetate **5** (1.2 eq.) in ether (10 vol.) at 0-5 $^{0}$C under $N_2$ atmosphere. Stirring the reaction continued for another 30 min, followed by drop wise addition of phenyl acetaldehyde **4** (1.0 eq.) in 15 min at 0-5 $^{0}$C. The reaction mixture stirred for another 2 h at room temperature and the progress monitored by TLC. After the completion of the reaction, the mixture washed with water (8 vol.), followed by 20 ml brine solution to afford crude product, which was purified by column chromatography over silica gel using 5% ethyl acetate/ pet-ether giving product as yellow oil with 92% yield. $^{1}$H NMR (400 MHz, $CDCl_3$): δ 7.33 – 7.26 (m, 2H), 7.26 – 7.20 (m, 1H), 7.20 – 7.14 (m, 2H), 7.09 (dt, 1H, *J* = 15.5, 6.8 Hz), 5.80 (dt, 1H, *J* = 15.5, 1.7 Hz), 4.16 (q, 2H, *J* = 7.1 Hz), 3.50 (dd, 2H, *J* = 6.8, 1.6 Hz), 1.25 (t, 3H *J* = 7.1 Hz); $^{13}$C NMR (100 MHz, $CDCl_3$) δ 166.4, 147.2, 137.7, 132.2, 132.1, 128.8, 128.7, 128.6, 128.5, 126.7, 122.4, 60.1, 38.5, 14.3. HRMS-ESI: calculated for $C_{12}H_{15}O_2$ (M +H) = 191.1072, found 191.1075; IR ($CHCl_3$, $cm^{-1}$); 3400, 2981, 1717.

**Synthesis of (*E*)-ethyl 4-phenylbut-3-enoate (7)**: NaH (2.5 eq.) was added lot wise at 0-5 $^{0}$C in 15 min to a solution of triethyl phosphonoacetate **5** (1.2 eq.) in ether (20 ml) under $N_2$ atmosphere. The reaction stirred for another 30 min, followed by drop wise addition of phenyl acetaldehyde **4** (1.0 eq.) in 15 min at 0-5 $^{0}$C. The reaction mixture was brought to room temperature and stirred overnight. After the completion of the

reaction, the mixture was washed with 20 ml each of water and brine to get crude product, which on purification by column chromatography on silica gel using 5% ethyl acetate and pet-ether gave the product **7** as light yellow oil in 90% yield. $^{1}$H NMR (500 MHz, $CDCl_3$): δ 7.36-7.12 (m, 5 H), 6.47 (d, 1H, *J*=15.9 MHz) 6.32-6.27 (m, 1H); 4.17-4.13 (m, 2H); 3.22-3.20 (dd, 2H, *J*=1.37, 7.1 MHz), 1.27(m, 3H); $^{13}$H NMR (125 MHz, $CDCl_3$): δ 166.4, 147.3, 133.4, 128.8, 128.7, 128.5, 127.5, 127.1, 126.7, 126.3, 122.4, 60.2, 38.4, 14.3. HRMS-ESI: calculated for $C_{12}H_{15}O_2$ (M +H) = 191.1072, found 191.1078; IR ($CHCl_3$, $cm^{-1}$); 3419, 2905, 1752.

**Synthesis of (2*S*, 3*R*)-ethyl 2-hydroxy-4-phenyl-3-(tosylamino)butanoate** (**8**)**:** A solution of $(DHQ)_2$-PHAL (5 mol %) and potassium osmate (2 mol %) in *t*-butanol and water (10 vol. 1:1) was stirred at room temperature. After 2 min, chloramine-T trihydrate (3 eq.) and **6** (1.0 eq.) were added sequentially. The reaction was stirred untill the green colour changed to yellow (about 2-3 h) and then ethyl acetate (10 vol.) and sodium sulphite (1eq.) were added and stirred for 1 h. The organic layer was separated and aqueous layer extracted with ethyl acetate (3x10 mL). The combined organic layer were washed with brine, dried over anhydrous sodium sulphate and concentrated. The crude product was purified by column chromatography over silica gel using 20% ethyl acetate and pet-ether giving product **8** as yellowish oil with 50% yield. $[\alpha]_D^{25}$ +26.1 (*c* 1.0,Chloroform); $^{1}$H NMR (400 MHz $CDCl_3$): δ 7.68 (d, 2H, J=8.4 Hz); 7.27-7.19 (m, 5H); 7.16 (d, 2H, *J*=6.8 Hz); 4.93 (d, 1H, *J*=10.0 Hz); 4.14-4.08 (m, 1H); 3.99-3.96 (m, 1H); 3.95-3.86 (m, 2H); 3.2 (d, 1H, *J*= 2.8 Hz), 2.86-2.80 (dd, 1H, *J*=13.2 Hz, 10.0 Hz); 2.72-2.67 (dd,1H, *J*=13.2 Hz, 5.6 Hz); 2.41 (s, 3H); 1.19 (t, 3H, J=7.2 Hz) $^{13}$C NMR (125 MHz $CDCl_3$): 173.1, 143.3, 138.2, 136.8, 129.6, 129.4, 128.6, 126.9, 126.7, 69.9, 62.5, 57.2, 38.7, 21.4, 13.9 HRMS-ESI: calculated for $C_{19}H_{24}O_5NS$ (M + H) = 378.1375, found 378.1370.IR ($CHCl_3$, $cm^{-1}$) 3353, 2922, 1729, 1155.

**Synthesis of (2*S*, 3*R*)-2-hydroxy-4-phenyl-3-(tosylamino)butanoic acid** (**9**)**:** In a stirred solution of ester **8** (1.0 eq) in methanol (10 vol.), $K_2CO_3$ solution (1.0 eq. in 1 vol. water) was added and stirred for 12 h. After evaporating methanol under reduced pressure, added 20 ml each of ethyl acetate and water. The layers separated and adjusted to pH 2 of the aqueous layer with 50% HCl solution followed by extraction with ethyl acetate (3 x 10 ml). The combined organic layers washed with water (2 x 10 ml) and brine, dried and then concentrated under reduced pressure. The crude

compound was purified by column chromatography over silica gel using 30% ethyl acetate and pet-ether giving product **9** as a white solid in 95% yield, mp 169 °C. $[\alpha]_D^{25}$ +18.2 (*c* 0.5, acetone); $^1$H NMR (500 MHz $CDCl_3$) δ 7.76 (d, 2H, *J*=8.2 Hz); 7.36 (d, 2H, *J*=8.1 Hz); 7.26-7.17 (m, 3H); 7.12 (d, 2H, J=7.1 Hz); 6.51 (d, 1H, J=9.6 Hz); 3.99 (d, 1H, *J*=2.0 Hz); 3.92-3.88 (m,1H); 2.89 (dd,1H, *J*=10.2 Hz or 13.1 Hz); 2.53 (m,1H); 2.40 (s,3H) $^{13}$C NMR (125 MHz $CDCl_3$): 174.0, 143.7, 140.1, 138.6, 130.3, 130.1, 129.3, 127.7, 127.3, 70.5, 58.9, 38.4, 21.4 HRMS-ESI: calculated for $C_{17}H_{20}O_5NS$ (M+H)=350.1062, found 350.1057.IR ($CHCl_3$, $cm^{-1}$) 3460, 3258, 2925, 1757, 1157 $cm^{-1}$

**Synthesis of (*R*)-benzyl 2-((2*S*,3*R*)-2-hydroxy-3-(4-methylphenylsulfon amido)-4-phenylbutanamido)-4-methylpentanoate** (**10**)**:** 1-(3-dimethylamino propyl)-3-ethylcarbodiimide HCl (EDC) (1.1eq.) and N,N-diisopropylethyl amine (2.2 eq.) were added to a solution of L-leucine benzyl ester (1.0 eq.), acid **9** (1.0 eq.) and hydroxybenzotriazole (HOBt**)** (1.2 eq.) in DMF (5ml) and stirred for 12 h at room temperature under nitrogen. The solvent was removed under vacuum and the residue purified by column chromatography on silica gel eluting with dichloromethane: methanol (95:5) to furnish the pure title compound **10** in 80% yield. $[\alpha]_D^{25}$ -30.6 (c 1, $CHCl_3$); $^1$H NMR (400 MHz, $CDC1_3$) δ 7.87 (d, 2H, *J* = 8.24 Hz),), 7.36 (d, 2H, *J*=8.1 Hz); 7.17-7.26 (m, 3H); 7.12 (d, 2H, *J*=7.1 Hz); 3.99 (d,1H, *J*=2.0 Hz); 3.88-3.92 (m,1H); 3.60 (d, 1 H, *J*=7.0 Hz), 2.89 (dd, 1H, *J*=10.2 Hz or 13.1Hz); 2.53 (m,1H); 2.40 (s, 3H), 1.8-1.6 (m, 3H), 0.9 (d, 6 H, *J* = 6.5 Hz); 13C NMR (100 MHz, )172.6, 170.7, 142.2, 140.4, 138.1, 137.2, 129.2, 129.1, 128.9, 128.3, 128.2, 128.1, 127.7, 127.6, 127.1, 72.8,67.3, 67.3, 59.1, 52.8, 41.3, 34.8, 24.9, 22.9, 21.7; HRMS calculated for $C_{30}H_{37}N_2O_6S$ (M+H) 553.2372, found 553.2379; IR ($CHCl_3$, $cm^{-1}$) 3460, 3270, 2925, 1736, 1662, 1155.

**Synthesis of (*R*)-2-((2*S*, 3*R*)-3-amino-2-hydroxy-4-phenylbutanamido)-4-methylpentanoic acid hydrochloride** (**1**)**:** Pd/C (10 mol %) was added to a solution of bestatin benzyl ester **10** (1 eq) in 10 mL of $CH_3OH$ and the mixture was stirred under a hydrogen atmosphere in Parr apparatus for 5 h. After the completion of reaction, Pd/C was filtered and the solvent removed *in vacuo* to afford the debenzylated acid compound. Subsequently, Mg (3 eq.) was added to a solution of *N*-tosylated bestatin in anhydrous methanol (10 vol.). The resulting suspension was refluxed for 12 h. The reaction mixture was diluted with brine (5 vol.) and extracted with dichloromethane (5

vol.). The combined organic layers were dried over anhydrous $Na_2SO_4$, concentrated under reduced pressure and the material was used without further purification in the next step for the preparation of **1**. Bestatin free amine was dissolved in 6 N aq HCl (5 vol.) in dioxane and after 16 h of stirring at room temperature, the product was filtered off to afford compound **1** as a white solid in 80% yield for three steps, mp 220 °C. $[\alpha]_D^{25}$ -13.2 (*c* 0.51, 1N HCl). $^1$H NMR (400 MHz, $D_2O$) δ 7.43 – 7.22 (m, 5H), 4.30 (dd, 1H, *J*=9.1, 5.5 Hz), 4.24 (d, 1H, *J*=4.7 Hz), 3.78 (ddd, 1H, *J*=8.7, 6.4, 4.6 Hz), 3.10 (dd, 1H, *J*=14.4, 6.4 Hz), 2.88 (dd, 1H, *J*=14.3, 8.7 Hz), 1.77 – 1.52 (m, 3H), 0.96 – 0.77 (m, 6H). $^{13}$C NMR (100 MHz, $D_2O$) δ 176.2, 172.7, 134.9, 129.4, 129.4, 129.3, 127.8, 69.6, 55.0, 51.6, 39.2, 34.8, 24.5, 22.1, 20.8; HRMS-ESI: calculated for $C_{16}H_{25}O_4N_2$ (M+H)=309.1814, found 309.1809 (free amine); IR (KBr, $cm^{-1}$**)** 3397, 2961, 1730, 1667, 1559, 1256, 1184, 1158.

**Synthesis of (2*S*,3*R*)-2-hydroxy-*N*-isobutyl-4-phenyl-3-(tosylamino) butanamide (11):**[1] EDC (1.1equivalent) and DMAP (1.1 eq.) were added to a solution of isobutyl amine (2.0 eq.) comprising the acid **9** (1.0 eq.) in dichloromethane (5 vol.) at 0 $^oC$ and the solution stirred for 12 h at room temperature under nitrogen. After the completion of the reaction (checked by TLC), the mixture washed with water and brine respectively. The solvent was removed under reduced pressure and the residue purified by column chromatography on silica gel eluting with dichloromethane/methanol (90:10) to furnish the compound **11** as a yellowish oil with 70% yield. $[\alpha]_D^{25}$ +12.6 (c 1, MeOH); $^1$H NMR (400 MHz, $CDC1_3$) δ 7.70 (m, 2H), 7.39 (m, 2H), 7.31 (m, 2H), 7.25 (m, 2H), 7.19 (m, 1H), 4.28 (d, 1H, *J*=7.8 Hz), 3.90-3.86 (m, 3H), 3.04 (m, 1H), 2.72 (m, 1H), 2.31 (s, 3H), 2.07 (m, 1H), 0.91 (d, 6H, *J*=6.6 Hz); $^{13}$C NMR (100MHz, $C_3D_6O$) δ 176.2, 142.0, 140.5, 138.0, 129.3, 129.0, 128,9, 127.1, 71.7, 59.2, 48.3, 34.9, 28.2, 21.3, 20.1; HRMS calculated for $C_{21}H_{29}N_2O_4S$ (M+H) 405.1848, found 405.1842; IR ($CHC1_3$, $cm^{-1}$) 3460, 3270, 2925, 1736, 1662, 1155.

**Synthesis of (2*R*,3*R*)-1-(isobutylamino)-4-phenyl-3-(tosylamino)butan-2-ol (2):**[2] $LiAlH_4$ (1 eq.) was added to a stirred, ice-salt bath cooled solution of amide **11** (1eq.) in

[1] S. Ansorge, U. Bank, K. Nordhoff, P. Roehnert, S. Stefin, F. Striggow and M. Taeger, *Eur. Pat. Appl.* 2011, EP 2292589 A1. (b) T. Mimoto, N. Hattori, Y. Nagano, M. Shintani and Y. Kiso, *Eur. Pat. Appl.* **1992**, EP 490667 A2 19920617.(c) R. Nishizawa, S. Saino, T. Takita, H. Suda, T. Aoyagi and H. Umezawa, *J. Med. Chem.* 1977**, 20**, 510-515.

[2] Y. Honda, S. Katayama, M. Kojima, T. Suzuki, N. Kishibata and K. Izawa, *Org. Biomol. Chem.* 2004, **2**, 2061-2070. (b) R. D. Tung, M. A. Murcko and G. R. Bhisetti, *World Patent Appl.* 1994, WO 94/05639.

anhydrous THF (10 vol.). After being stirred for 2 h kept at 0 °C, the cooled mixture was quenched with EtOAc and ice water and saturated $NaHCO_3$ solution (5 vol.) added. After stirring for 10 min, the THF layer was separated and the aqueous layer extracted with EtOAc (2 × 10 mL). The combined organic extracts were washed with saturated brine (20 mL) and dried over anhydrous $Na_2SO_4$. After filtration and evaporation, the residue was purified by column chromatography using 30% ethyl acetate and pet-ether on silica gel to afford **2** as a light yellow oil in 75% yield**.** $[\alpha]_D^{25}$ -8.9 (*c* 1.0, $CHCl_3$); $^1$H NMR (400 MHz, $CDCl_3$) δ 7.80 (m, 2H), 7.45 (m, 2H), 7.32-7.22 (m, 5H), 4.29 (s, 1H), 3.97 (s, 1H), 3.49-3.46 (m, 1H), 3.04-2.84 (m, 5H), 2.43 (2H, d, *J*=6.7 Hz), 2.38 (s, 3H), 1.76-1.68 (m, 2H), 0.91 (d, 6H, *J*=6.6 Hz), $^{13}$C NMR (100MHz, $C_3D_6O$) 143.3, 138.2, 136.8, 129.5, 128.4, 128.4, 128.0, 127.8, 126.4, 70.4, 64.5, 57.9, 55.0, 51.4, 37.6, 28.3, 21.5, 20.5; HRMS calculated for $C_{21}H_{31}N_2O_3S$ (M+H) 391.2055, found 391.2050; IR ($CHCl_3$, $cm^{-1}$) 3457, 3268, 2918,1150.

**Synthesis of ethyl (3*R*,4*R*)-3-hydroxy-4-(4-methylphenylsulfonamido)-4-phenylbutanoate** (**12**)**:** A solution of $(DHQD)_2$-PHAL (5 mol %) and potassium osmate (2 mol %) in *t*-butanol and water (10 vol. 1:1) was stirred at room temperature. After 2 min. chloramine-T trihydrate (3 eq.) and alkene **7** were added sequentially. The reaction mixture was stirred untill the green color changed to yellow (about 2-3 h) and then ethyl acetate (10 vol.) and sodium sulphite (1eq.) added and stirred for 1 h. The organic layer was separated and aqueous layer extracted with ethyl acetate (3x10 mL). The combined organic layer were washed with brine, dried over anhydrous sodium sulphate and concentrated. The crude product was purified by column chromatography (using 20% ethyl acetate and pet-ether) to afford the desired product **12** as a white solid with 60% yield, mp 145-150°C. $[\alpha]_D^{25}$ -8.6 (c 1, $CHCl_3$); $^1$H NMR (500 MHz $CDCl_3$): δ 7.47 (d, 2H, *J*=8.1 Hz); 7.26 (d, 2H, *J*= 0.8 Hz); 7.05-7.16 (m, 5H); 5.54 (d,1H, J= 6.1 Hz); 4.25 (t, 1H, *J*=5.8 Hz); 4.13-4.09 (m, 3H); 2.51-2.36 (m, 2H); 2.33 (s, 3H); 1.23 (t, 3H, *J*=7.0 Hz) $^{13}$C NMR (125 MHz $CDCl_3$): 172.4, 143.0, 137.6, 137.2,129.9, 129.7, 129.6, 129.3, 129.2, 128.6, 127.8, 127.3, 127.2, 127.0, 125.0, 71.1, 61.7, 61.0, 37.9, 21.6, 14.1; HRMS-ESI: calculated for $C_{19}H_{24}O_5NS$ (M+H)=378.1375, found 378.1368; IR ($CHCl_3$, cm-$^{1}$) 3292, 2923, 1730, 1150, 1158.

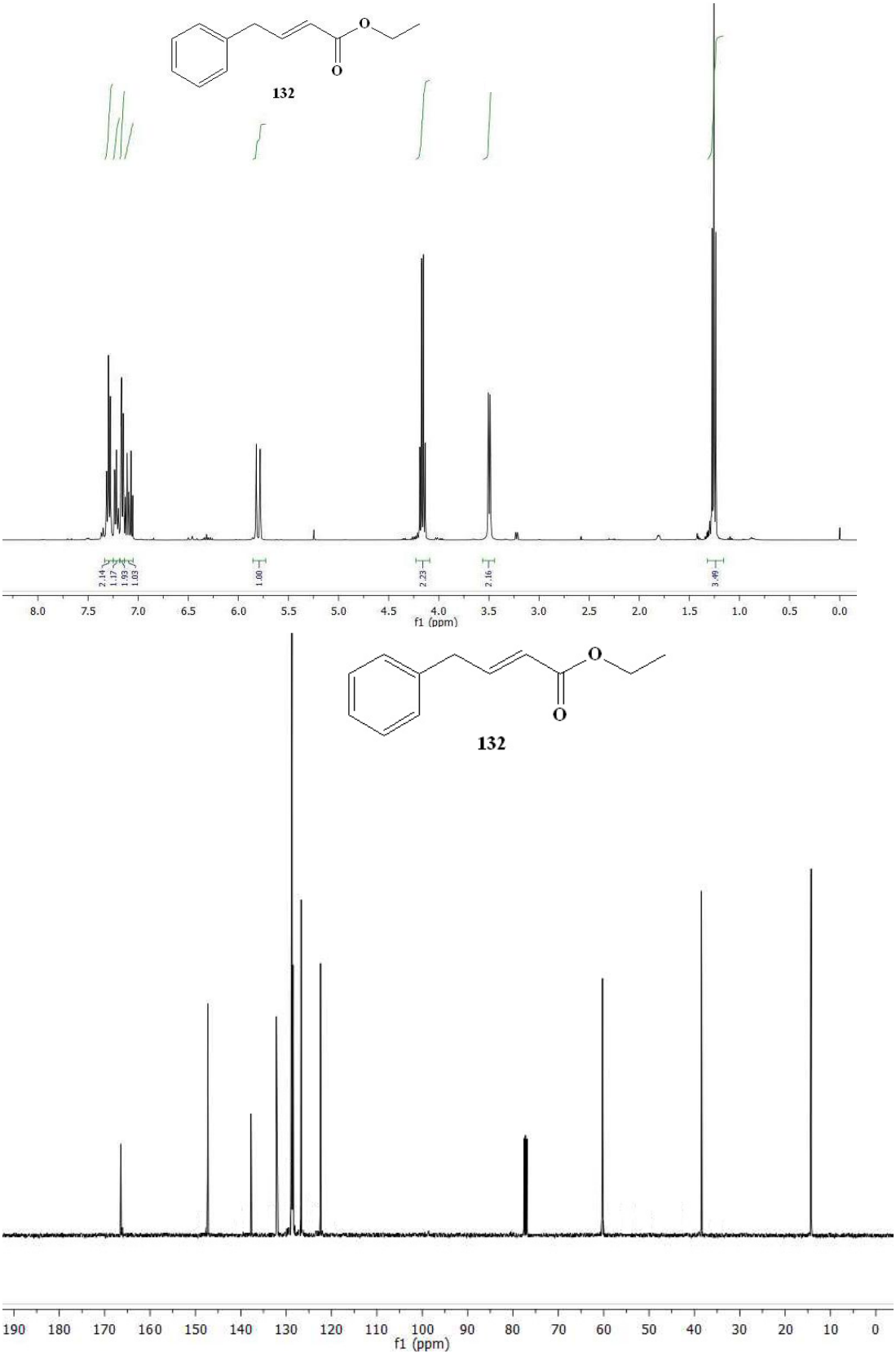
**Synthesis of (3*R*,4*R*)-3-hydroxy-4-(4-methylphenylsulfonamido)-4-phenyl butanoic acid** (**13**)**:** In a stirred solution of ester **12** (1.0 eq) in methanol (10 vol.), $K_2CO_3$ solution (1.0 eq. in 1 vol. water) was added and stirring continued for 12 h. After

evaporating methanol under reduced pressure, 20 ml each of ethyl acetate and water added. The two layers separated and pH adjusted 2 of the aqueous layer with 50% HCl solution, followed by the extraction with ethyl acetate (3 x 10 ml). The combined organic layers washed with water (2 x 10 ml) and brine and concentrated under reduced pressure. The crude compound was purified by column chromatography on silica gel using ethyl acetate and pet-ether (30:70) giving product **13** as a white solid with 90% yield mp 175-180 $^{0}$C. $[\alpha]_D^{25}$ -30.6 (c 1, $CHCl_3$); $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.49 – 7.42 (m, 2H), 7.17 – 7.09 (m, 3H), 7.10 – 6.98 (m, 4H), 5.99 (s, 1H), 4.29 (t, 1H, *J*=6.1 Hz), 4.16 (dd, *J*=9.0, 3.7 Hz, 1H), 2.53 (ddd, 1H, *J*=17.3, 8.7, 6.6 Hz), 2.43 – 2.36 (m, 1H), 2.32 (s, 3H). $^{13}$C NMR (125MHz, $COC_2D_6$) 172.7, 142.7, 139.7, 139.0, 129.9, 129.2, 128.9, 128.1, 128.0, 127.9, 127.6, 127.2, 126.4, 71.5, 61.9, 38.6, 20.8; HRMS-ESI: calculated for $C_{17}H_{20}O_5NS$ (M+H)=350.1062, found 350.1069.IR ($CHCl_3$, $cm^{-1}$) 3473, 3270, 2925, 1713, 1158 $cm^{-1}$

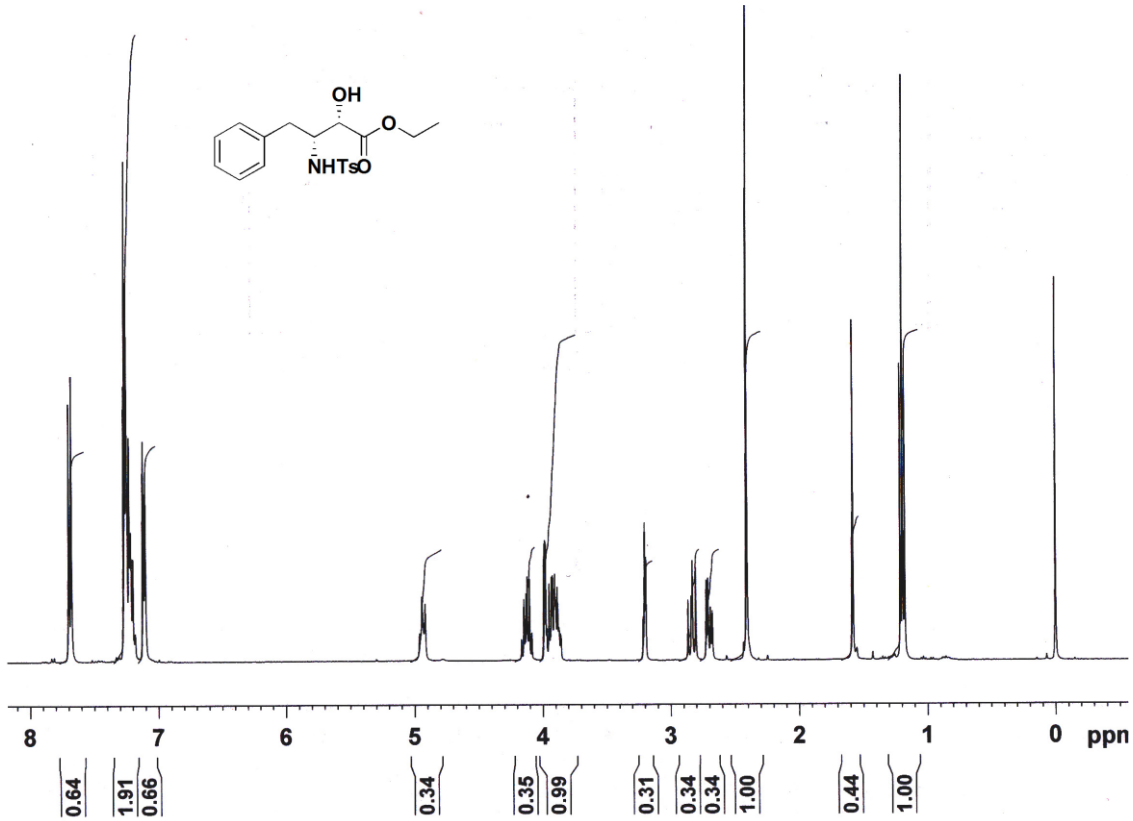
**Synthesis of (4*R*,5*R*)-4-hydroxy-5-phenyl- 1-tosylpyrrolidin-2-one** (**3**)**:** EDC (1.1 eq.) and DMAP (1.0 eq.) were added to a stirred solution of the acid **13** (1.0 eq.) in DCM at 0-5 $^{o}$C. The reaction mixture was brought to room temperature and stirred overnight. After the completion of the reaction, 10 ml DCM added and washed with 5% HCl solution, followed by brine to get crude product, which on further purification by column chromatography using 20% ethyl acetate and pet-ether (20:80) on silica gel gave pure product **3** as a white solid in 92% yields, mp130-133 °C. $[\alpha]_D^{25}$ -13.7 (*c* 0.5, $CHCl_3$) $^{1}$H NMR 500MHz $CDCl_3$ δ 7.53 (d, 2H, *J*=7.1 Hz); 7.19-7.21 (m, 5H); 7.03 (d, 2H, *J*=7.7 Hz); 5.37 (d, 1H, *J*=7.4 Hz); 4.61 (q, 1H, *J*=8.9 Hz); 3.22 (d, 1H, *J*=1.0 Hz); 2.65 (dd, 1H, *J*=17.2, 7.7 Hz); 2.51 (dd, 1H, *J*= 17.2, 7.7 Hz); 2.4 (s, 3H); $^{13}$C NMR 125MHz $CDCl_3$ 171.5, 144.9, 136.0, 135.3, 129.3, 128.0, 127.9, 127.8, 127.7, 127.5, 66.9, 65.6, 38.4, 21.1, HRMS-ESI: calculated for $C_{17}H_{18}O_4NS$ (M+H) = 332.0957, found 332.0955.IR ($CHCl_3$, $cm^{-1}$) 3435(b), 2924, 1733, 1360, 1169.

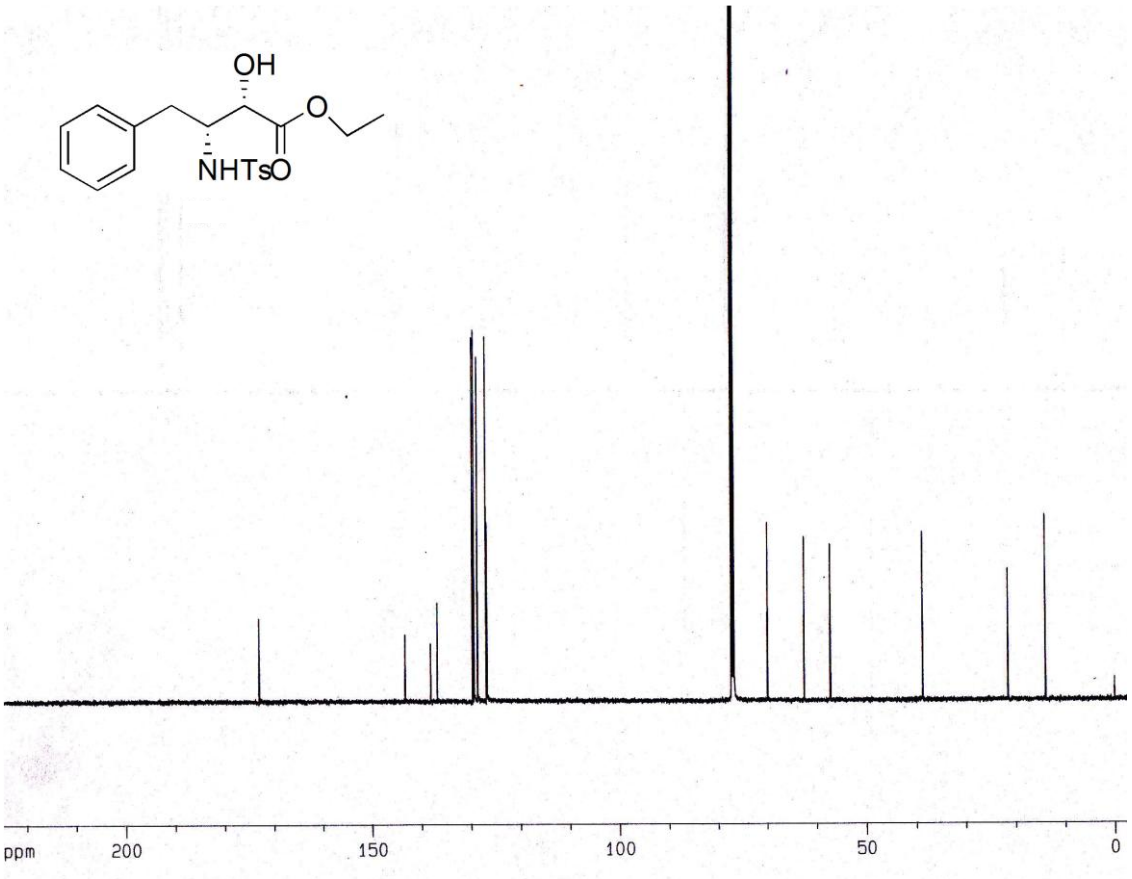
# Section C: Copies of $^{1}$H NMR, $^{13}$C NMR and 2D NMR spectra of some selected compounds

$^{1}$H and $^{13}$C NMR spectra of compound **6**:

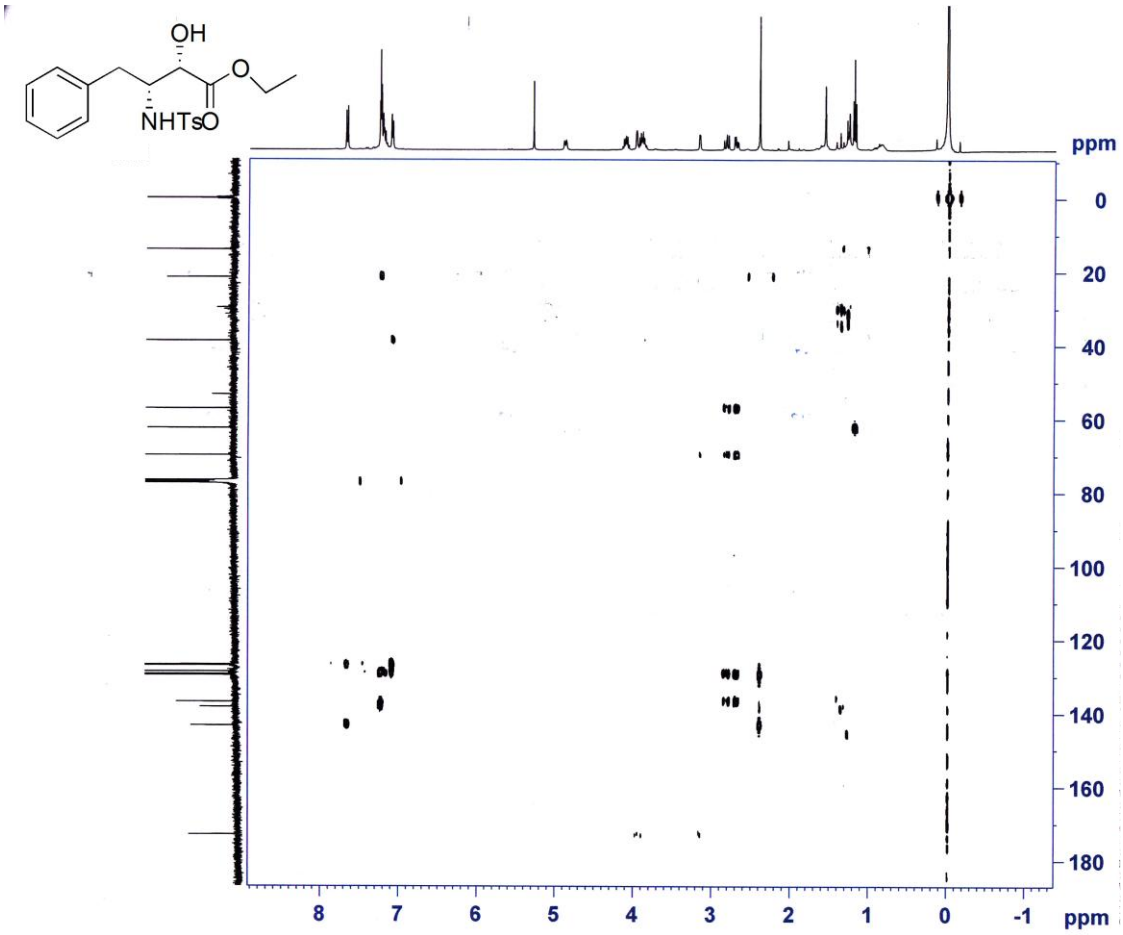


$^{1}H$ and $^{13}C$ NMR spectra of compound **8**:

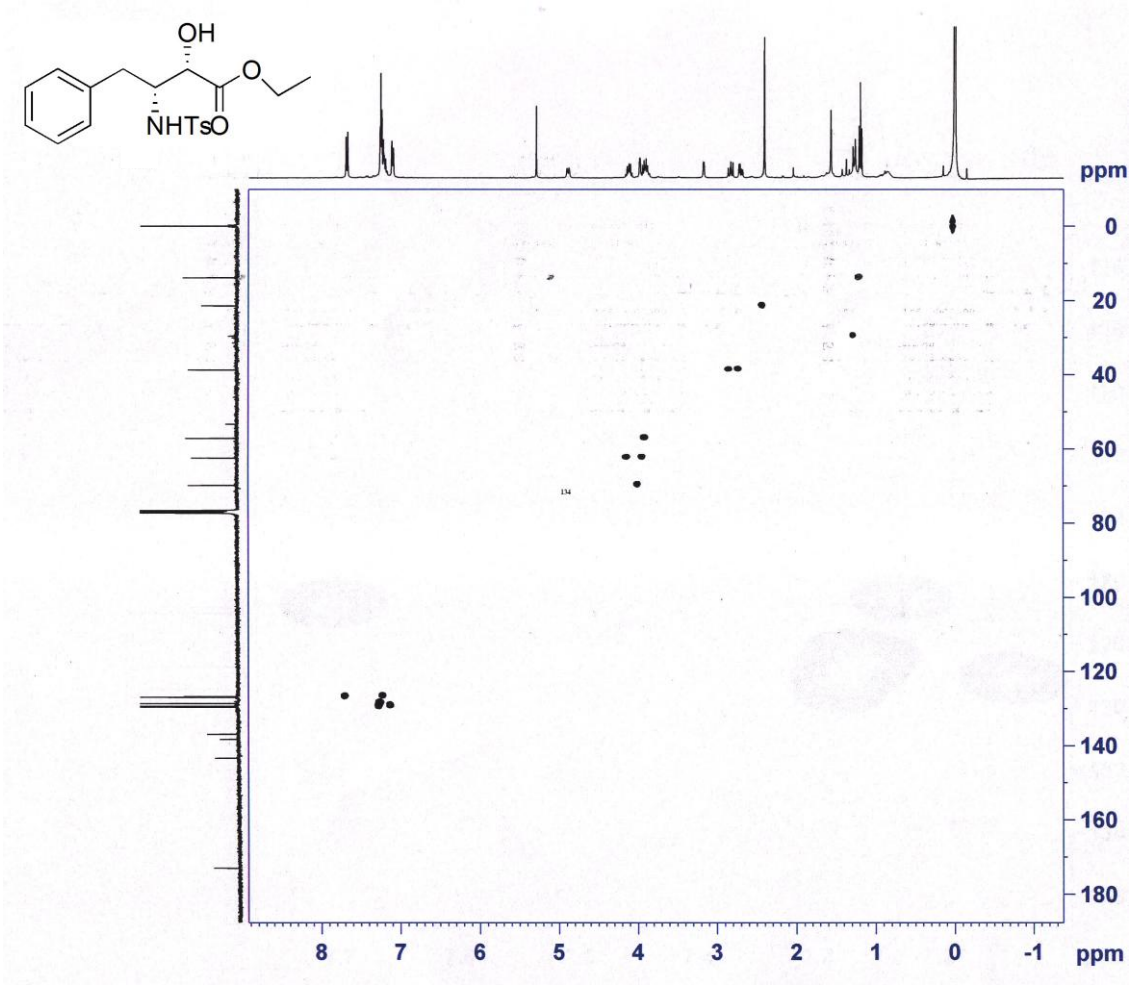


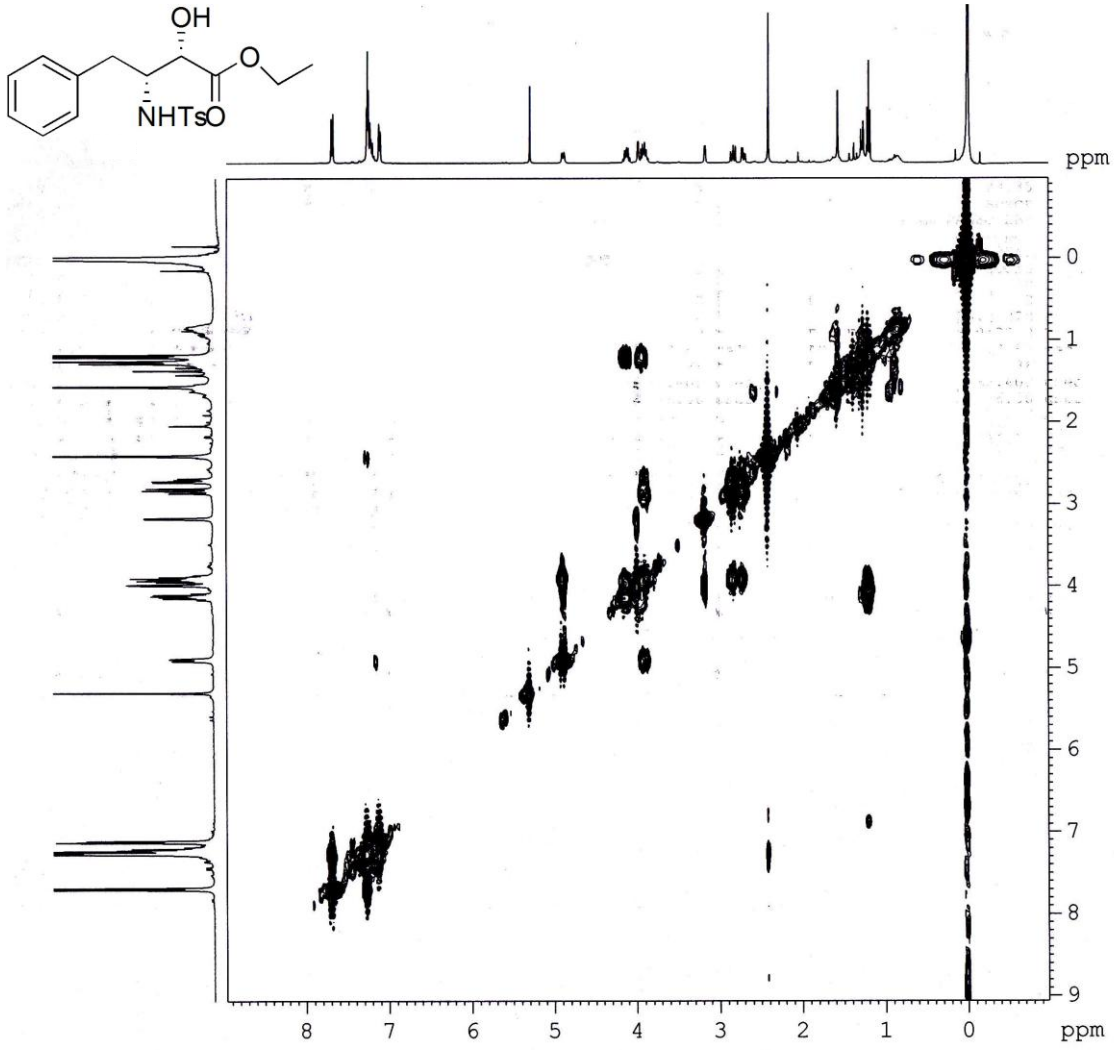
HMBC spectra of compound **8**:



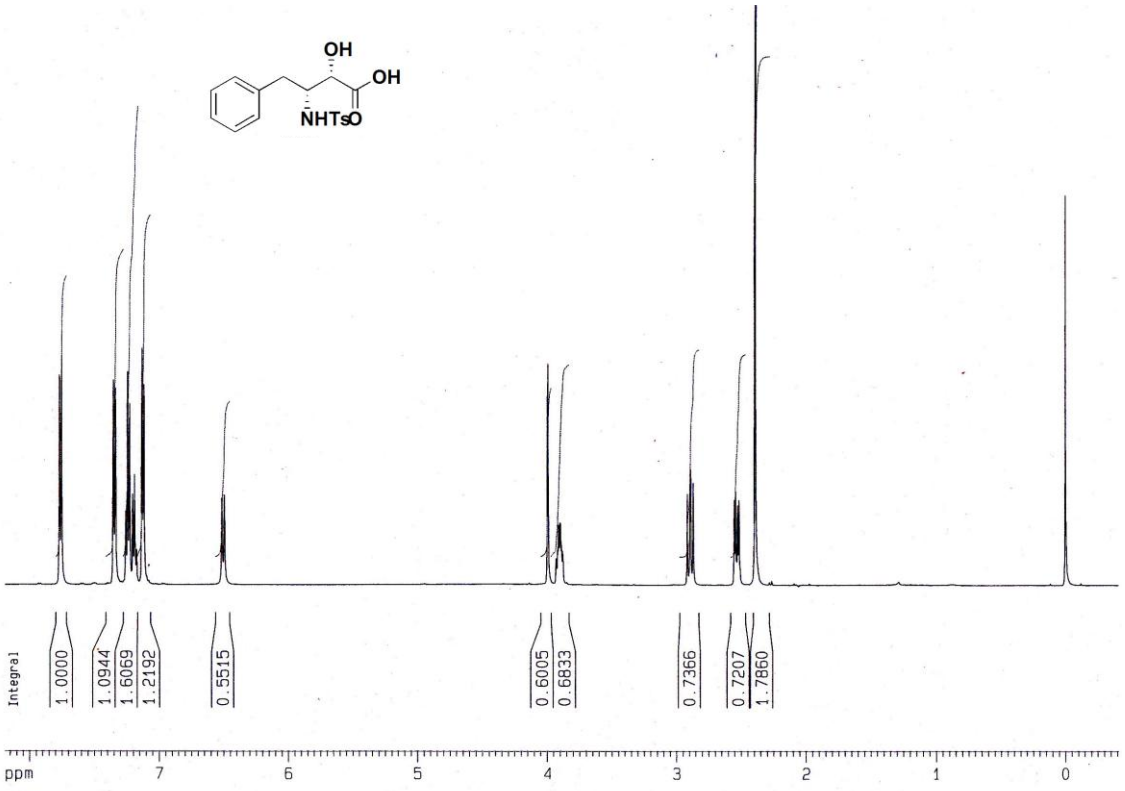
HSQC spectra of compound **8**:

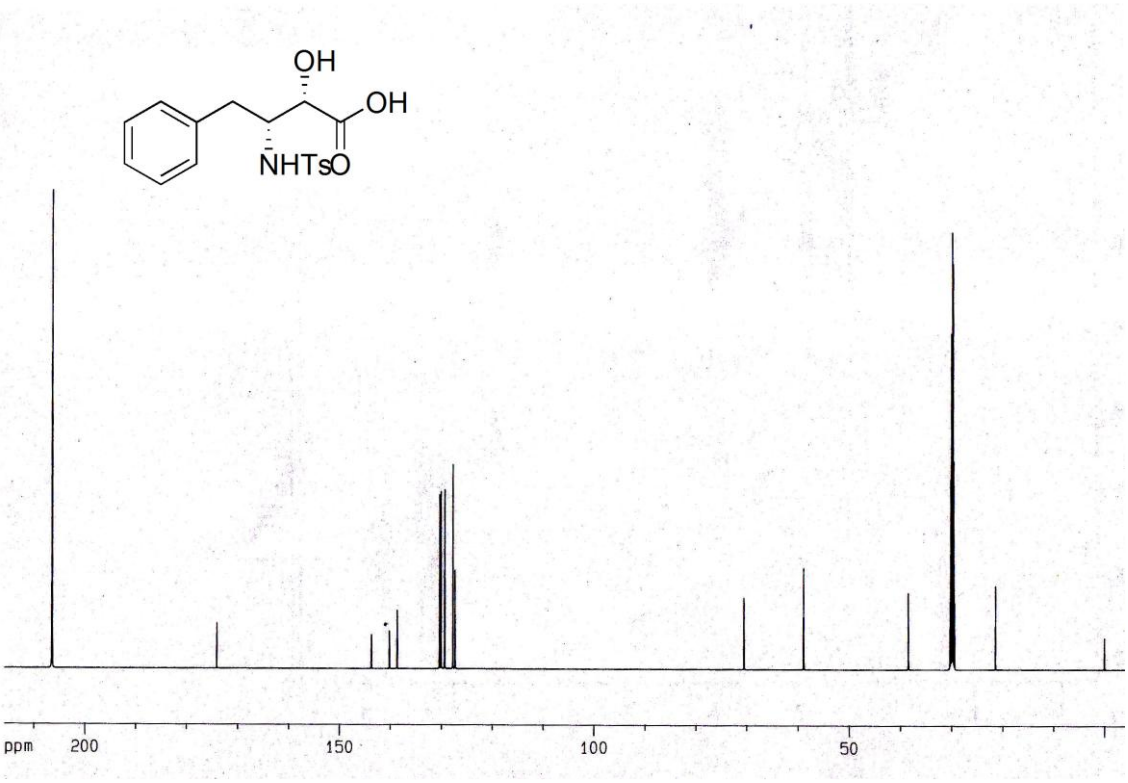


COSY spectra of compound **8**:

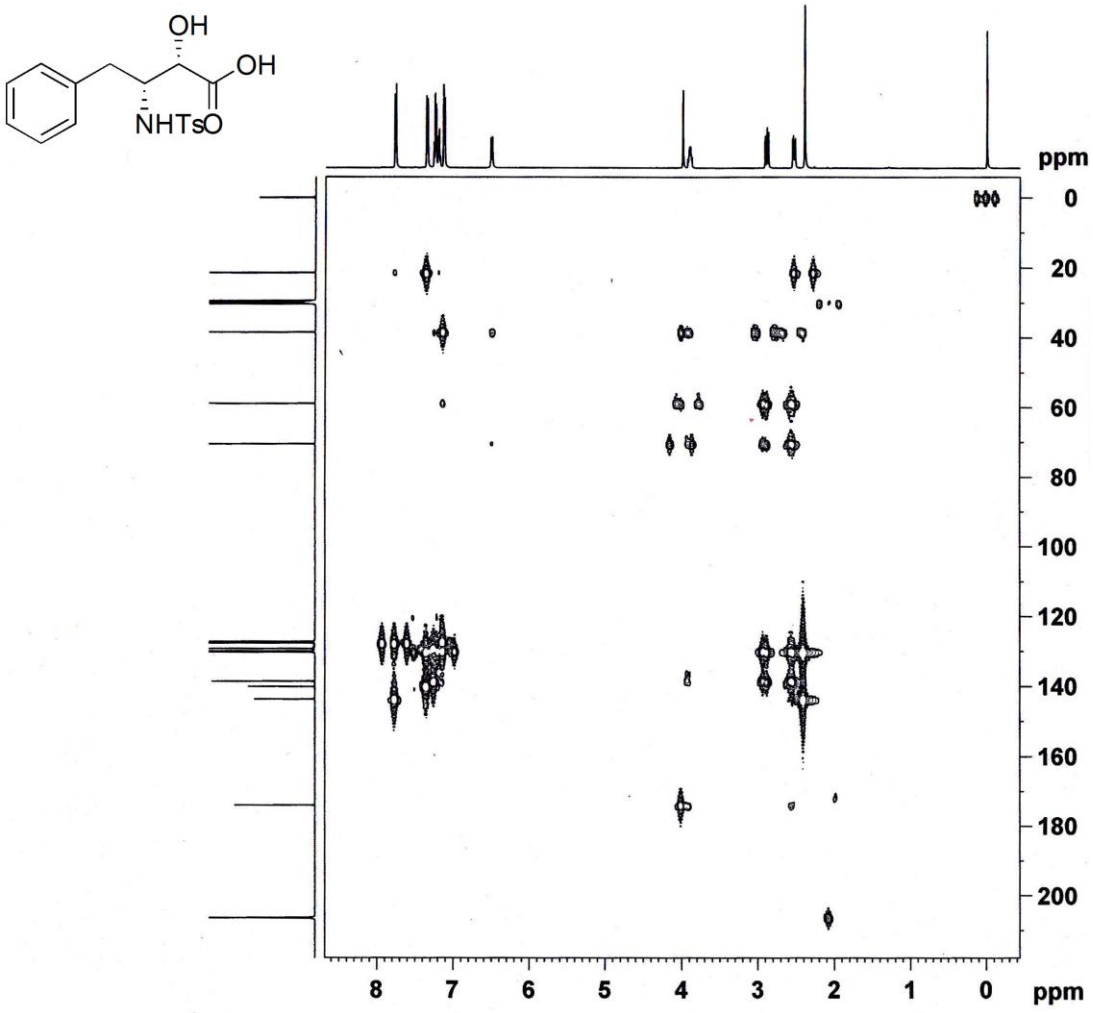


$^{1}H$ and $^{13}C$ NMR spectra of compound **9**:

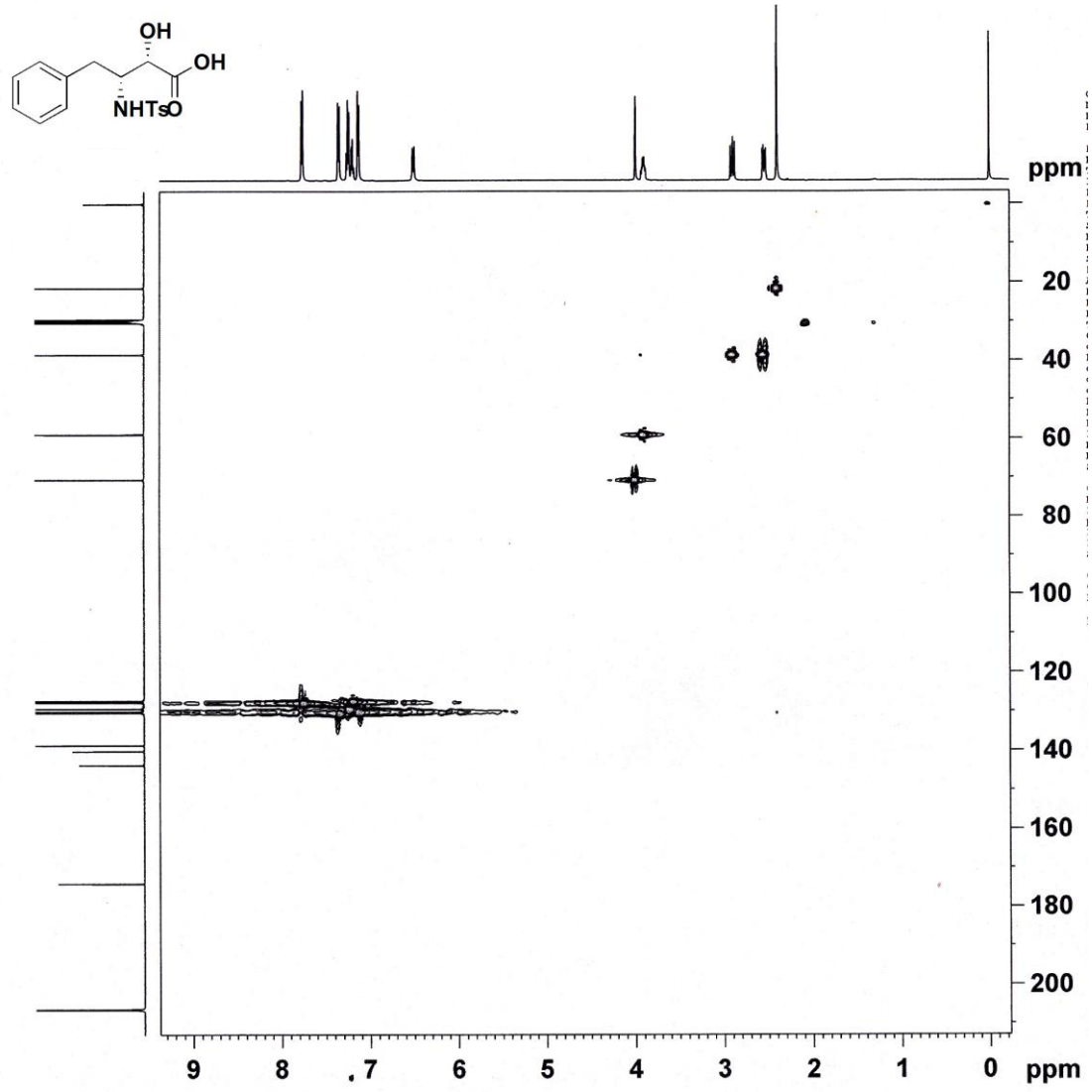


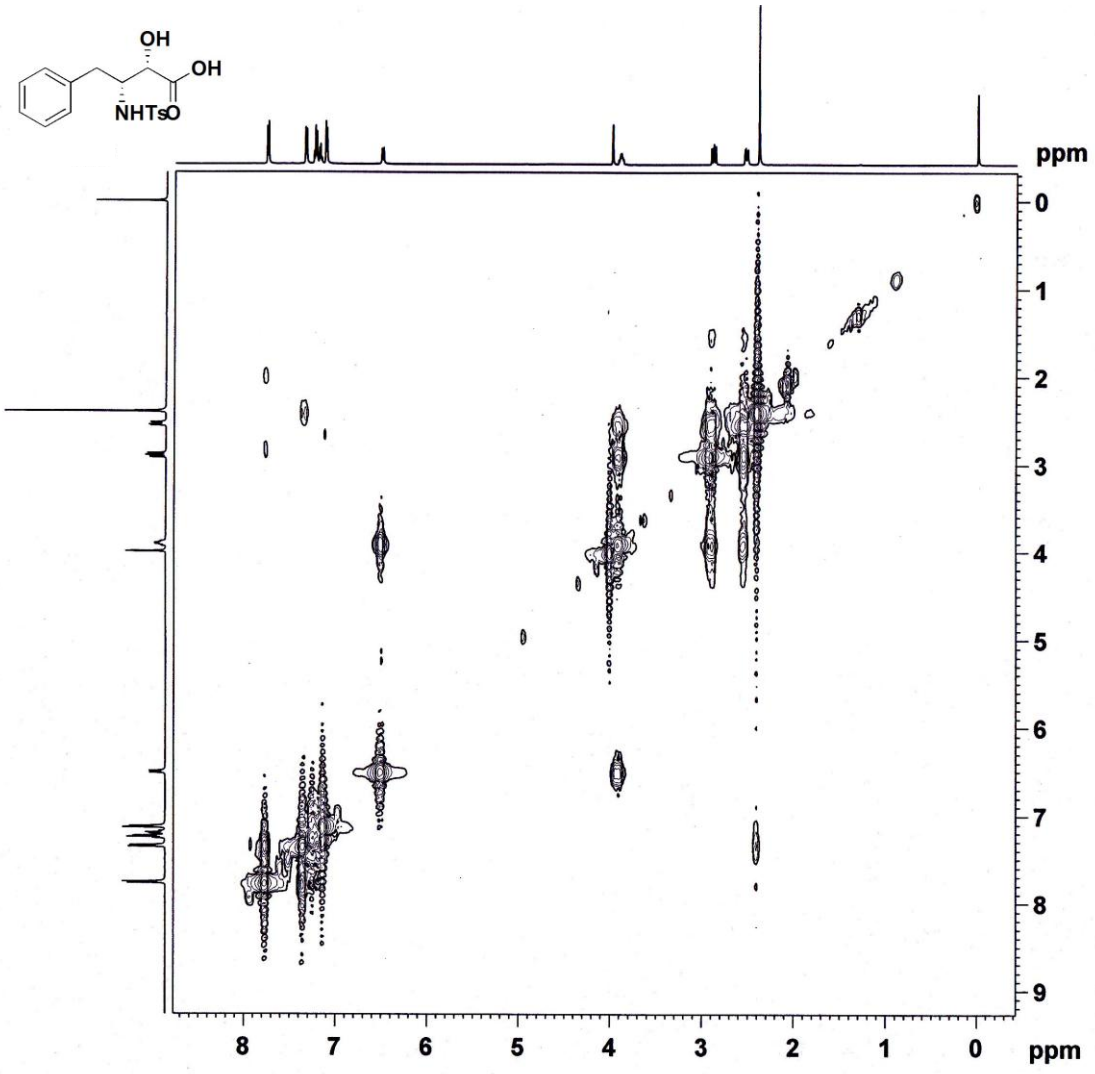
HMBC spectra of compound **9**:



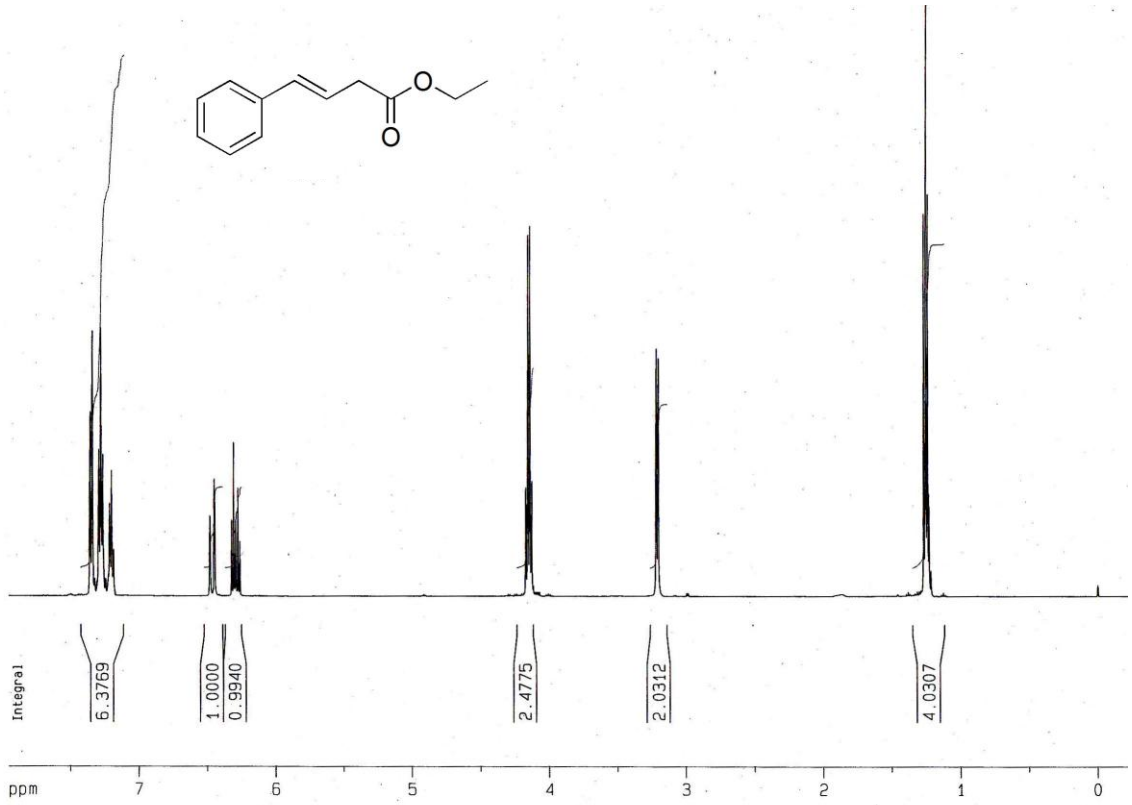
HSQC spectra of compound **9**:

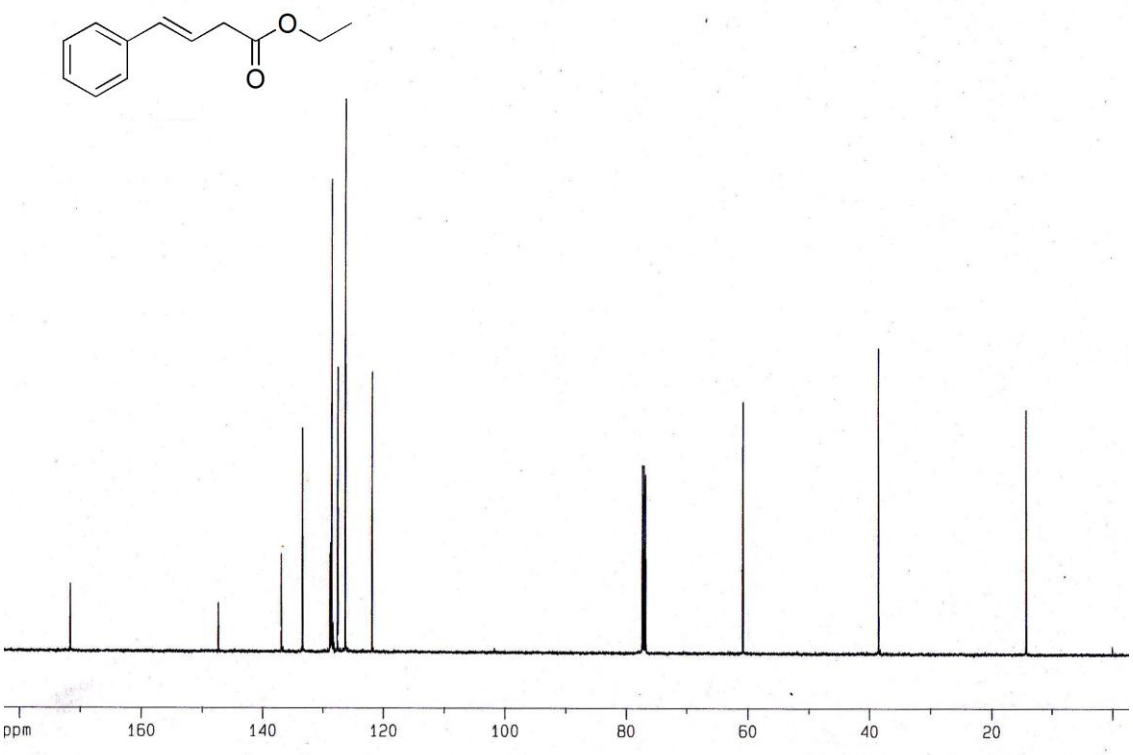


COSY spectra of compound **9**:

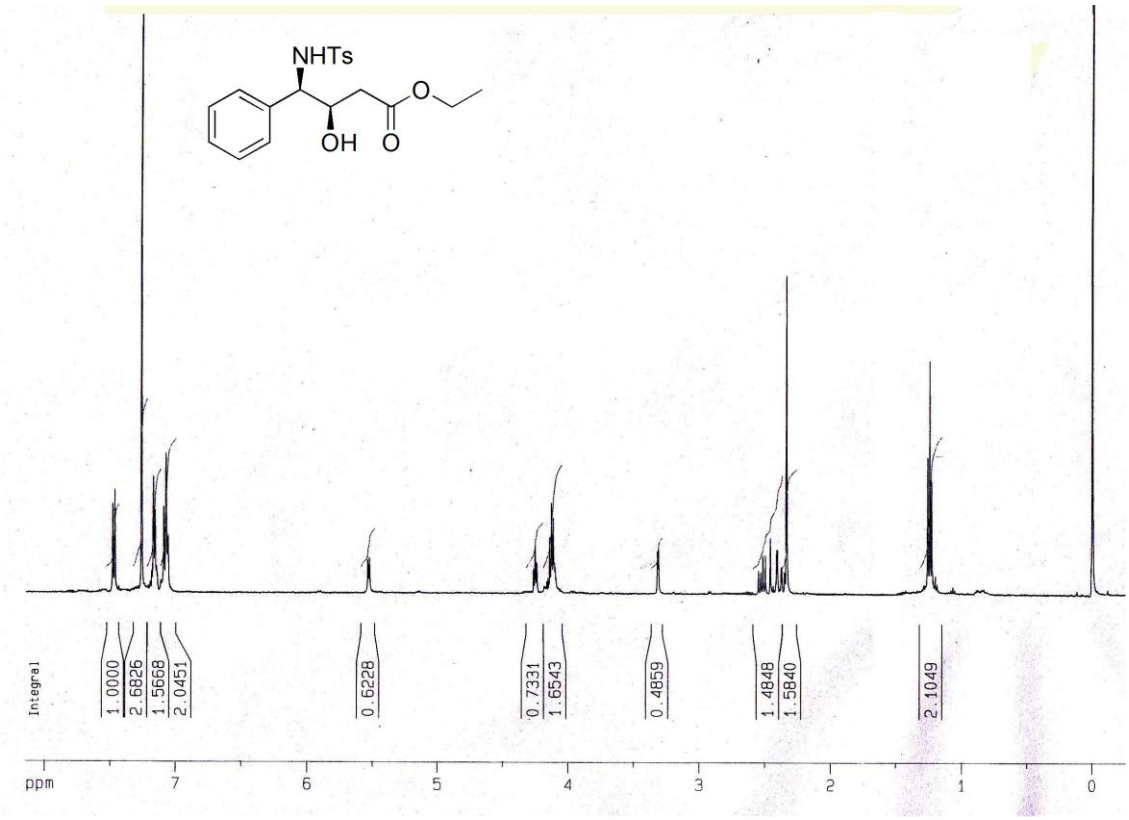


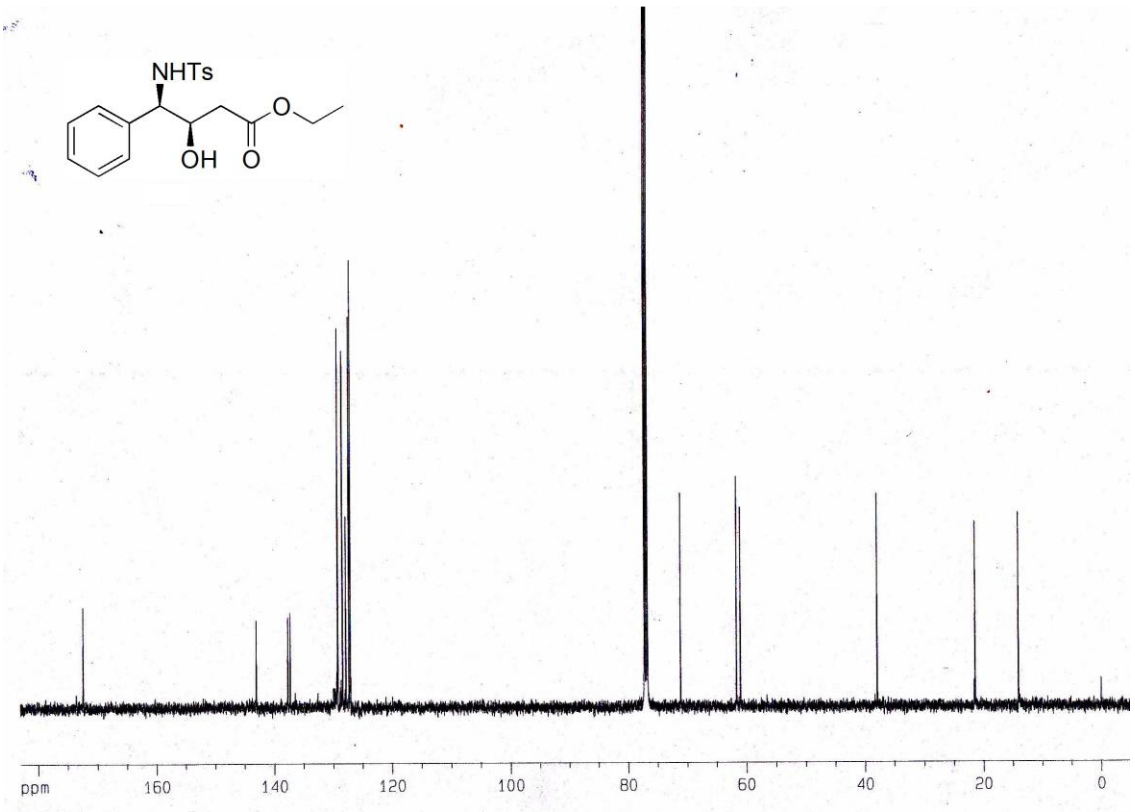
$^{1}H$ and $^{13}C$ NMR spectra of compound **7**:



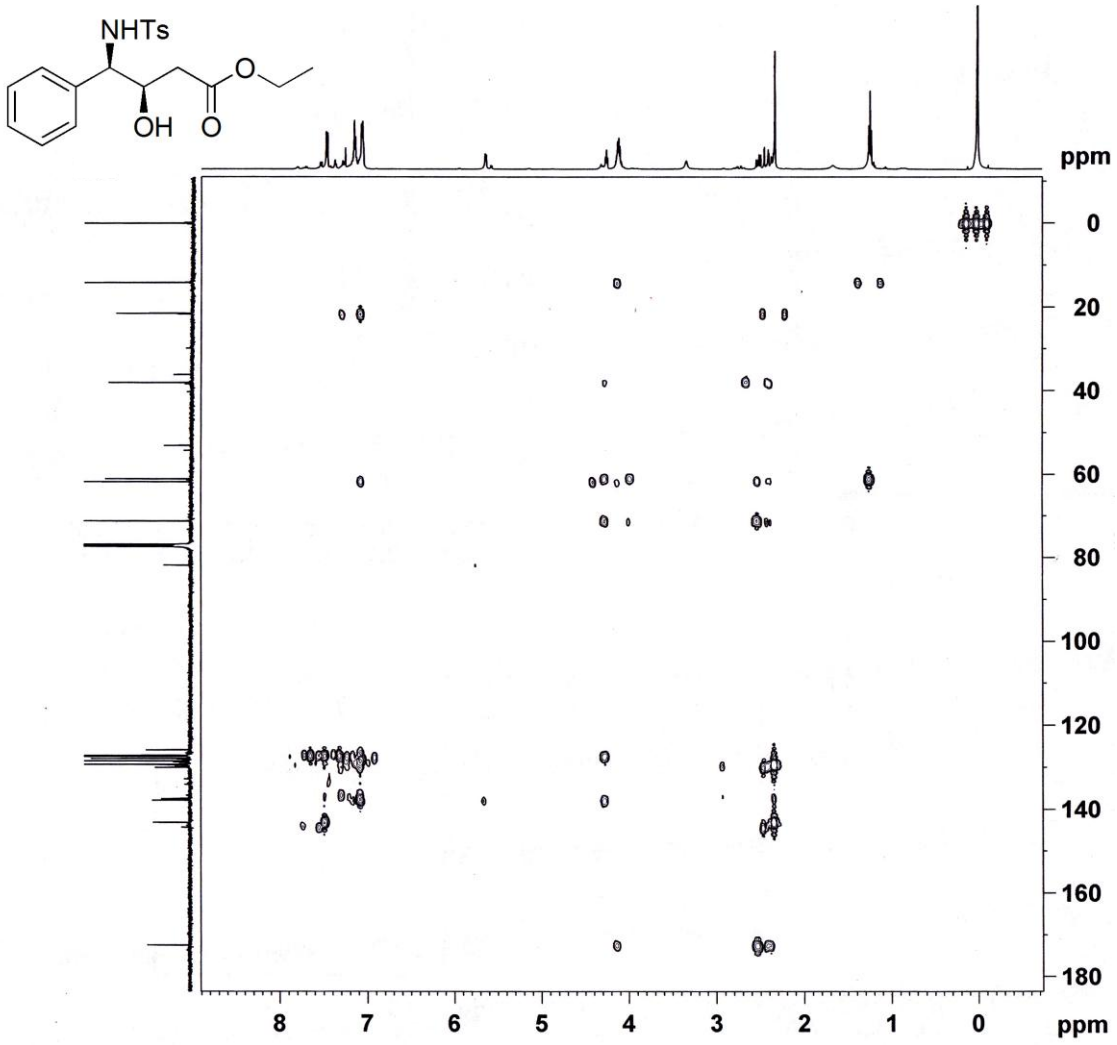



$^{1}H$ and $^{13}C$ NMR spectra of compound **12**:

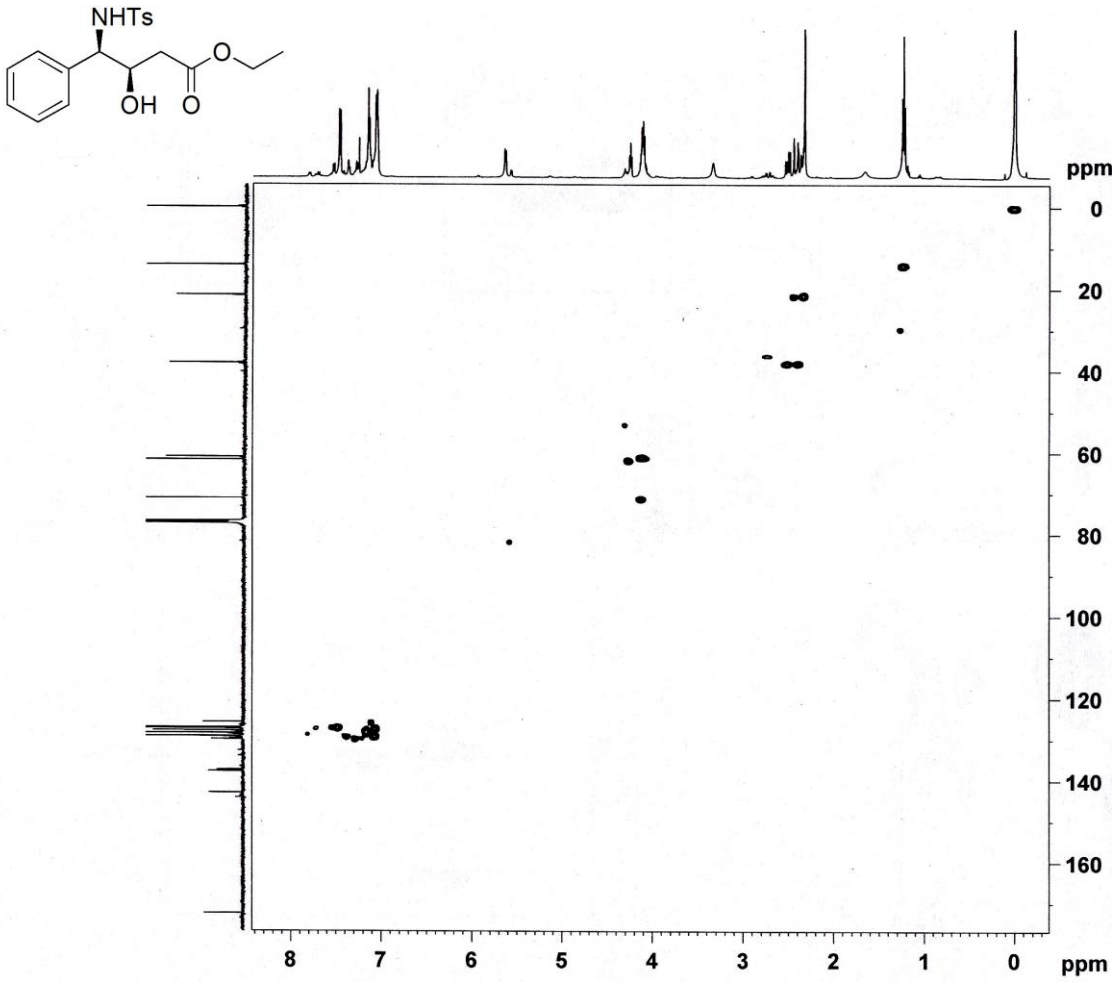


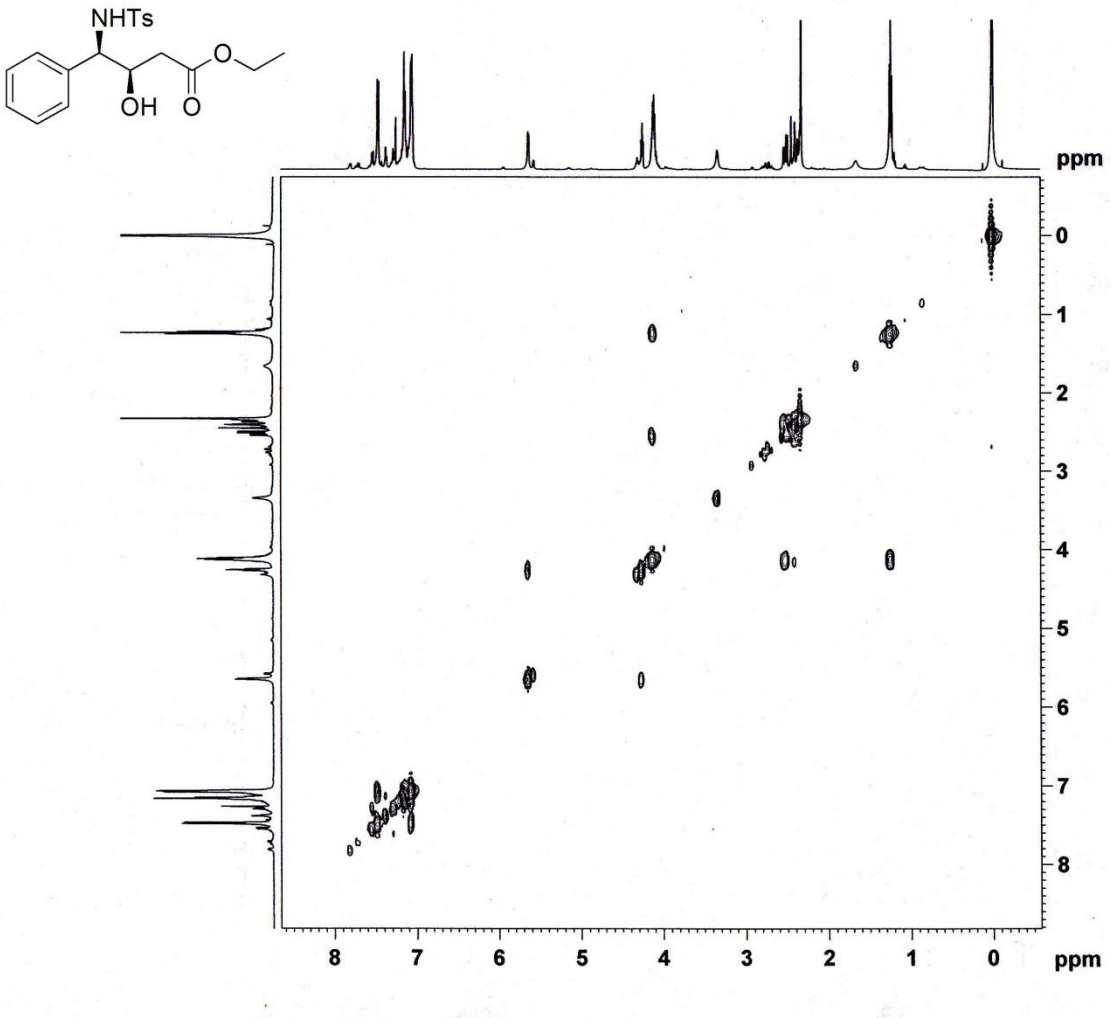
HMBC spectra of compound **12**:



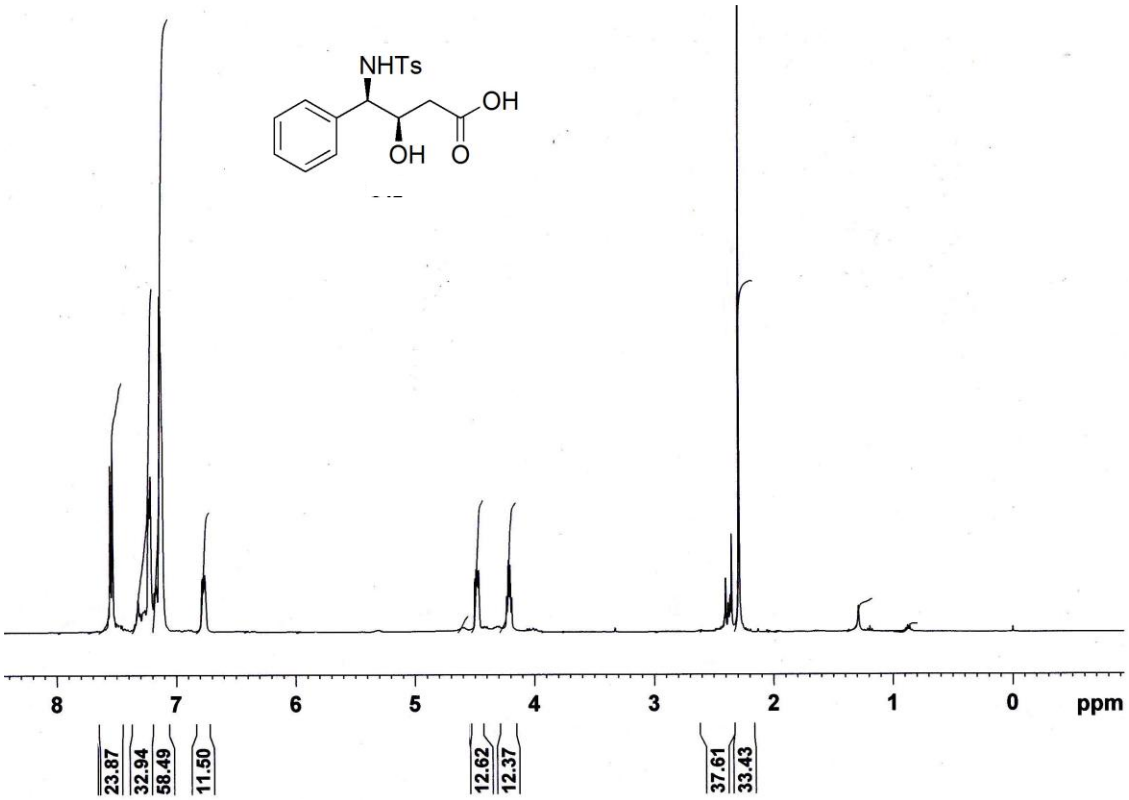
HSQC spectra of compound **12**:

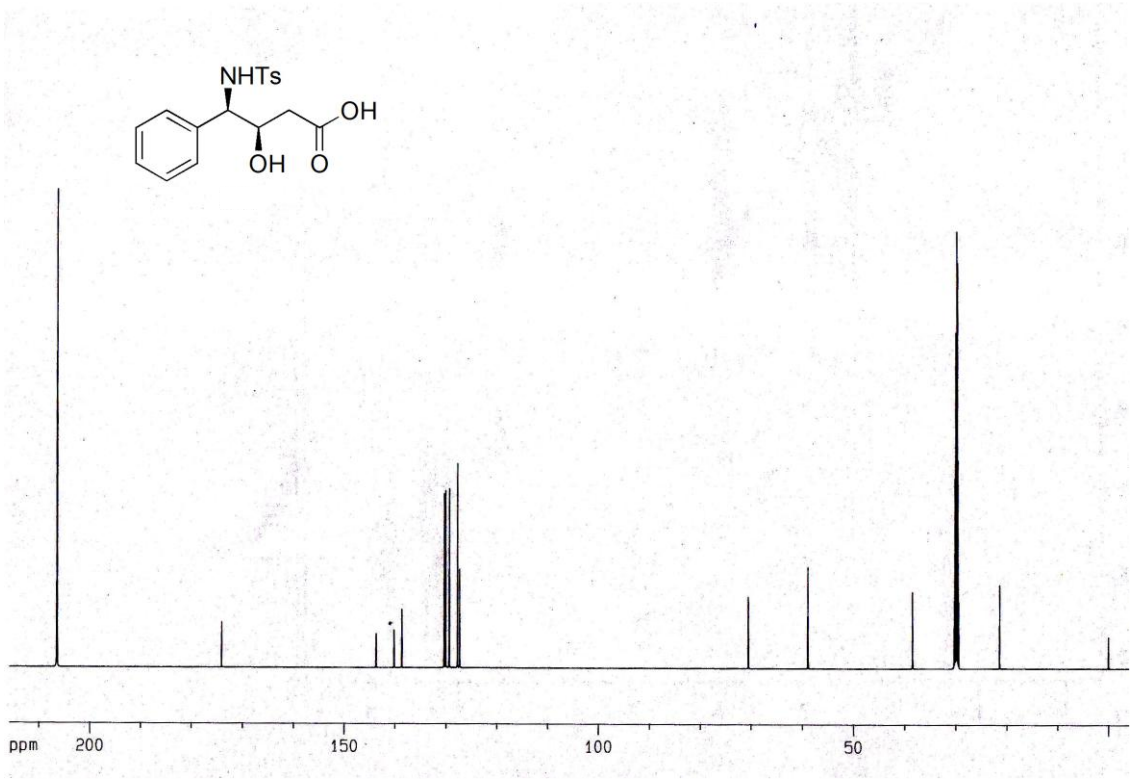


COSY spectra of compound **12**:

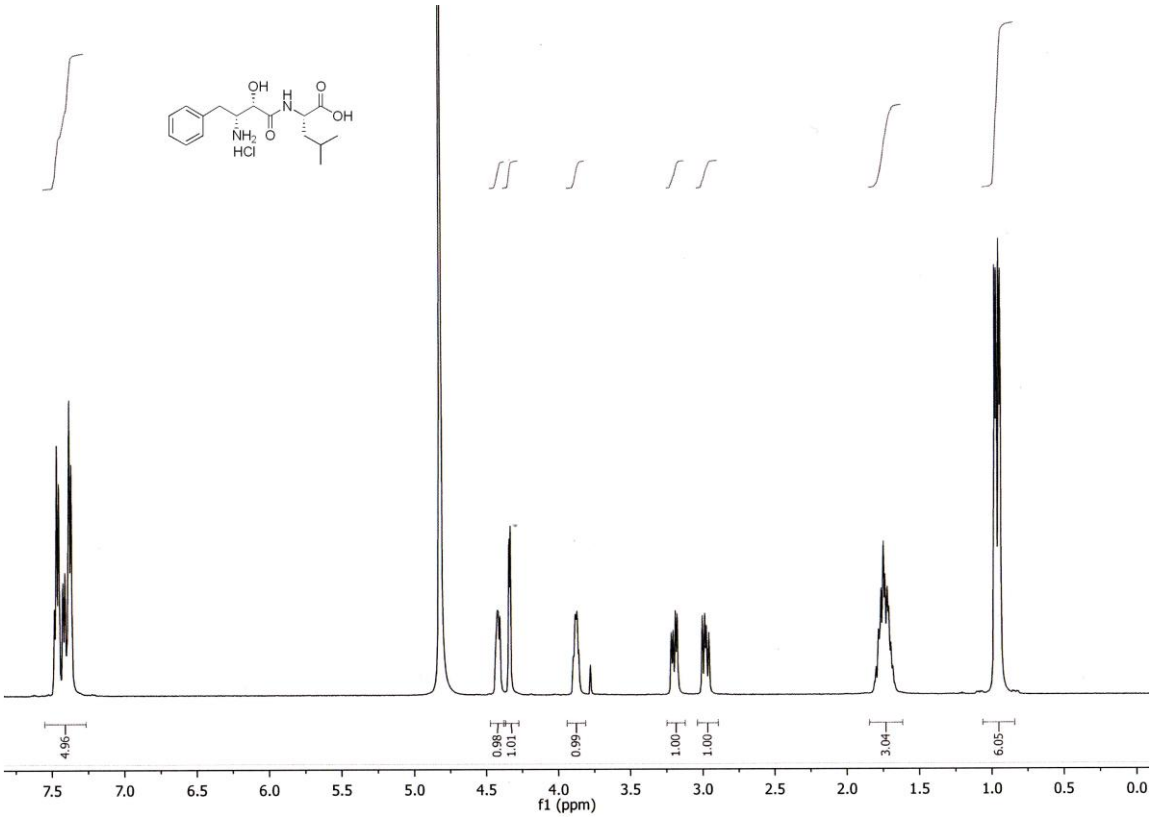


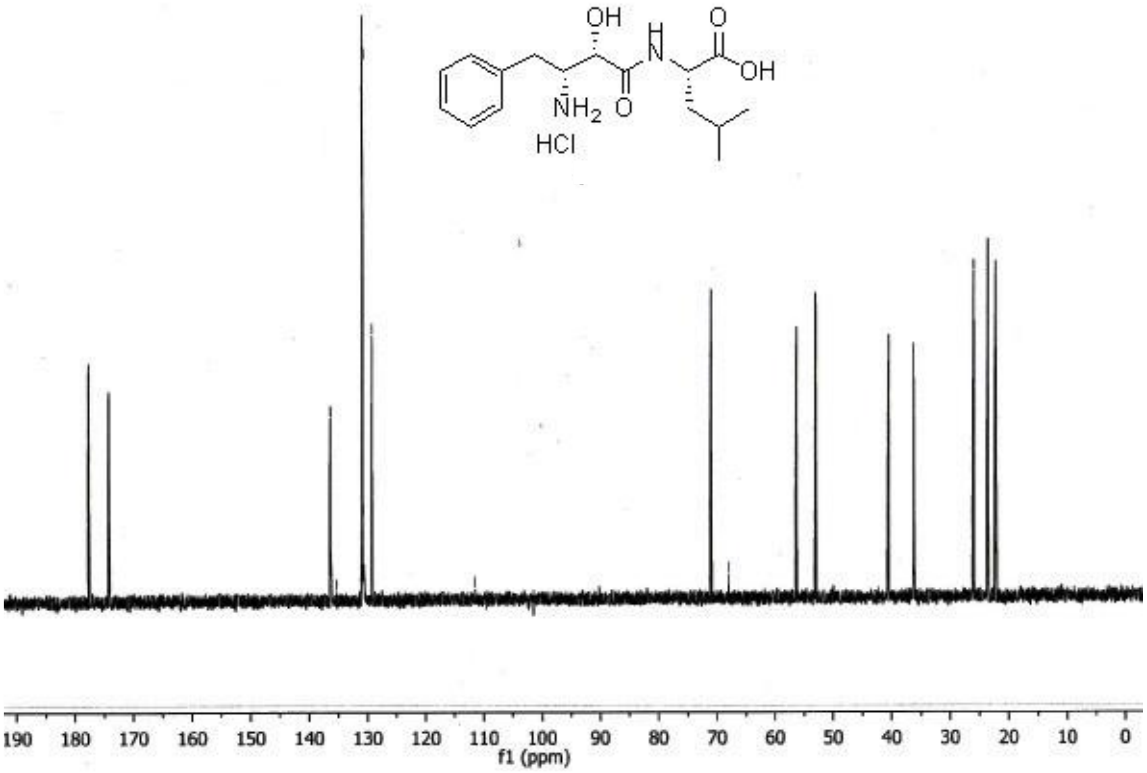
$^{1}H$ and $^{13}C$ NMR spectra of compound **13**:



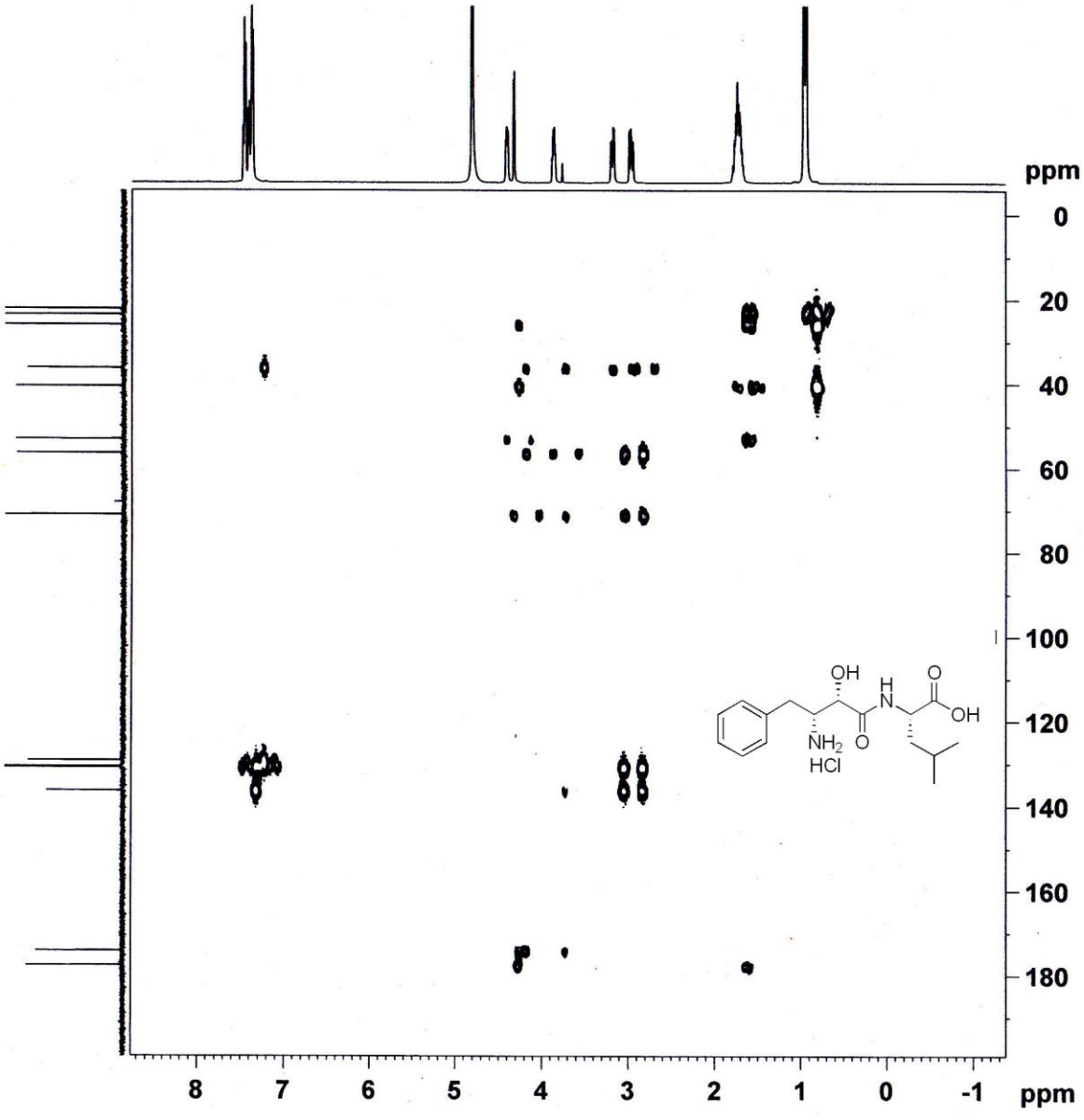



$^{1}H$ and $^{13}C$ NMR spectra of compound **1**:

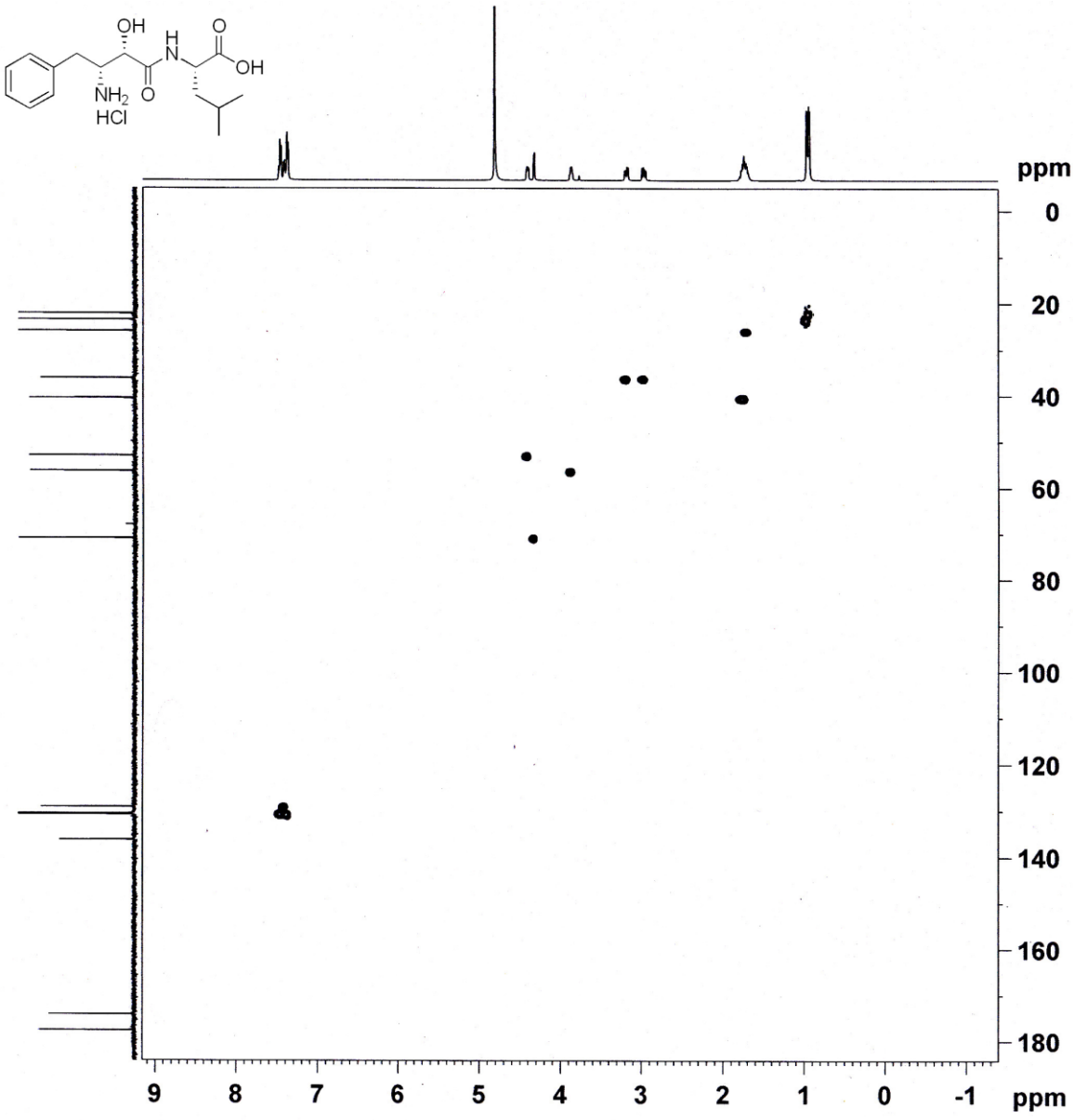


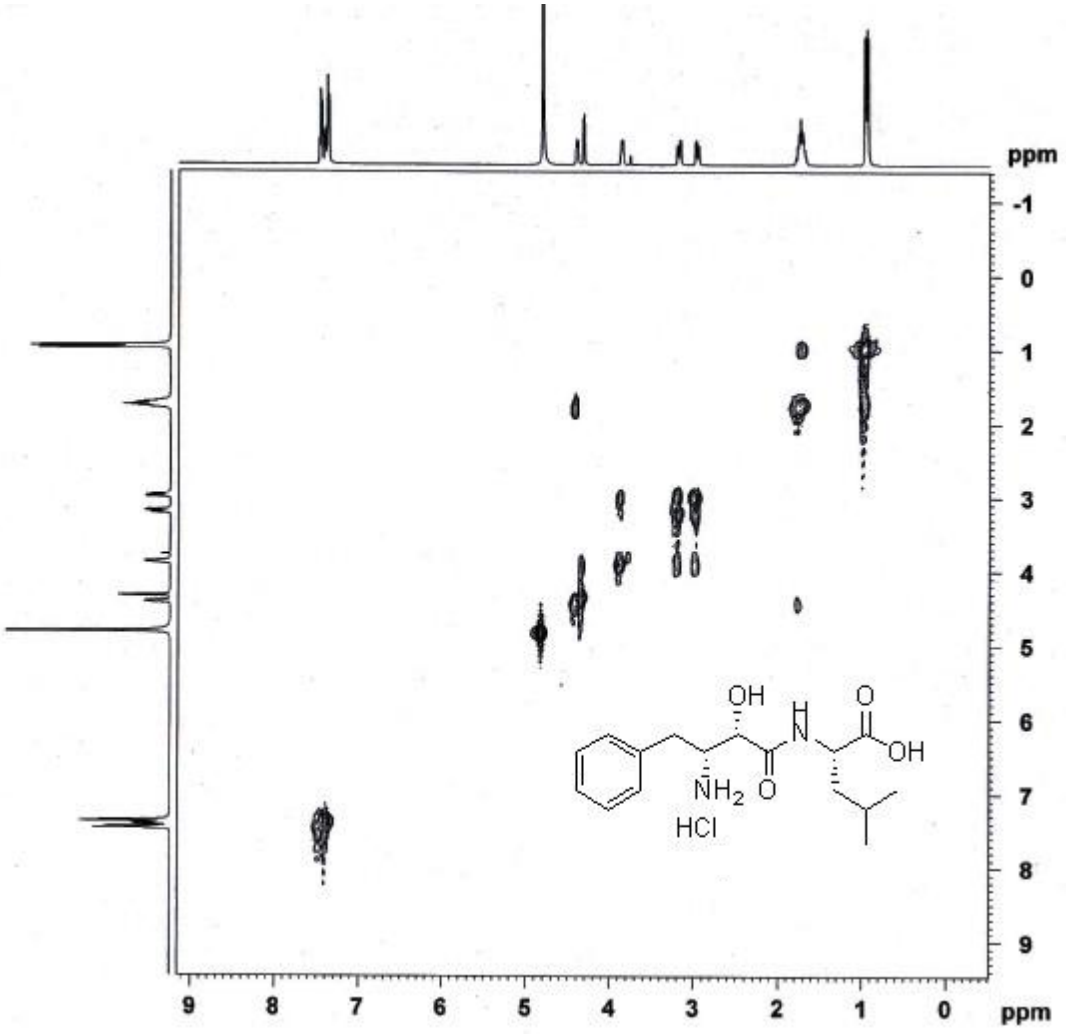
HMBC spectra of compound **1**:



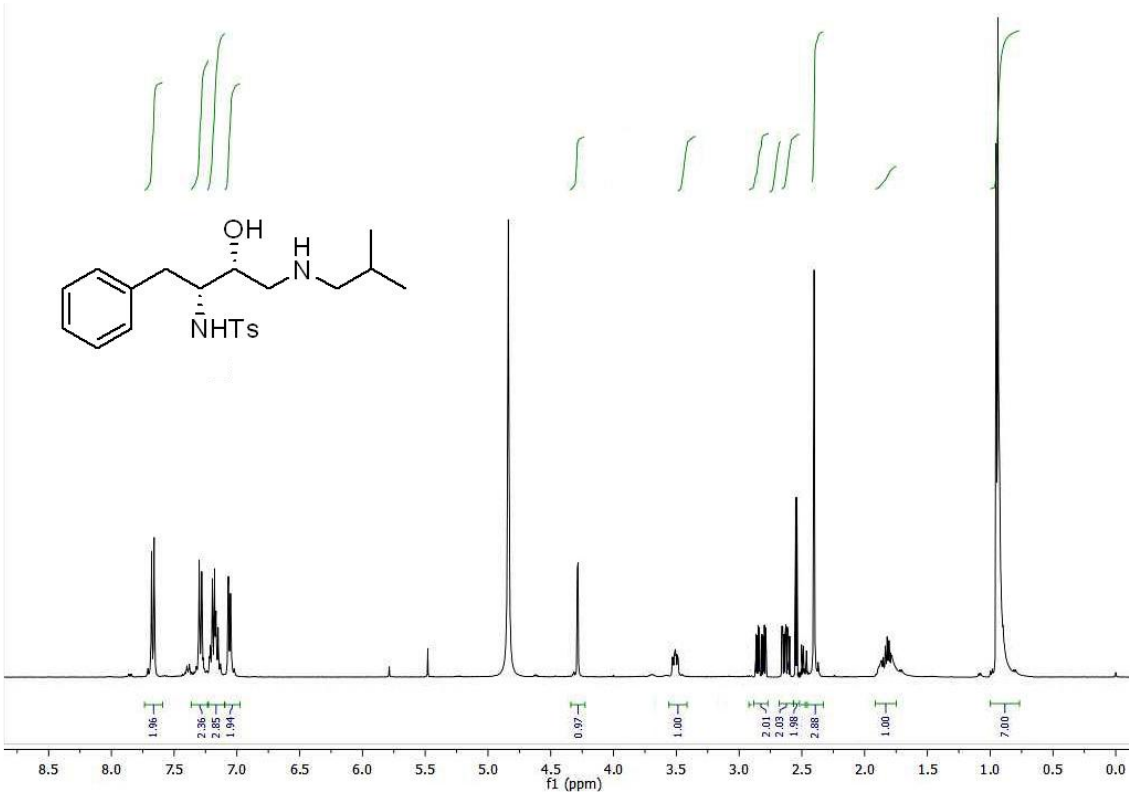
HSQC spectra of compound **1**:

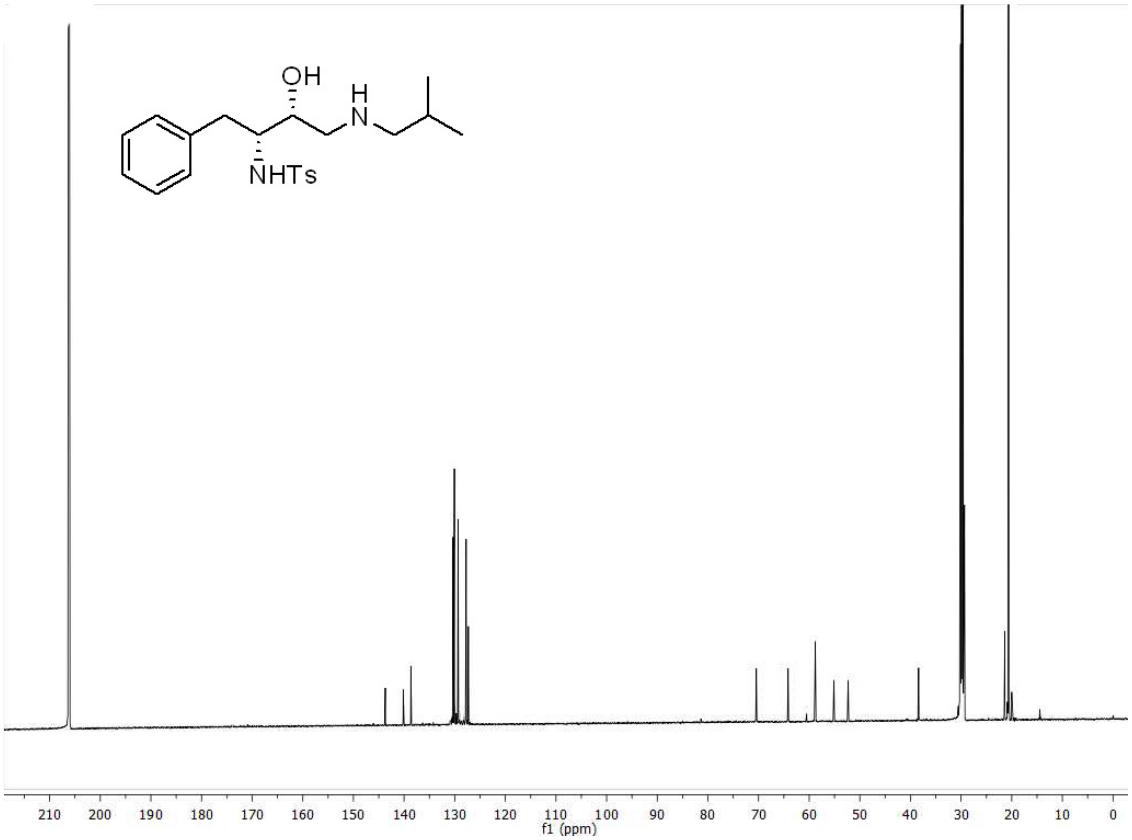


COSY spectra of compound **1**:

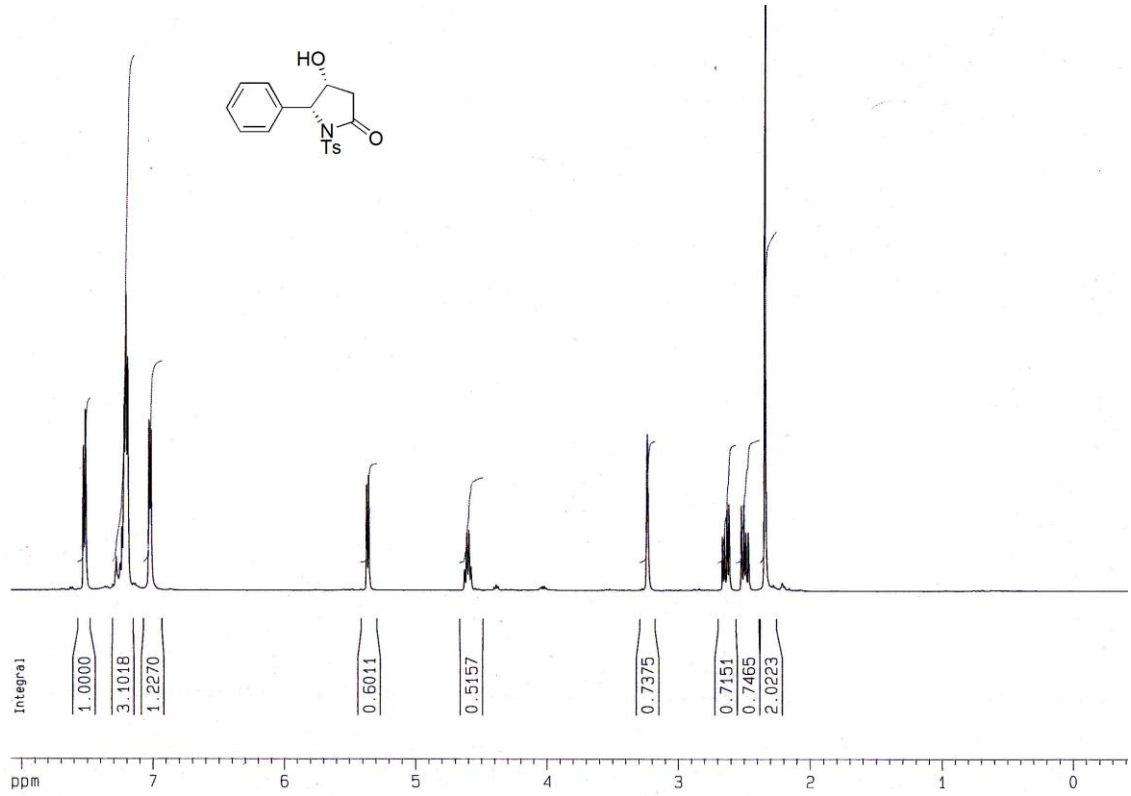


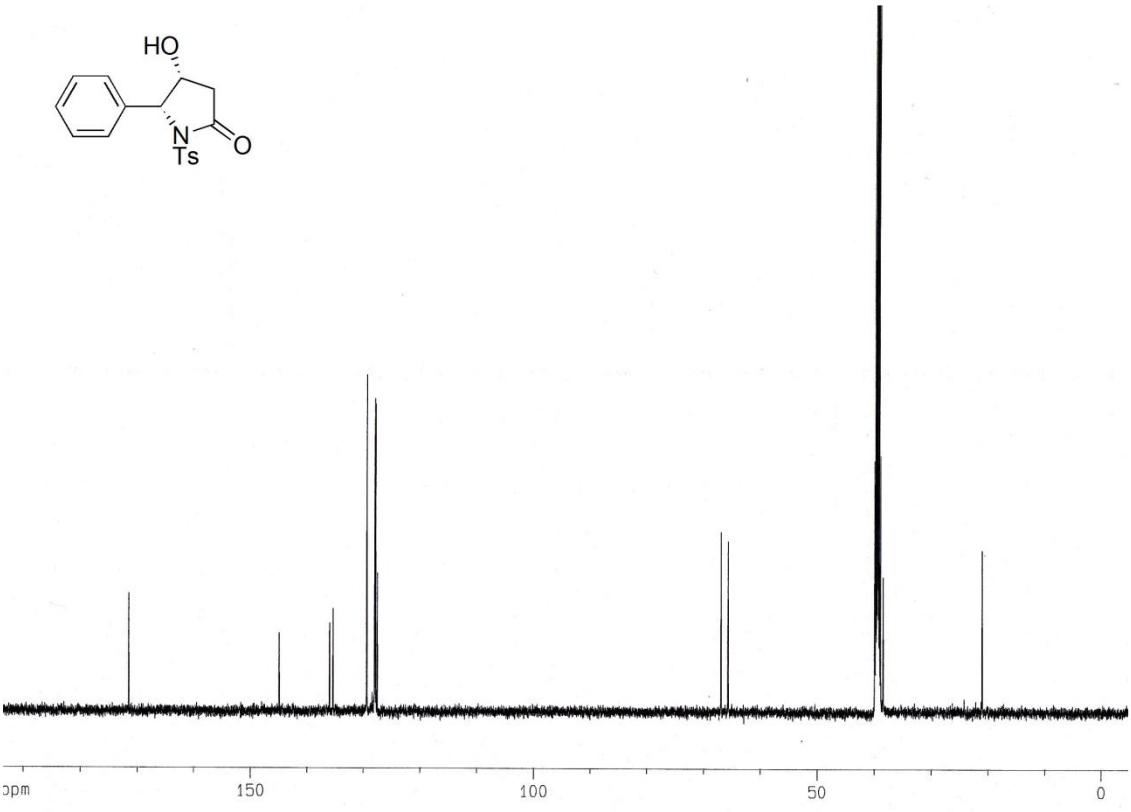
$^{1}H$ and $^{13}C$ NMR spectra of compound **2**:



$^{1}H$ and $^{13}C$ NMR spectra of compound **3**:



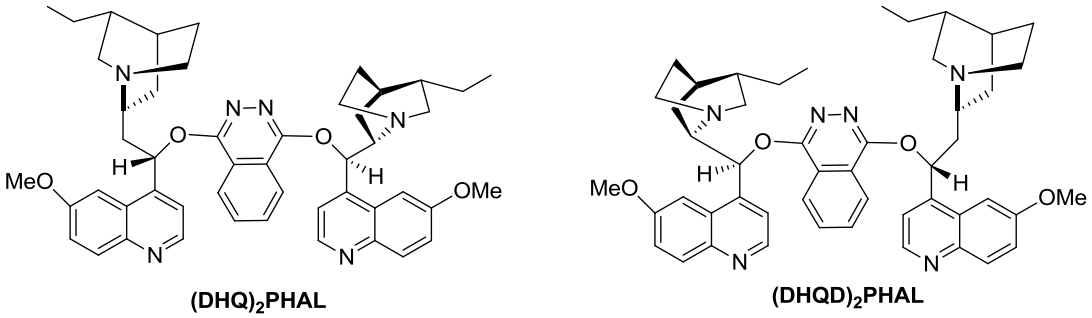



**Figure 1:** Structure of used organocatalysts