

Synthesis and biological activity of thiazole–carbohydrate conjugates based on thiocarpine, an analogue of the cytotoxic alkaloid from the ascidian *Polycarpa aurata*

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General Information

All reagents and solvents were obtained from commercial suppliers and used without further purification. The starting bis(2-amino-4-phenyl-5-thiazolyl) disulfides **1a–e** were obtained according to the known procedures (O. S. Radchenko, V. L. Novikov, R. H. Willis, P. T. Murphy and G. B. Elyakov, *Tetrahedron Lett.*, 1997, **38**, 3581; H. L. Siddiqui, M. Zia-Ur-Rehman, N. Ahmad, G. W. Weaver and P. D. Lucas, *Chem Pharm Bull.*, 2007, **55**, 1014.). Melting points were determined using a Boetius apparatus and are uncorrected. IR spectra in CHCl₃ were obtained using a Bruker Vector-22 FT-IR spectrophotometer. ¹H and ¹³C NMR spectra were recorded on Bruker Avance DPX-300, Bruker Avance DPX-500, and Bruker Avance III-700 spectrometers. CDCl₃ and DMSO-d₆ were used as the solvents with SiMe₄ (δ=0 ppm) or the signal of the residual solvent (CHCl₃: δ_H=7.26, δ_C=77.16, DMSO: δ_H=2.50, δ_C=39.52 ppm) as the internal reference. HRESIMS spectra were measured on an Agilent 6510 Q-TOF LC mass spectrometer. The course of reactions was monitored by TLC.

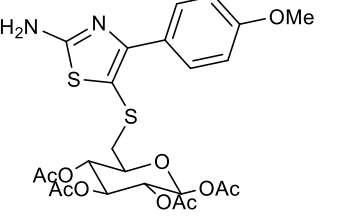
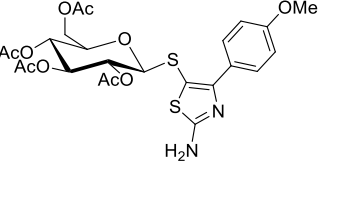
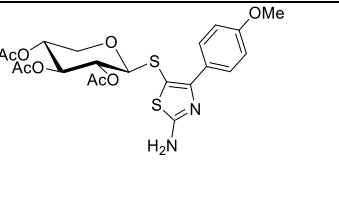
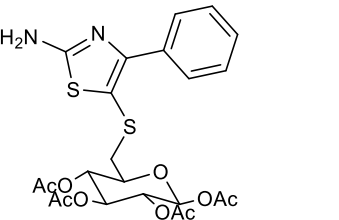
General procedure for the synthesis of acetylated conjugates **4**

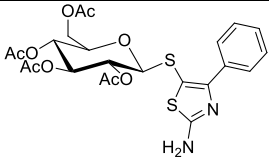
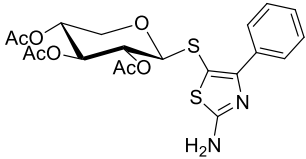
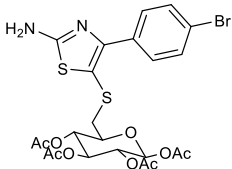
Sodium dithionite (85%, 8.2 g, 40 mmol, 20 eq) was added to a solution of the corresponding disulfide **1a–e** (2 mmol) in acetone–water mixture (400 ml, 1:1 v/v), and the reaction mixture was stirred for 1 hour. Then a solution of the corresponding halogen derivatives of carbohydrate **3a–c** (6 mmol) was added in acetone (10 ml), and the reaction mixture was stirred for 12 hours. Acetone was removed under reduced pressure, the product was extracted with ethyl acetate. The extract was concentrated under reduced pressure, the product was purified by column chromatography (eluting with hexane–acetone mixture, 5:1 v/v) to give corresponding conjugate **4**.

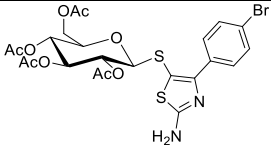
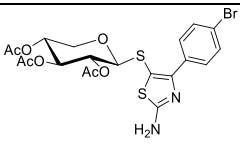
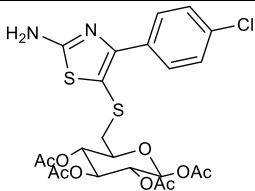
General procedure for the synthesis of deacetylated conjugates **5**

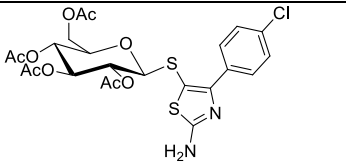
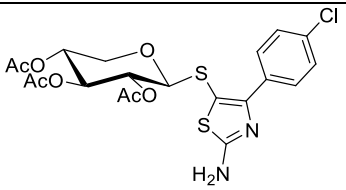
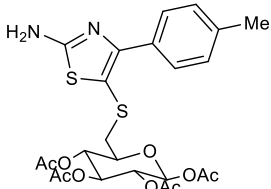
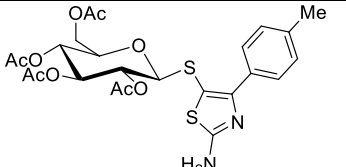
To a solution of the corresponding conjugate **4** (1 mmol) in methanol (50 ml), a solution of sodium methoxide (2 ml, 1 mmol, 0.5 M) was added. The reaction mixture was stirred for 30 minutes, the solvent was removed under reduced pressure, the residue was purified by column chromatography (eluting with chloroform–methanol mixture, 10:1 v/v) to give corresponding deacetylated conjugate **5**.

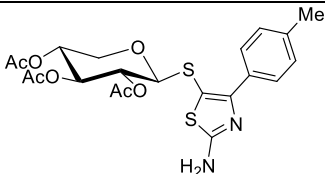
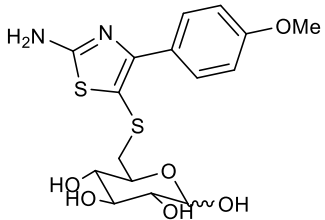
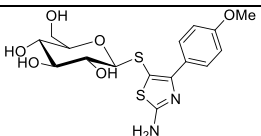
Table S1 Spectral data for thiazole–carbohydrate conjugates

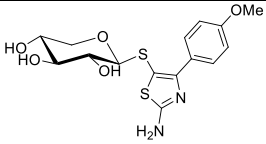
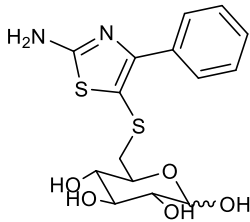
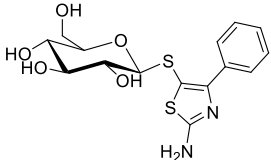
4aa		1,2,3,4-Tetra-O-acetyl-6-(2-amino-4-(4-methoxyphenyl)thiazol-5-yl)thio-β-D-glucopyranose White solid; yield 1615 mg (71 %); mp 93 – 95 °C. IR (CHCl₃): 3396, 2840, 1760, 1602, 1510, 1370, 1249 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.89 (d, <i>J</i> = 8.8 Hz, 2 H), 6.95 (d, <i>J</i> = 8.8 Hz, 2 H), 5.63 (d, <i>J</i> = 8.1 Hz, 1 H), 5.15 (br. s, 2 H, NH₂), 5.14 (t, <i>J</i> = 9.4 Hz, 1 H), 5.03 (dd, <i>J</i> = 9.4, 8.1 Hz, 1 H), 4.91 (t, <i>J</i> = 9.4 Hz, 1 H), 3.85 (s, 3 H, OMe), 3.67 (ddd, <i>J</i> = 9.4, 7.8, 3.0 Hz, 1 H), 2.82 (dd, <i>J</i> = 14.0, 3.0 Hz, 1 H), 2.69 (dd, <i>J</i> = 14.0, 7.8 Hz, 1 H), 2.12 (s, 3 H, OAc), 2.01 (s, 3 H, OAc), 1.99 (s, 3 H, OAc), 1.94 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.2, 169.7, 169.4, 168.9, 167.5, 159.7, 154.8, 130.3 (2 C), 126.8, 113.7 (2 C), 91.7, 73.2, 72.8, 71.0, 70.6, 55.4, 39.3, 21.0, 20.7 (3 C). HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₂₄H₂₇N₂O₁₀S₂: 567.1113; found: 567.1120.
4ab		(2-Amino-4-(4-methoxyphenyl)thiazol-5-yl)thio-2,3,4,6-tetra-O-acetyl-β-D-glucopyranoside White solid; yield 1342 mg (59 %); mp 91 – 94 °C. IR (CHCl₃): 3396, 2839, 1755, 1602, 1510, 1368, 1248 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.77 (d, <i>J</i> = 8.9 Hz, 2 H), 6.89 (d, <i>J</i> = 8.9 Hz, 2 H), 5.26 (br. s, 2H, NH₂), 5.16 (t, <i>J</i> = 9.5 Hz, 1 H), 5.05 (t, <i>J</i> = 9.5 Hz, 1 H), 4.92 (dd, <i>J</i> = 10.0, 9.5 Hz, 1 H), 4.49 (d, <i>J</i> = 10.0 Hz, 1 H), 4.21 (dd, <i>J</i> = 12.3, 4.9 Hz, 1 H), 4.11 (dd, <i>J</i> = 12.3, 2.4 Hz, 1 H), 3.82 (s, 3 H, OMe), 3.67 (ddd, <i>J</i> = 9.5, 4.9, 2.4 Hz, 1 H), 2.08 (s, 3 H, OAc), 2.01 (s, 3 H, OAc), 1.97 (s, 3 H, OAc), 1.80 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.6, 170.1, 169.3, 169.0, 168.6, 159.6, 156.3, 130.8 (2 C), 126.6, 113.2 (2 C), 104.4, 86.8, 75.9, 74.0, 69.5, 68.1, 62.2, 55.2, 20.7, 20.5 (2 C), 20.3. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₂₄H₂₇N₂O₁₀S₂: 567.1113; found: 567.1118.
4ac		(2-Amino-4-(4-methoxyphenyl)thiazol-5-yl)thio-2,3,4-tri-O-acetyl-β-D-xylopyranoside White crystals; yield 954 mg (48 %); mp 101 – 104 °C. IR (CHCl₃): 3396, 2963, 1752, 1602, 1510, 1370, 1247 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.73 (d, <i>J</i> = 8.7 Hz, 2 H), 6.90 (d, <i>J</i> = 8.7 Hz, 2 H), 5.52 (br. s, 2 H, NH₂), 5.12 (t, <i>J</i> = 8.5 Hz, 1 H), 4.92 (ddd, <i>J</i> = 9.1, 8.5, 5.0 Hz, 1 H), 4.86 (t, <i>J</i> = 8.5 Hz, 1 H), 4.54 (d, <i>J</i> = 8.5 Hz, 1 H), 4.20 (dd, <i>J</i> = 11.7, 5.0 Hz, 1 H), 3.83 (s, 3 H, OMe), 3.33 (dd, <i>J</i> = 11.7, 9.1 Hz, 1 H), 2.03 (s, 3 H, OAc), 2.01 (s, 3 H, OAc), 1.79 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.1, 169.9, 169.3, 168.9, 159.7, 155.9, 130.9 (2 C), 126.5, 113.4 (2 C), 104.9, 87.3, 72.5, 69.4, 68.5, 65.7, 55.4, 20.8 (2 C), 20.4. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₂₁H₂₃N₂O₈S₂: 495.0901; found: 495.0906.
4ba		1,2,3,4-Tetra-O-acetyl-6-(2-amino-4-phenylthiazol-5-yl)thio-β-D-glucopyranose White solid; yield: 1637 mg (76 %); mp 100–102 °C. IR (CHCl₃): 3498, 3396, 2972, 1760, 1603, 1513, 1472, 1370, 1329, 1248, 1077 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.90–7.83 (m, 2 H), 7.46–7.32 (m, 3 H), 5.62 (d, <i>J</i> = 8.2 Hz, 1 H), 5.61 (br. s, 2 H, NH₂), 5.13 (t, <i>J</i> = 9.4 Hz, 1 H), 5.02 (dd, <i>J</i> = 9.4, 8.2 Hz, 1 H), 4.90

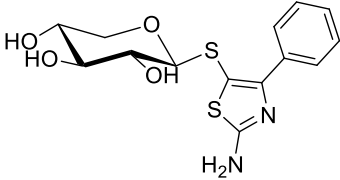
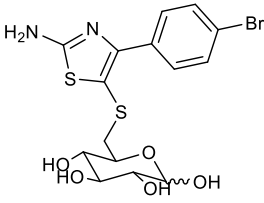
		(t, $J = 9.4$ Hz, 1 H), 3.66 (ddd, $J = 9.4, 7.6, 3.0$ Hz, 1 H), 2.81 (dd, $J = 14.0, 3.0$ Hz, 1 H), 2.69 (dd, $J = 14.0, 7.6$ Hz, 1 H), 2.11 (s, 3 H, OAc), 2.01 (s, 3 H, OAc), 1.98 (s, 3 H, OAc), 1.92 (s, 3 H, OAc). ^{13}C NMR (CDCl_3, 75 MHz): $\delta = 170.2, 169.6, 169.4, 168.9, 168.2, 155.0, 134.1, 128.9$ (2 C), 128.4, 128.3 (2 C), 110.5, 91.7, 73.1, 72.7, 70.9, 70.5, 39.2, 20.9, 20.7 (2 C), 20.6. HRMS (ESI): m/z [M-H]⁻ calcd for $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}_9\text{S}_2$: 537.1007; found: 537.1011.
4bb		(2-Amino-4-phenylthiazol-5-yl)thio-2,3,4,6-tetra-O-acetyl-β-D-glucopyranoside White solid; yield: 1379 mg (64 %); mp 87–90 °C. IR (CHCl_3): 3498, 3397, 2980, 1749, 1602, 1510, 1473, 1372, 1330, 1247, 1158, 1060 cm^{-1}. ^1H NMR (CDCl_3, 300 MHz): $\delta = 7.80$-7.73 (m, 2 H), 7.41-7.29 (m, 3 H), 5.58 (s, 2 H, NH_2), 5.16 (t, $J = 9.5$ Hz, 1 H), 5.06 (t, $J = 9.5$ Hz, 1 H), 4.90 (dd, $J = 10.0, 9.5$ Hz, 1 H), 4.48 (d, $J = 10.0$ Hz, 1 H), 4.22 (dd, $J = 12.3, 4.9$ Hz, 1 H), 4.12 (dd, $J = 12.3, 2.4$ Hz, 1 H), 3.67 (ddd, $J = 9.5, 4.9, 2.4$ Hz, 1 H), 2.09 (s, 3 H, OAc), 2.01 (s, 3 H, OAc), 1.97 (s, 3 H, OAc), 1.74 (s, 3 H, OAc). ^{13}C NMR (CDCl_3, 75 MHz): $\delta = 170.7, 170.3, 169.5, 169.3, 169.2, 156.7, 133.9, 129.6$ (2 C), 128.4, 127.9 (2 C), 105.7, 86.7, 76.0, 74.0, 69.6, 68.2, 62.3, 20.9, 20.7 (2 C), 20.3. HRMS (ESI): m/z [M-H]⁻ calcd for $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}_9\text{S}_2$: 537.1007; found: 537.1005.
4bc		(2-Amino-4-phenylthiazol-5-yl)thio-2,3,4-tri-O-acetyl-β-D-xylopyranoside White solid; yield: 1120 mg (60 %); mp 96–99 °C. IR (CHCl_3): 3499, 3397, 2977, 1750, 1603, 1510, 1473, 1374, 1333, 1247, 1158, 1060 cm^{-1}. ^1H NMR (CDCl_3, 300 MHz): $\delta = 7.77$-7.67 (m, 2 H), 7.43-7.30 (m, 3 H), 5.75 (s, 2 H, NH_2), 5.12 (t, $J = 8.5$ Hz, 1 H), 4.91 (ddd, $J = 9.1, 8.5, 5.0$ Hz, 1 H), 4.84 (t, $J = 8.5$ Hz, 1 H), 4.53 (d, $J = 8.5$ Hz, 1 H), 4.18 (dd, $J = 11.7, 5.0$ Hz, 1 H), 3.32 (dd, $J = 11.7, 9.1$ Hz, 1 H), 2.03 (s, 3 H, OAc), 2.00 (s, 3 H, OAc), 1.73 (s, 3 H, OAc). ^{13}C NMR (CDCl_3, 75 MHz): $\delta = 170.1, 169.9, 169.5, 169.3, 156.2, 133.7, 129.6$ (2 C), 128.4, 128.0 (2 C), 105.9, 87.1, 72.4, 69.3, 68.4, 65.6, 20.8 (2 C), 20.3. HRMS (ESI): m/z [M-H]⁻ calcd for $\text{C}_{20}\text{H}_{21}\text{N}_2\text{O}_7\text{S}_2$: 465.0796; found: 465.0795.
4ca		1,2,3,4-Tetra-O-acetyl-6-(2-amino-4-(4-bromophenyl)thiazol-5-yl)thio-β-D-glucopyranose White solid; yield: 1902 mg (77 %); mp 108–111 °C. IR (CHCl_3): 3498, 3396, 2973, 1760, 1603, 1512, 1467, 1370, 1243, 1076 cm^{-1}. ^1H NMR (CDCl_3, 300 MHz): $\delta = 7.81$ (d, $J = 8.5$ Hz, 2 H), 7.54 (d, $J = 8.5$ Hz, 2 H), 5.62 (d, $J = 8.2$ Hz, 1 H), 5.44 (s, 2 H, NH_2), 5.15 (t, $J = 9.4$ Hz, 1 H), 5.02 (dd, $J = 9.4, 8.2$ Hz, 1 H), 4.92 (t, $J = 9.4$ Hz, 1 H), 3.69 (ddd, $J = 9.4, 7.6, 3.0$ Hz, 1 H), 2.84 (dd, $J = 14.0, 3.0$ Hz, 1 H), 2.70 (dd, $J = 14.0, 7.6$ Hz, 1 H), 2.12 (s, 3 H, OAc), 2.02 (s, 3 H, OAc), 1.99 (s, 3 H, OAc), 1.95 (s, 3 H, OAc).

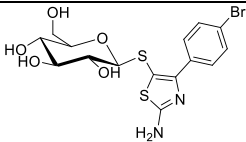
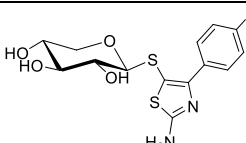
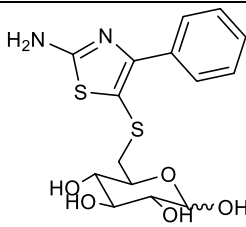
		¹³C NMR (CDCl₃, 75 MHz): δ = 170.2, 169.6, 169.3, 168.9, 168.0, 153.7, 133.1, 131.5 (2 C), 130.5 (2 C), 122.5, 111.4, 91.7, 73.1, 72.7, 70.9, 70.4, 39.2, 20.9, 20.7 (3 C). HRMS (ESI): m/z [M-H]⁻ calcd for C₂₃H₂₄BrN₂O₉S₂: 615.0112; found: 615.0109.
4cb		(2-Amino-4-(4-bromophenyl)thiazol-5-yl)thio-2,3,4,6-tetra-O-acetyl-β-D-glucopyranoside White solid; yield: 1605 mg (65 %); mp 110–113 °C. IR (CHCl₃): 3499, 3397, 2960, 2874, 1755, 1603, 1510, 1466, 1433, 1369, 1326, 1248, 1058 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.68 (d, J = 8.5 Hz, 2 H), 7.49 (d, J = 8.5 Hz, 2 H), 5.55 (s, 2 H, NH₂), 5.16 (t, J = 9.5 Hz, 1 H), 5.05 (t, J = 9.5 Hz, 1 H), 4.90 (dd, J = 10.0, 9.5 Hz, 1 H), 4.47 (d, J = 10.0 Hz, 1 H), 4.20 (dd, J = 12.3, 4.9 Hz, 1 H), 4.11 (dd, J = 12.3, 2.4 Hz, 1 H), 3.67 (ddd, J = 9.5, 4.9, 2.4 Hz, 1 H), 2.09 (s, 3 H), 2.02 (s, 3 H), 1.97 (s, 3 H), 1.80 (s, 3 H). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.8, 170.3, 169.5, 169.4, 169.2, 155.5, 132.8, 131.2 (2 C), 131.1 (2 C), 122.6, 105.9, 86.4, 76.1, 74.0, 69.5, 68.1, 62.2, 20.9, 20.7 (2 C), 20.4. HRMS (ESI): m/z [M-H]⁻ calcd for C₂₃H₂₄BrN₂O₉S₂: 615.0112; found: 615.0115.
4cc		(2-Amino-4-(4-bromophenyl)thiazol-5-yl)thio-2,3,4-tri-O-acetyl-β-D-xylopyranoside White solid; yield: 1418 mg (65 %); mp 105–107 °C. IR (CHCl₃): 3500, 3397, 2984, 1754, 1603, 1511, 1466, 1430, 1371, 1325, 1247, 1161, 1071 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.68 (d, J = 8.5 Hz, 2 H), 7.50 (d, J = 8.5 Hz, 2 H), 5.52 (br. s, 2 H, NH₂), 5.12 (t, J = 8.5 Hz, 1 H), 4.91 (ddd, J = 9.1, 8.5, 5.0 Hz, 1 H), 4.84 (t, J = 8.5 Hz, 1 H), 4.53 (d, J = 8.5 Hz, 1 H), 4.19 (dd, J = 11.7, 5.0 Hz, 1 H), 3.33 (dd, J = 11.7, 9.1 Hz, 1 H), 2.03 (s, 3 H, OAc), 2.00 (s, 3 H, OAc), 1.80 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.0, 169.9, 169.2, 169.1, 155.2, 132.9, 131.2 (2 C), 131.1 (2 C), 122.5, 106.7, 87.0, 72.4, 69.4, 68.4, 65.7, 20.8 (2 C), 20.4. HRMS (ESI): m/z [M-H]⁻ calcd for C₂₀H₂₀BrN₂O₇S₂: 542.9901; found: 542.9903.
4da		1,2,3,4-Tetra-O-acetyl-6-(2-amino-4-(4-chlorophenyl)thiazol-5-yl)thio-β-D-glucopyranose White crystals; yield: 1765 mg (77 %); mp 118–120 °C. IR (CHCl₃): 3499, 3396, 2870, 1760, 1603, 1512, 1469, 1432, 1429, 1370, 1324, 1250, 1077 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.86 (d, J = 8.5 Hz, 2 H), 7.37 (d, J = 8.5 Hz, 2 H), 5.61 (d, J = 8.2 Hz, 1 H), 5.45 (s, 2 H, NH₂), 5.13 (t, J = 9.4 Hz, 1 H), 5.01 (dd, J = 9.4, 8.2 Hz, 1 H), 4.90 (t, J = 9.4 Hz, 1 H), 3.67 (ddd, J = 9.4, 7.6, 2.9 Hz, 1 H), 2.82 (dd, J = 14.0, 2.9 Hz, 1 H), 2.69 (dd, J = 14.0, 7.6 Hz, 1 H), 2.10 (s, 3 H, OAc), 2.00 (s, 3 H, OAc), 1.98 (s, 3 H, OAc), 1.93 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.2, 169.6, 169.4, 168.9, 168.1, 153.6, 134.2, 132.6, 130.2 (2 C), 128.5 (2 C), 111.2, 91.7, 73.1, 72.7, 70.9, 70.4, 39.2, 20.9, 20.7 (3 C). HRMS (ESI): m/z [M-H]⁻ calcd for C₂₃H₂₄ClN₂O₉S₂: 571.0617; found: 571.0621.

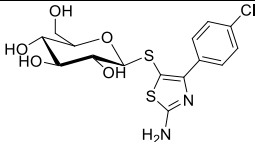
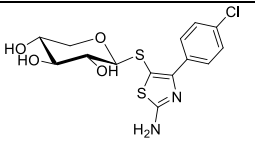
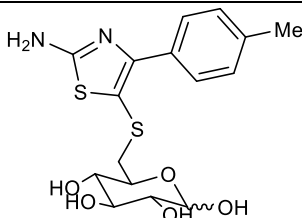
4db		(2-Amino-4-(4-chlorophenyl)thiazol-5-yl)thio-2,3,4,6-tetra-O-acetyl-β-D-glucopyranoside White crystals; yield 1444 mg (63 %); mp 115–117 °C. IR (CHCl₃): 3430, 3279, 3148, 2957, 1744, 1732, 1624, 1519, 1471, 1374, 1250, 1229, 1042 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.76 (d, <i>J</i> = 8.5 Hz, 2 H), 7.34 (d, <i>J</i> = 8.5 Hz, 2 H), 5.58 (s, 2 H, NH₂), 5.16 (t, <i>J</i> = 9.5 Hz, 1 H), 5.05 (t, <i>J</i> = 9.5 Hz, 1 H), 4.90 (dd, <i>J</i> = 10.0, 9.5 Hz, 1 H), 4.48 (d, <i>J</i> = 10.0 Hz, 1 H), 4.20 (dd, <i>J</i> = 12.4, 5.0 Hz, 1 H), 4.11 (dd, <i>J</i> = 12.0, 2.5 Hz, 1 H), 3.67 (ddd, <i>J</i> = 9.5, 5.0, 2.5 Hz, 1 H), 2.09 (s, 3 H, OAc), 2.02 (s, 3 H, OAc), 1.97 (s, 3 H, OAc), 1.81 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.7, 170.3, 169.5, 169.3, 169.2, 155.5, 134.3, 132.4, 130.9 (2 C), 128.1 (2 C), 105.9, 86.4, 76.0, 74.0, 69.6, 68.1, 62.2, 20.9, 20.7 (2 C), 20.4. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₂₃H₂₄ClN₂O₉S₂: 571.0617; found: 571.0623.
4dc		(2-Amino-4-(4-chlorophenyl)thiazol-5-yl)thio-2,3,4-tri-O-acetyl-β-D-xylopyranoside White crystals; yield: 1142 mg (57%); mp 123–125 °C. IR (CHCl₃): 3500, 3397, 2869, 1754, 1603, 1511, 1467, 1432, 1371, 1326, 1248, 1069 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.75 (d, <i>J</i> = 8.5 Hz, 2 H), 7.34 (d, <i>J</i> = 8.5 Hz, 2 H), 5.46 (s, 2 H, NH₂), 5.12 (t, <i>J</i> = 8.5 Hz, 1 H), 4.91 (ddd, <i>J</i> = 9.1, 8.5, 5.0 Hz, 1 H), 4.85 (t, <i>J</i> = 8.5 Hz, 1 H), 4.54 (d, <i>J</i> = 8.5 Hz, 1 H), 4.19 (dd, <i>J</i> = 11.7, 5.0 Hz, 1 H), 3.33 (dd, <i>J</i> = 11.7, 9.1 Hz, 1 H), 2.03 (s, 3 H, OAc), 2.00 (s, 3 H, OAc), 1.81 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.0, 169.9, 169.2, 169.1, 155.2, 134.3, 132.5, 130.9 (2 C), 128.2 (2 C), 106.8, 87.1, 72.4, 69.5, 68.5, 65.7, 20.8, 20.7, 20.4. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₂₀H₂₀ClN₂O₇S₂: 499.0406; found: 499.0405.
4ea		1,2,3,4-Tetra-O-acetyl-6-(2-amino-4-(4-methylphenyl)thiazol-5-yl)thio-β-D-glucopyranose White solid; yield: 1658 mg (75 %); mp 83–85 °C. IR (CHCl₃): 3498, 3396, 2969, 1760, 1602, 1511, 1477, 1429, 1370, 1326, 1249, 1077, 1038 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.77 (d, <i>J</i> = 8.2 Hz, 2 H), 7.21 (d, <i>J</i> = 8.2 Hz, 2 H), 5.62 (d, <i>J</i> = 8.1 Hz, 1 H), 5.36 (br. s, 2 H, NH₂), 5.12 (t, <i>J</i> = 9.3 Hz, 1 H), 5.01 (dd, <i>J</i> = 9.3, 8.1 Hz, 1 H), 4.90 (t, <i>J</i> = 9.3 Hz, 1 H), 3.65 (ddd, <i>J</i> = 9.3, 7.6, 3.2 Hz, 1 H), 2.81 (dd, <i>J</i> = 14.0, 3.2 Hz, 1 H), 2.68 (dd, <i>J</i> = 14.0, 7.6 Hz, 1 H), 2.38 (s, 3 H, Me), 2.10 (s, 3 H, OAc), 2.00 (s, 3 H, OAc), 1.98 (s, 3 H, OAc), 1.92 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.1, 169.6, 169.3, 168.8, 167.9, 155.2, 138.3, 131.4, 129.0 (2 C), 128.9 (2 C), 110.3, 91.8, 73.3, 72.8, 71.1, 70.7, 39.4, 21.4, 20.9, 20.6 (3 C). HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₂₄H₂₇N₂O₉S₂: 551.1163; found: 551.1167.
4eb		(2-Amino-4-(4-methylphenyl)thiazol-5-yl)thio-2,3,4,6-tetra-O-acetyl-β-D-glucopyranoside White solid; yield 1363 mg (63 %); mp 89–92 °C. IR (CHCl₃): 3499, 3397, 2968, 1758, 1603, 1511, 1475, 1432, 1369, 1326, 1246, 1048 cm⁻¹.

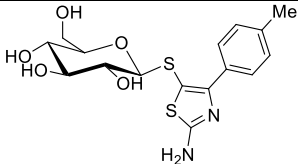
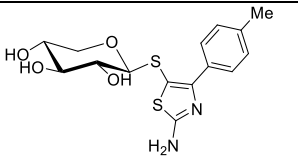
		¹H NMR (CDCl₃, 300 MHz): δ = 7.65 (d, <i>J</i> = 8.5 Hz, 2 H), 7.17 (d, <i>J</i> = 8.5 Hz, 2 H), 5.68 (s, 2 H, NH₂), 5.16 (t, <i>J</i> = 9.5 Hz, 1 H), 5.06 (t, <i>J</i> = 9.5 Hz, 1 H), 4.91 (dd, <i>J</i> = 10.0, 9.5 Hz, 1 H), 4.48 (d, <i>J</i> = 10.0 Hz, 1 H), 4.22 (dd, <i>J</i> = 12.4, 5.0 Hz, 1 H), 4.12 (dd, <i>J</i> = 12.0, 2.5 Hz, 1 H), 3.66 (ddd, <i>J</i> = 9.5, 5.0, 2.5 Hz, 1 H), 2.36 (s, 3 H, Me), 2.09 (s, 3 H, OAc), 2.01 (s, 3 H, OAc), 1.97 (s, 3 H, OAc), 1.77 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.8, 170.3, 169.5, 169.4, 169.2, 156.6, 138.3, 131.0, 129.5 (2 C), 128.6 (2 C), 104.9, 86.9, 76.0, 74.0, 69.6, 68.2, 62.3, 21.4, 20.9, 20.7 (2 C), 20.3. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₂₄H₂₇N₂O₉S₂: 551.1163; found: 551.1168.
4ec		(2-Amino-4-(4-methylphenyl)thiazol-5-yl)thio-2,3,4-tri-O-acetyl-β-D-xylopyranoside White crystals; yield 1134 mg (59%); mp 96–99 °C. IR (CHCl₃): 3499, 3397, 2869, 1753, 1602, 1510, 1476, 1431, 1371, 1328, 1306, 1247, 1068 cm⁻¹. ¹H NMR (CDCl₃, 300 MHz): δ = 7.66 (d, <i>J</i> = 8.0 Hz, 2 H), 7.17 (d, <i>J</i> = 8.0 Hz, 2 H), 5.54 (s, 2 H, NH₂), 5.11 (t, <i>J</i> = 8.5 Hz, 1 H), 4.91 (ddd, <i>J</i> = 9.1, 8.5, 5.0 Hz, 1 H), 4.85 (t, <i>J</i> = 8.5 Hz, 1 H), 4.55 (d, <i>J</i> = 8.5 Hz, 1 H), 4.18 (dd, <i>J</i> = 11.7, 5.0 Hz, 1 H), 3.32 (dd, <i>J</i> = 11.7, 9.1 Hz, 1 H), 2.36 (s, 3 H, Me), 2.02 (s, 3 H, OAc), 2.00 (s, 3 H, OAc), 1.78 (s, 3 H, OAc). ¹³C NMR (CDCl₃, 75 MHz): δ = 170.0, 169.9, 169.3, 169.0, 156.4, 138.2, 131.3, 129.4 (2 C), 128.7 (2 C), 105.7, 87.4, 72.4, 69.5, 68.6, 65.6, 21.4, 20.7, 20.3. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₂₁H₂₃N₂O₇S₂: 479.5471; found: 479.5473.
5aa		6-(2-Amino-4-(4-methoxyphenyl)thiazol-5-yl)thio-D-glucopyranose White solid; yield 272 mg (68 %); mp 115 – 118 °C. IR (KBr): 3319, 2922, 1609, 1526, 1508, 1484, 1334, 1249, 1178, 1032 cm⁻¹. ¹H NMR (700 MHz, DMSO-d₆), α-anomer: δ = 7.75 (d, <i>J</i> = 8.7, 2 H), 6.98 (d, <i>J</i> = 8.7, 2 H), 4.89 (d, <i>J</i> = 3.6, 1 H), 3.78 (s, 3 H, OMe), 3.77 (ddd, <i>J</i> = 9.0, 8.0, 2.2 Hz, 1 H), 3.39 (t, <i>J</i> = 9.0 Hz, 1 H), 3.14-3.05 (m, 2 H), 2.98 (t, <i>J</i> = 9.0 Hz, 1H), 2.83 (dd, <i>J</i> = 13.2, 7.2 Hz, 1 H). β-anomer: δ = 7.81 (d, <i>J</i> = 8.7, 2 H), 6.98 (d, <i>J</i> = 8.7, 2 H), 4.21 (d, <i>J</i> = 7.7, 1 H), 3.78 (s, 3 H, OMe), 3.20 (ddd, <i>J</i> = 8.8, 8.7, 1.8 Hz, 1 H), 3.12 (dd, <i>J</i> = 13.4, 1.8 Hz, 1 H), 3.06 (t, <i>J</i> = 8.8 Hz, 1 H), 2.96 (t, <i>J</i> = 8.8 Hz, 1 H), 2.91 (dd, <i>J</i> = 8.8, 7.7 Hz, 1 H), 2.75 (dd, <i>J</i> = 13.4, 8.7 Hz, 1 H). ¹³C NMR (175 MHz, DMSO-d₆), α-anomer: δ = 168.6, 159.6, 148.8, 130.3 (2 C), 125.0, 113.8 (2 C), 109.3, 92.5, 73.3, 72.8, 72.3, 70.2, 41.2. β-anomer: δ = 168.6, 159.6, 149.6, 130.2 (2 C), 125.3, 113.8 (2 C), 108.4, 97.1, 76.4, 75.0, 74.3, 73.0, 40.9. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₆H₁₉N₂O₆S₂: 399.0690; found: 399.0693.
5ab		(2-Amino-4-(4-methoxyphenyl)thiazol-5-yl)-β-D-thio-glucopyranoside White crystals; yield 252 mg (63 %); mp 121 –122 °C. IR (KBr): 3323, 2924, 1609, 1526, 1510, 1482, 1334, 1248, 1179, 1032 cm⁻¹.

		¹H NMR (DMSO-d₆, 300 MHz): δ = 7.97 (d, <i>J</i> = 8.9, 2 H), 7.20 (br. s, 2 H, NH₂), 6.89 (d, <i>J</i> = 8.9, 2H), 5.14 (br.s, 1 H, OH), 4.95 (br.s, 2 H, OH), 4.31 (d, <i>J</i> = 9.4, 1 H), 4.27 (br.s, 1H, OH), 3.77 (s, 3 H, OMe), 3.71 (d, <i>J</i> = 11.3 Hz, 1 H), 3.49 (dd, <i>J</i> = 11.3, 5.9 Hz, 1 H), 3.24-3.06 (m, 4 H). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.2, 158.7, 153.3, 130.4 (2 C), 127.2, 113.0 (2 C), 103.3, 89.0, 81.0, 78.1, 72.2, 69.8, 61.3, 55.0. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₆H₁₉N₂O₆S₂: 399.0690; found: 399.0688
5ac		2-Amino-4-(4-methoxyphenyl)thiazol-5-yl-β-D-thioxypyranoside White solid; yield 270 mg (73 %); mp 105 – 112 °C. IR (KBr): 3316, 2923, 1609, 1526, 1509, 1481, 1333, 1248, 1178, 1048 cm⁻¹. ¹H NMR (DMSO-d₆, 500 MHz): δ = 7.94 (d, <i>J</i> = 8.8 Hz, 2H), 7.15 (br. s, 2 H, NH₂), 6.90 (d, <i>J</i> = 8.8 Hz, 2 H), 5.18 (br. s, 1 H, OH), 5.04 (br. s, 1 H, OH), 4.96 (br. s, 1 H, OH), 4.33 (d, <i>J</i> = 8.5 Hz, 1 H), 4.80 (dd, <i>J</i> = 11.4, 5.2 Hz, 1 H), 3.77 (s, 3 H, OMe), 3.34-3.28 (m, 1 H), 3.20-3.06 (m, 3 H). ¹³C NMR (DMSO-d₆, 125 MHz): δ = 169.0, 158.7, 153.2, 130.2 (2 C), 127.2, 113.0 (2 C), 103.5, 89.8, 77.5, 72.3, 69.3, 69.2, 55.0. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₅H₁₇N₂O₅S₂: 369.0584; found: 369.0585.
5ba		6-(2-amino-4-phenylthiazol-5-yl)thio-D-glucopyranose White crystals; yield 252 mg (68 %); mp 125 –128 °C. IR (KBr): 3324, 3202, 2917, 1616, 1512, 1475, 1441, 1385, 1335, 1275, 1065 cm⁻¹. ¹H NMR (700 MHz, DMSO-d₆), α-anomer: δ = 7.90-7.88 (m, 2 H), 7.41-7.37 (m, 2 H), 7.33-7.29 (m, 1 H), 7.21 (br. s, 2 H, NH₂), 6.31 (br. s, 1 H, OH), 4.99 (br. s, 1 H, OH), 4.89 (d, <i>J</i> = 3.6, 1 H), 4.87 (br. s, 1 H, OH), 4.49 (br. s, 1 H, OH), 3.81 (ddd, <i>J</i> = 9.0, 8.0, 2.2 Hz, 1 H), 3.40 (t, <i>J</i> = 9.0 Hz, 1 H), 3.15-3.08 (m, 2 H), 2.98 (t, <i>J</i> = 9.0 Hz, 1 H), 2.84 (dd, <i>J</i> = 13.1, 8.0 Hz, 1 H). β-anomer: δ = 7.95-7.93 (m, 2 H), 7.41-7.37 (m, 2 H), 7.33-7.29 (m, 1 H), 7.24 (br. s, 2 H, NH₂), 6.62 (br. s, 1 H, OH), 5.03 (br. s, 1 H, OH), 4.87 (br. s, 1 H, OH), 4.68 (br. s, 1 H, OH), 4.22 (d, <i>J</i> = 7.7, 1 H), 3.22 (ddd, <i>J</i> = 8.8, 8.6, 1.8 Hz, 1 H), 3.14 (dd, <i>J</i> = 13.2, 1.8 Hz, 1 H), 3.06 (t, <i>J</i> = 8.8 Hz, 1 H), 2.95 (t, <i>J</i> = 8.8 Hz, 1 H), 2.91 (dd, <i>J</i> = 8.8, 7.7 Hz, 1 H), 2.76 (dd, <i>J</i> = 13.2, 8.6 Hz, 1 H). ¹³C NMR (175 MHz, DMSO-d₆), α-anomer: δ = 168.2, 152.4, 134.6, 128.5 (2 C), 127.8 (2 C), 127.6, 109.7, 92.3, 73.4, 72.8, 72.3, 70.0, 41.6. β-anomer: δ = 168.3, 152.8, 134.5, 128.4 (2 C), 127.9 (2 C), 127.6, 108.9, 97.0, 76.3, 74.9, 74.1, 73.0, 41.2. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₅H₁₇N₂O₅S₂: 369.0584; found: 369.0587.
5bb		(2-Amino-4-phenylthiazol-5-yl)-β-D-thioglucopyranoside White crystals; yield 270 mg (73 %); mp 117 –119 °C. IR (KBr): 3315, 3197, 2878, 1615, 1510, 1475, 1440, 1335, 1273, 1165, 1048 cm⁻¹.

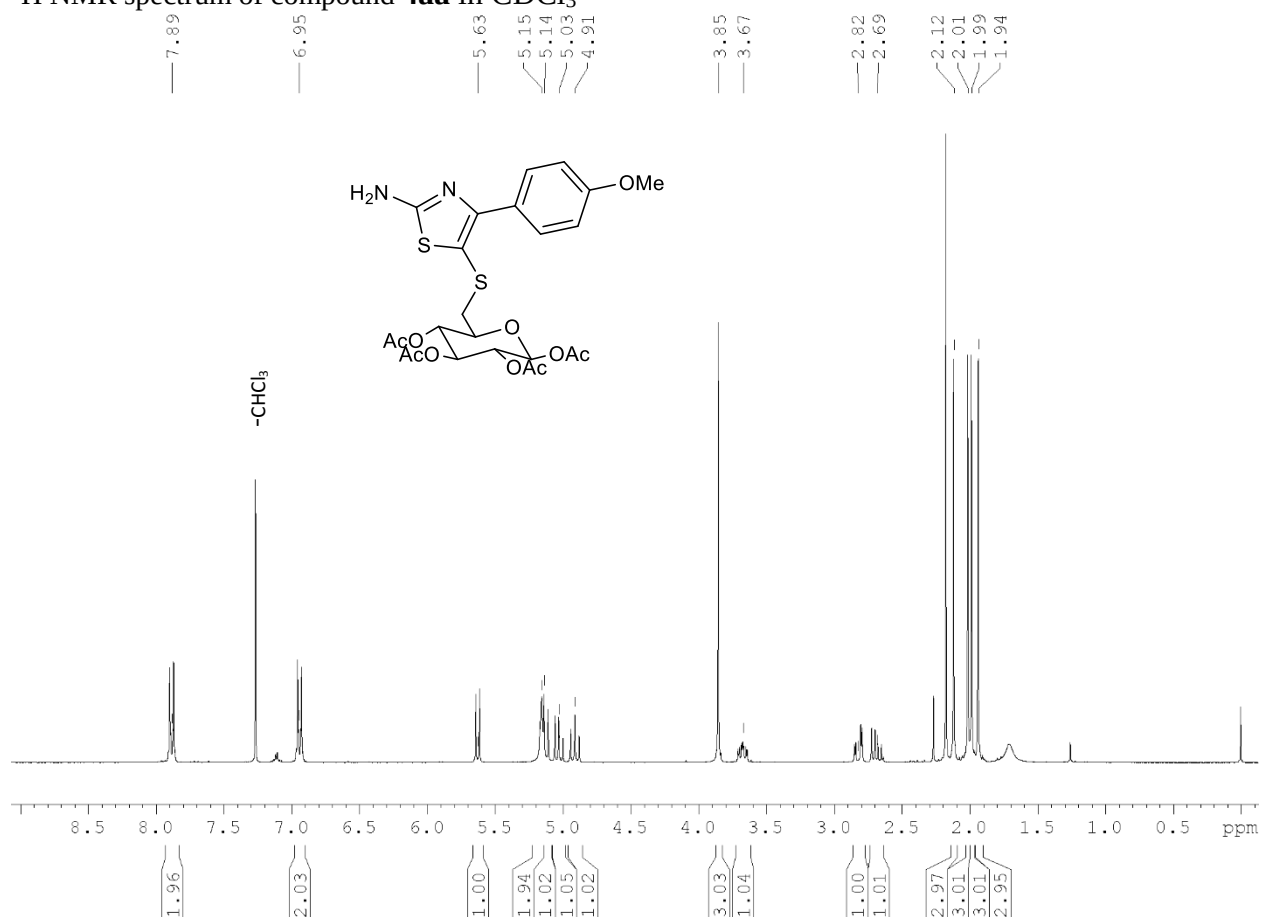
		¹H NMR (DMSO-d₆, 300 MHz): δ = 8.02-7.96 (m, 2H), 7.39-7.25 (m, 3 H), 7.21 (br. s, 2 H, NH₂), 5.18 (d, J = 6.0 Hz, 1 H, OH), 5.04 (d, J = 4.7 Hz, 1 H, OH), 4.93 (d, J = 4.7 Hz, 1 H, OH), 4.34 (d, J = 9.6, 1 H), 4.29 (t, J = 5.5 Hz, 1 H, OH), 3.72 (dd, J = 11.5, 5.5 Hz, 1 H), 3.49 (dt, J = 11.5, 5.5 Hz, 1 H), 3.26-3.06 (m, 4 H). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.4, 153.5, 134.6, 129.1 (2 C), 127.6 (2 C), 127.5, 105.1, 88.9, 81.0, 78.2, 72.2, 69.8, 61.3. HRMS (ESI): m/z [M-H]⁻ calcd for C₁₅H₁₇N₂O₅S₂: 369.0584; found: 369.0583.
5bc		2-Amino-4-phenylthiazol-5-yl-β-D-thioxylopyranoside White crystals; yield 225 mg (66 %); mp 122 –125 °C. IR (KBr): 3370, 3278, 3110, 2858, 1621, 1528, 1475, 1443, 1335, 1273, 1165, 1051 cm⁻¹. ¹H NMR (DMSO-d₆, 300 MHz): δ = 7.98-7.92 (m, 2 H), 7.40-7.28 (m, 2 H), 7.24 (br. s, 2 H, NH₂), 5.21 (br. s, 1 H, OH), 5.03 (br. s, 2 H, OH), 4.35 (d, J = 8.6, 1 H), 3.80 (dd, J = 11.0, 4.9 Hz, 1 H), 3.36-3.27 (m, 1 H), 3.21-3.05 (m, 3 H). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.1, 153.2, 134.5, 128.9 (2 C), 127.7 (2 C), 127.6, 105.3, 89.7, 77.4, 72.2, 69.3, 69.1. HRMS (ESI): m/z [M-H]⁻ calcd for C₁₄H₁₅N₂O₄S₂: 339.0479; found: 339.0482.
5ca		6-(2-amino-4-(4-bromophenyl)thiazol-5-yl)thio-D-glucopyranose White crystals; yield 328 mg (73 %); mp 134 –136 °C. IR (KBr): 3318, 3198, 2918, 1614, 1513, 1469, 1385, 1329, 1068, 1007 cm⁻¹. ¹H NMR (500 MHz, DMSO-d₆), α-anomer: δ = 7.85 (d, J = 8.5, 2 H), 7.57 (d, J = 8.5, 2 H), 7.24 (br. s, 2 H, NH₂), 4.89 (d, J = 3.6, 1 H), 3.78 (ddd, J = 9.0, 8.0, 2.2 Hz, 1 H), 3.39 (t, J = 9.0 Hz, 1 H), 3.15-3.08 (m, 2 H), 2.98 (t, J = 9.0 Hz, 1 H), 2.83 (dd, J = 13.1, 8.0 Hz, 1 H). β-anomer: δ = 7.91 (d, J = 8.5, 2 H), 7.58 (d, J = 8.5, 2 H), 7.24 (br. s, 2 H, NH₂), 4.21 (d, J = 7.7, 1 H), 3.20 (ddd, J = 8.8, 8.6, 1.8 Hz, 1 H), 3.14 (dd, J = 13.2, 1.8 Hz, 1 H), 3.06 (t, J = 8.8 Hz, 1 H), 2.95 (t, J = 8.8 Hz, 1 H), 2.92 (dd, J = 8.8, 7.7 Hz, 1 H), 2.74 (dd, J = 13.2, 8.6 Hz, 1 H). ¹³C NMR (125 MHz, DMSO-d₆), α-anomer: δ = 168.6, 151.2, 133.8, 131.1 (2 C), 130.7 (2 C), 121.1, 110.9, 92.5, 73.4, 73.0, 72.5, 70.2, 41.7. β-anomer: δ = 168.7, 151.6, 133.7, 131.1 (2 C), 130.6 (2 C), 121.2, 110.0, 97.2, 76.5, 75.1, 74.2, 73.1, 41.2. HRMS (ESI): m/z [M-H]⁻ calcd for C₁₅H₁₆BrN₂O₅S₂: 446.9689; found: 446.9691.

5cb		(2-Amino-4-(4-bromophenyl)thiazol-5-yl)-β-D-thioglucofuranoside White crystals; yield 328 mg (73 %); mp 126 –127 °C. IR (KBr): 3318, 3195, 2879, 1615, 1513, 1467, 1385, 1267, 1163, 1046 cm⁻¹. ¹H NMR (DMSO-d₆, 300 MHz): δ = 8.00 (d, <i>J</i> = 8.4 Hz, 2H), 7.52 (d, <i>J</i> = 8.4 Hz, 2H), 7.28 (s, 2H, NH₂), 5.18 (d, <i>J</i> = 6.0 Hz, 1 H, OH), 5.04 (d, <i>J</i> = 4.7 Hz, 1 H, OH), 4.94 (d, <i>J</i> = 4.7 Hz, 1 H, OH), 4.35 (t, <i>J</i> = 5.5 Hz, 1 H, OH), 4.33 (d, <i>J</i> = 9.5, 1 H), 3.71 (dd, <i>J</i> = 11.5, 5.5 Hz, 1 H), 3.52-3.42 (m, 1 H), 3.25-3.05 (m, 4 H). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.6, 152.7, 133.7, 131.1 (2 C), 130.5 (2 C), 120.8, 105.5, 88.8, 81.1, 78.1, 72.1, 69.8, 61.3. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₅H₁₆BrN₂O₅S₂: 446.9689; found: 446.9693.
5cc		2-Amino-4-(4-bromophenyl)thiazol-5-yl-β-D-thioxypyranoside White crystals; yield 285 mg (68 %); mp 123 –125 °C. IR (KBr): 3320, 3199, 2875, 1614, 1514, 1467, 1385, 1267, 1167 cm⁻¹. ¹H NMR (DMSO-d₆, 300 MHz): δ = 7.94 (d, <i>J</i> = 8.5 Hz, 2H), 7.54 (d, <i>J</i> = 8.5 Hz, 2H), 7.25 (br. s, 2 H, NH₂), 5.23 (d, <i>J</i> = 6.0 Hz, 1 H, OH), 5.07 (d, <i>J</i> = 4.5 Hz, 1 H, OH), 4.96 (d, <i>J</i> = 5.0 Hz, 1 H, OH), 4.34 (d, <i>J</i> = 8.6, 1 H), 3.80 (dd, <i>J</i> = 11.0, 4.9 Hz, 1 H), 3.35-3.26 (m, 1 H), 3.22-3.06 (m, 3 H). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.3, 152.3, 133.8, 130.9 (2 C), 130.7 (2 C), 120.9, 105.8, 89.6, 77.6, 72.2, 69.3 (2 C). HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₄H₁₄BrN₂O₄S₂: 416.9584; found: 416.9587.
5da		6-(2-Amino-4-(4-chlorophenyl)thiazol-5-yl)thio-D-glucopyranose White crystals; yield: 300 mg (74 %); mp 133–135 °C. IR (KBr): 3319, 3199, 2914, 1614, 1513, 1471, 1398, 1385, 1329, 1265, 1048 cm⁻¹. ¹H NMR (500 MHz, DMSO-d₆), α-anomer: δ = 7.95 (d, <i>J</i> = 8.6, 2 H), 7.45 (d, <i>J</i> = 8.6, 2 H), 7.26 (s, 2 H, NH₂), 6.32 (br. s, 1 H, OH), 4.98 (br. s, 3 H, OH), 4.90 (d, <i>J</i> = 3.6, 1 H), 3.80 (ddd, <i>J</i> = 9.0, 8.0, 2.2 Hz, 1 H), 3.40 (t, <i>J</i> = 9.0 Hz, 1 H), 3.14-3.06 (m, 2 H), 2.97 (t, <i>J</i> = 9.0 Hz, 1 H), 2.84 (dd, <i>J</i> = 13.1, 8.0 Hz, 1 H). β-anomer: δ = 8.02 (d, <i>J</i> = 8.6, 2 H), 7.46 (d, <i>J</i> = 8.6, 2 H), 7.29 (s, 2 H, NH₂), 6.63 (br. s, 1 H, OH), 4.98 (br. s, 3 H, OH), 4.22 (d, <i>J</i> = 7.7, 1 H), 3.21 (ddd, <i>J</i> = 8.8, 8.6, 1.8 Hz, 1 H), 3.14 (dd, <i>J</i> = 13.2, 1.8 Hz, 1 H), 3.05 (t, <i>J</i> = 8.8 Hz, 1 H), 2.96 (t, <i>J</i> = 8.8 Hz, 1 H), 2.91 (dd, <i>J</i> = 8.8, 7.7 Hz, 1 H), 2.76 (dd, <i>J</i> = 13.2, 8.6 Hz, 1 H). ¹³C NMR (125 MHz, DMSO-d₆), α-anomer: δ = 168.3, 151.0, 133.4, 132.1, 130.2 (2 C), 127.9 (2 C), 110.4, 92.3, 73.3, 72.8, 72.3, 70.0, 41.6. β-anomer: δ = 168.4, 151.3, 133.3, 132.2, 130.1 (2 C), 128.0 (2 C), 109.5, 97.0, 76.3, 74.9, 74.0, 72.9, 41.1. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₅H₁₆ClN₂O₅S₂: 403.0195; found: 403.0193.

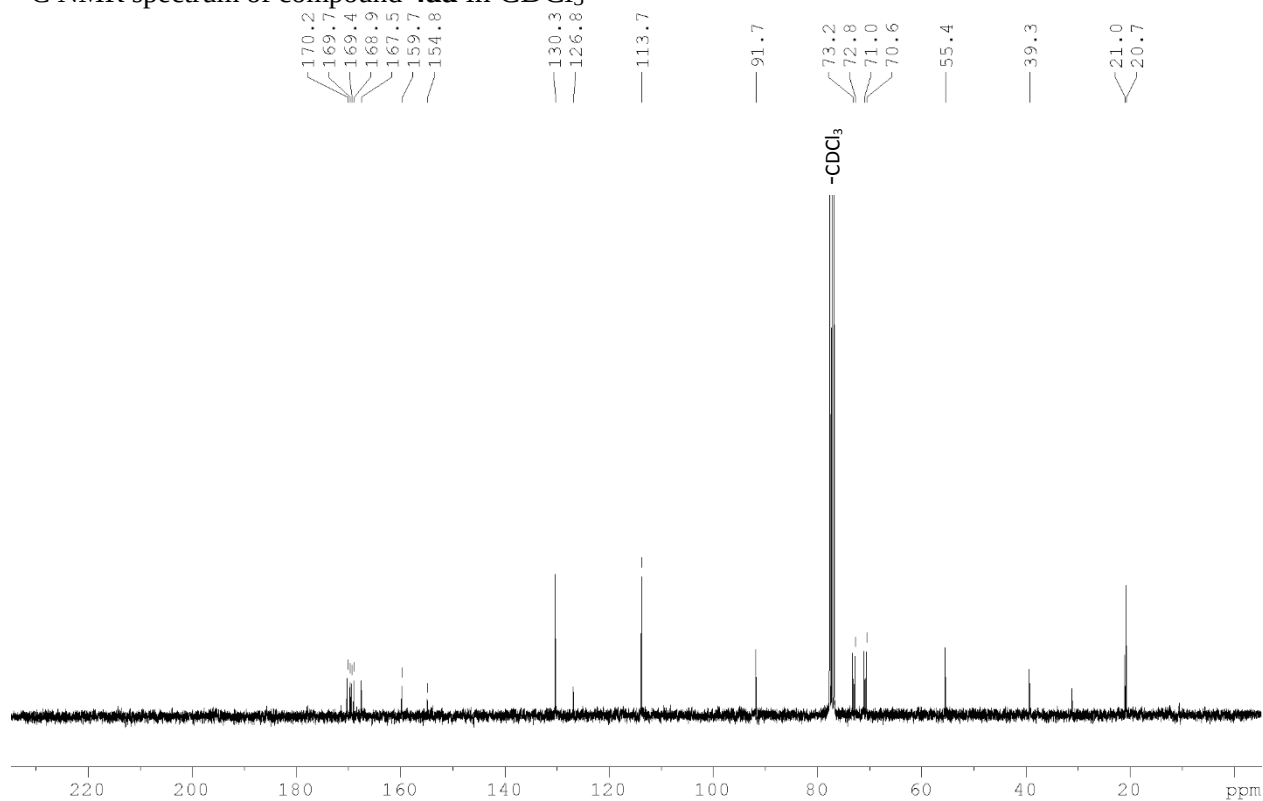
5db		(2-Amino-4-(4-chlorophenyl)thiazol-5-yl)-β-D-thiogluco-pyranoside White crystals; yield 320 mg (79 %); mp 137 –139 °C. IR (KBr): 3320, 3200, 2882, 1617, 1514, 1470, 1399, 1385, 1331, 1268, 1091, 1049 cm⁻¹. ¹H NMR (DMSO-d₆, 300 MHz): δ = 8.06 (d, <i>J</i> = 8.6 Hz, 2 H), 7.38 (d, <i>J</i> = 8.6 Hz, 2 H), 7.27 (s, 2 H, NH₂), 5.21 (d, <i>J</i> = 6.0 Hz, 1 H, OH), 5.04 (d, <i>J</i> = 4.7 Hz, 1 H, OH), 4.94 (d, <i>J</i> = 4.7 Hz, 1 H, OH), 4.35 (t, <i>J</i> = 5.5 Hz, 1 H, OH), 4.33 (d, <i>J</i> = 9.5, 1 H), 3.71 (dd, <i>J</i> = 11.5, 5.5 Hz, 1 H), 3.52-3.44 (m, 1 H), 3.26-3.04 (m, 4 H). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.5, 152.6, 133.4, 132.1, 130.8 (2 C), 127.6 (2 C), 105.4, 88.8, 81.1, 78.1, 72.1, 69.8, 61.3. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₅H₁₆ClN₂O₅S₂: 403.0195; found: 403.0199.
5dc		(2-Amino-4-(4-chlorophenyl)thiazol-5-yl)-β-D-thioxyl-o-pyranoside White crystals; yield 311 mg (83 %); mp 141 –144 °C. IR (KBr): 3317, 3194, 2885, 1614, 1513, 1471, 1401, 1385, 1333, 1157 cm⁻¹. ¹H NMR (DMSO-d₆, 300 MHz): δ = 8.01 (d, <i>J</i> = 8.6 Hz, 2 H), 7.40 (d, <i>J</i> = 8.6 Hz, 2 H), 7.24 (s, 2 H, NH₂), 5.23 (d, <i>J</i> = 6.0 Hz, 1 H, OH), 5.06 (d, <i>J</i> = 4.5 Hz, 1 H, OH), 4.96 (d, <i>J</i> = 5.0 Hz, 1 H, OH), 4.35 (d, <i>J</i> = 8.6, 1 H), 3.80 (dd, <i>J</i> = 11.0, 4.9 Hz, 1 H), 3.37-3.26 (m, 1 H), 3.22-3.06 (m, 3 H). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.2, 152.1, 133.4, 132.1, 130.5 (2 C), 127.6 (2 C), 105.8, 89.6, 77.4, 72.1, 69.2, 69.1. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₄H₁₄ClN₂O₄S₂: 373.0089; found: 373.0087.
5ea		6-(2-Amino-4-(4-methylphenyl)thiazol-5-yl)thio-glucopyranose White crystals; yield 277 mg (72 %); mp 107 –110 °C. IR (KBr): 3423, 3256, 2918, 1618, 1511, 1481, 1459, 1384, 1332, 1271, 1221, 1185, 1048 cm⁻¹. ¹H NMR (500 MHz, DMSO-d₆), α-anomer: δ = 7.83 (br. s, 2 H, NH₂), 7.72 (d, <i>J</i> = 8.2 Hz, 2 H), 7.24 (d, <i>J</i> = 8.2 Hz, 2 H), 4.90 (d, <i>J</i> = 3.6, 1 H), 4.58 (br. s, 4 H, OH), 3.80 (ddd, <i>J</i> = 9.0, 8.0, 2.2 Hz, 1 H), 3.40 (t, <i>J</i> = 9.0 Hz, 1 H), 3.15-3.08 (m, 2 H), 2.97 (t, <i>J</i> = 9.0 Hz, 1 H), 2.86 (dd, <i>J</i> = 13.1, 8.0 Hz, 1 H), 2.33 (s, 3 H, Me). β-anomer: δ = 7.83 (br. s, 2 H, NH₂), 7.77 (d, <i>J</i> = 8.2 Hz, 2 H), 7.24 (d, <i>J</i> = 8.2 Hz, 2 H), 4.24 (d, <i>J</i> = 7.7, 1 H), 4.58 (br. s, 4 H, OH), 3.23 (ddd, <i>J</i> = 8.8, 8.6, 1.8 Hz, 1 H), 3.14 (dd, <i>J</i> = 13.2, 1.8 Hz, 1 H), 3.06 (t, <i>J</i> = 8.8 Hz, 1 H), 2.96 (t, <i>J</i> = 8.8 Hz, 1 H), 2.91 (dd, <i>J</i> = 8.8, 7.7 Hz, 1 H), 2.78 (dd, <i>J</i> = 13.2, 8.6 Hz, 1 H), 2.33 (s, 3 H, Me). ¹³C NMR (125 MHz, DMSO-d₆), α-anomer: δ = 168.4, 149.6, 137.8, 129.8, 128.6 (2 C), 128.5 (2 C), 109.8, 92.3, 73.2, 72.7, 72.3, 70.0, 41.2, 20.9. β-anomer: δ = 168.5, 149.9, 137.7, 130.0, 128.6 (2 C), 128.4 (2 C), 108.9, 97.1, 76.3, 74.9, 74.2, 72.9, 40.8, 20.9. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₆H₁₉N₂O₅S₂: 383.0741; found: 383.0745.

5eb		(2-Amino-4-(4-methylphenyl)thiazol-5-yl)thio-β-D-glucopyranoside White crystals; yield 319 mg (83 %); mp 112 –115 °C. IR (KBr): 3323, 3200, 2918, 1614, 1511, 1482, 1332, 1271, 1214, 1185, 1047 cm⁻¹. ¹H NMR (DMSO-d₆, 300 MHz): δ = 7.89 (d, <i>J</i> = 8.2 Hz, 2H), 7.16 (br. s, 2 H, NH₂), 7.15 (d, <i>J</i> = 8.2 Hz, 2 H), 5.11 (br.d, <i>J</i> = 5.4 Hz, 1 H, OH), 4.99 (br.s, 1 H, OH), 4.90 (br.s, 1 H, OH), 4.32 (d, <i>J</i> = 9.4, 1 H), 4.24 (br.s, 1 H, OH), 3.71 (d, <i>J</i> = 11.4 Hz, 1 H), 3.49 (d, <i>J</i> = 11.4 Hz, 1 H), 3.25-3.04 (m, 4 H), 2.31 (s, 3 H, Me). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.2, 153.6, 136.7, 131.8, 128.9 (2 C), 128.2 (2 C), 104.4, 88.9, 80.9, 78.1, 72.2, 69.8, 61.3, 20.8. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₆H₁₉N₂O₅S₂: 383.0741; found: 383.0739.
5ec		(2-Amino-4-(4-methylphenyl)thiazol-5-yl)-β-D-thioxypyranoside White crystals; yield 269 mg (76 %); mp 118 –121 °C. IR (KBr): 3432, 3266, 3120, 2920, 1621, 1531, 1513, 1480, 1460, 1385, 1332, 1272, 1185, 1037 cm⁻¹. ¹H NMR (DMSO-d₆, 300 MHz): δ = 7.85 (d, <i>J</i> = 8.2 Hz, 2 H), 7.19 (s, 2 H, NH₂), 7.15 (d, <i>J</i> = 8.2 Hz, 2 H), 5.21 (d, <i>J</i> = 6.0 Hz, 1 H, OH), 5.09 (d, <i>J</i> = 4.5 Hz, 1 H, OH), 4.99 (d, <i>J</i> = 5.0 Hz, 1 H, OH), 4.33 (d, <i>J</i> = 8.6, 1 H), 3.80 (dd, <i>J</i> = 11.0, 4.9 Hz, 1 H), 3.36-3.27 (m, 1 H), 3.22-3.04 (m, 3 H), 2.31 (s, 3 H, Me). ¹³C NMR (DMSO-d₆, 75 MHz): δ = 169.1, 153.4, 136.8, 131.9, 128.8 (2 C), 128.3 (2 C), 104.6, 89.8, 77.5, 72.1, 69.3, 69.1, 20.8. HRMS (ESI): <i>m/z</i> [M-H]⁻ calcd for C₁₅H₁₇N₂O₄S₂: 353.0635; found: 353.0633.

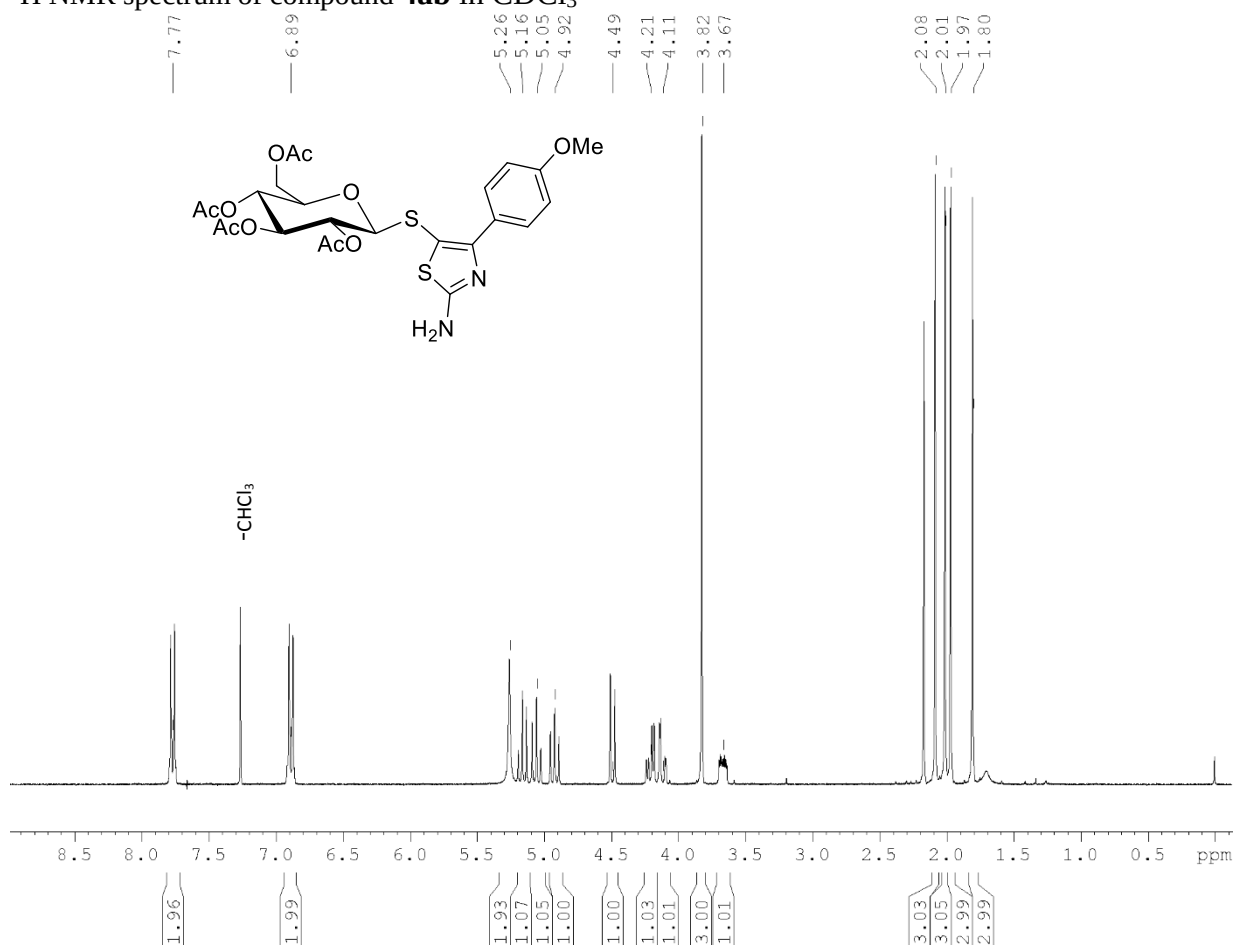
^1H NMR spectrum of compound **4aa** in CDCl_3



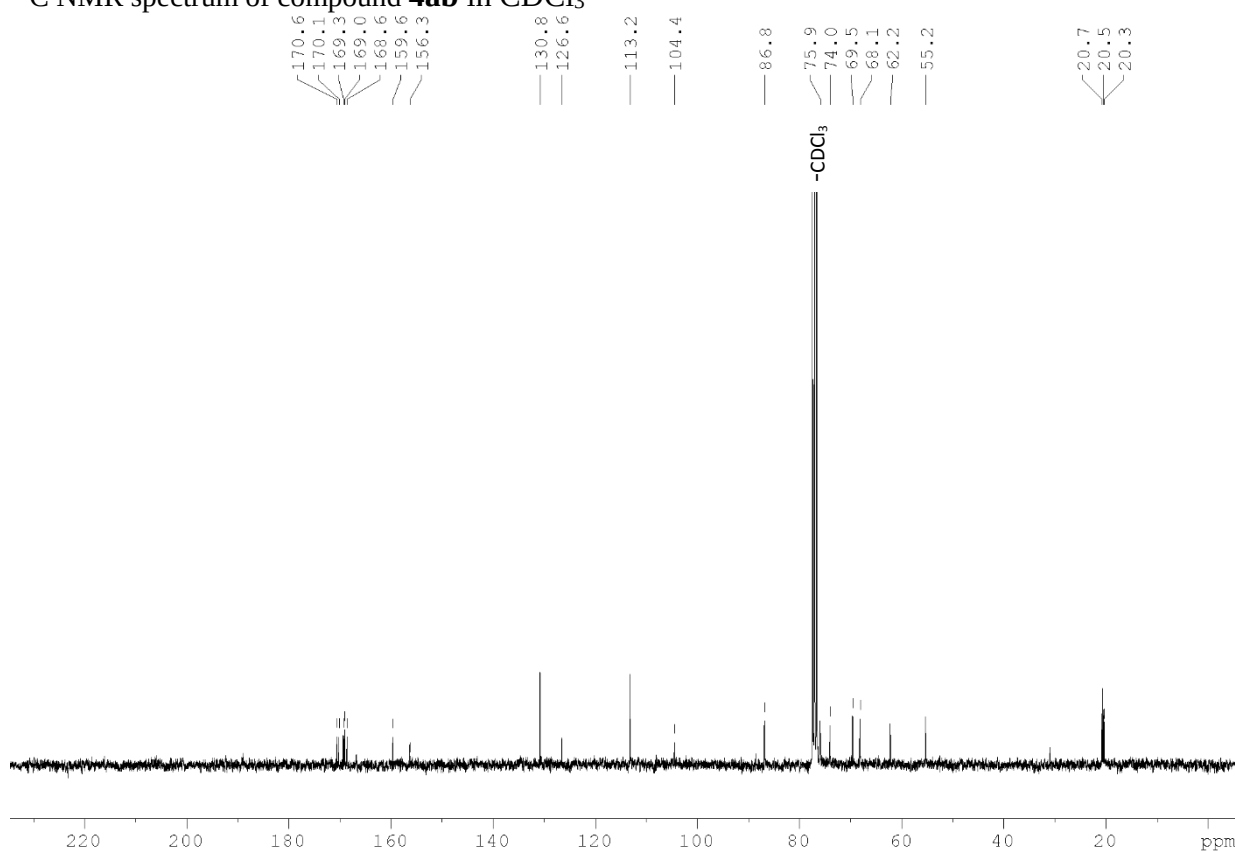
^{13}C NMR spectrum of compound **4aa** in CDCl_3



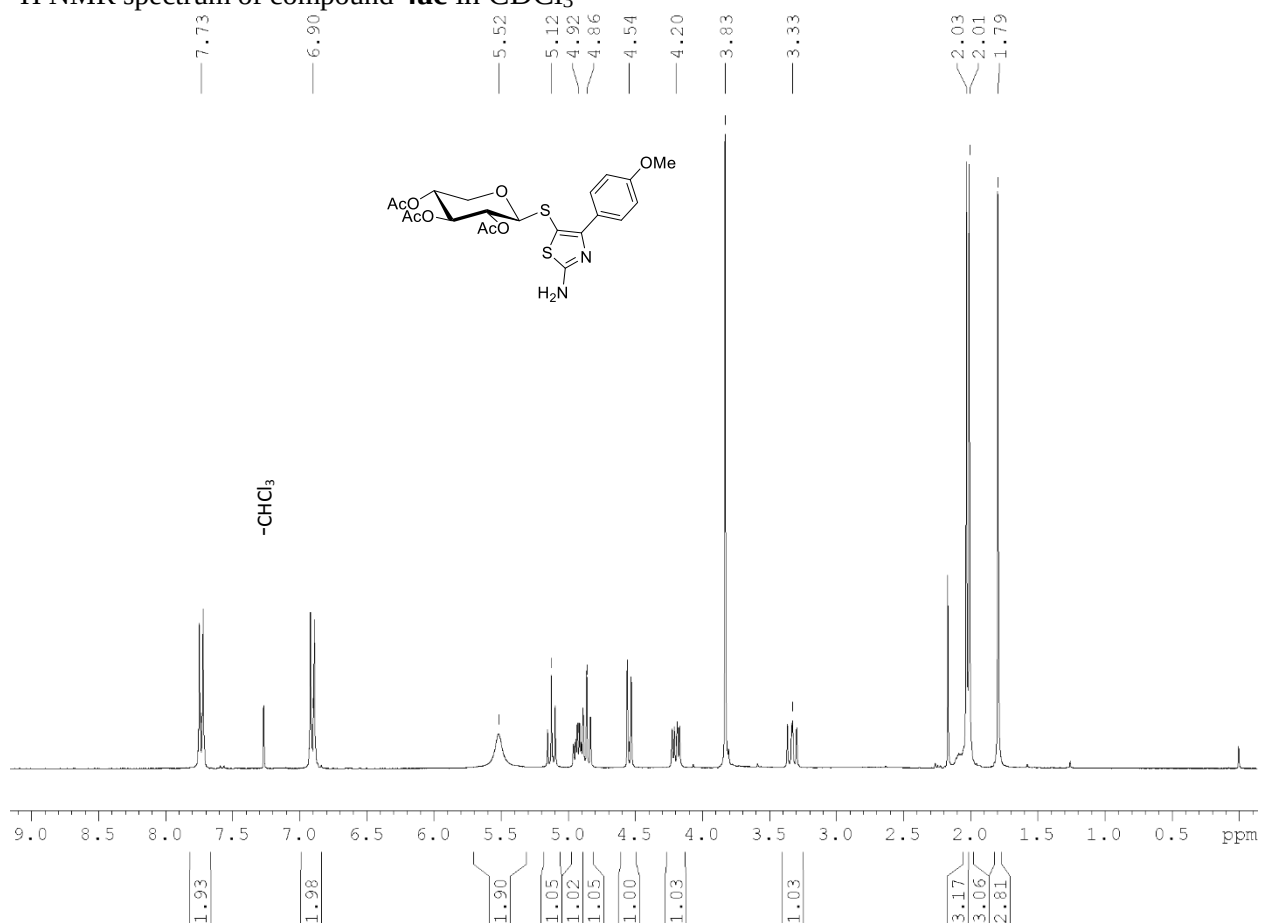
^1H NMR spectrum of compound **4ab** in CDCl_3



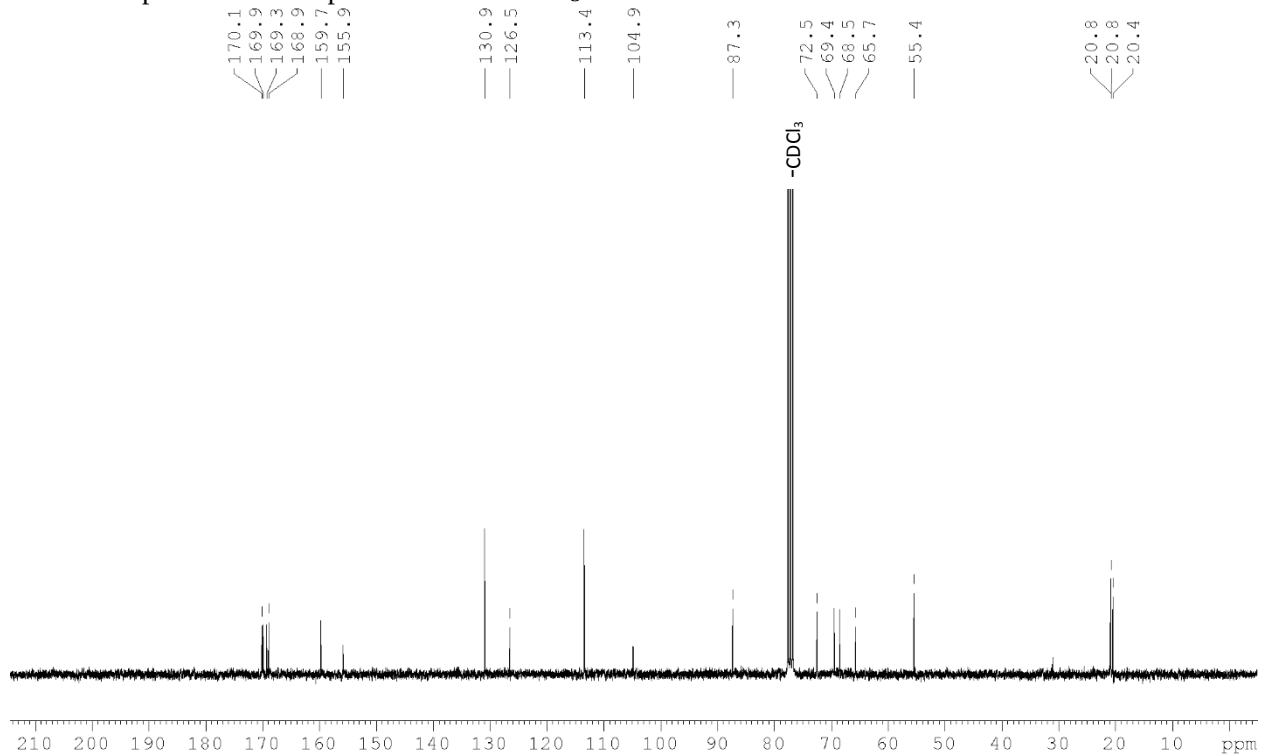
^{13}C NMR spectrum of compound **4ab** in CDCl_3



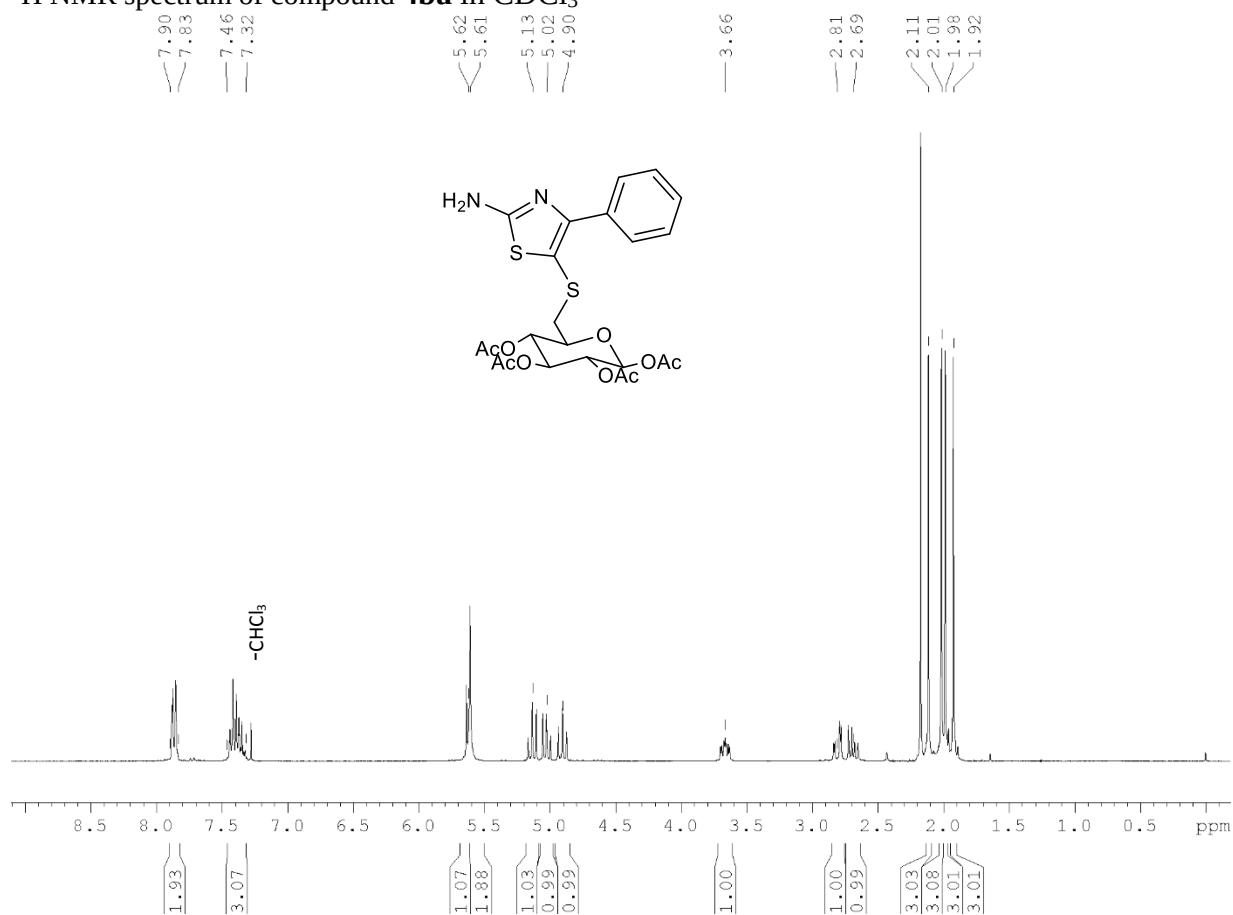
^1H NMR spectrum of compound **4ac** in CDCl_3



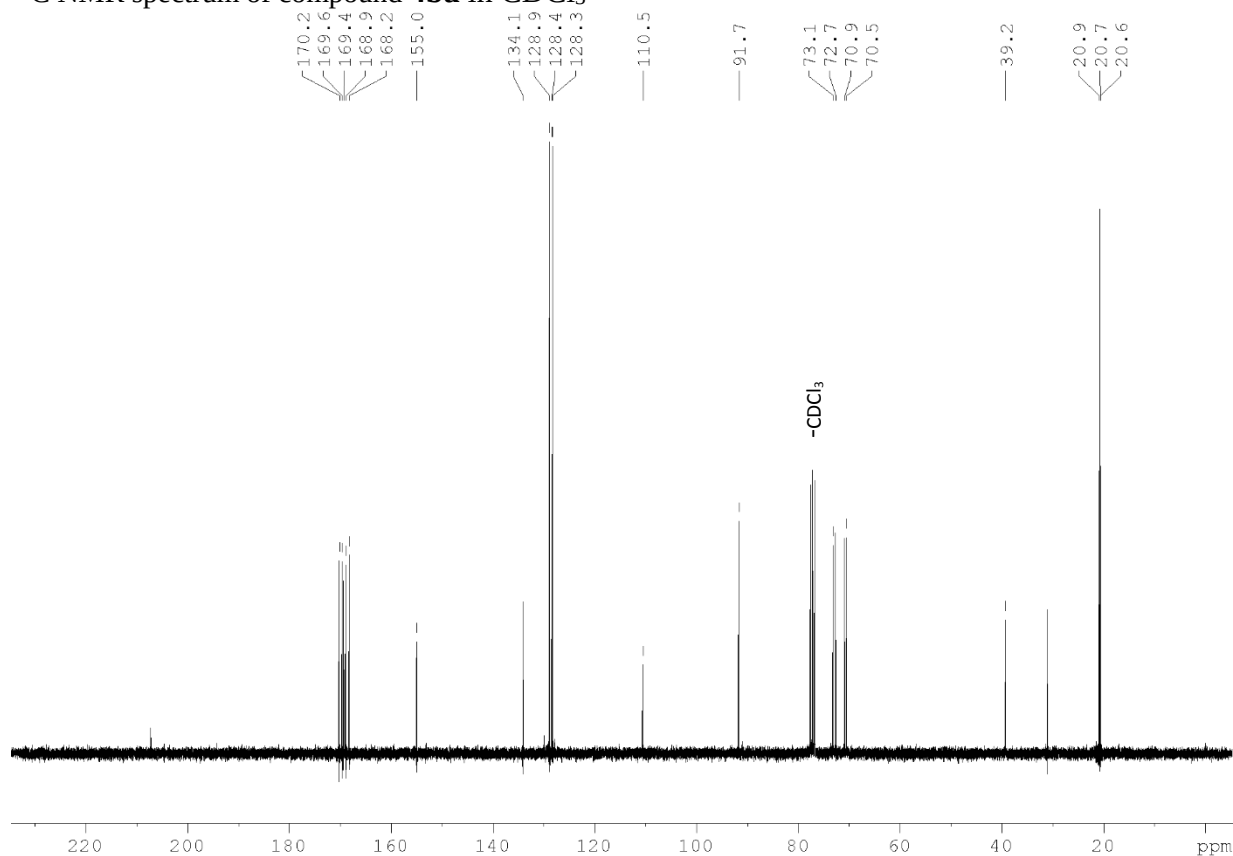
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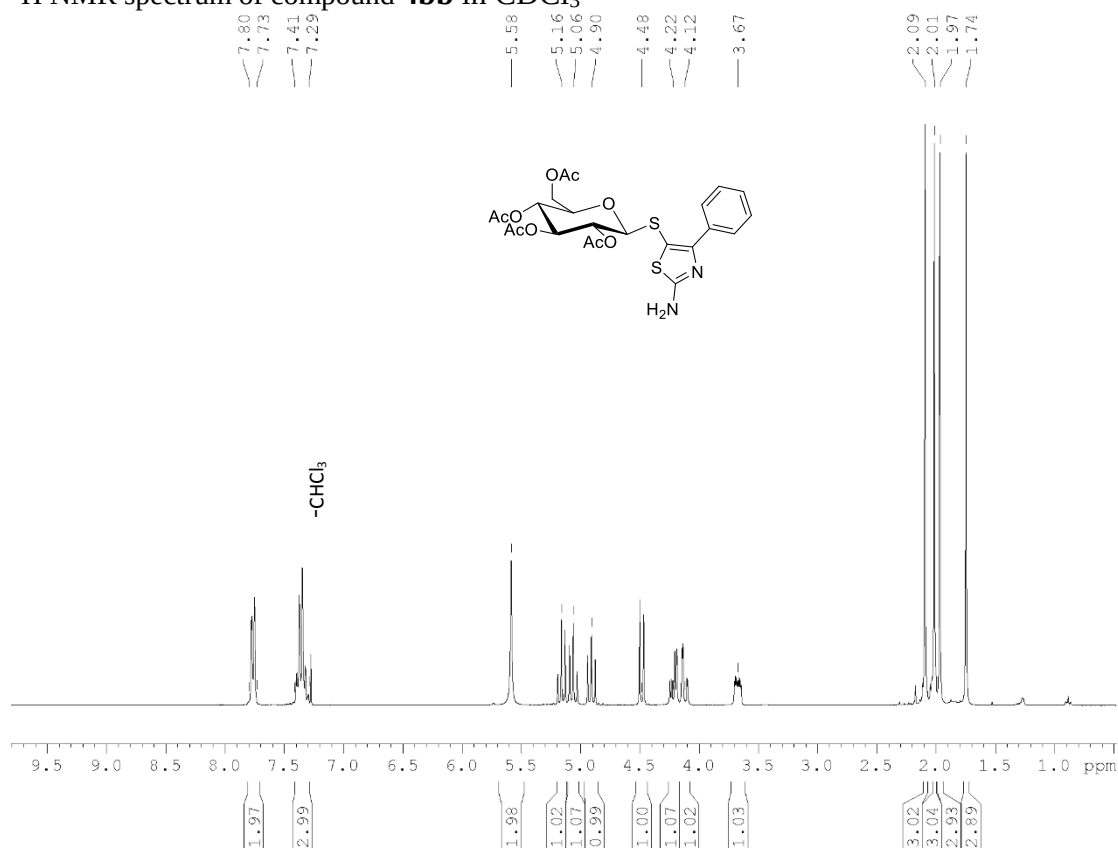
^1H NMR spectrum of compound **4ba** in CDCl_3



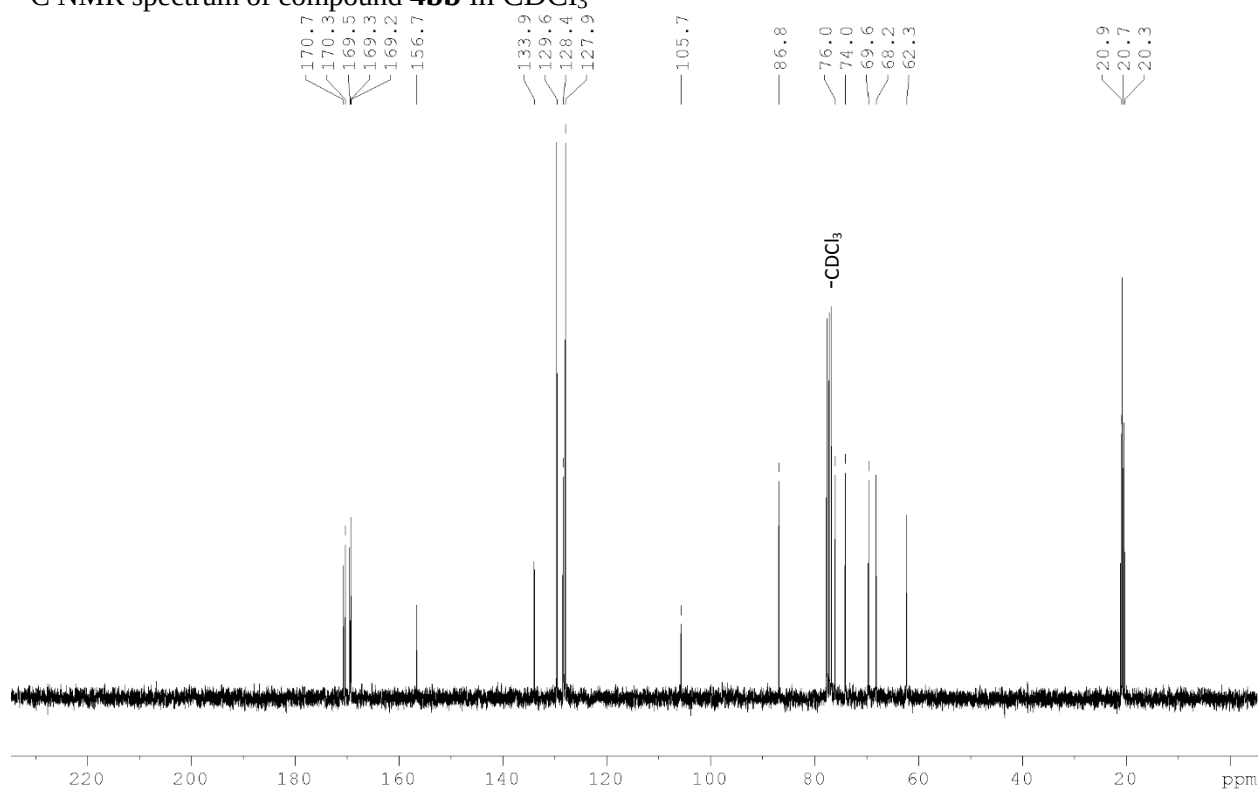
^{13}C NMR spectrum of compound **4ba** in CDCl_3



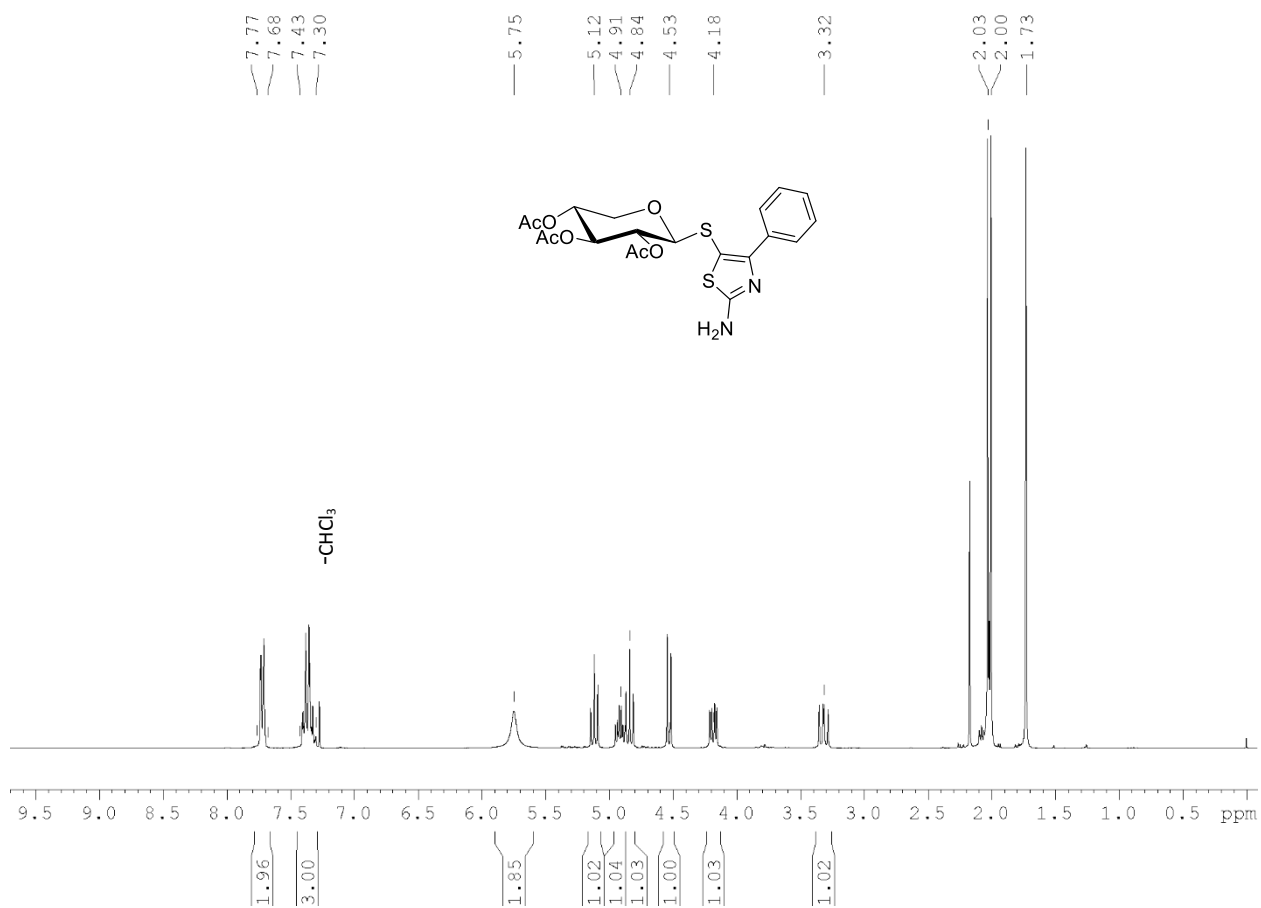
^1H NMR spectrum of compound **4bb** in CDCl_3



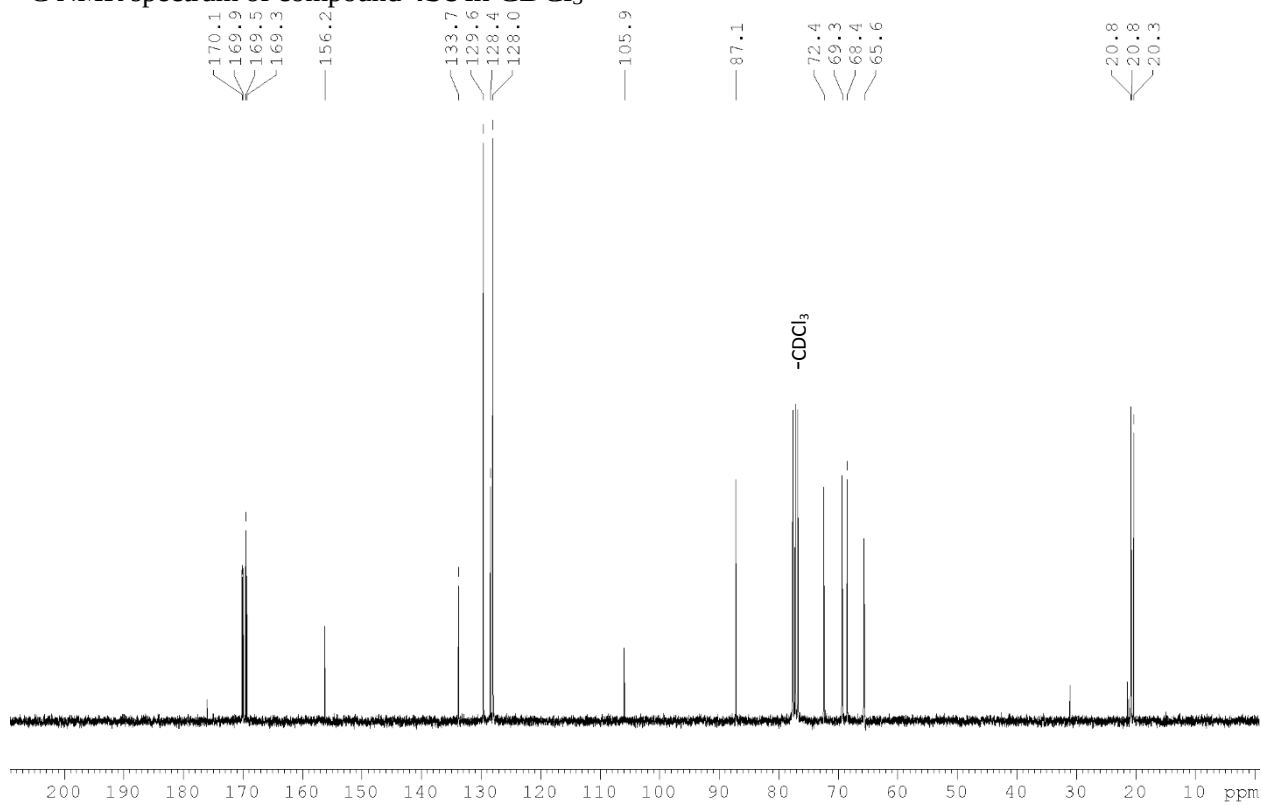
^{13}C NMR spectrum of compound **4bb** in CDCl_3



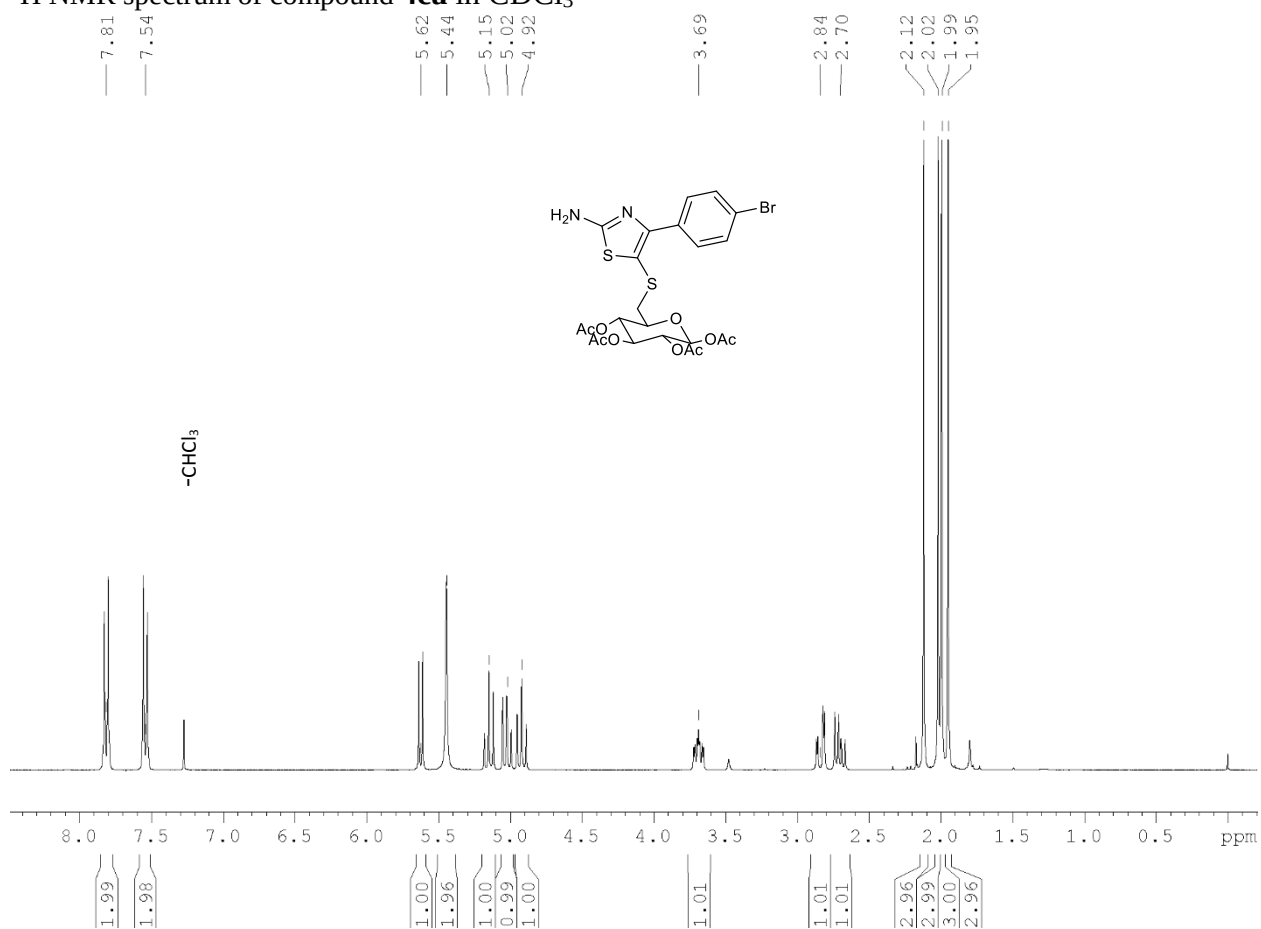
^1H NMR spectrum of compound **4bc** in CDCl_3



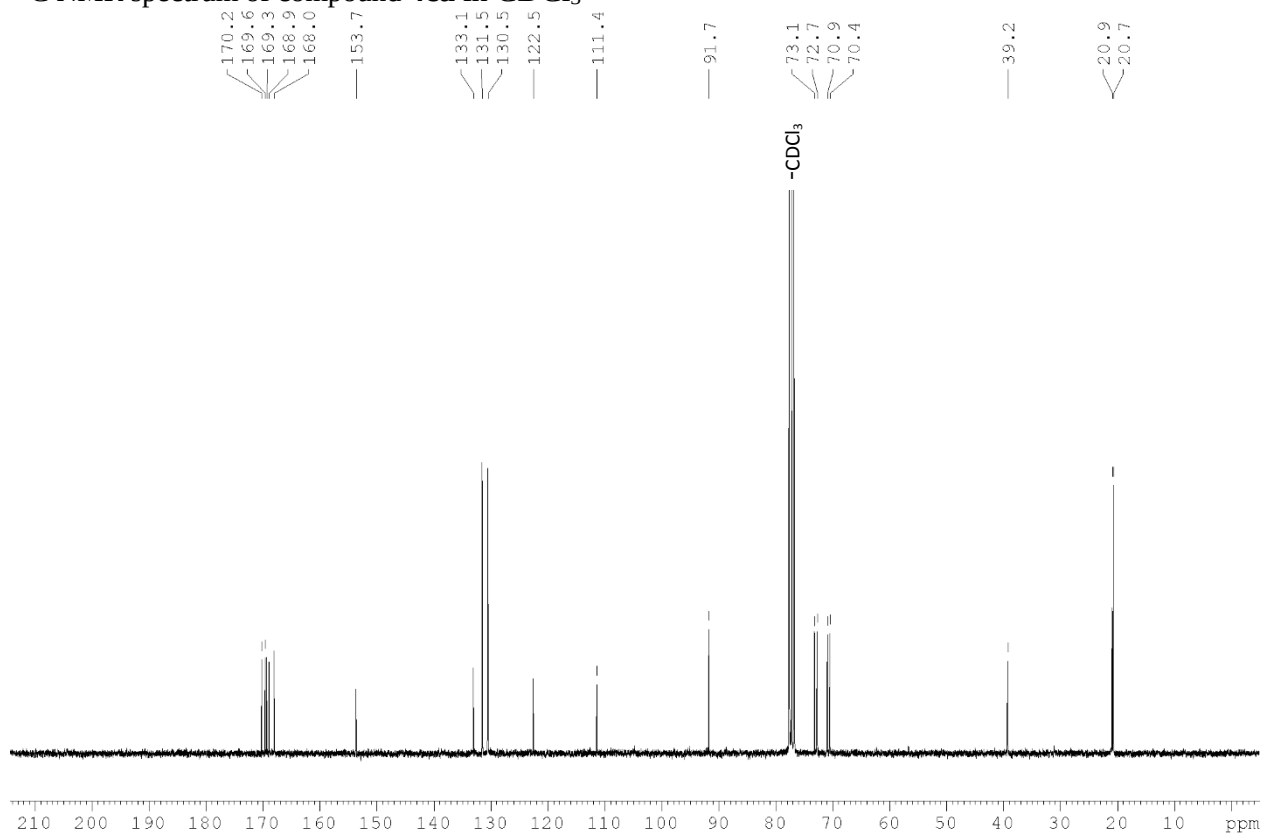
^{13}C NMR spectrum of compound **4bc** in CDCl_3



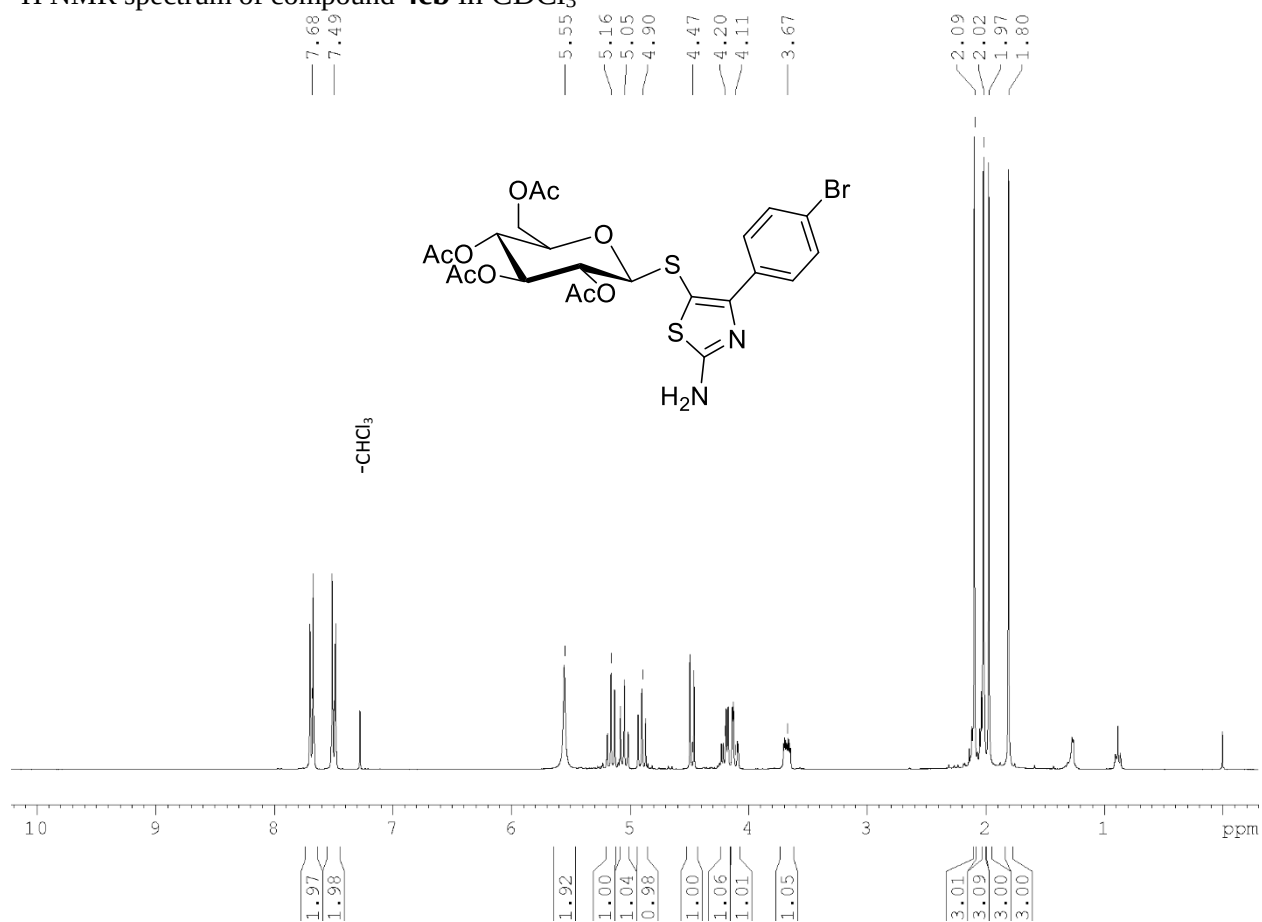
¹H NMR spectrum of compound **4ca** in CDCl₃



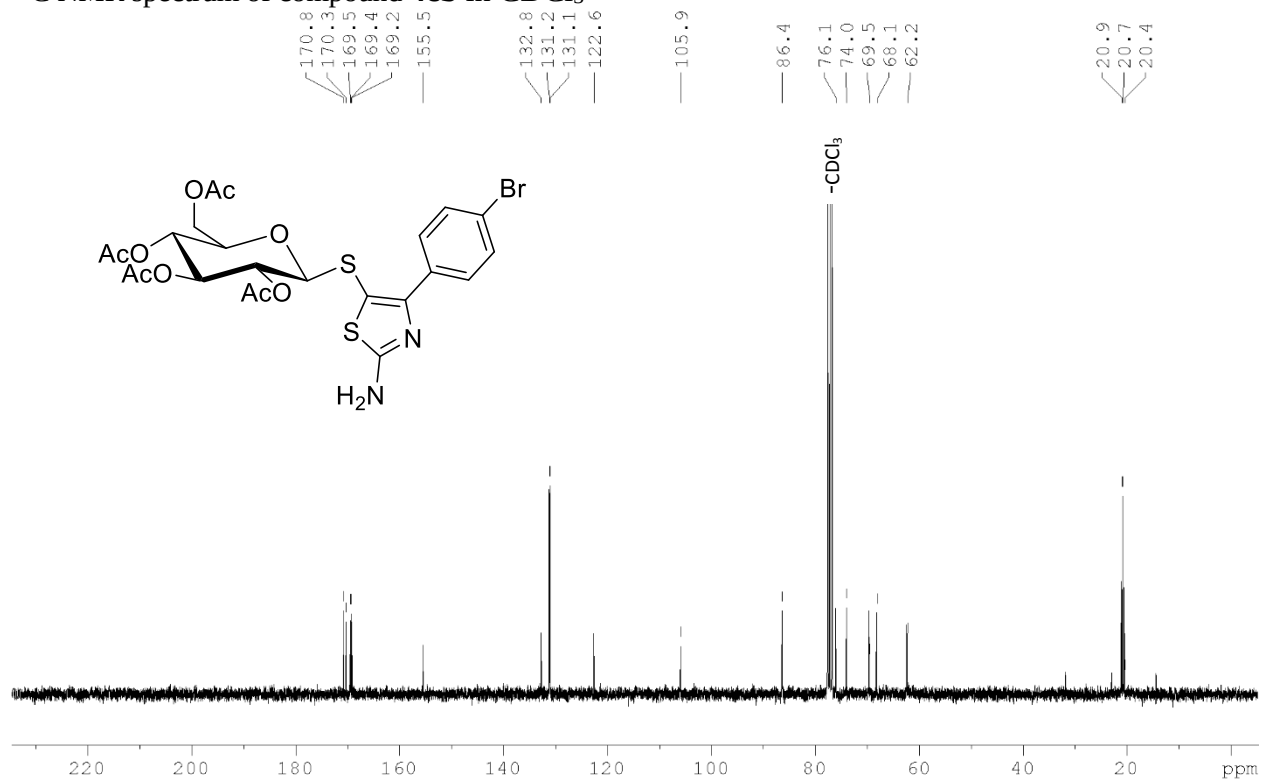
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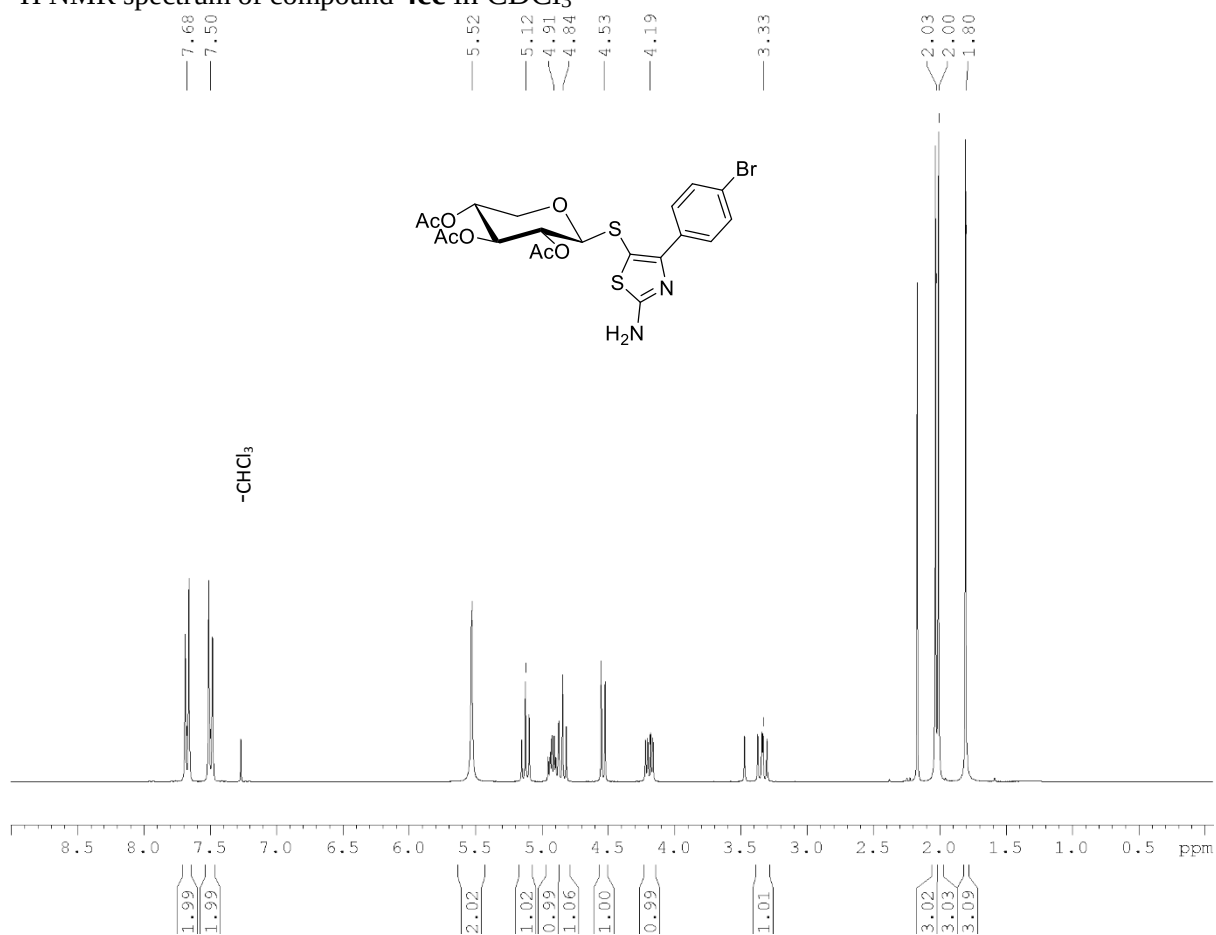
^1H NMR spectrum of compound **4cb** in CDCl_3



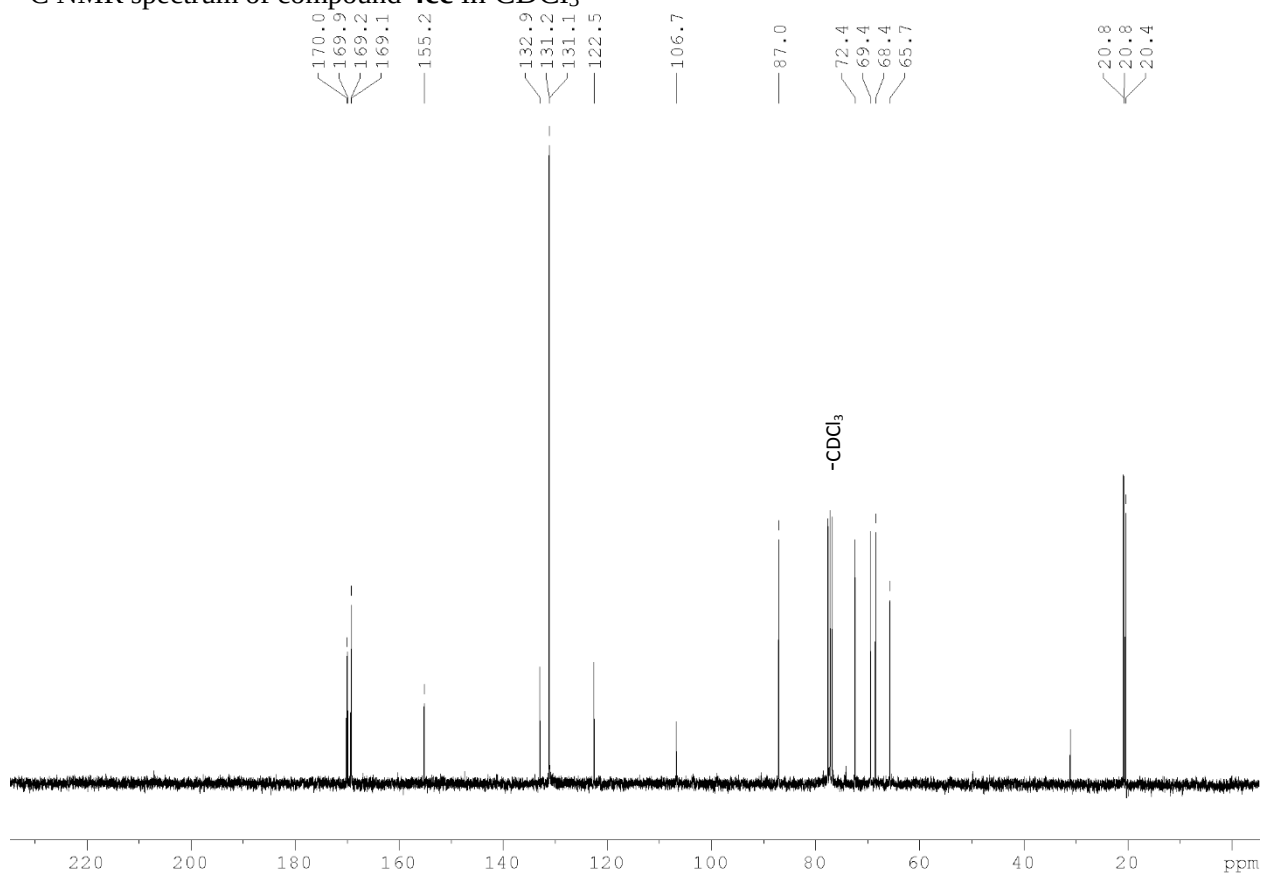
^{13}C NMR spectrum of compound **4cb** in CDCl_3



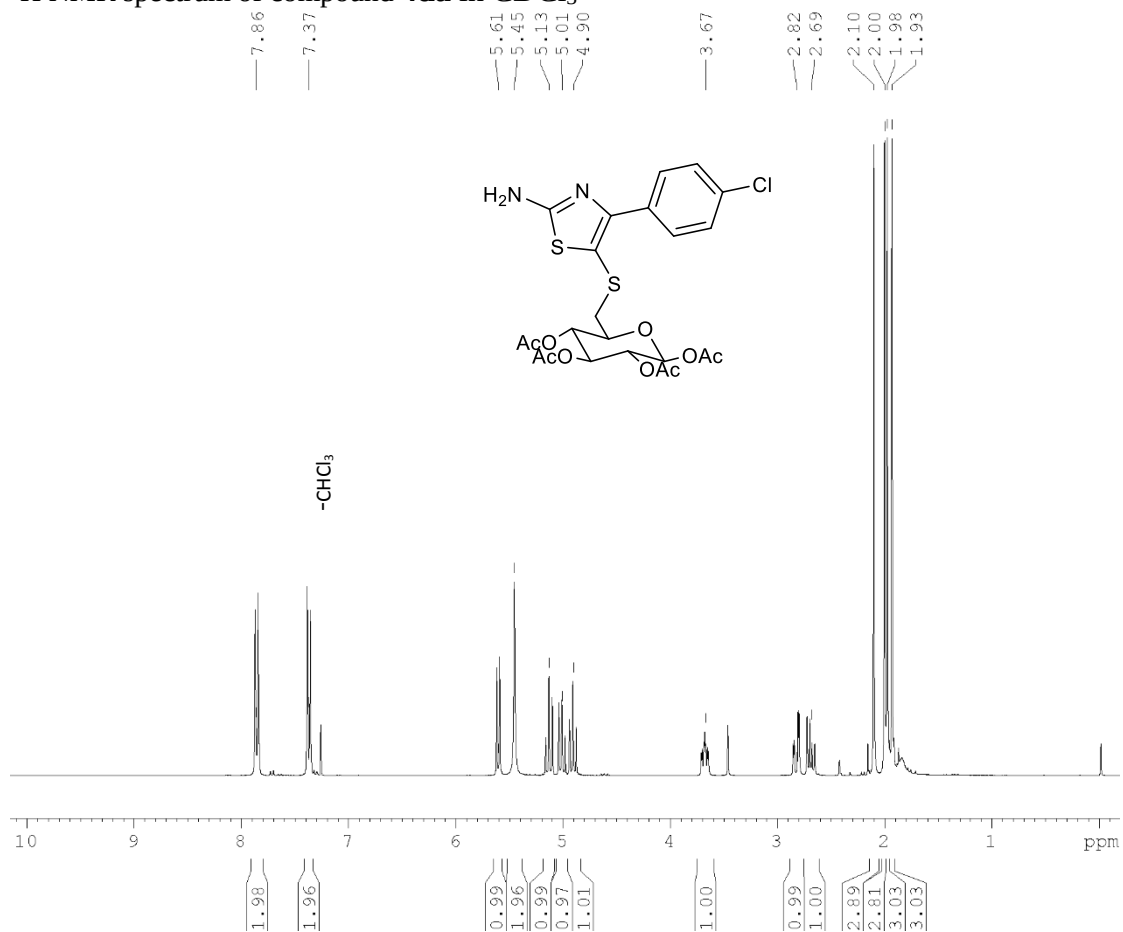
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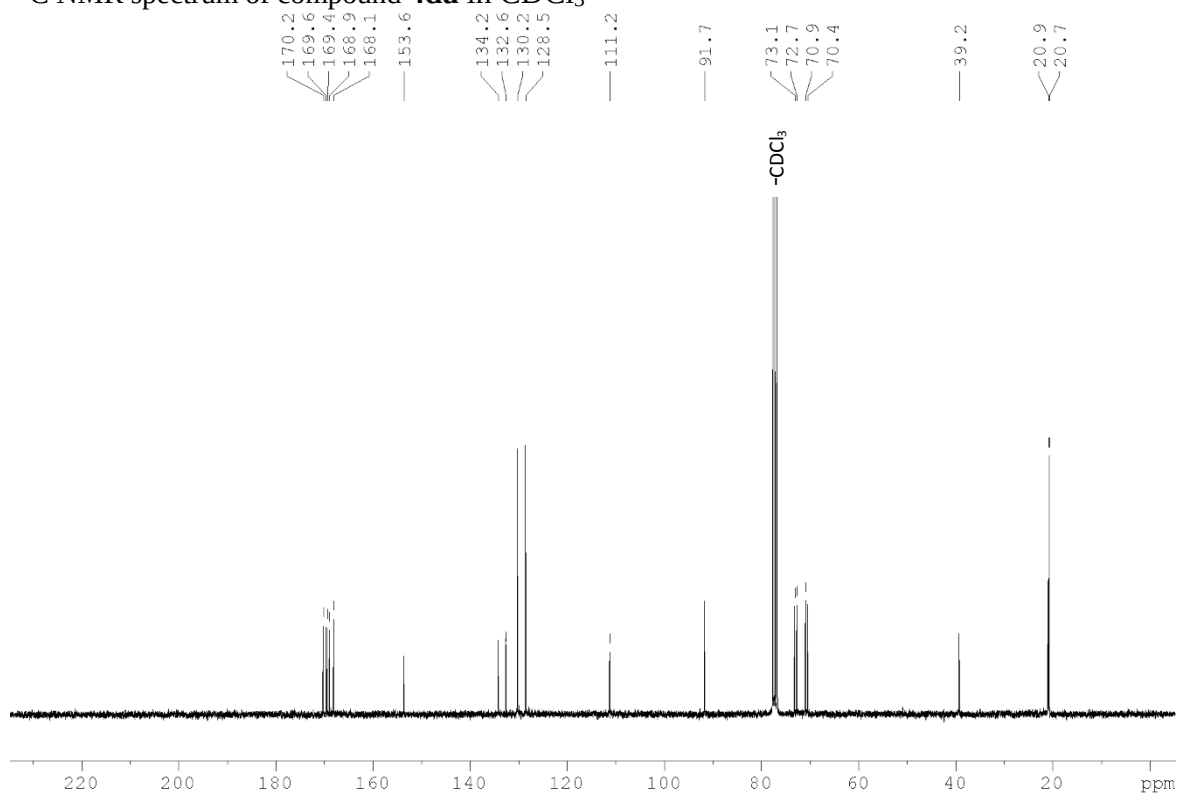
^{13}C NMR spectrum of compound **4cc** in CDCl_3



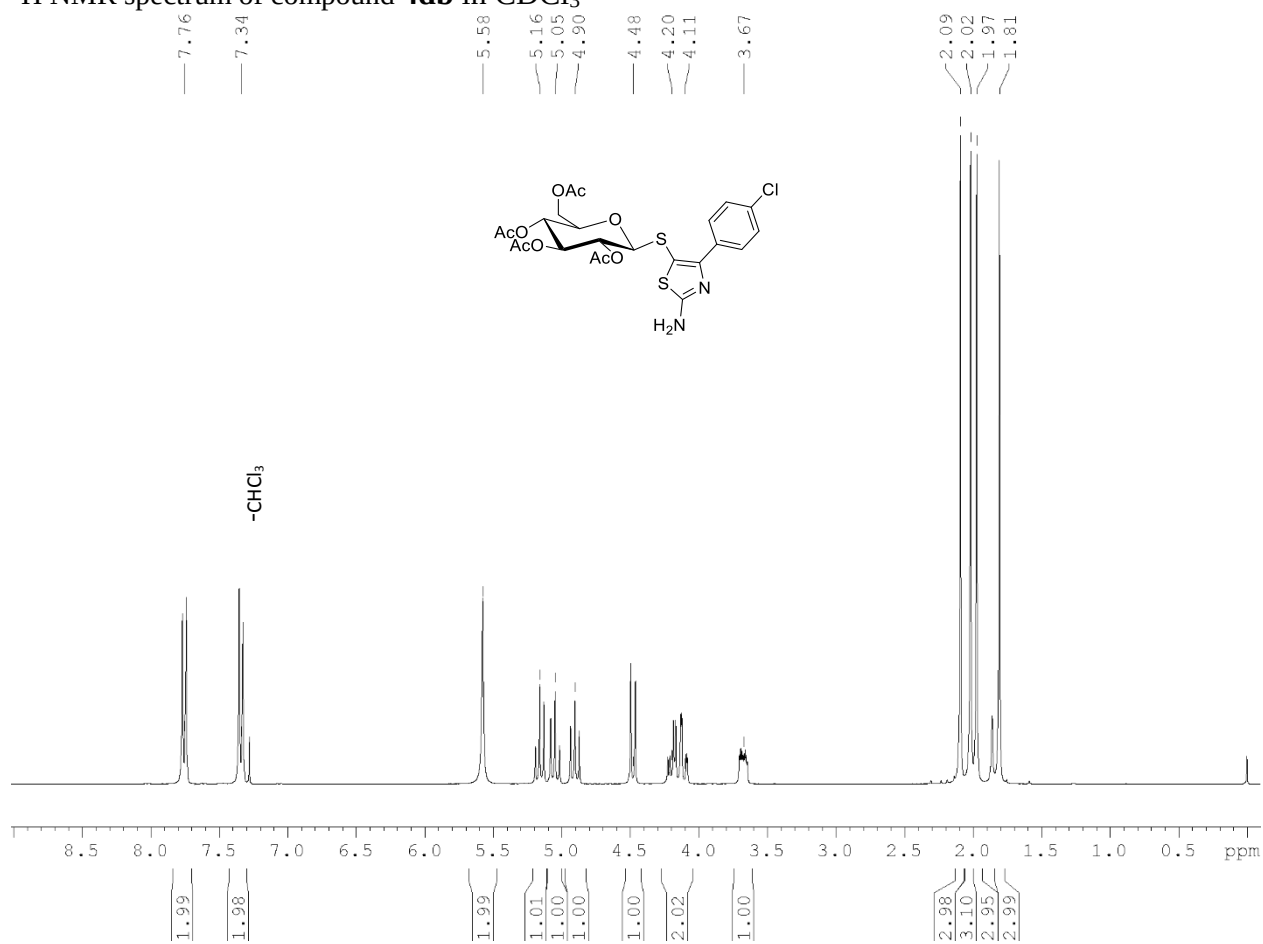
^1H NMR spectrum of compound **4da** in CDCl_3



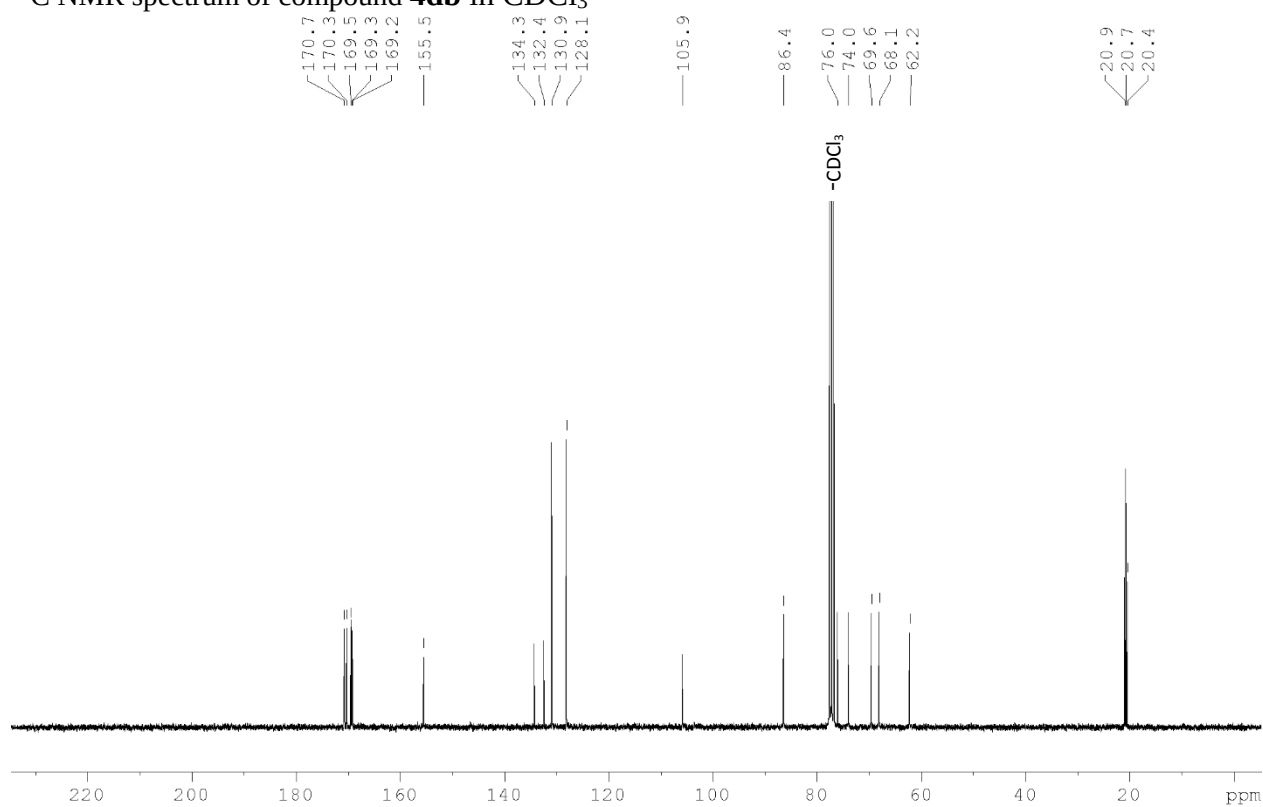
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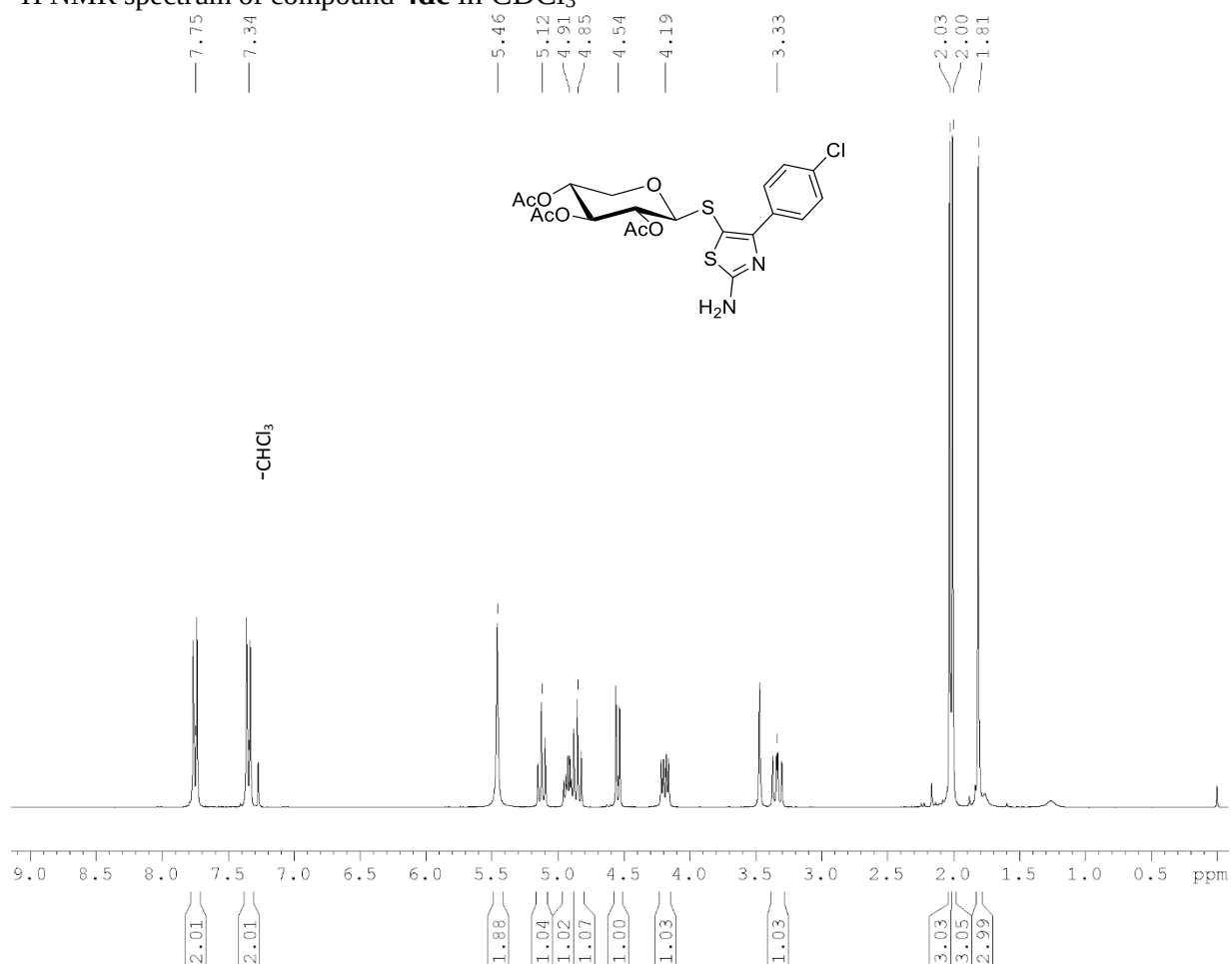
^1H NMR spectrum of compound **4db** in CDCl_3



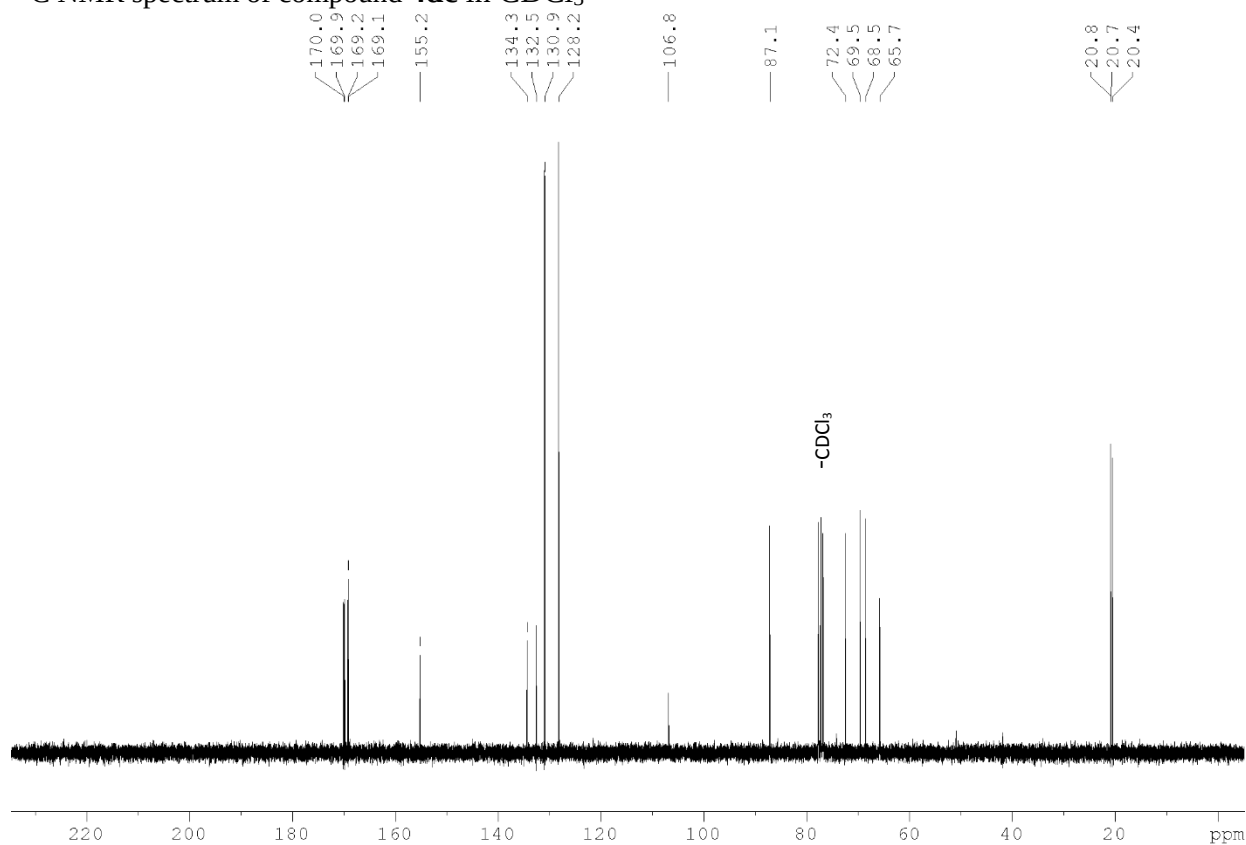
^{13}C NMR spectrum of compound **4db** in CDCl_3



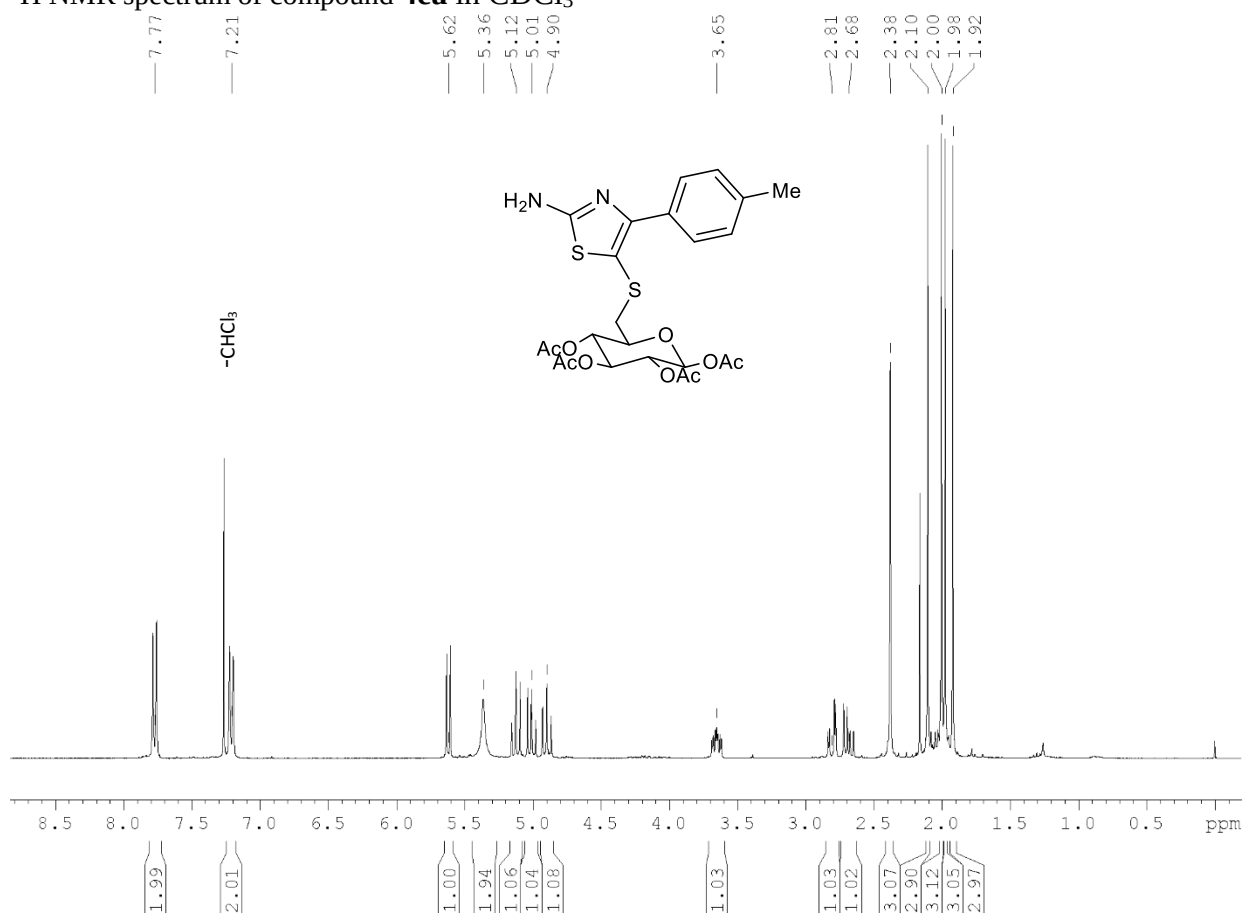
^1H NMR spectrum of compound **4dc** in CDCl_3



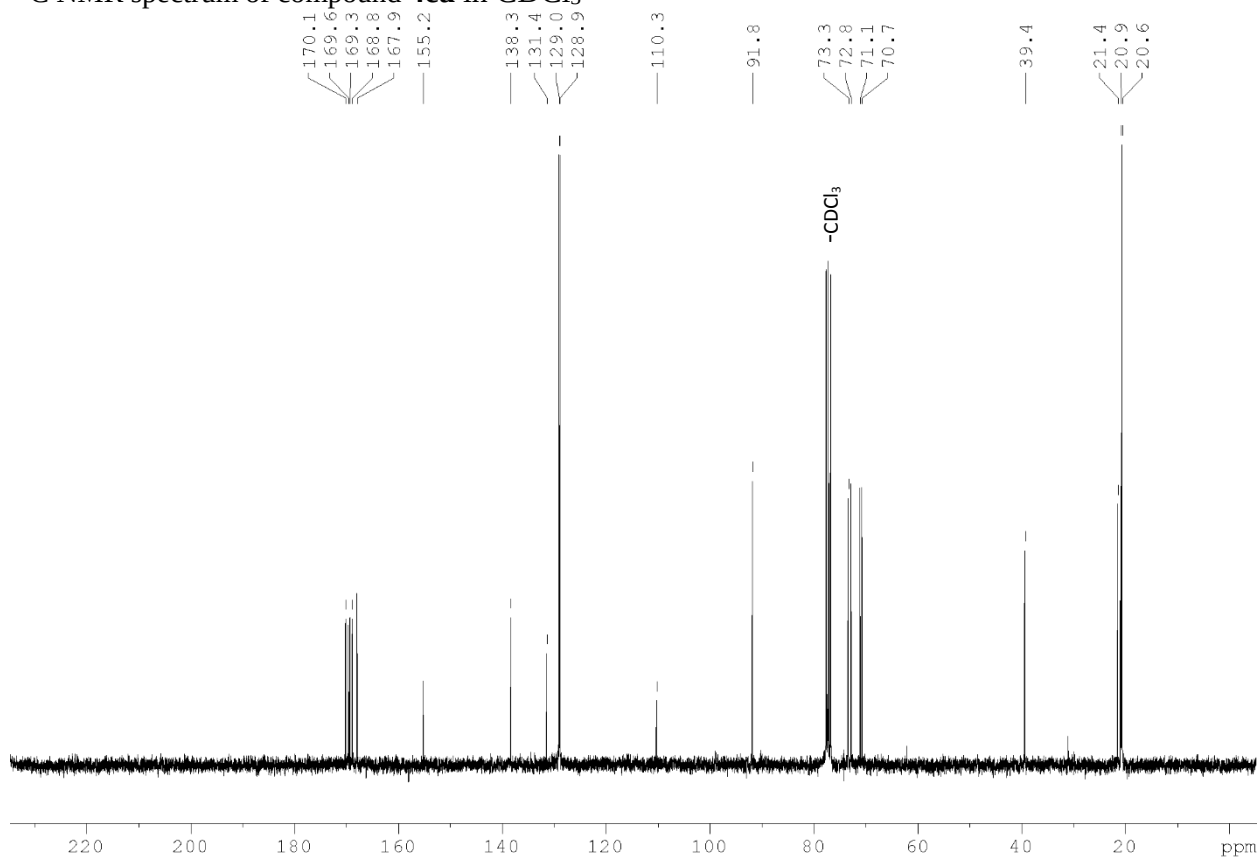
^{13}C NMR spectrum of compound **4dc** in CDCl_3



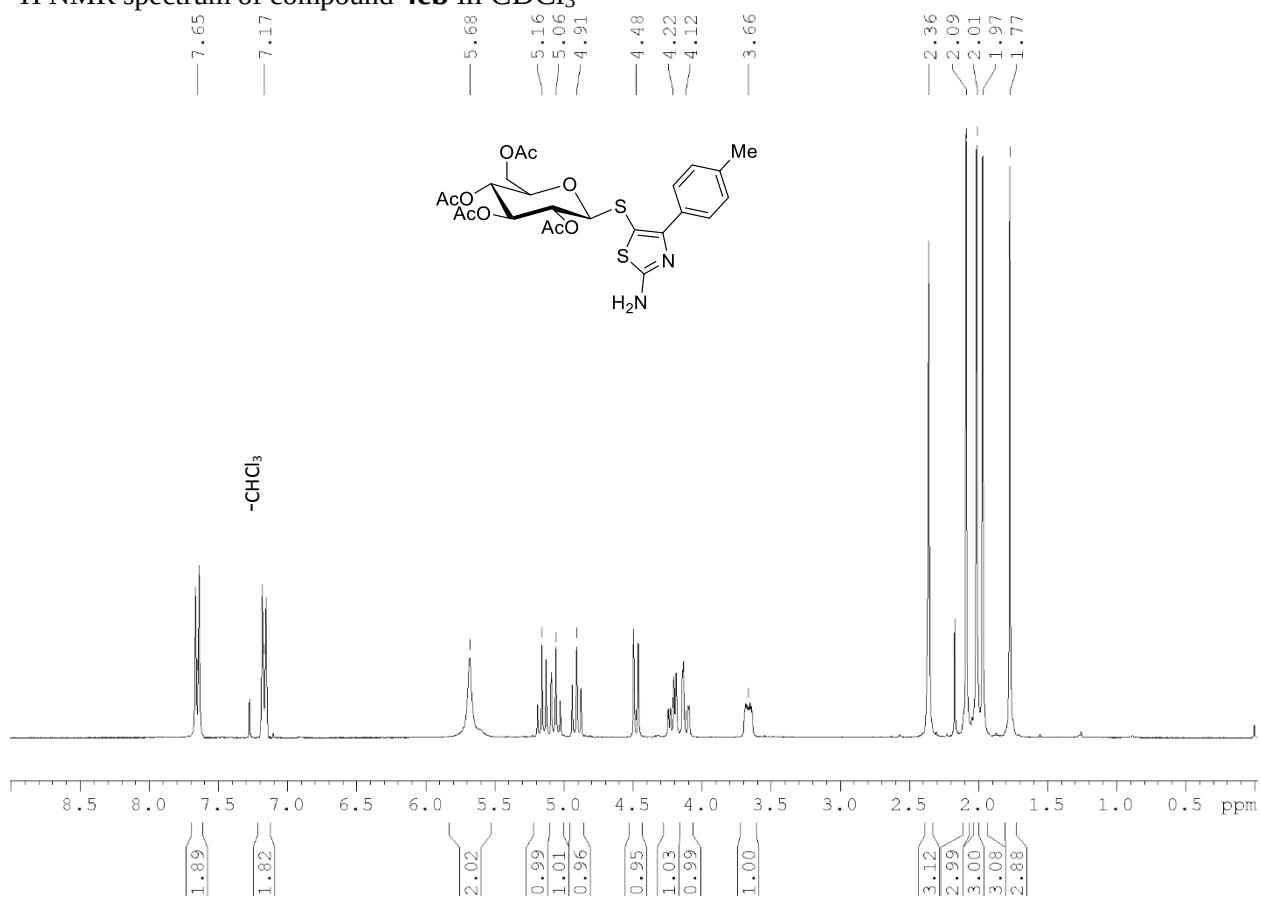
^1H NMR spectrum of compound **4ea** in CDCl_3



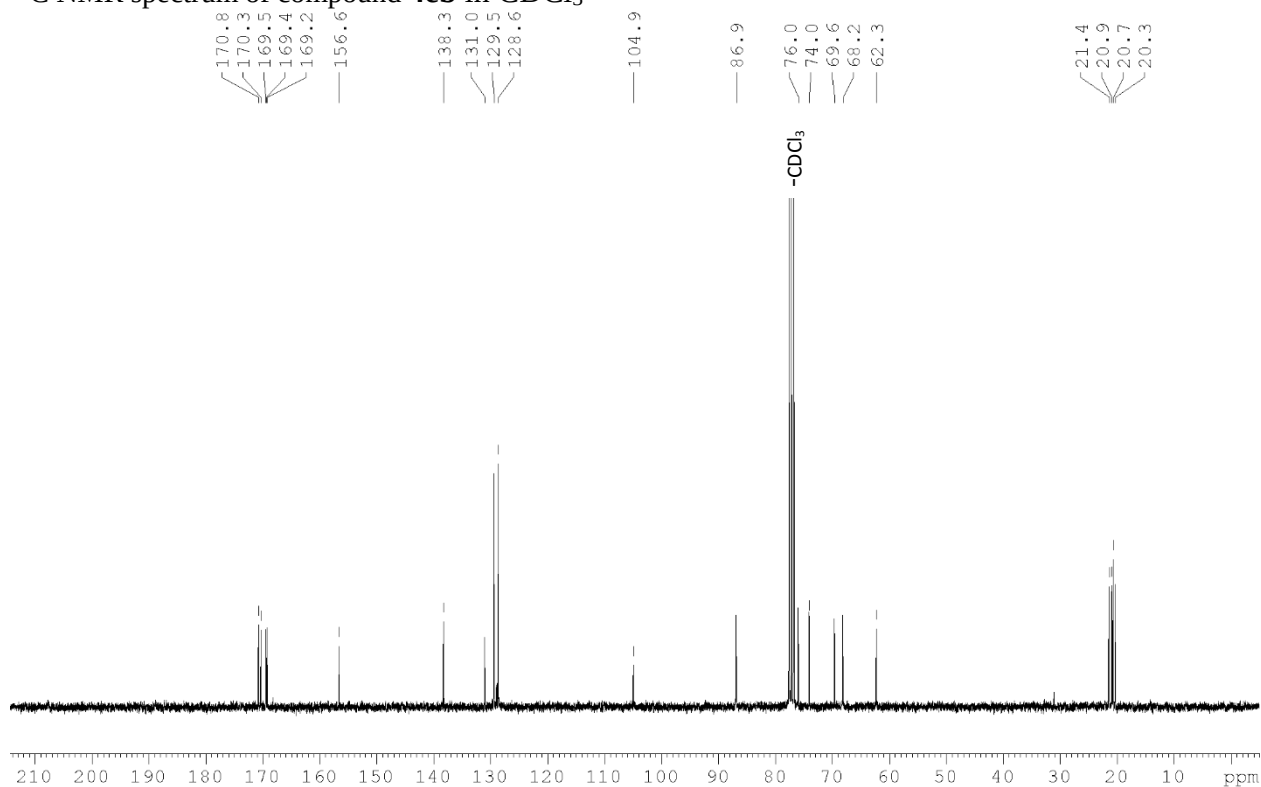
^{13}C NMR spectrum of compound **4ea** in CDCl_3



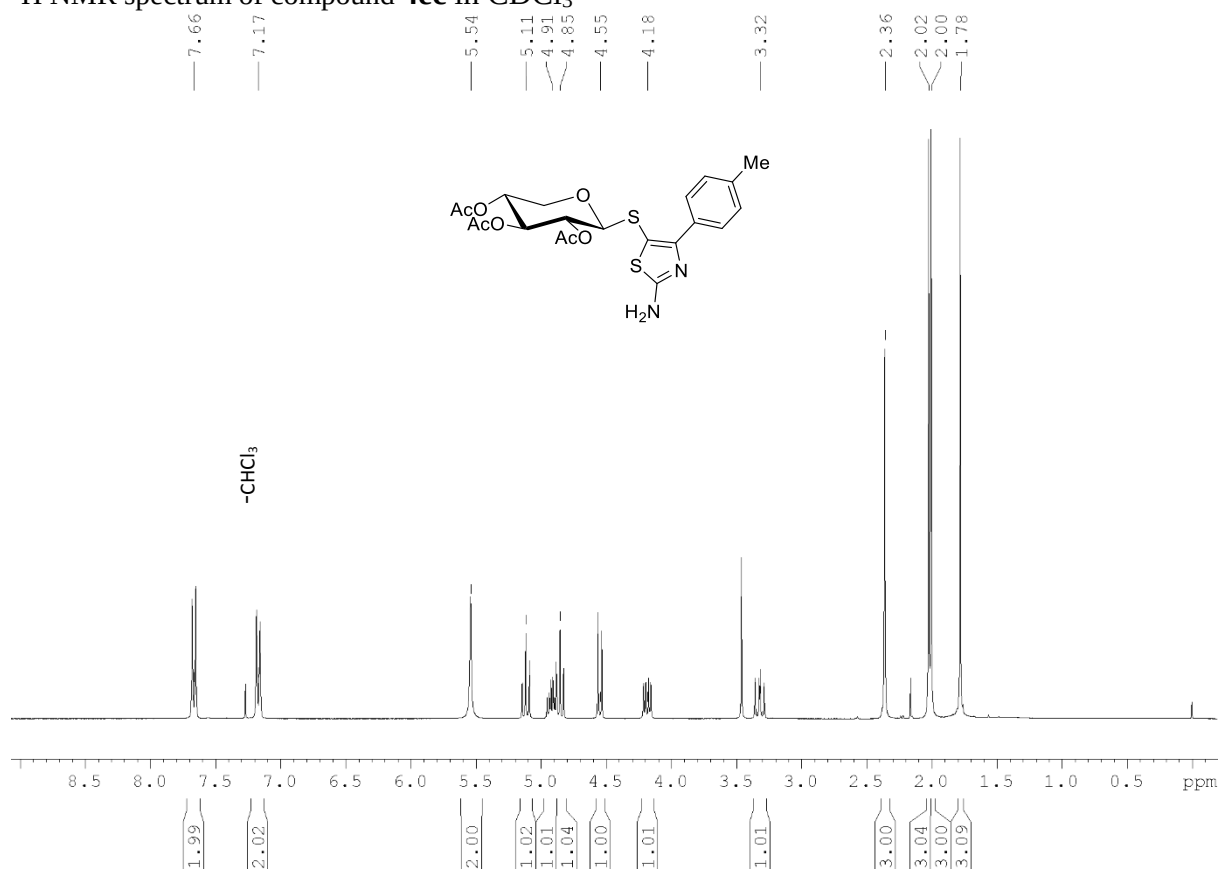
^1H NMR spectrum of compound **4eb** in CDCl_3



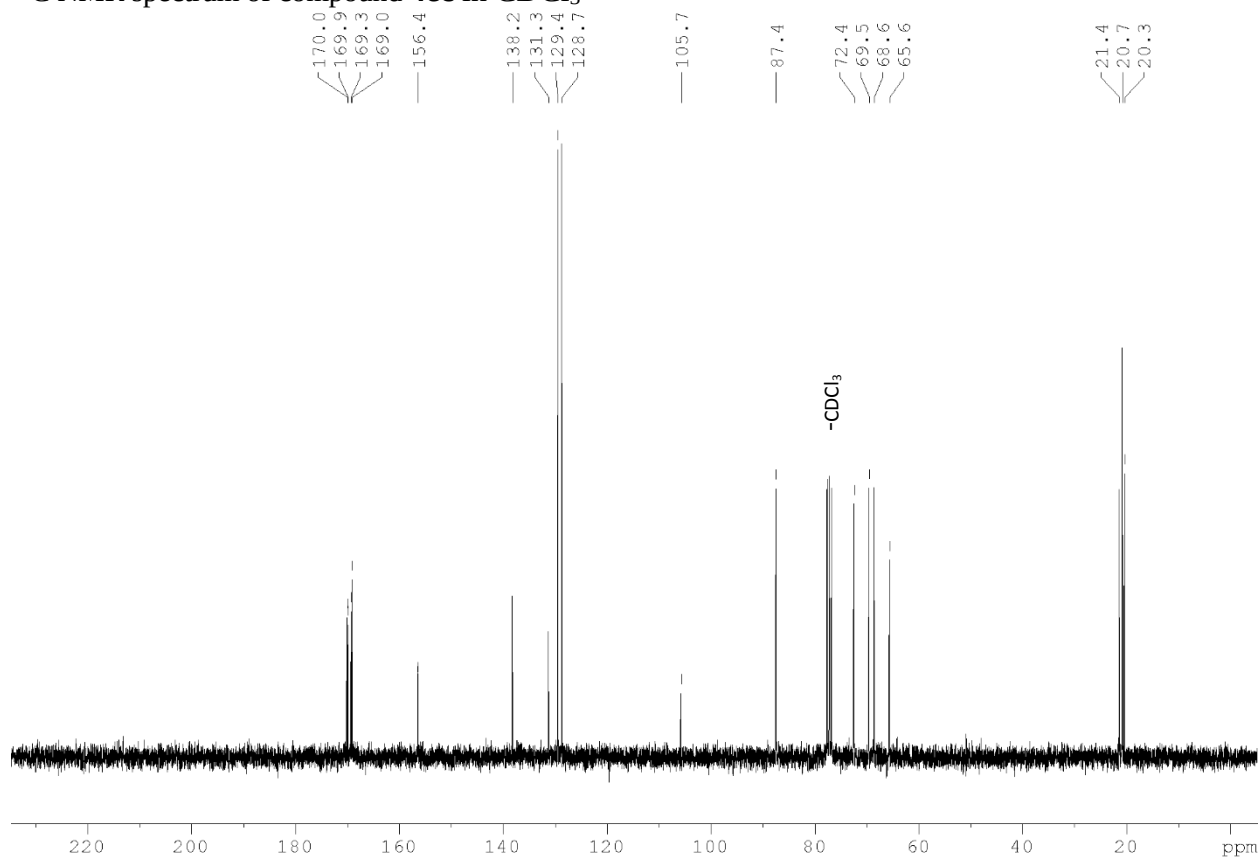
^{13}C NMR spectrum of compound **4eb** in CDCl_3



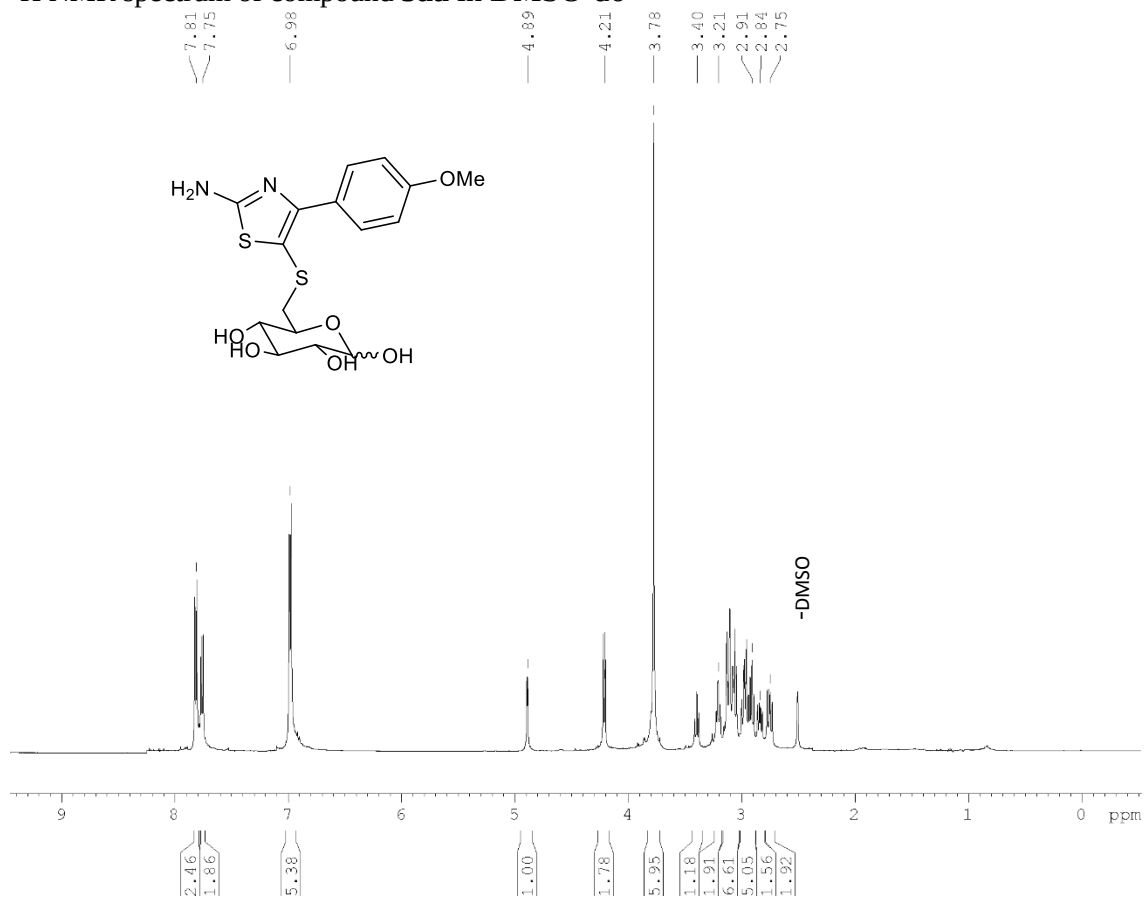
^1H NMR spectrum of compound **4ec** in CDCl_3



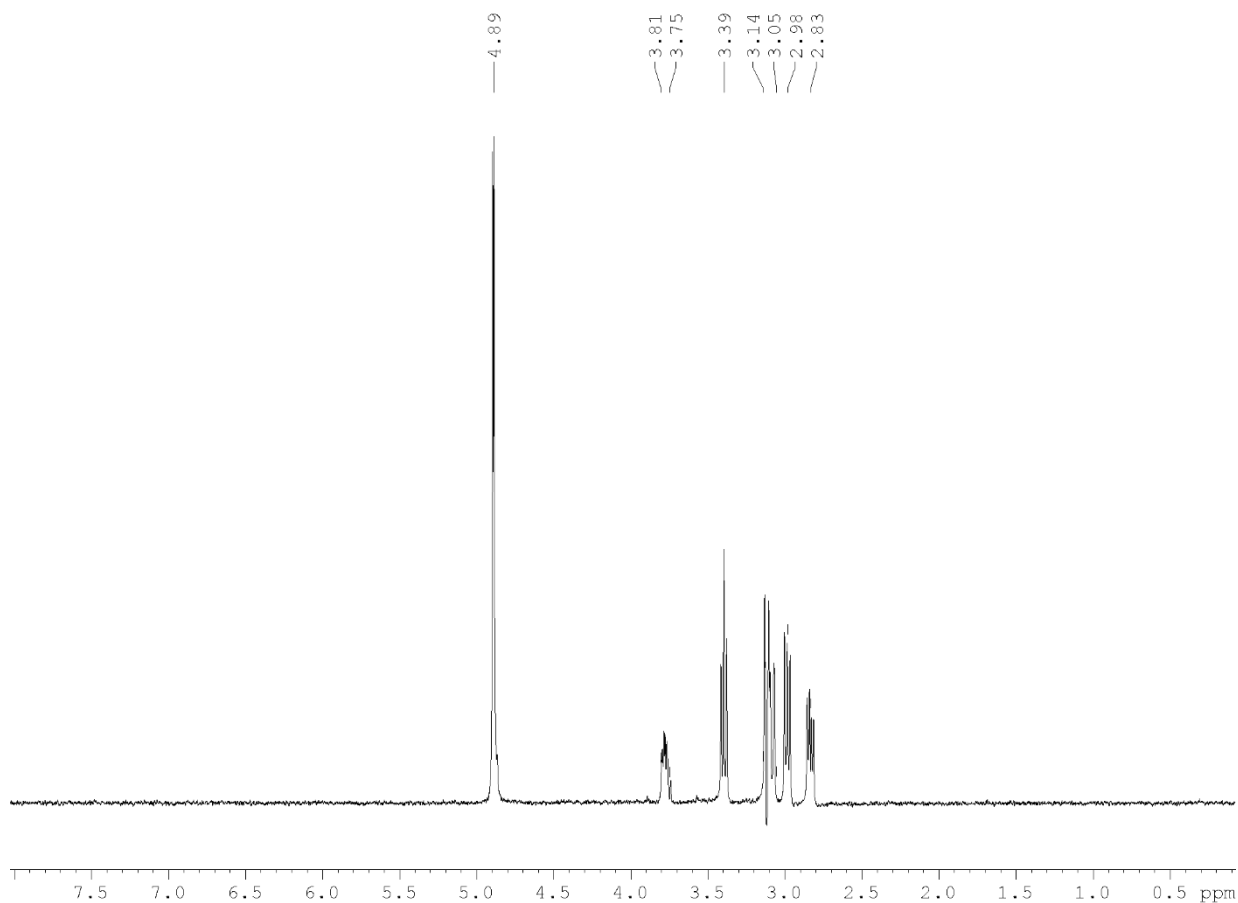
^{13}C NMR spectrum of compound **4ec** in CDCl_3



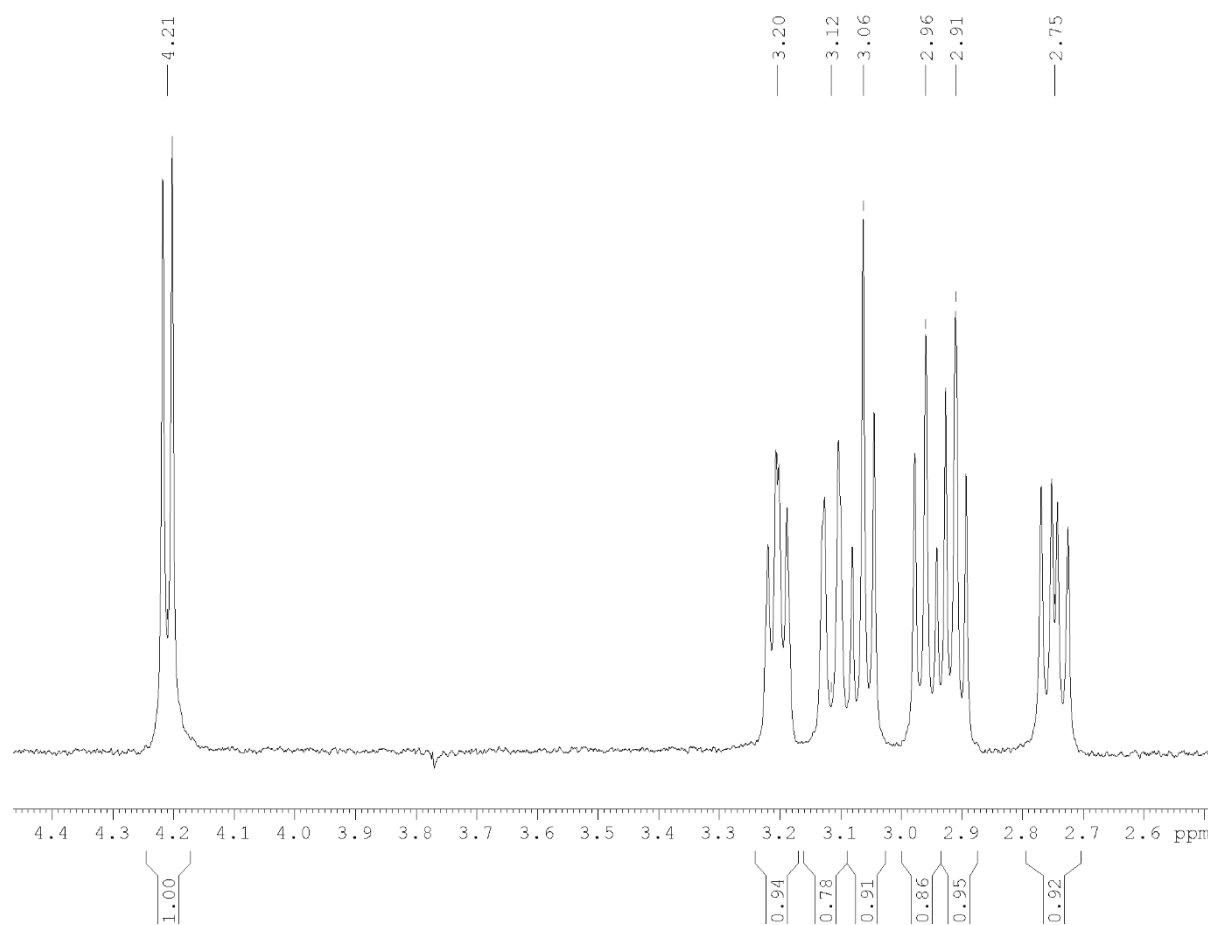
¹H NMR spectrum of compound **5aa** in DMSO-d₆



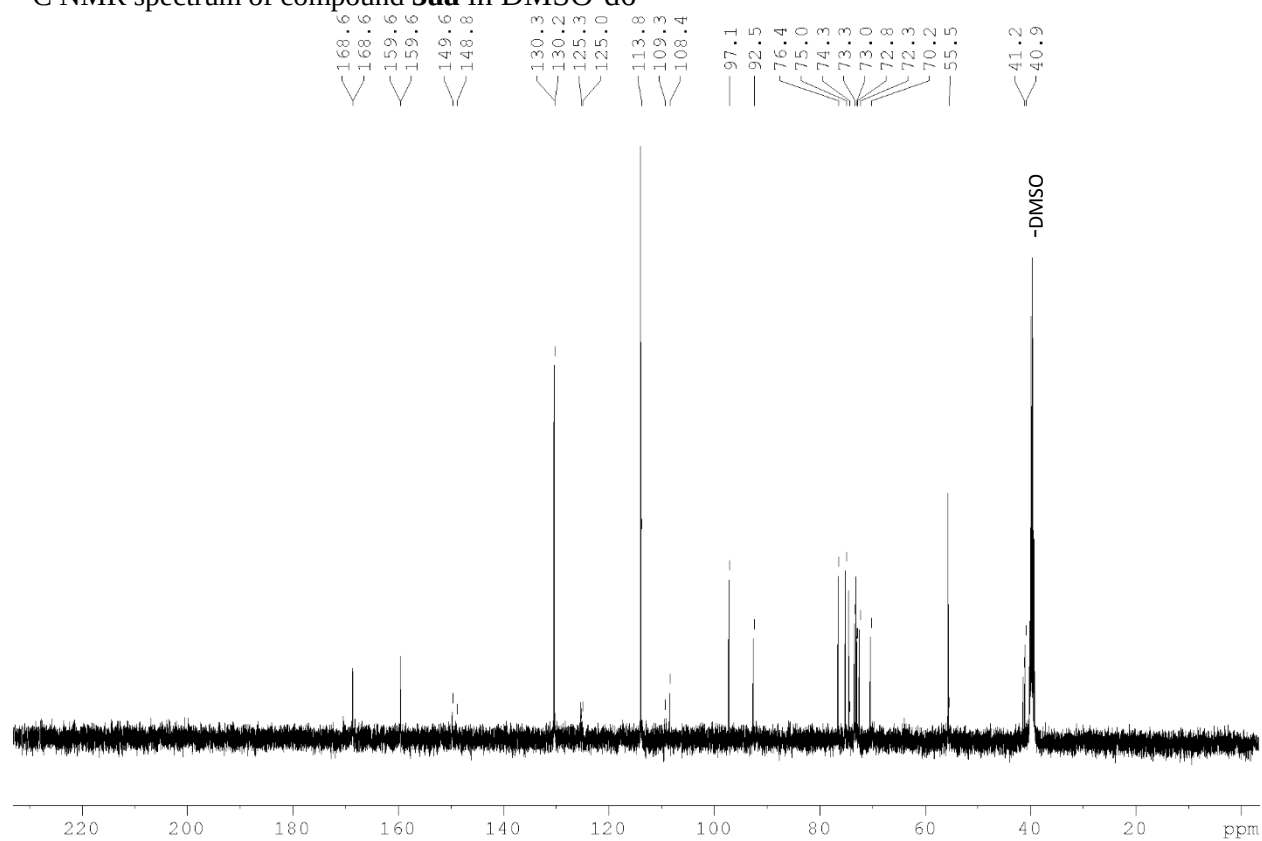
1D TOCSY spectrum of compound **5aa** (α-form) in DMSO-d₆



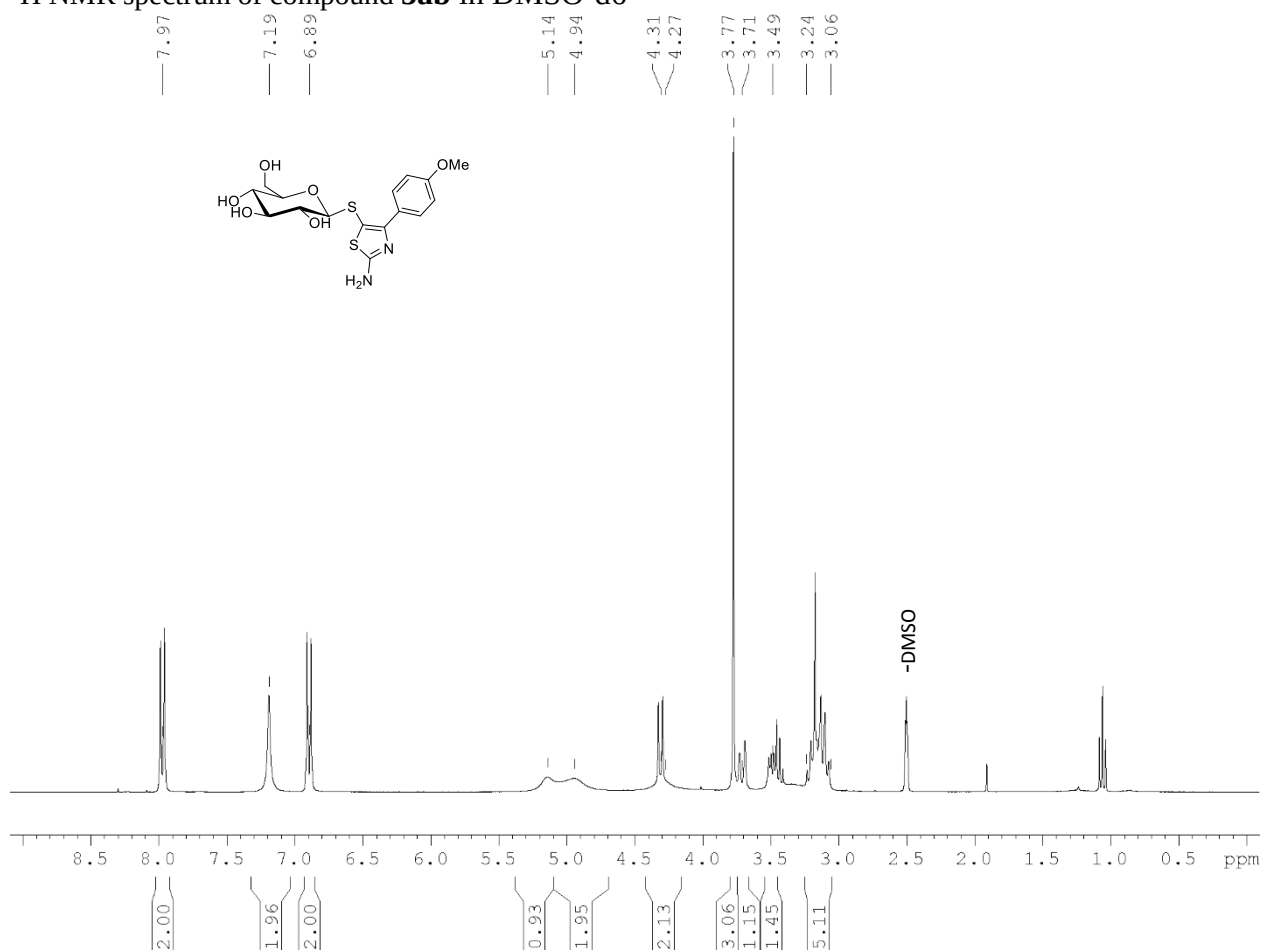
1D TOCSY spectrum of compound **5aa** (β -form) in DMSO-d₆



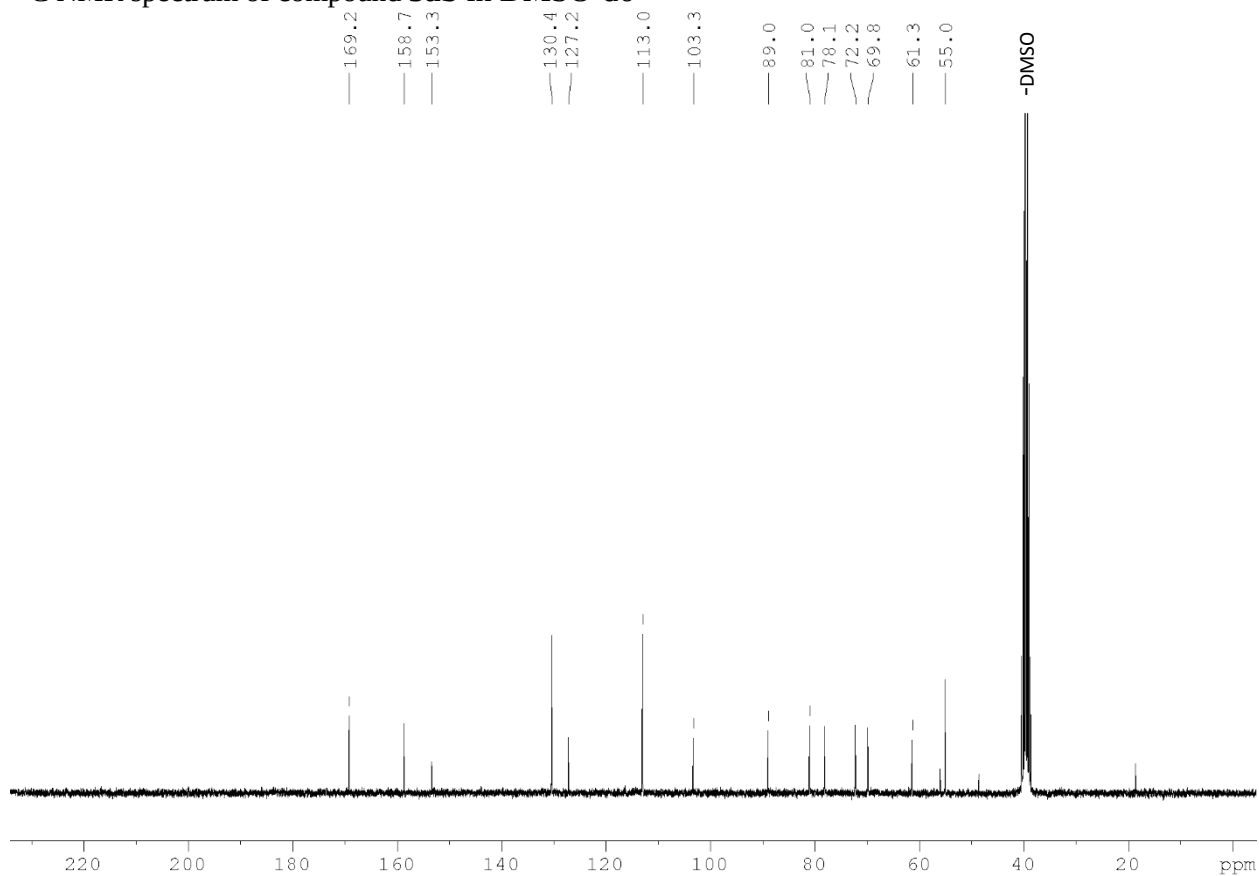
¹³C NMR spectrum of compound **5aa** in DMSO-d₆



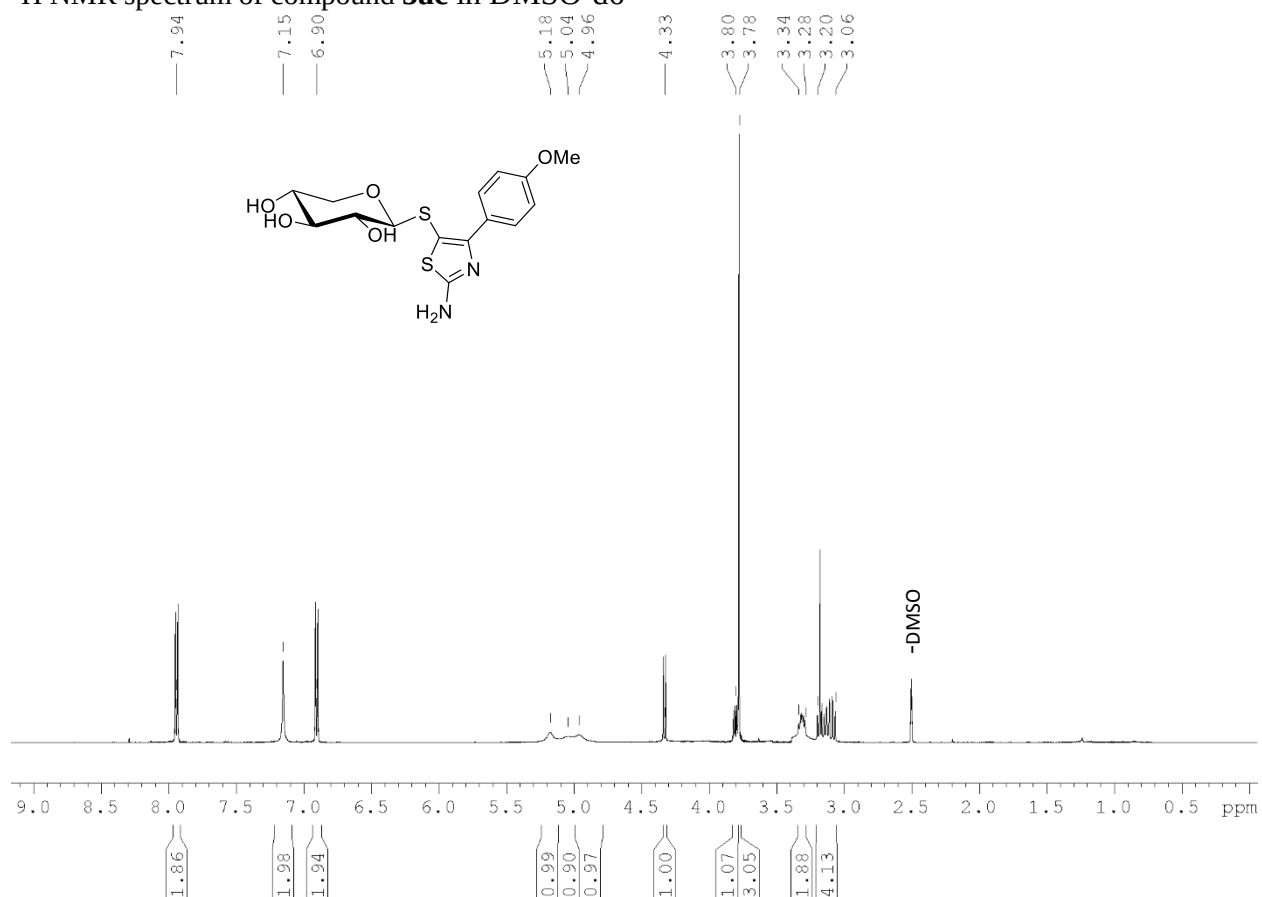
^1H NMR spectrum of compound **5ab** in DMSO- d_6



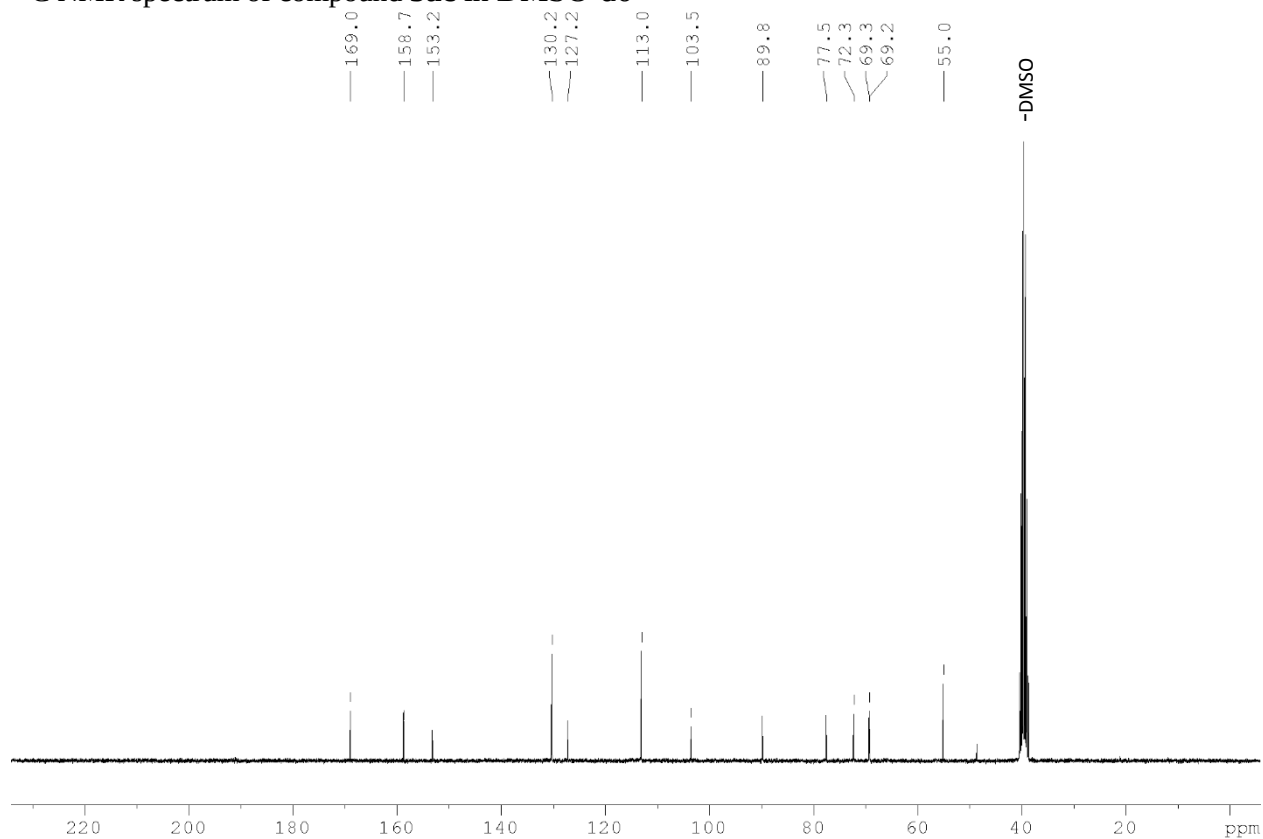
^{13}C NMR spectrum of compound **5ab** in DMSO- d_6



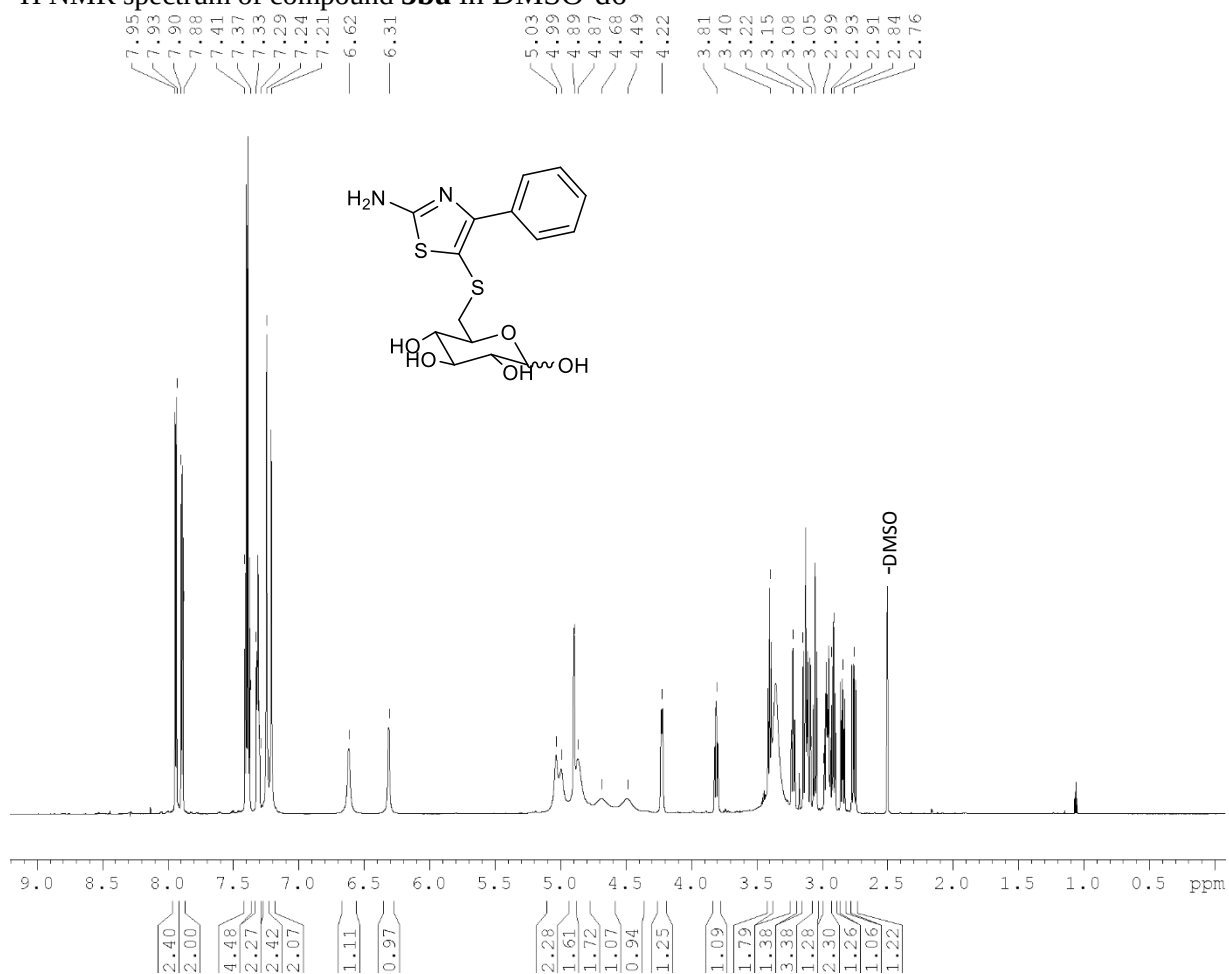
¹H NMR spectrum of compound **5ac** in DMSO-d₆



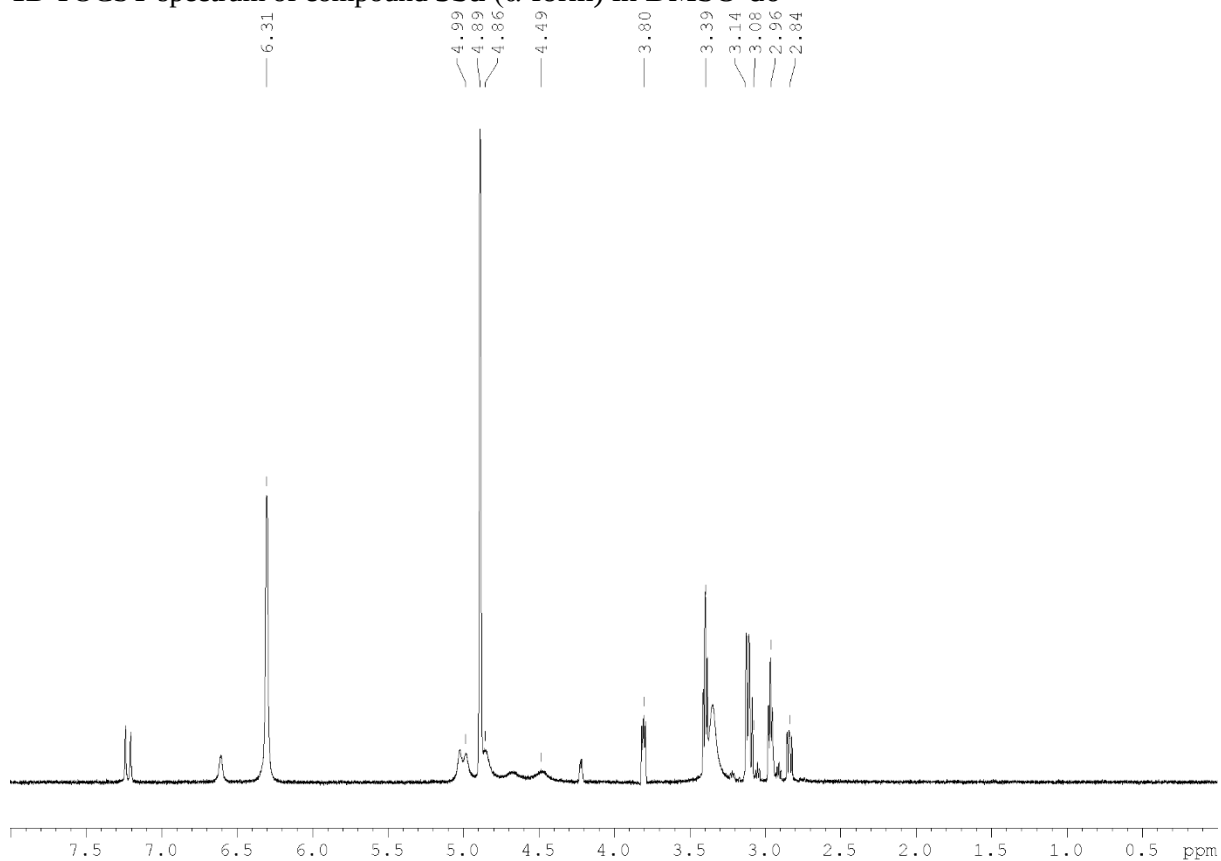
¹³C NMR spectrum of compound **5ac** in DMSO-d₆



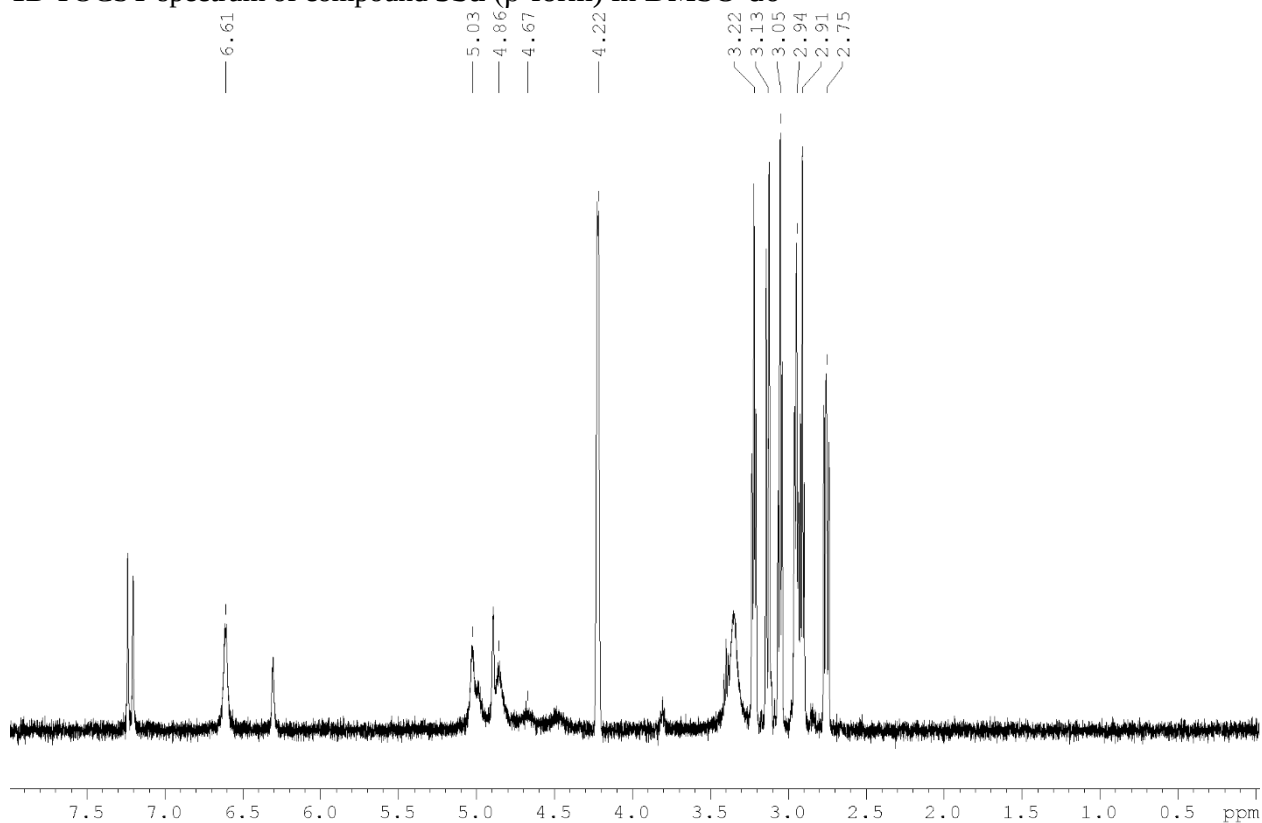
¹H NMR spectrum of compound **5ba** in DMSO-d₆



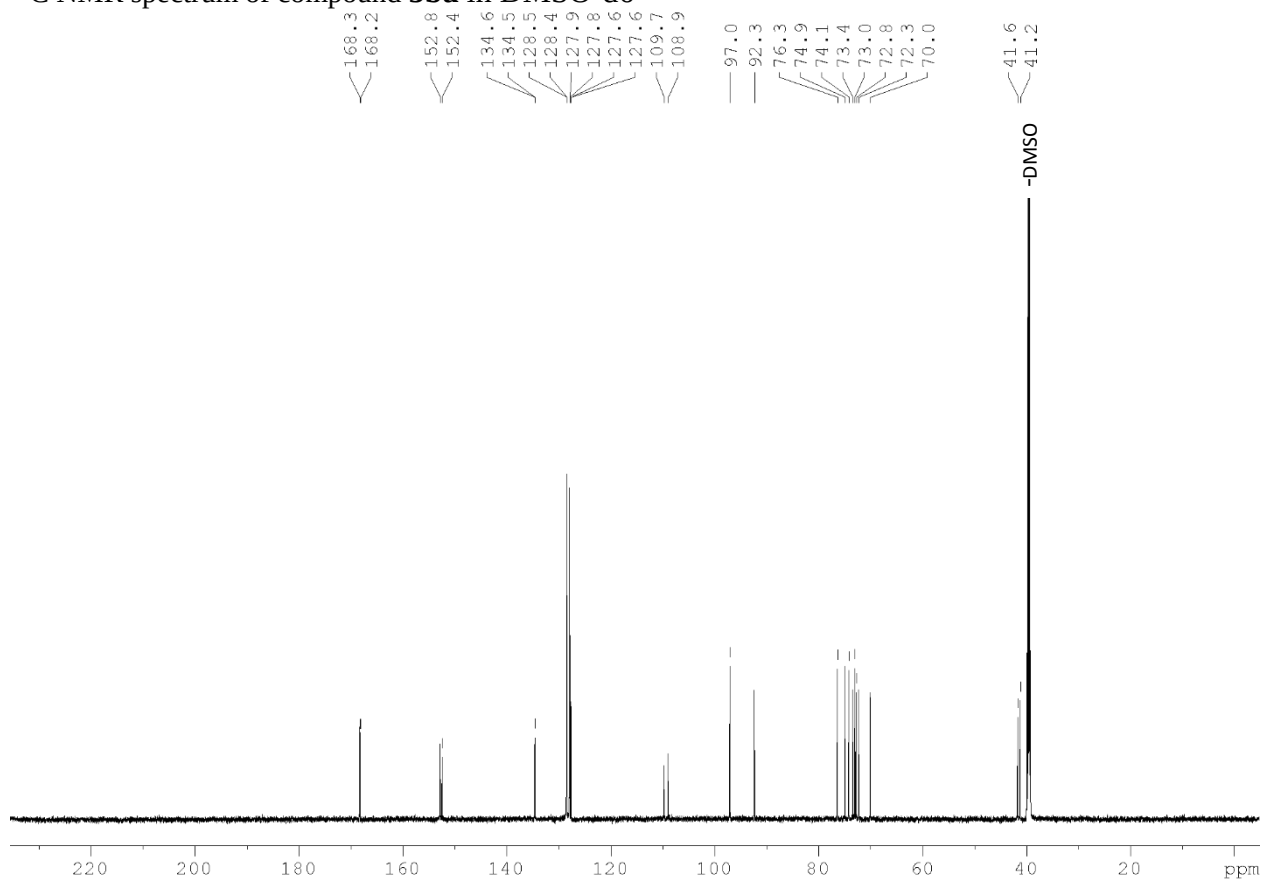
1D TOCSY spectrum of compound **5ba** (α-form) in DMSO-d₆



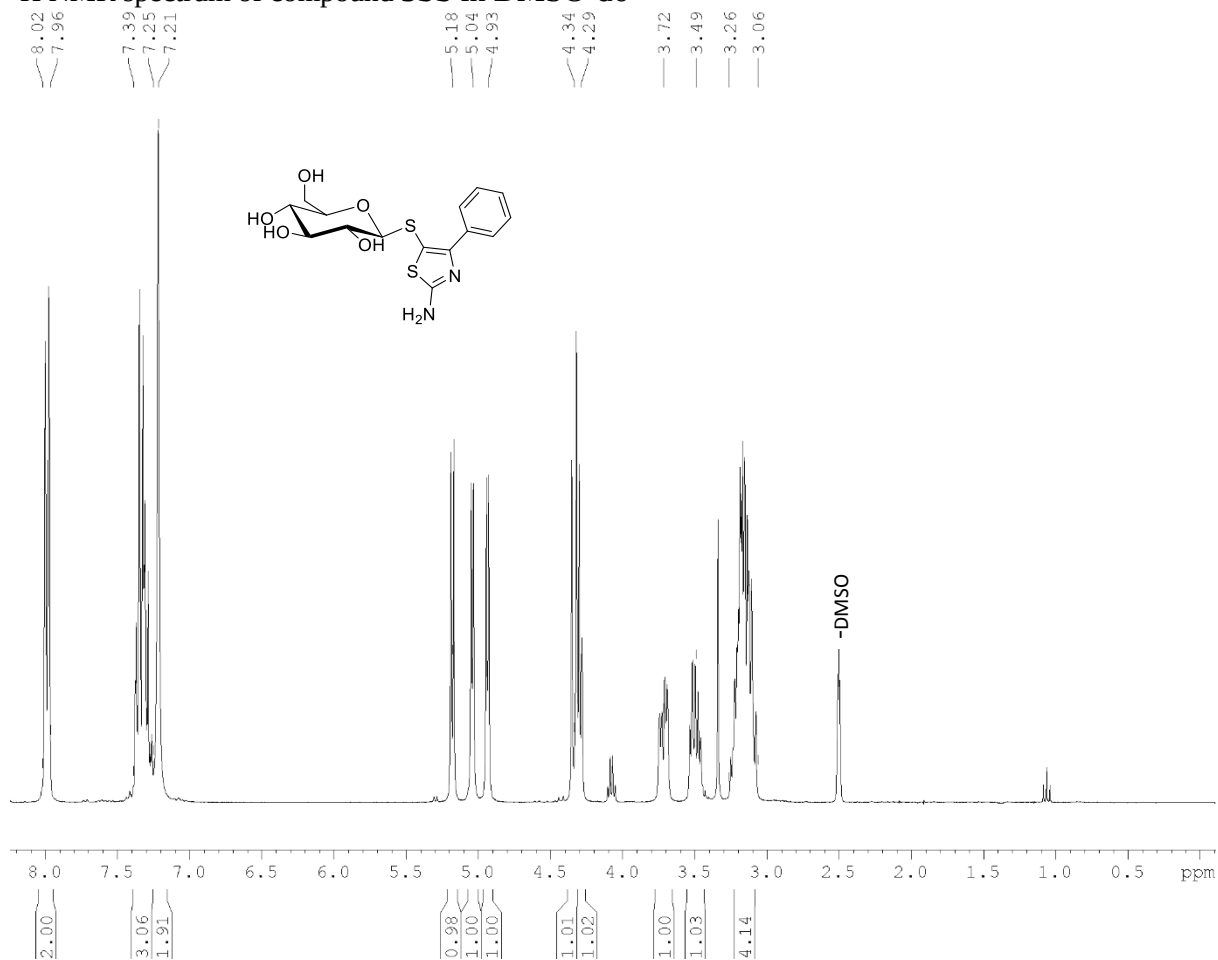
1D TOCSY spectrum of compound **5ba** (β -form) in DMSO- d_6



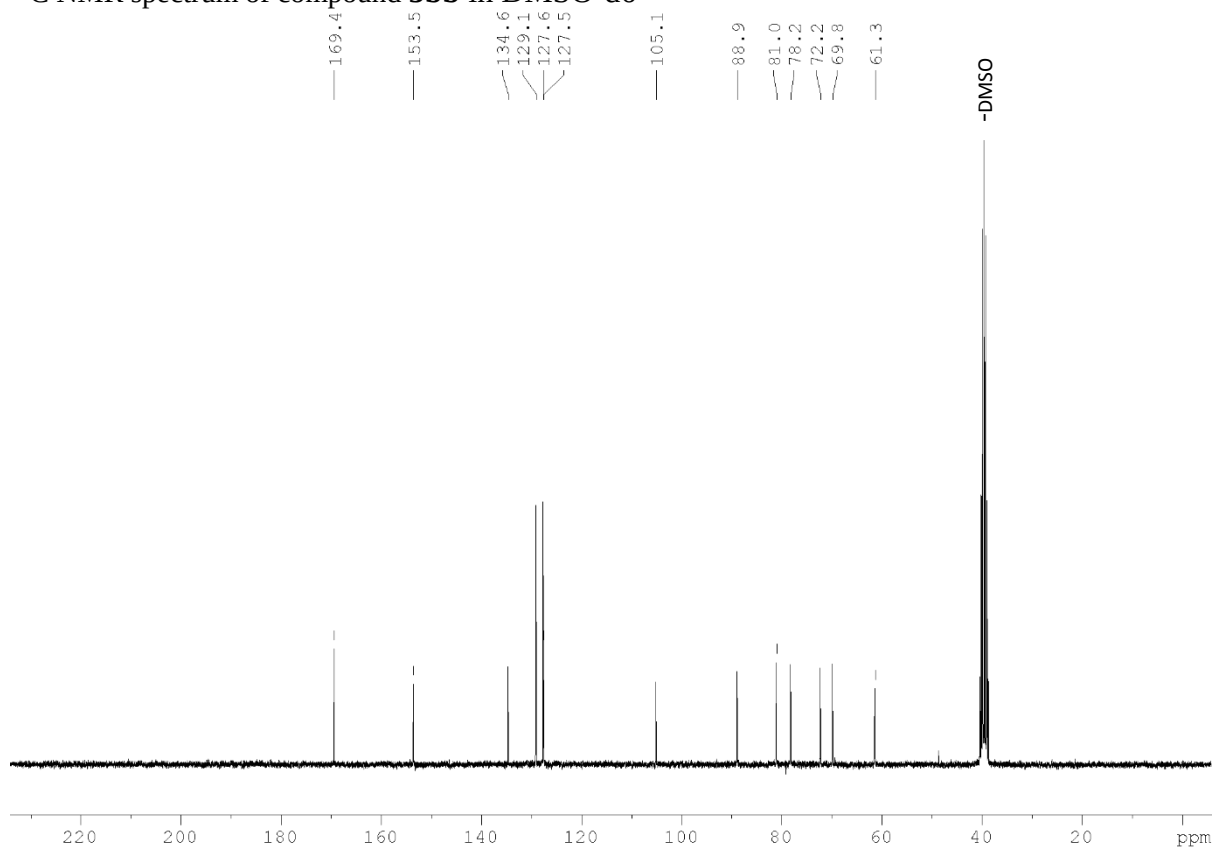
^{13}C NMR spectrum of compound **5ba** in DMSO- d_6



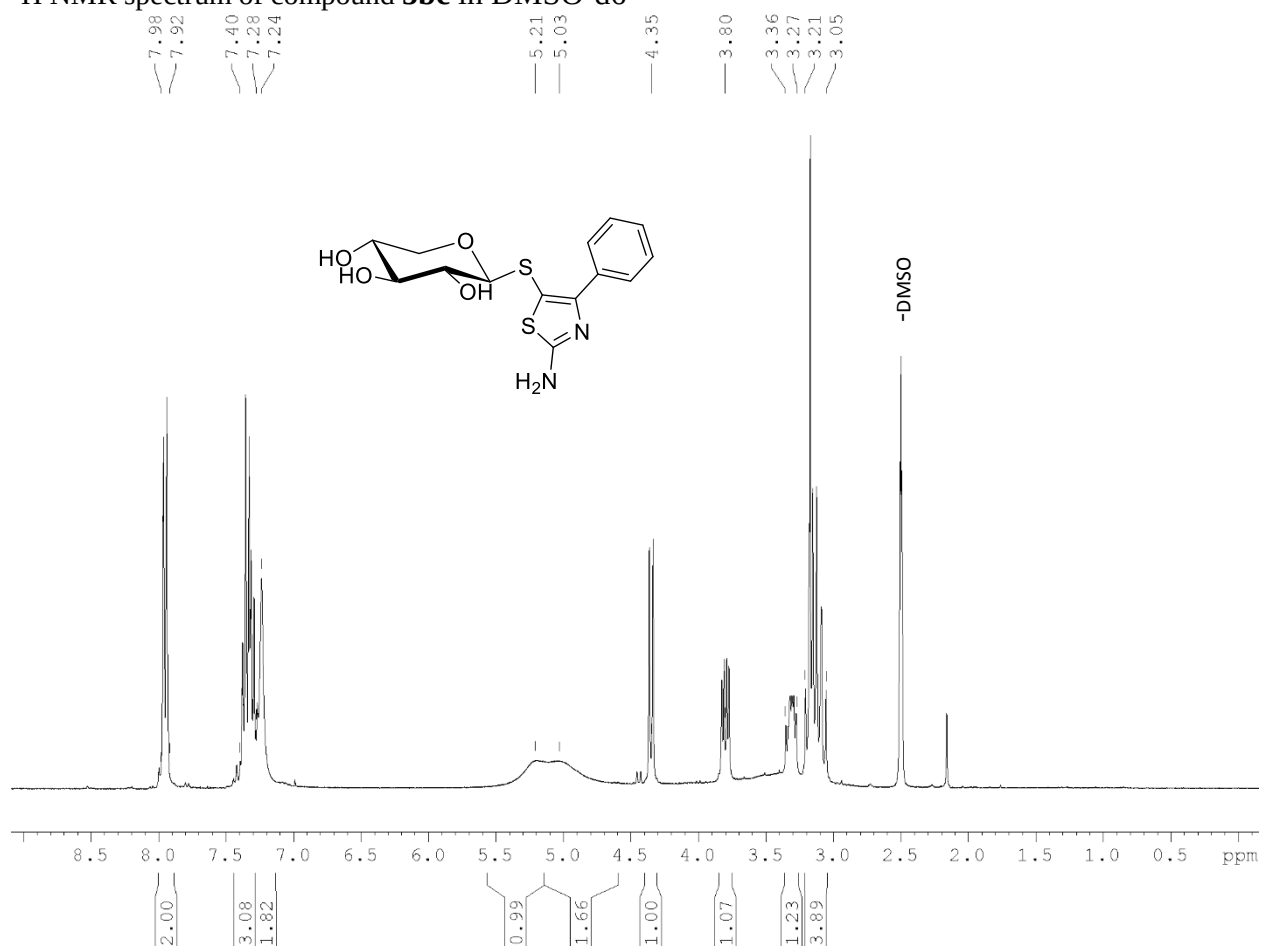
¹H NMR spectrum of compound **5bb** in DMSO-d₆



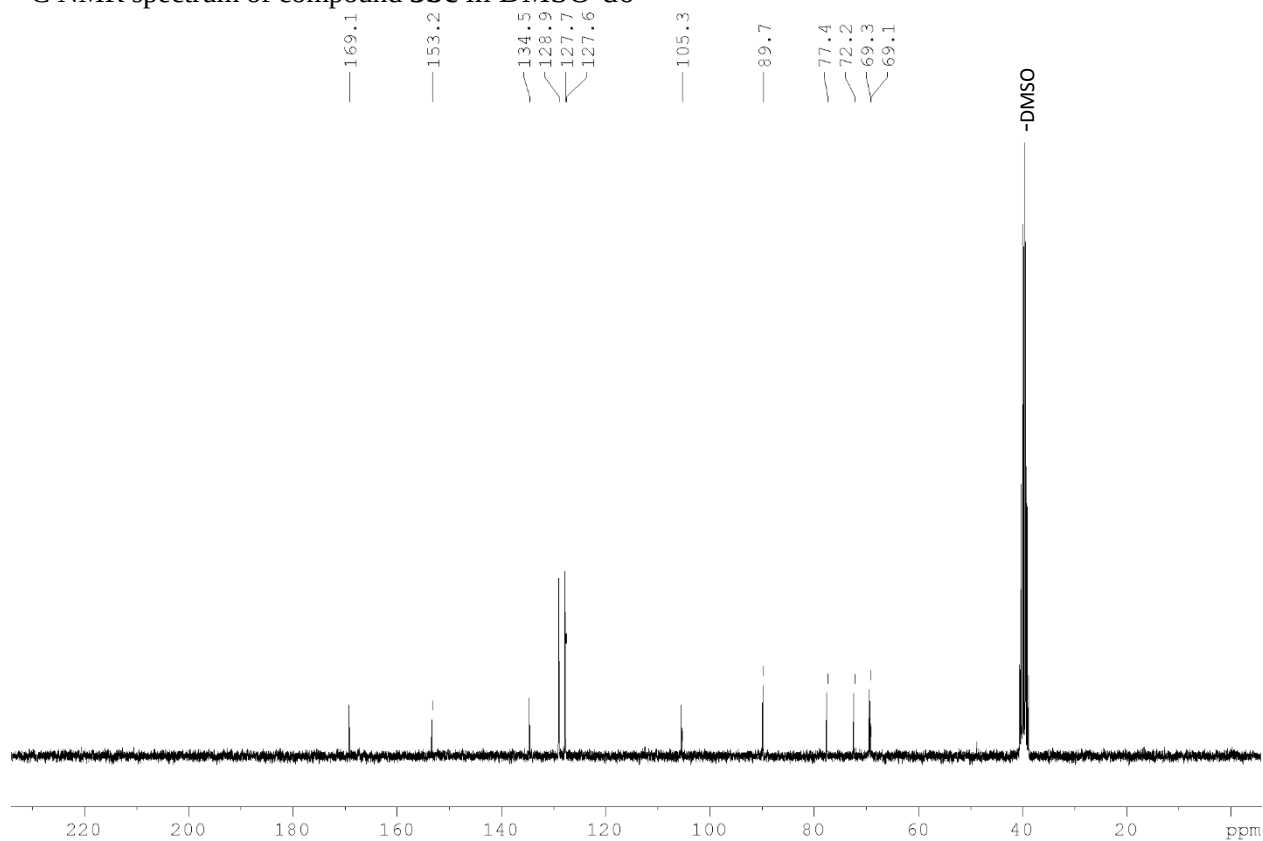
¹³C NMR spectrum of compound **5bb** in DMSO-d₆



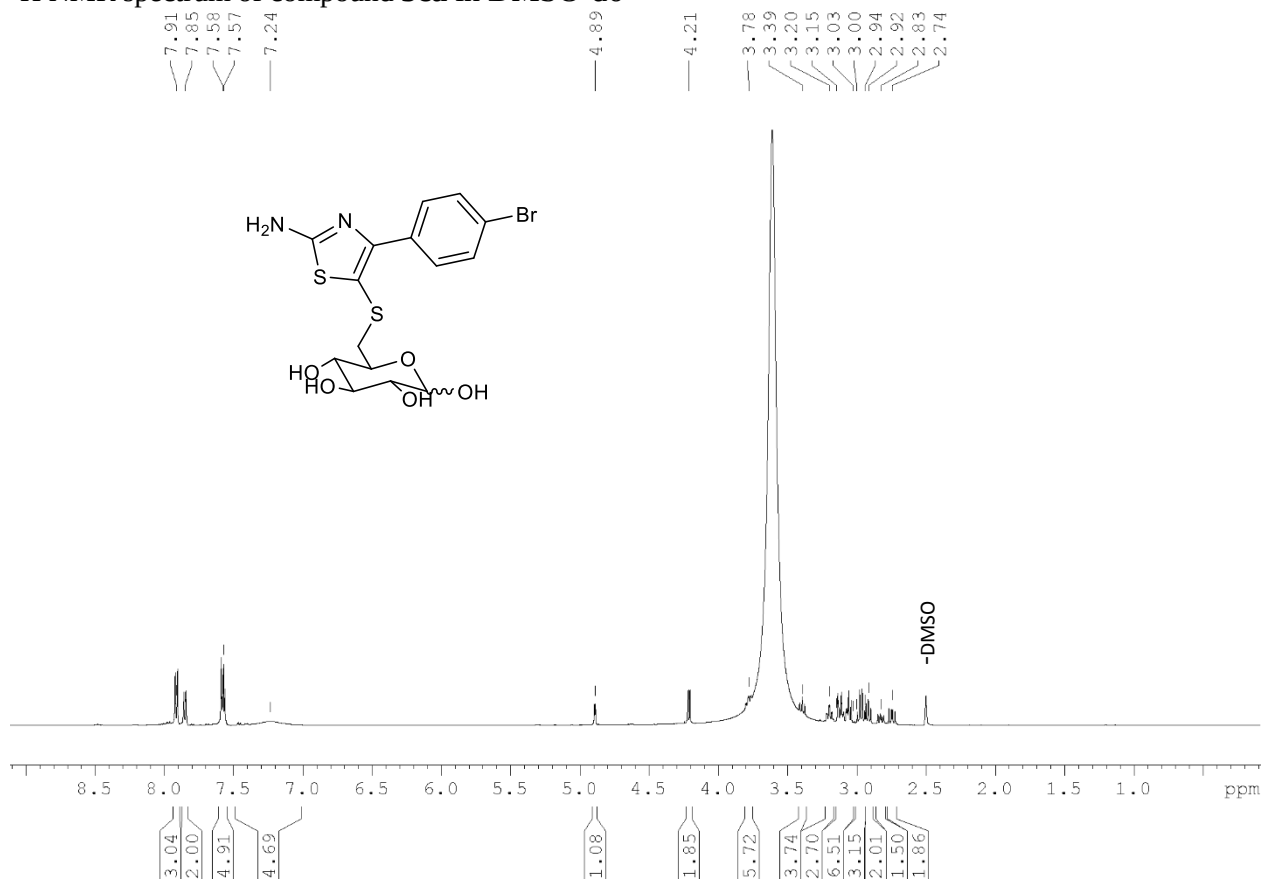
¹H NMR spectrum of compound **5bc** in DMSO-d₆



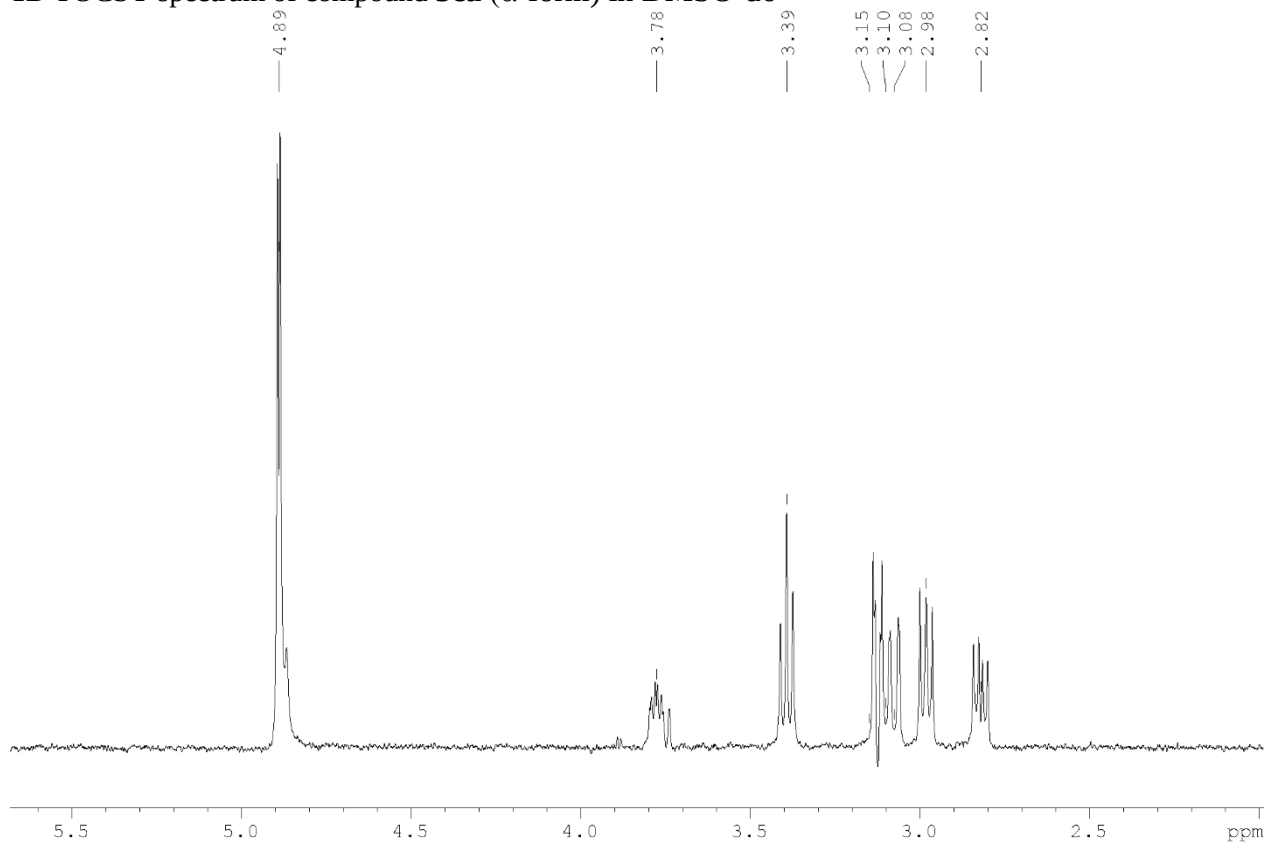
¹³C NMR spectrum of compound **5bc** in DMSO-d₆



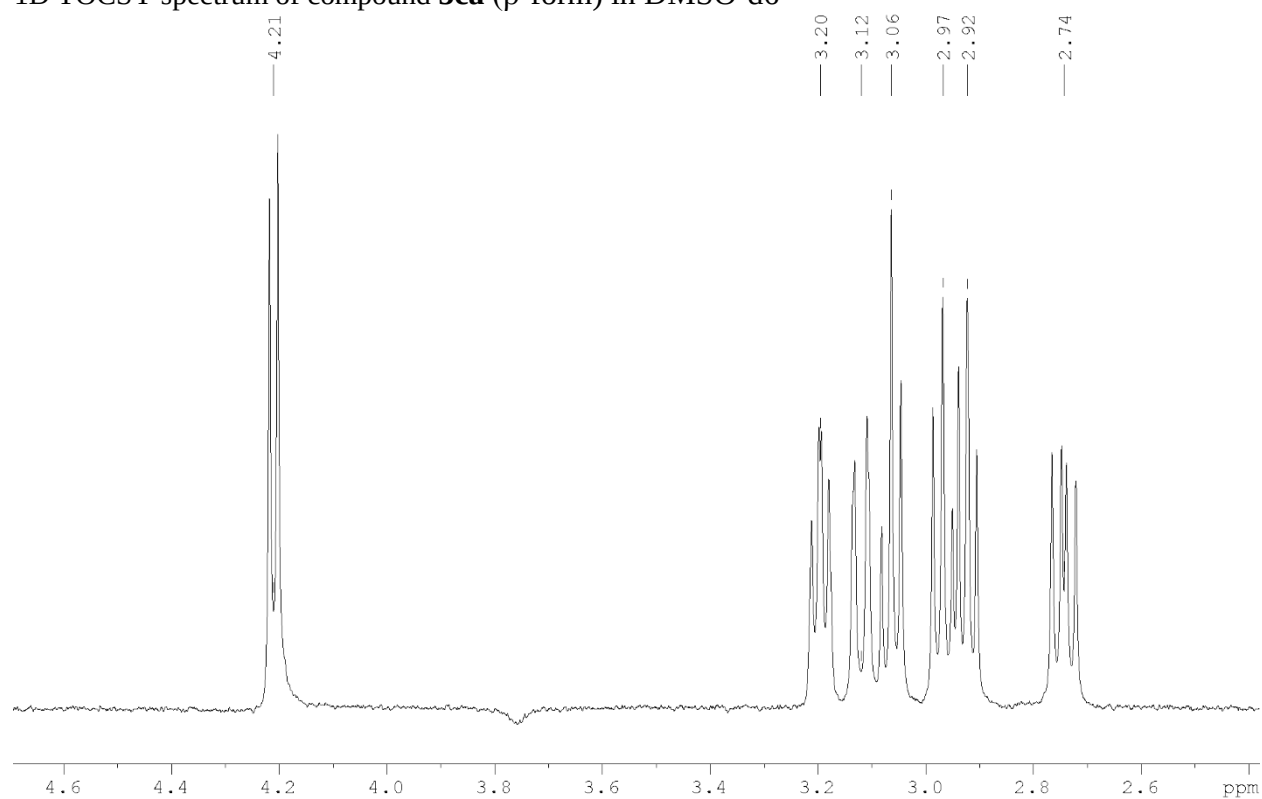
¹H NMR spectrum of compound **5ca** in DMSO-d₆



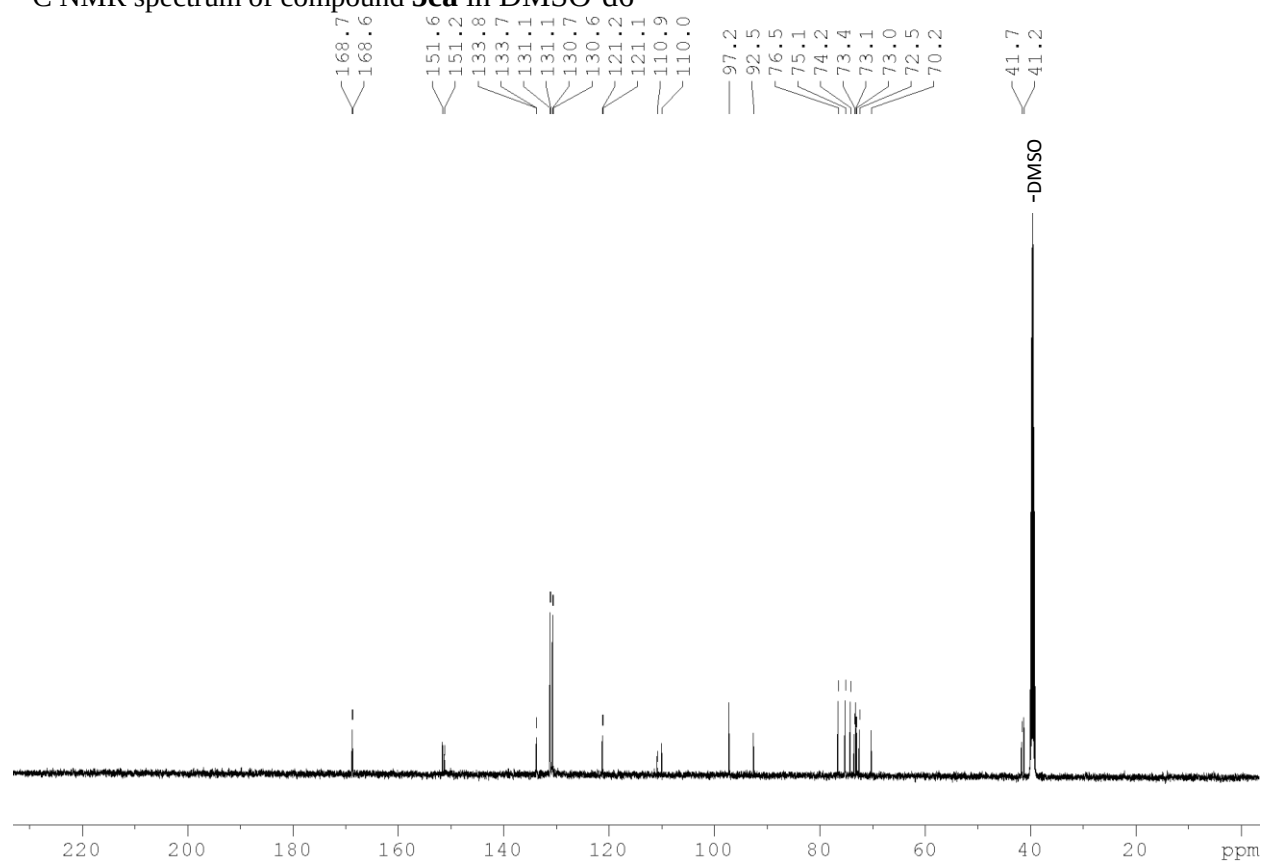
1D TOCSY spectrum of compound **5ca** (α -form) in DMSO-d₆



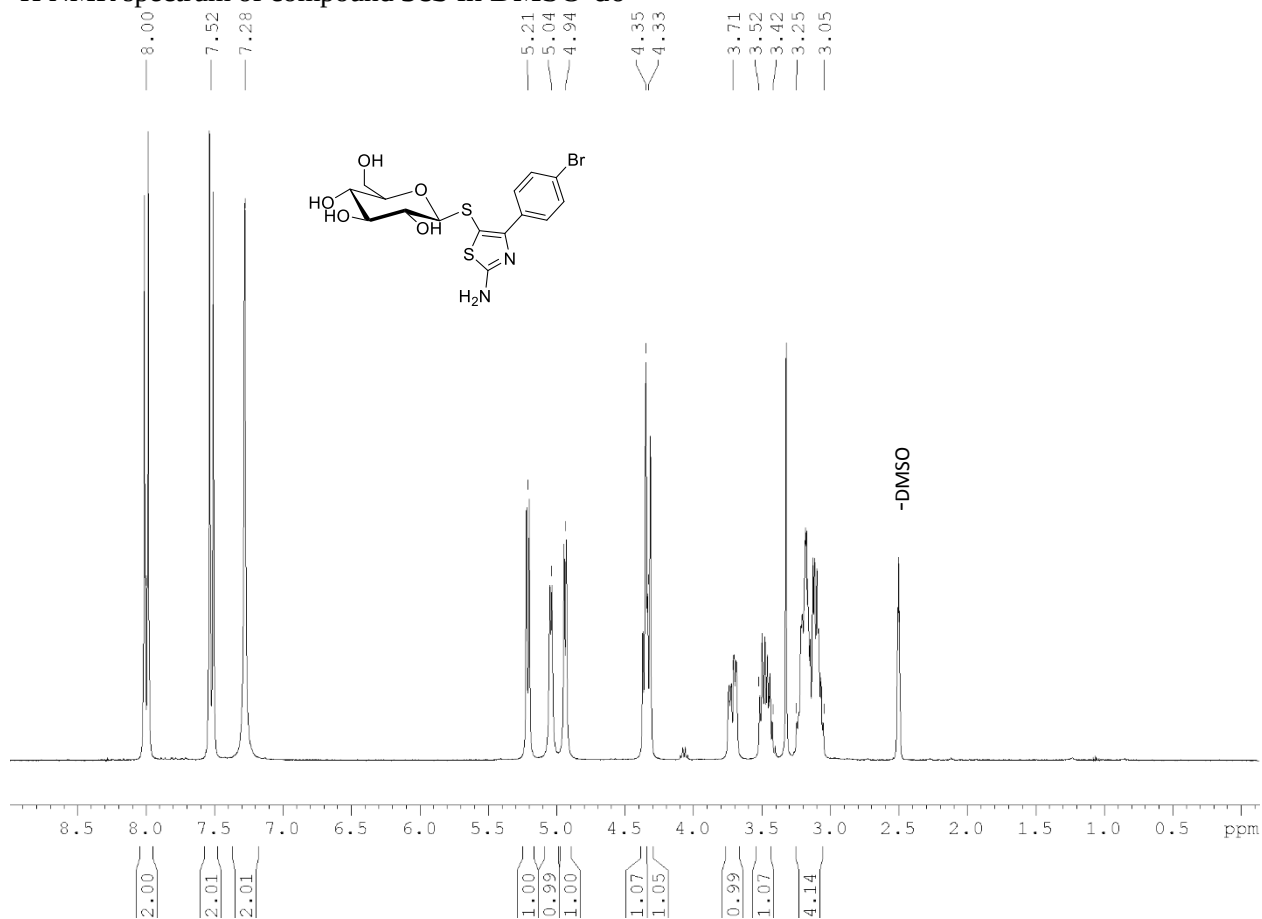
1D TOCSY spectrum of compound **5ca** (β -form) in DMSO-d₆



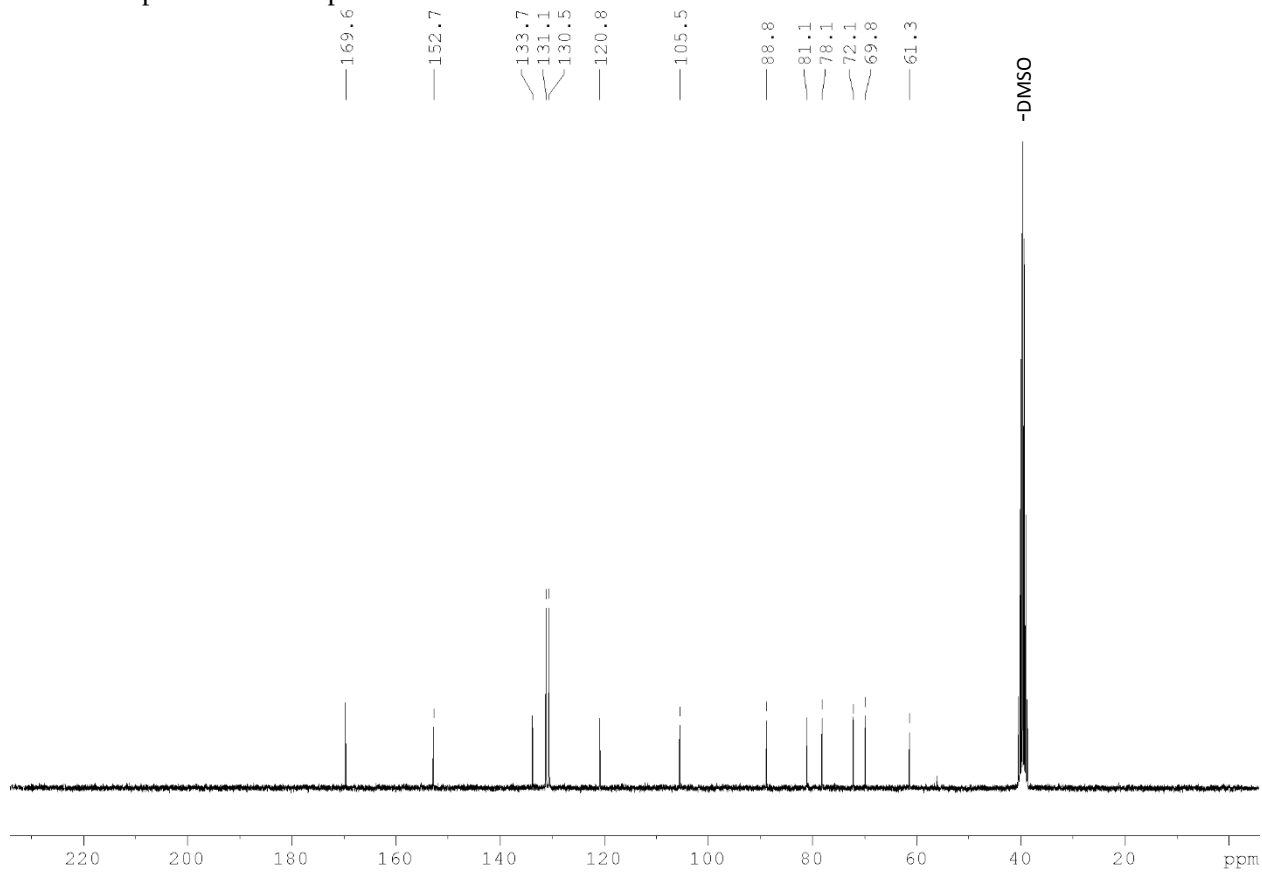
¹³C NMR spectrum of compound **5ca** in DMSO-d₆



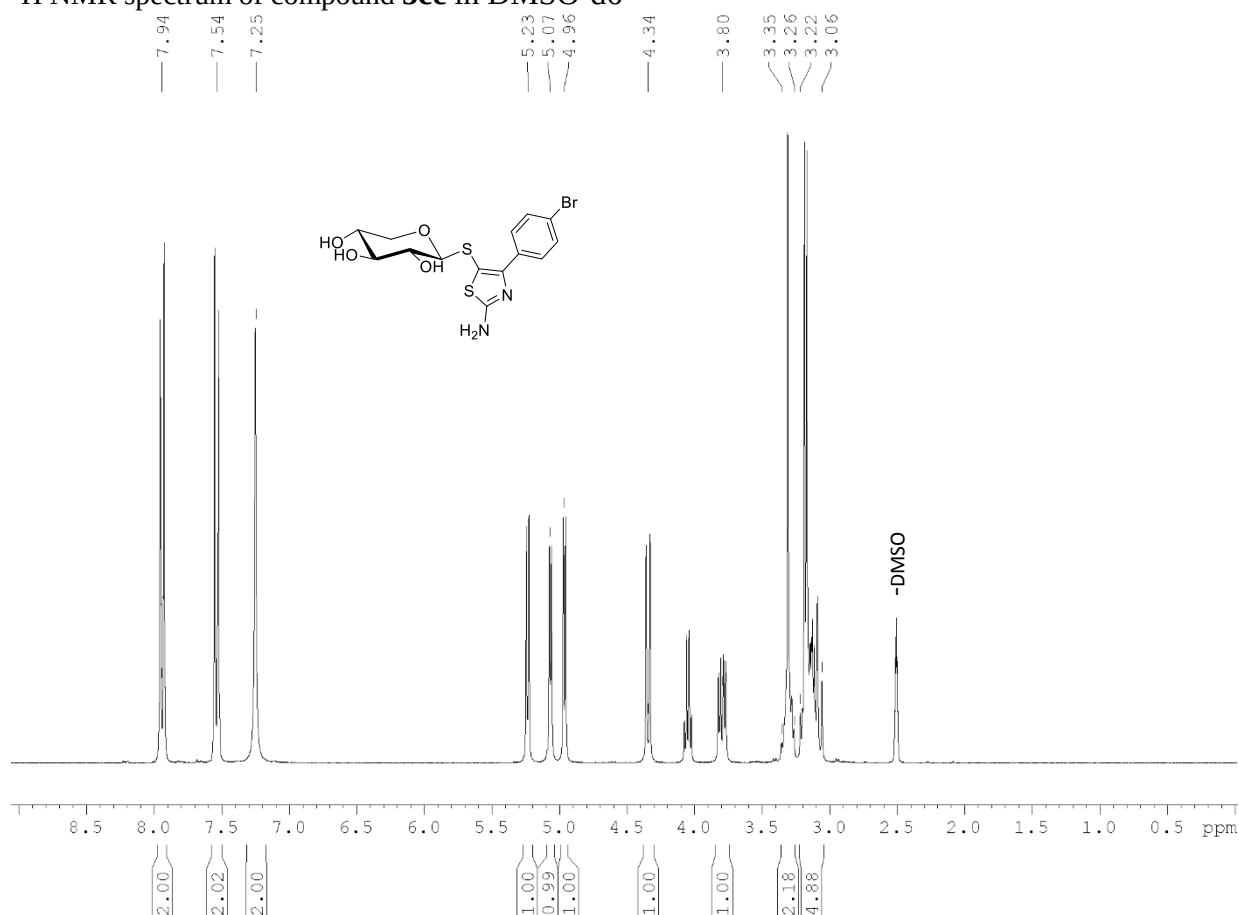
^1H NMR spectrum of compound **5cb** in DMSO- d_6



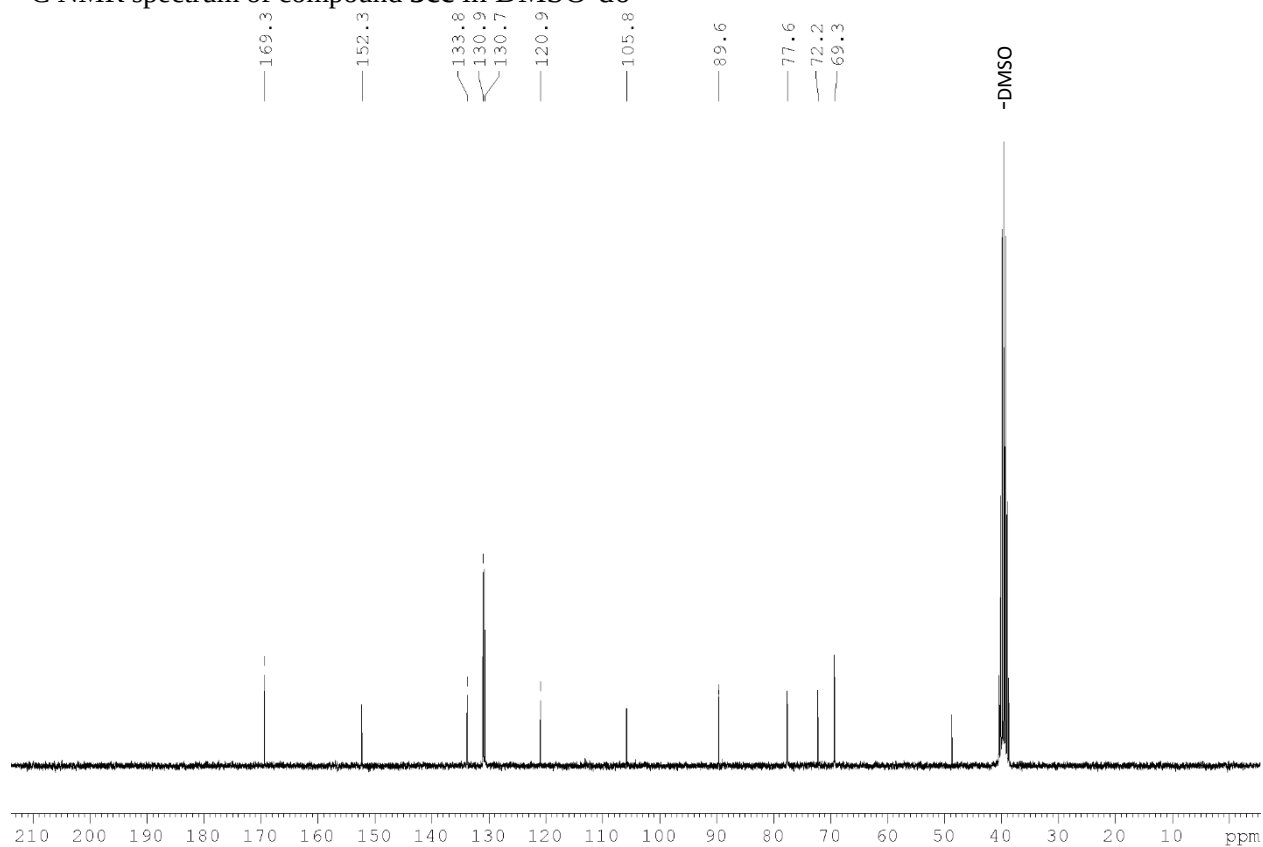
^{13}C NMR spectrum of compound **5cb** in DMSO- d_6



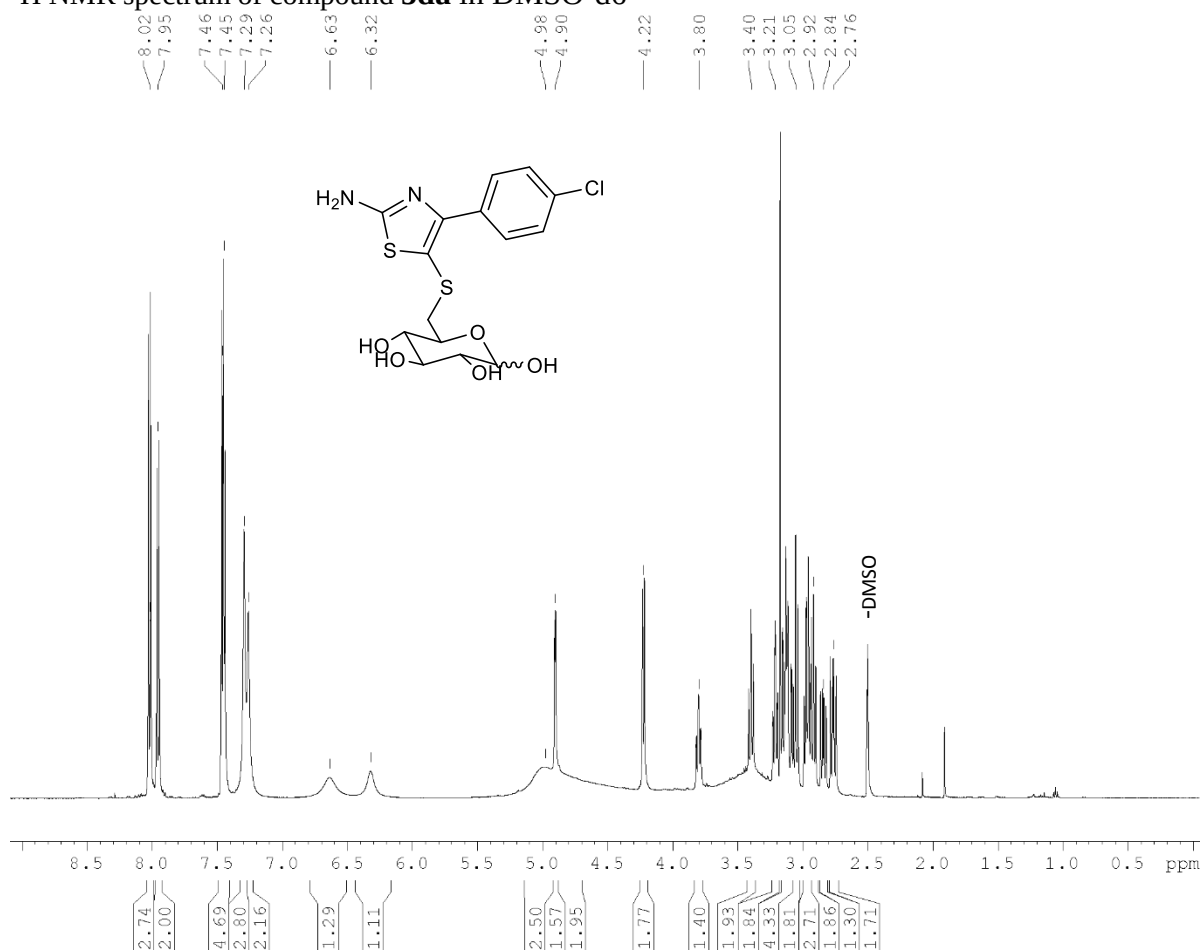
¹H NMR spectrum of compound **5cc** in DMSO-d₆



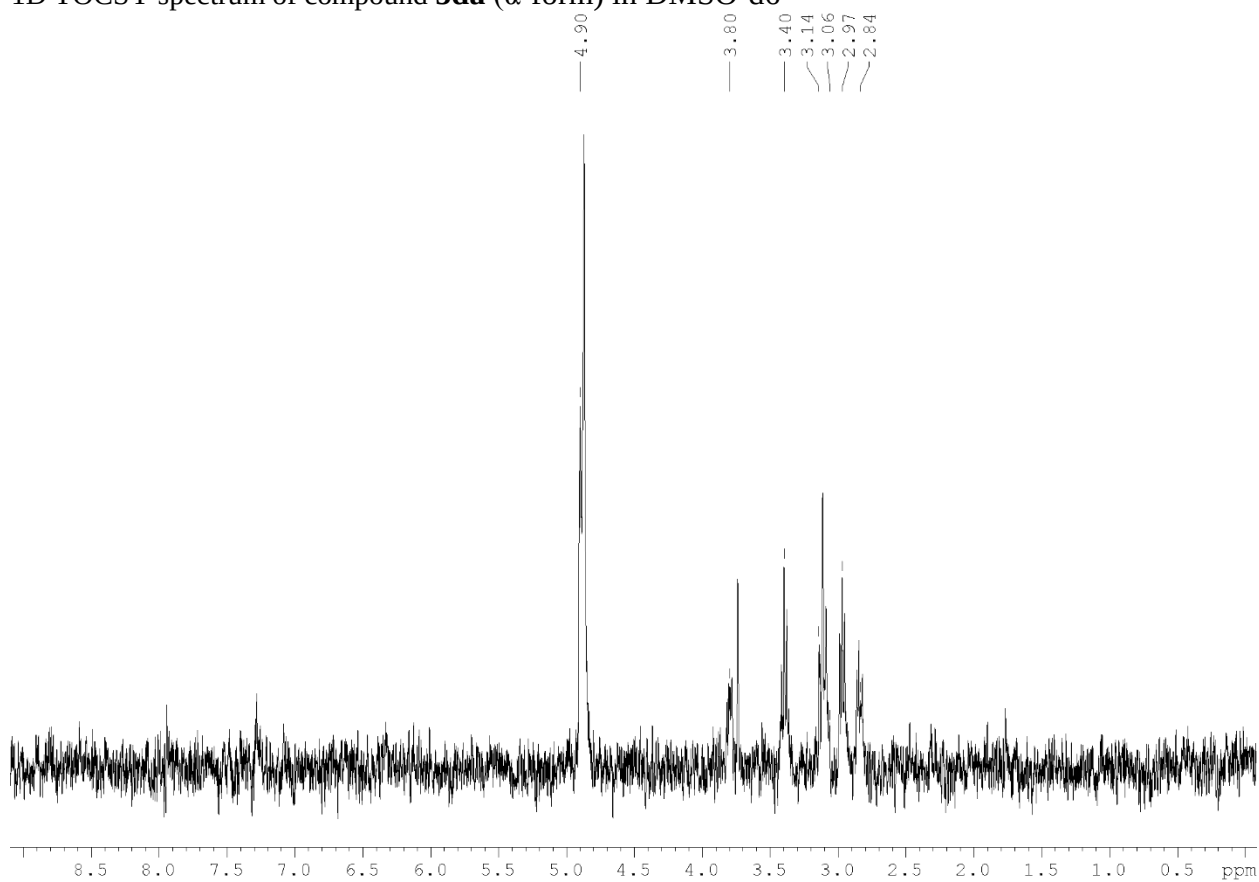
¹³C NMR spectrum of compound **5cc** in DMSO-d₆



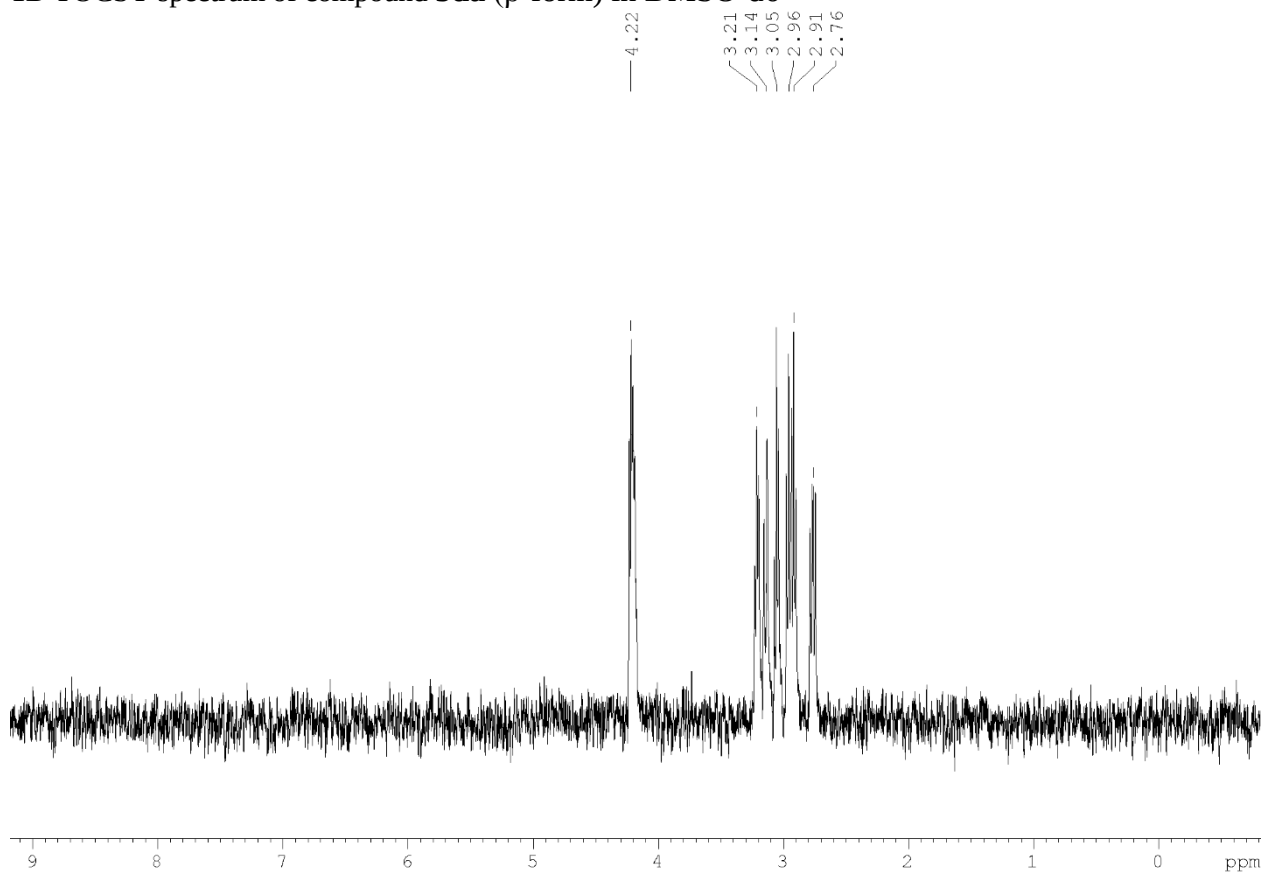
¹H NMR spectrum of compound **5da** in DMSO-d₆



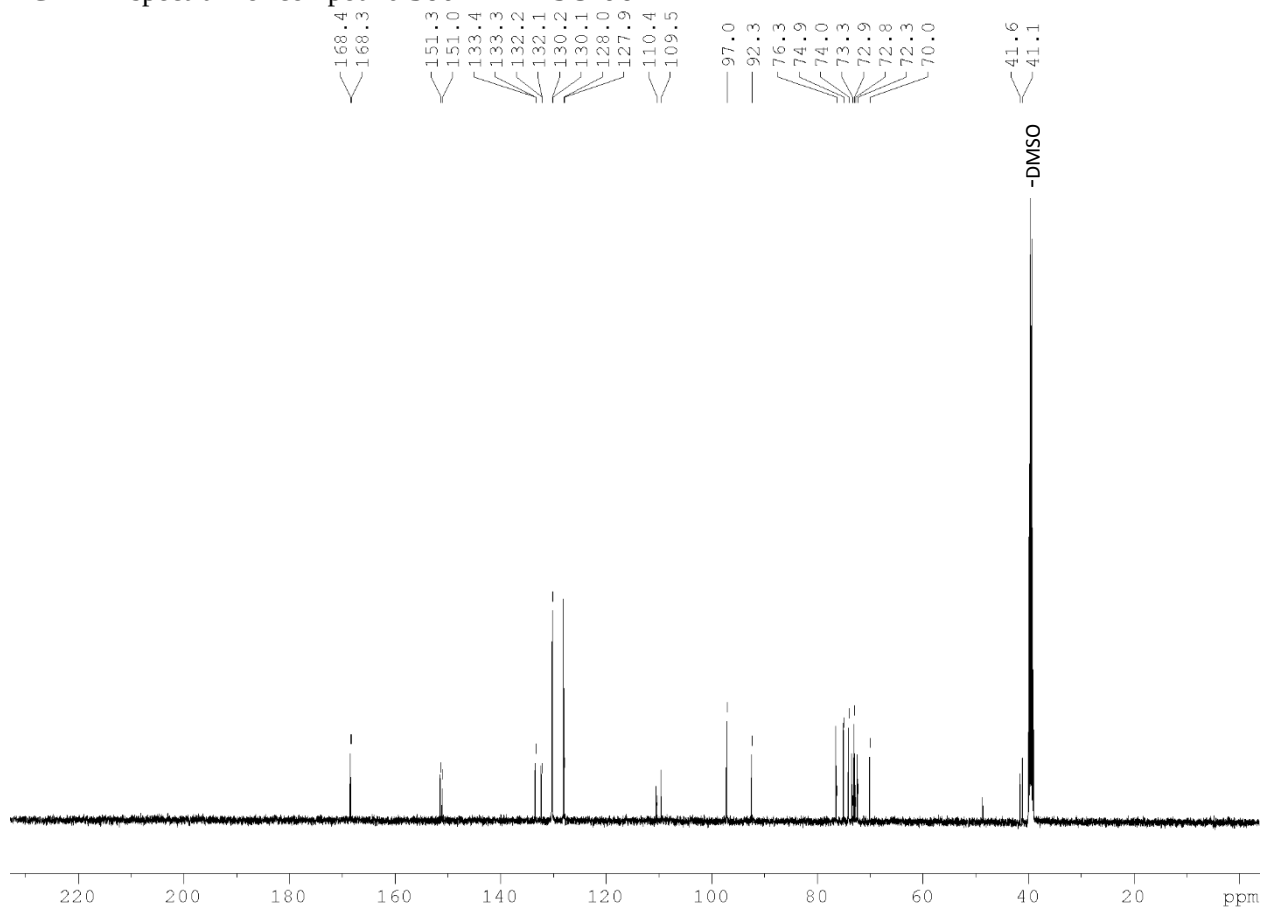
1D TOCSY spectrum of compound **5da** (α -form) in DMSO-d₆



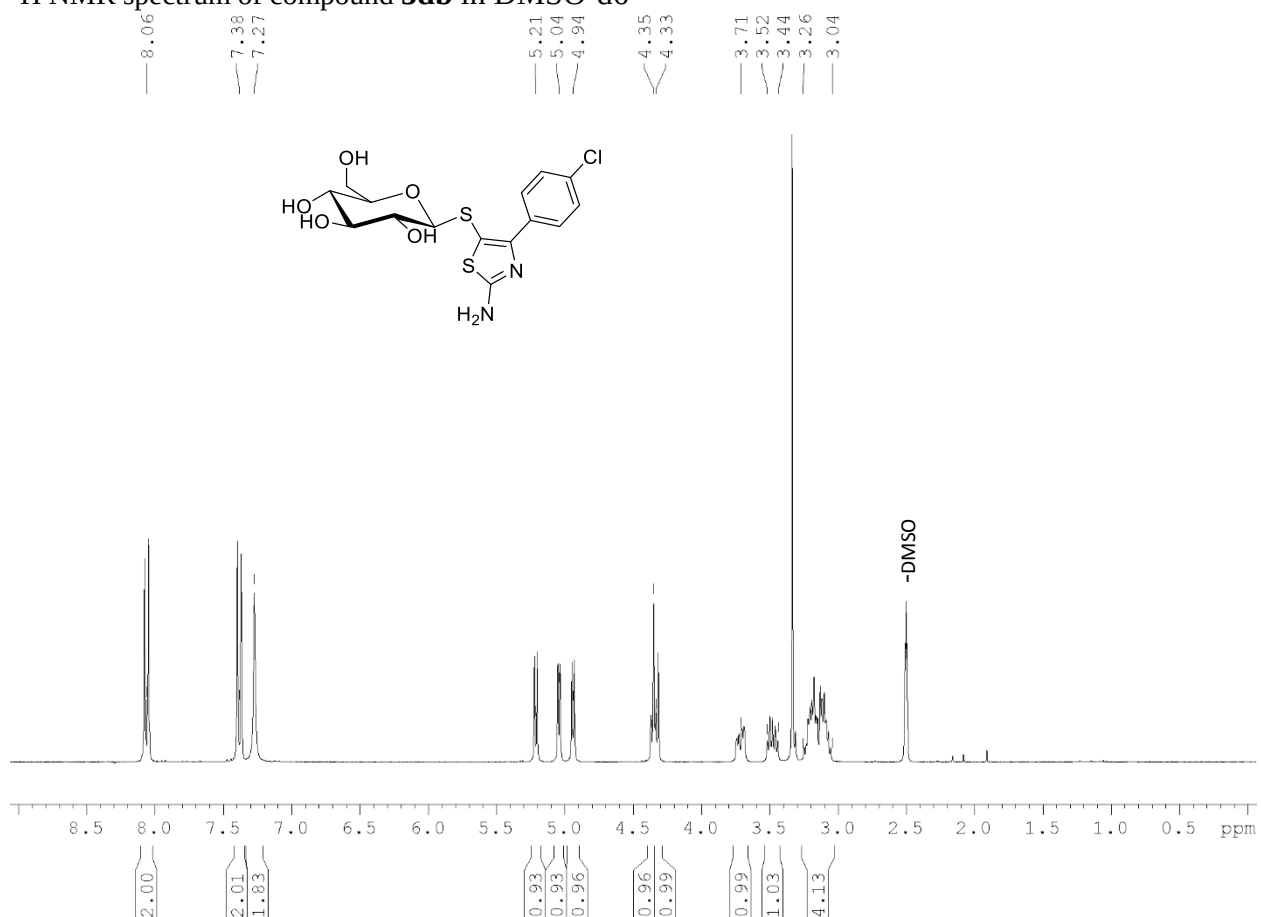
1D TOCSY spectrum of compound **5da** (β -form) in DMSO-d₆



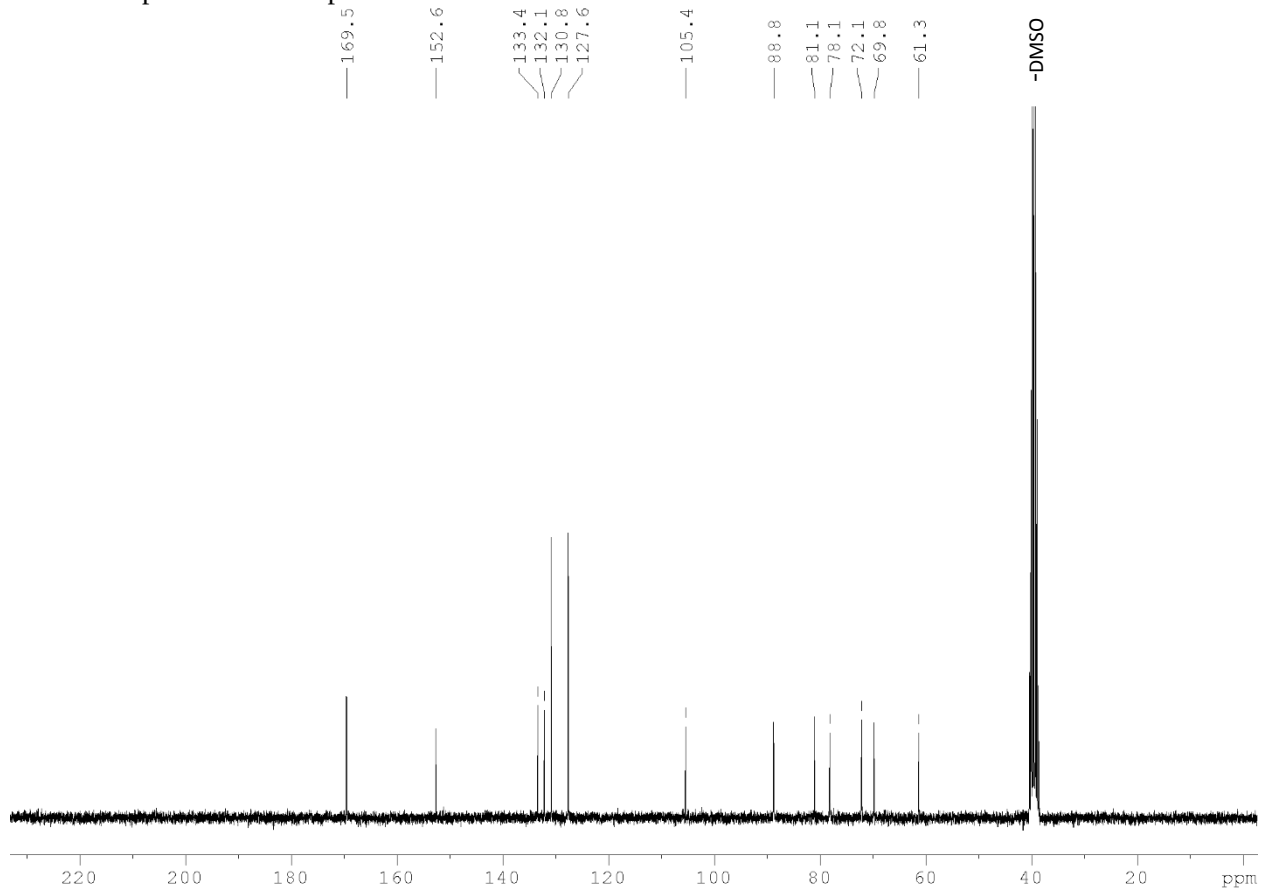
¹³C NMR spectrum of compound **5da** in DMSO-d₆



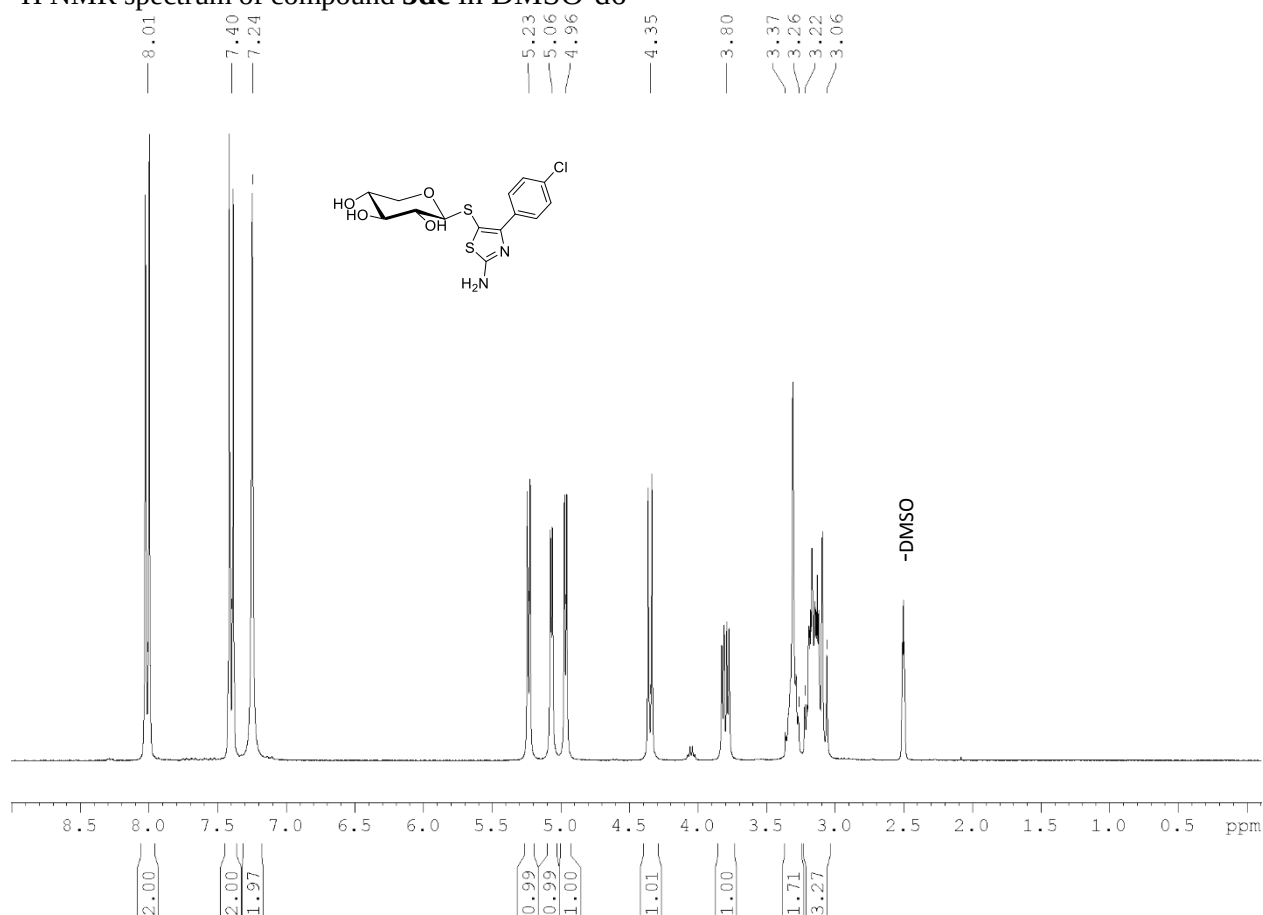
^1H NMR spectrum of compound **5db** in DMSO- d_6



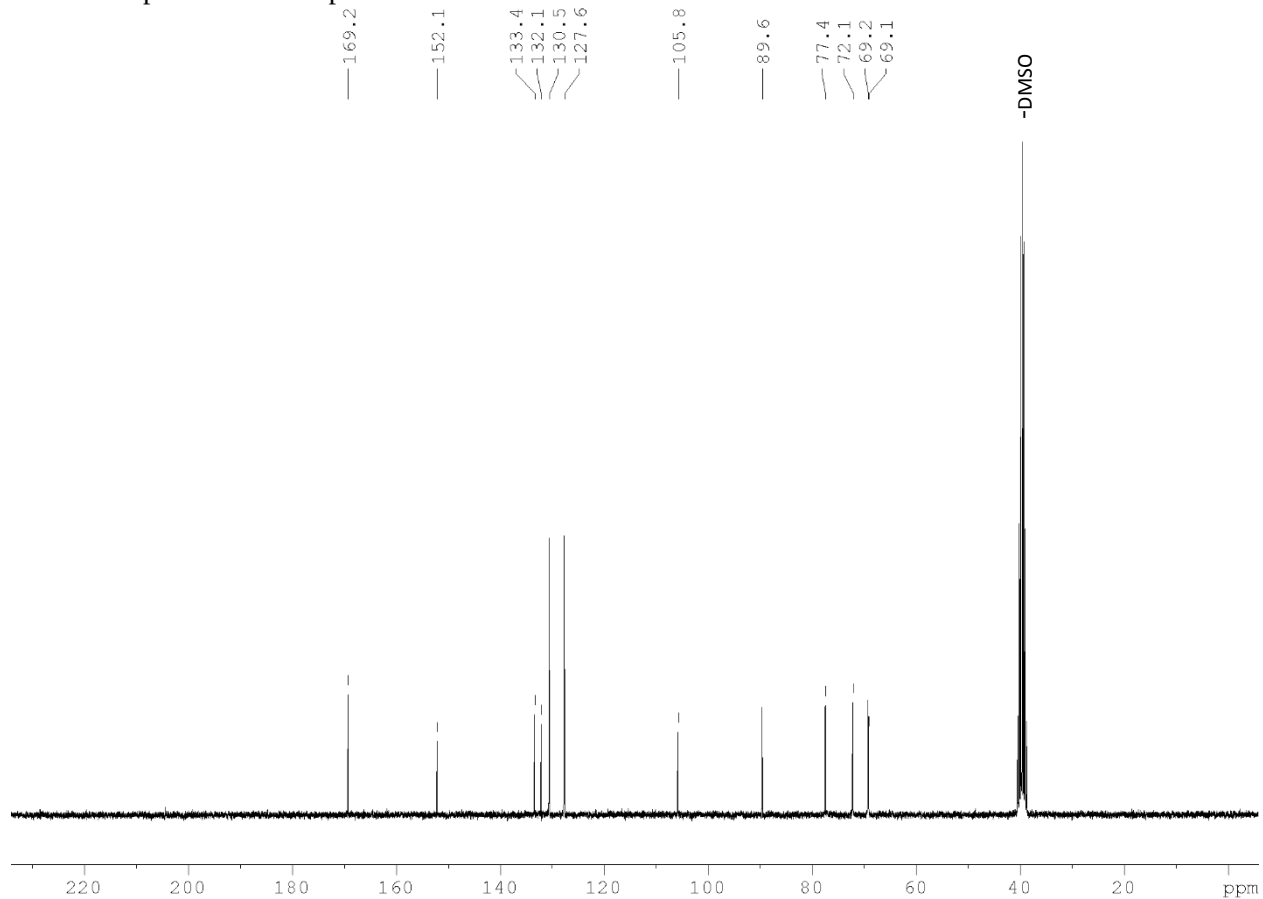
^{13}C NMR spectrum of compound **5db** in DMSO- d_6



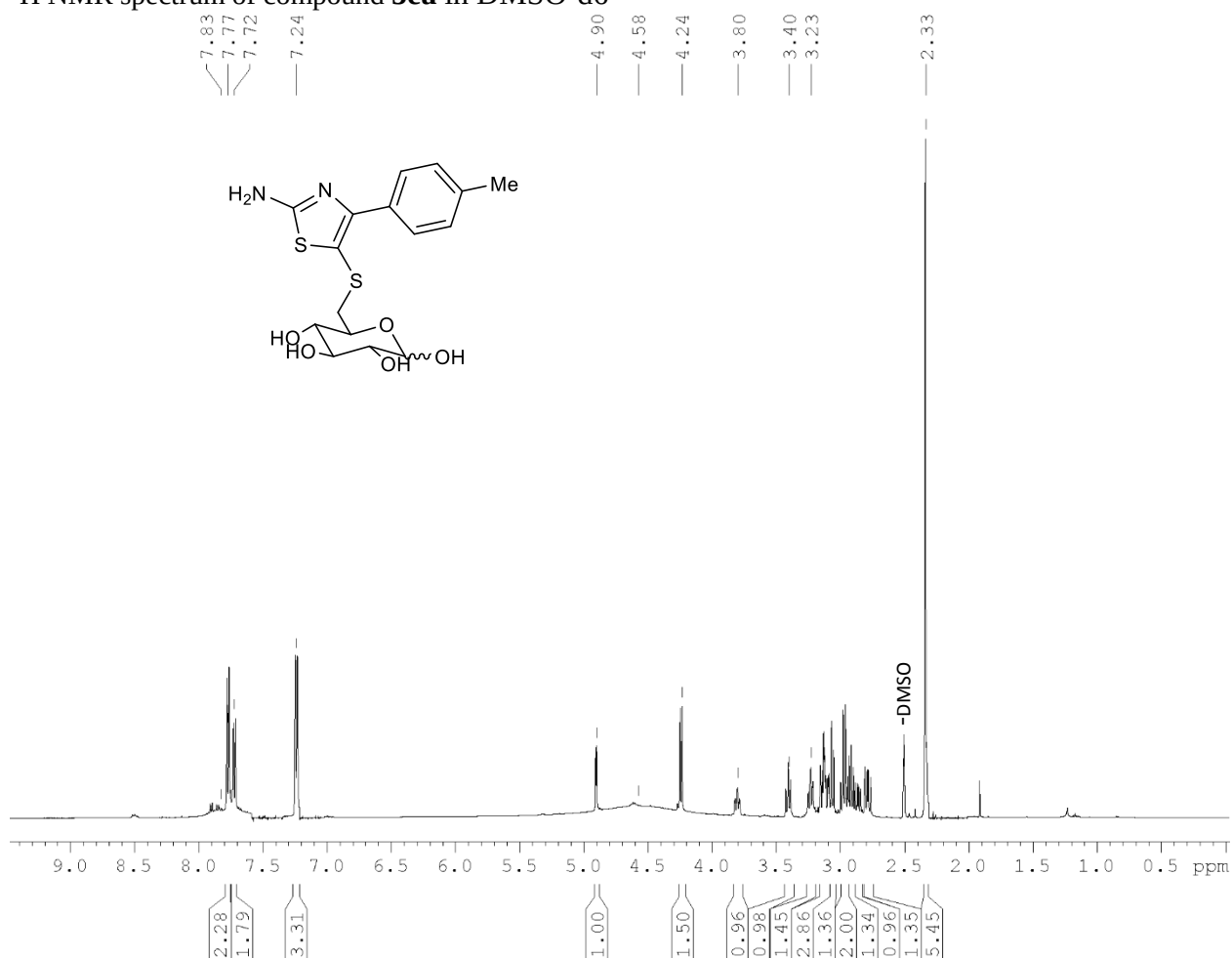
¹H NMR spectrum of compound **5dc** in DMSO-d₆



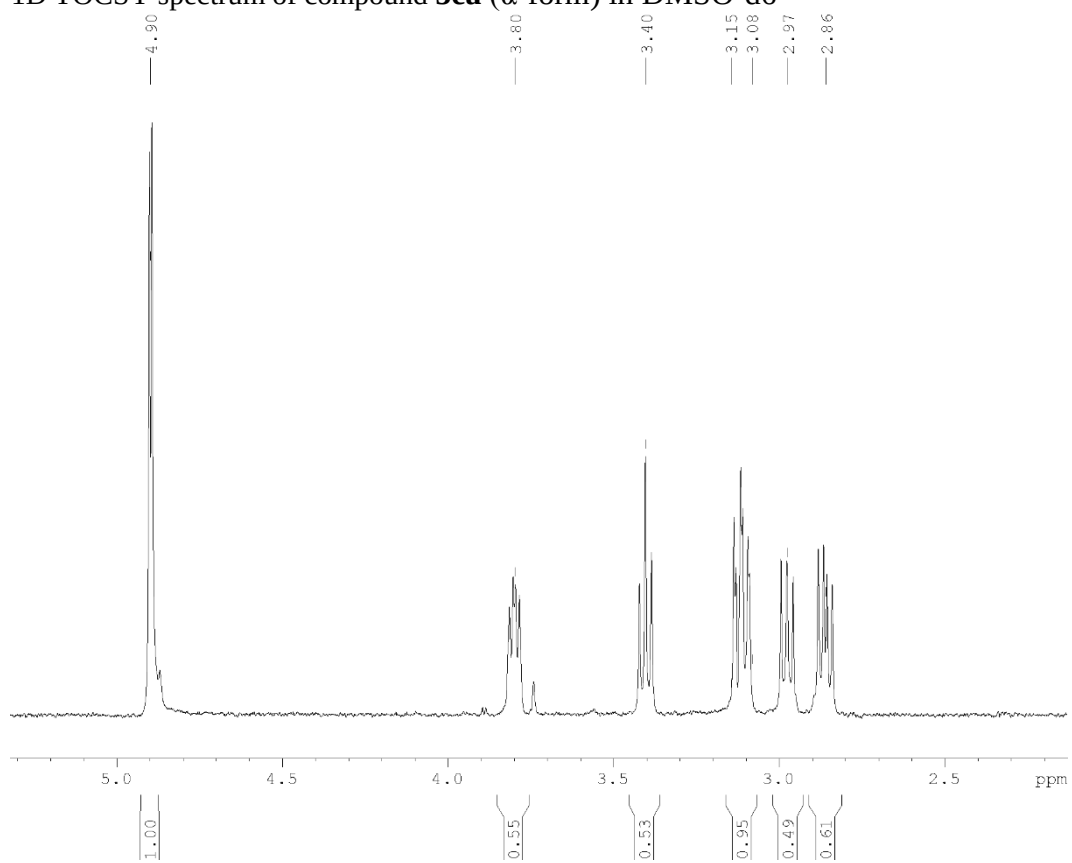
¹³C NMR spectrum of compound **5dc** in DMSO-d₆



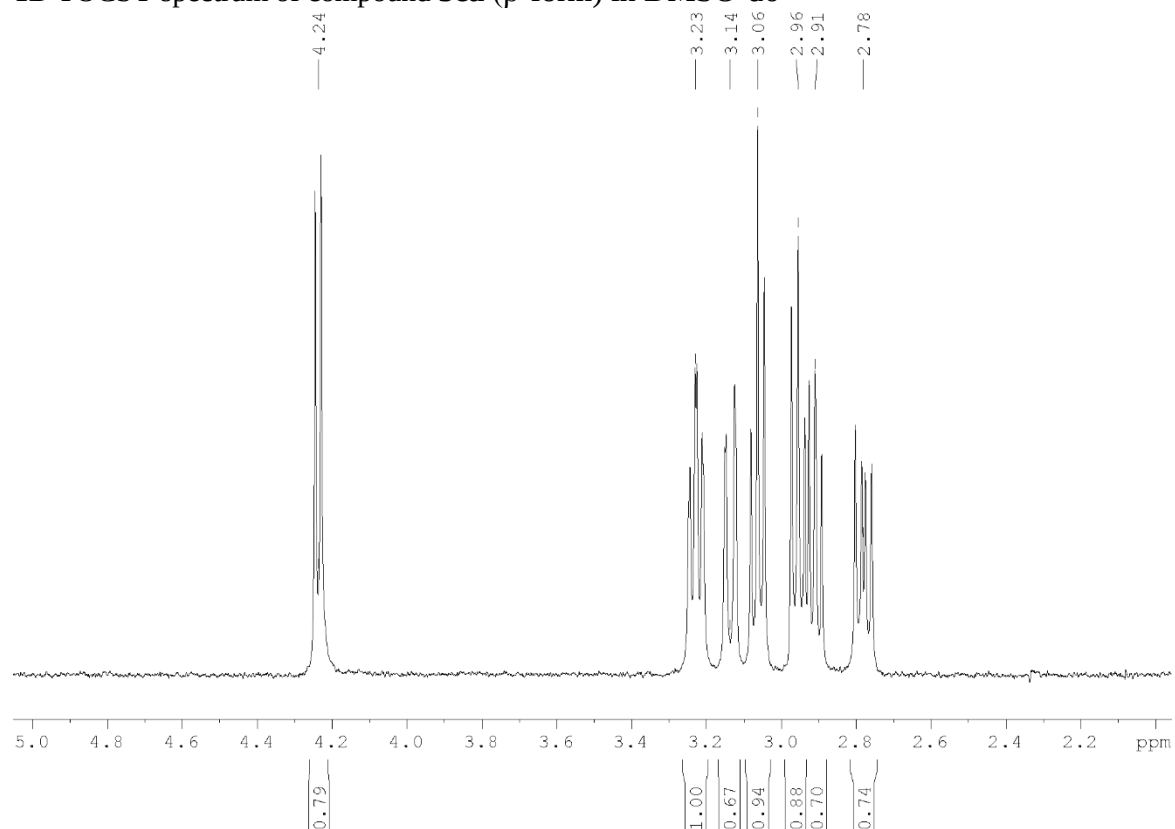
¹H NMR spectrum of compound **5ea** in DMSO-d₆



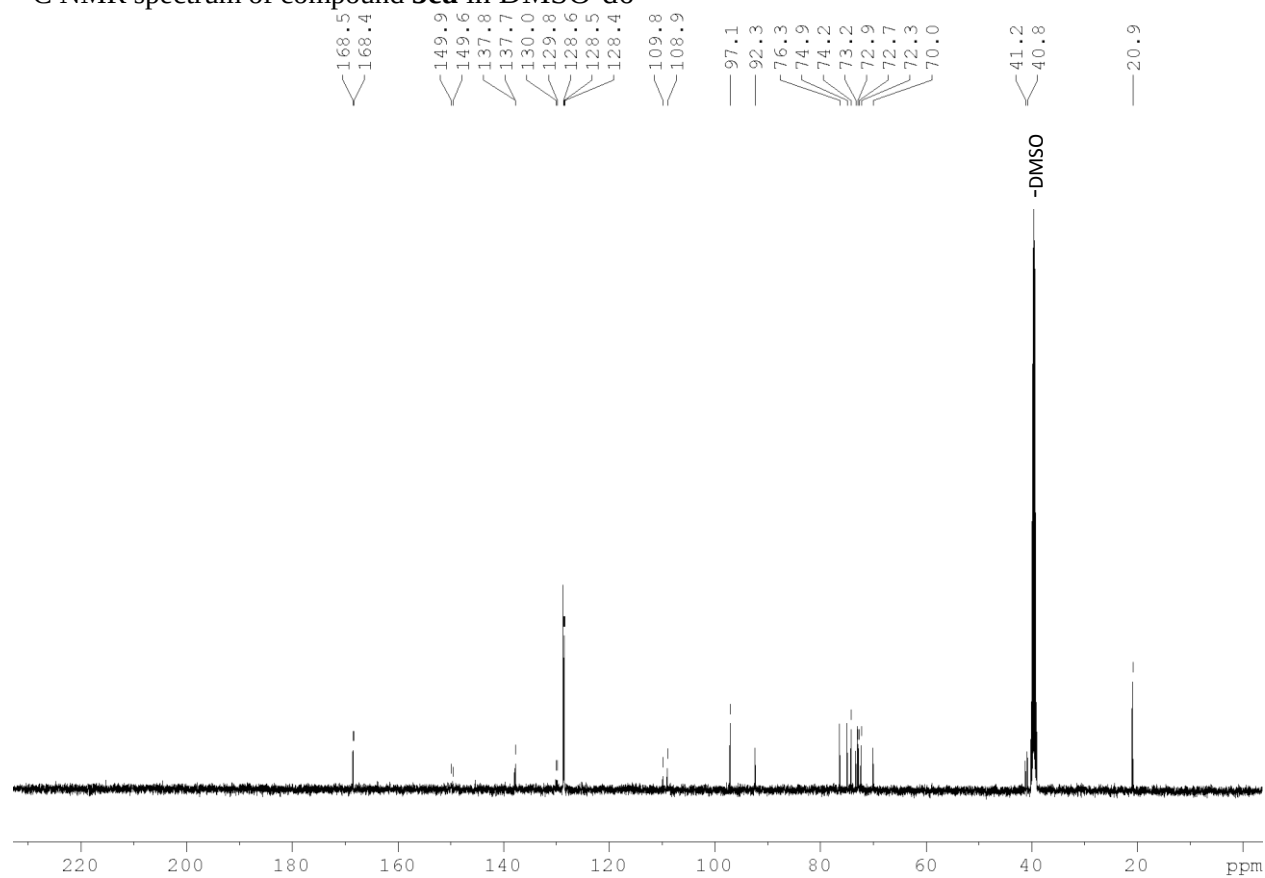
1D TOCSY spectrum of compound **5ea** (α-form) in DMSO-d₆



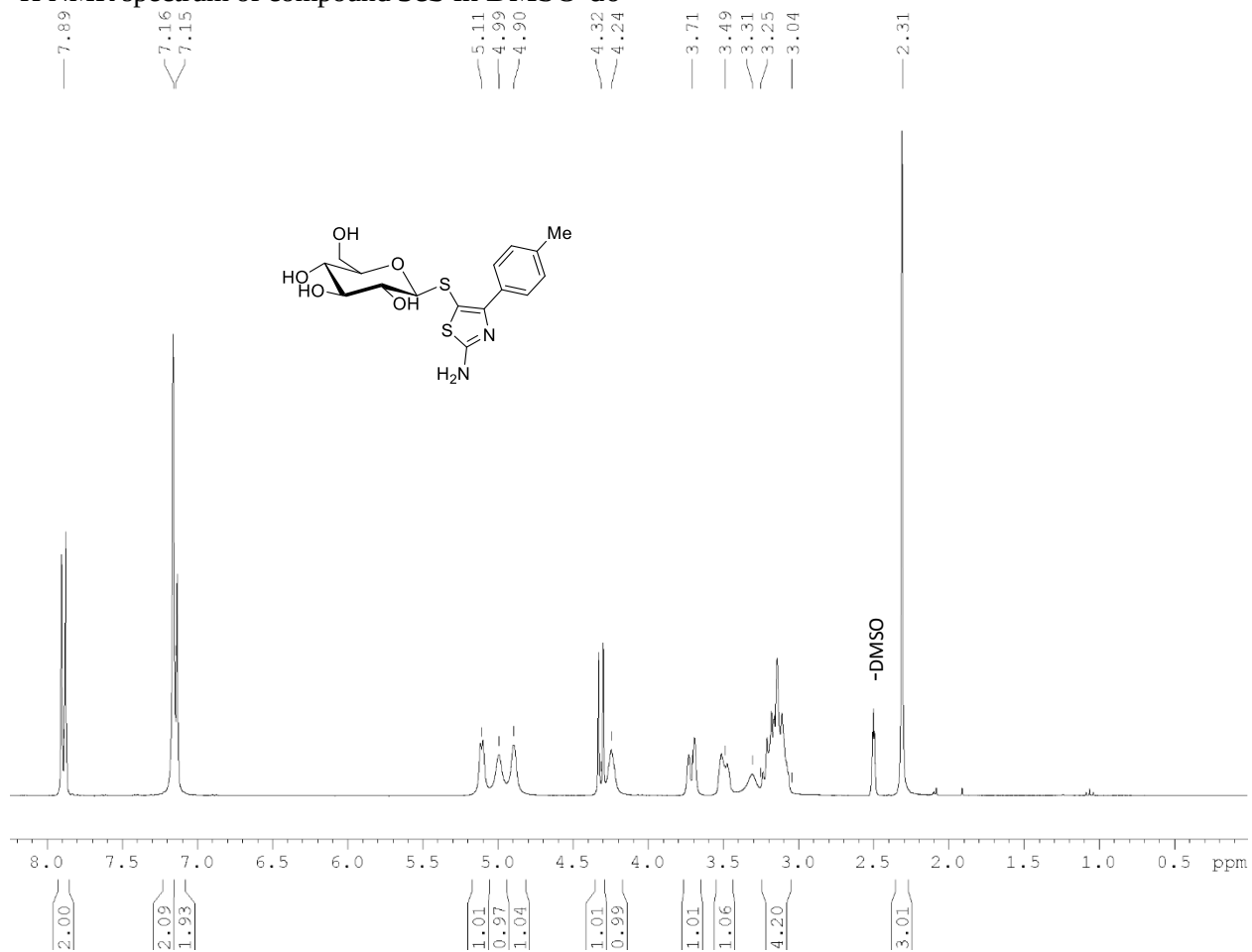
1D TOCSY spectrum of compound **5ea** (β -form) in DMSO-d₆



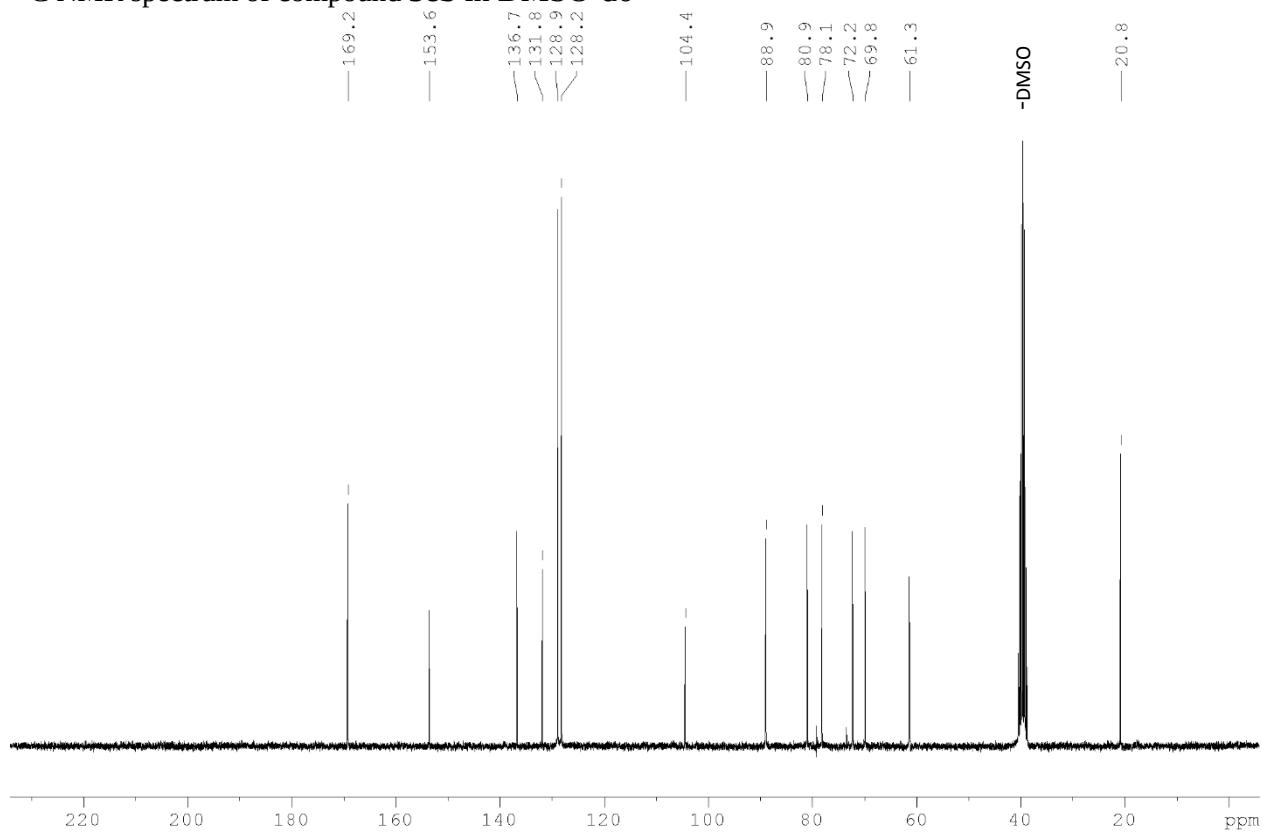
¹³C NMR spectrum of compound **5ea** in DMSO-d₆



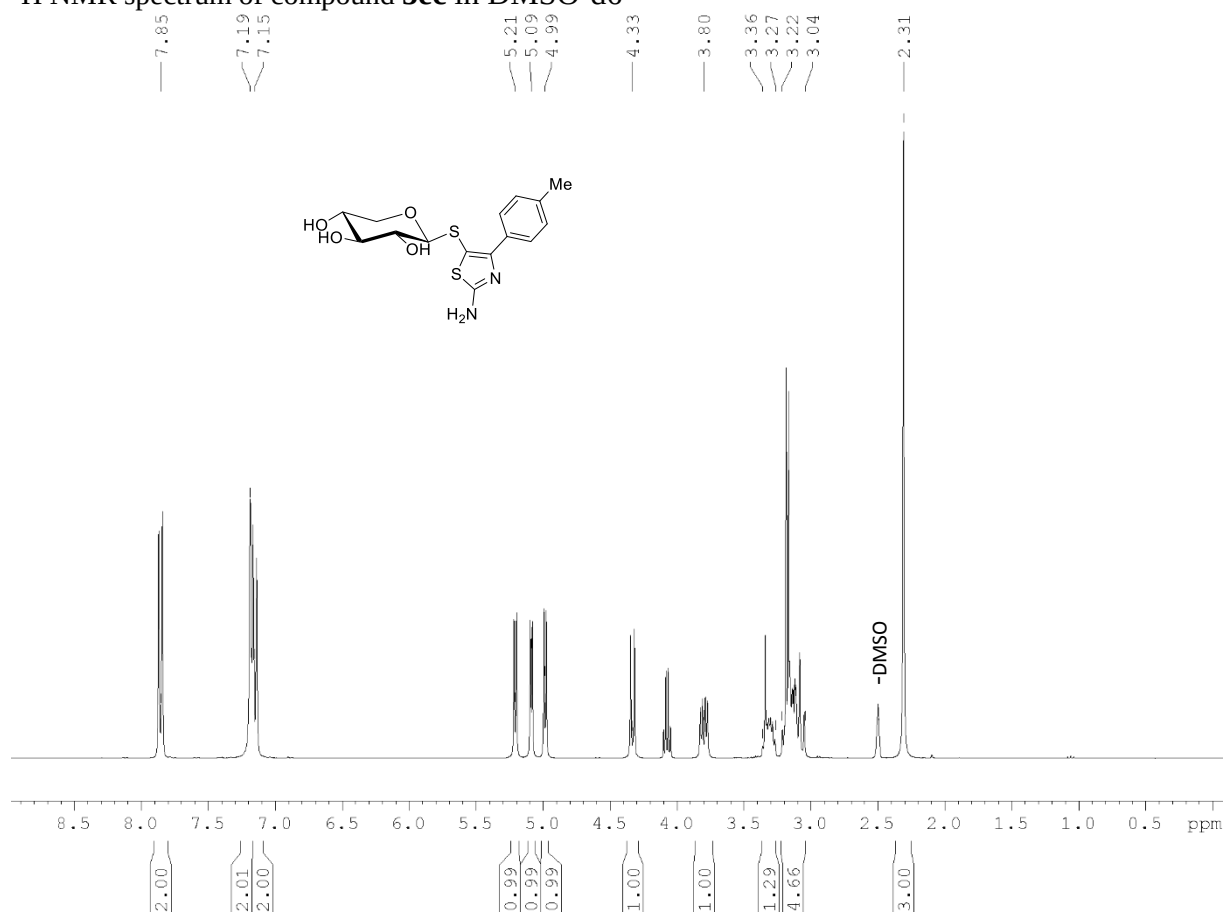
^1H NMR spectrum of compound **5eb** in DMSO- d_6



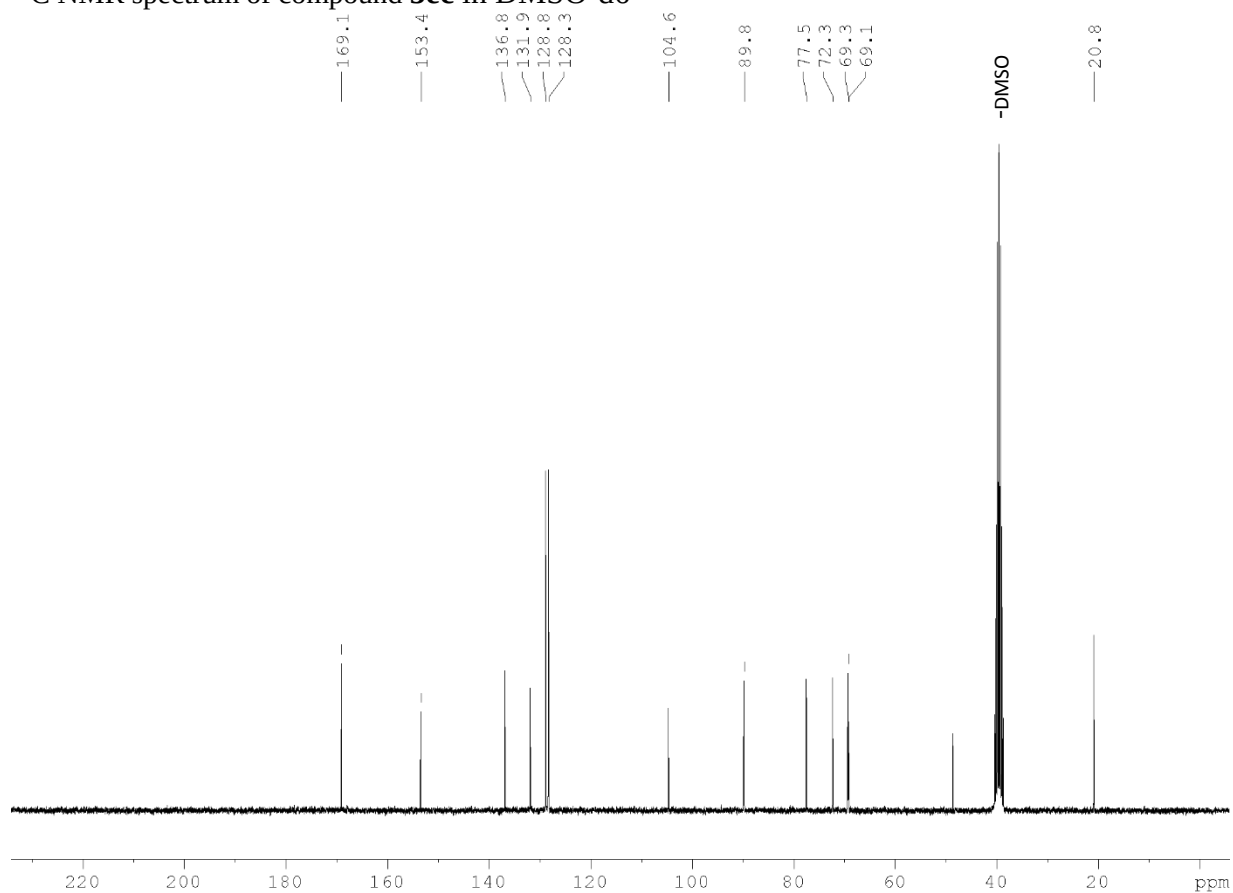
^{13}C NMR spectrum of compound **5eb** in DMSO- d_6



¹H NMR spectrum of compound **5ec** in DMSO-d₆



¹³C NMR spectrum of compound **5ec** in DMSO-d₆



Biological assay

Cytotoxic Activity (MTT Assay)

All the substances were tested in concentrations up to 100 μ M. The cell suspension (180 μ l) and solutions (20 μ l) of tested compounds in different concentrations were injected in wells of 96-well plates (MDA-MB-231, PC-3 and HEK-293 7 $\times$ 10³ cells/well) and incubated at 37 °C for 24 h in an atmosphere with 5% CO₂. Substances concentrations of 1.25–100.0 μ M were incubated with MDA-MB-231, PC-3 and HEK-293 cells at 37 °C for 24 and 48 h in an atmosphere with 5% CO₂. After incubation, the compounds with medium were replaced by 100 μ l of fresh medium. Then, 10 μ l of MTT (3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide) (Sigma-Aldrich, St. Louis, MO, USA) stock solution (5 mg/ml) was added to each well, followed by incubation of the microplate for 4 h. After this procedure, 100 μ l of SDS-HCl solution (1 g SDS/10 ml d-H₂O/17 μ l 6 N HCl) was added to each well and incubated for 18 h. The absorbance of the converted dye formazan was determined with a Multiskan FC microplate photometer (Thermo Fisher Scientific, Waltham, MA, USA) at 570 nm. Cytotoxic activity of the tested substances was calculated as a concentration that caused 50% cell metabolic activity inhibition (IC₅₀). The experiments were conducted in triplicate; $p \leq 0.05$.

FDA Staining

A stock solution of the fluorescein diacetate (FDA) (Sigma-Aldrich, St. Louis, MO, USA) in DMSO (1 mg/ml) was prepared. The HeLa cells (6 $\times$ 10⁴ cells/well) were seeded in 96-well plates before testing. After incubation of the cells with compounds during 24 h, FDA solution (50 μ g/ml) was added to each well and the plate was incubated in the dark at 37 °C for 15 min. Cells were washed with PBS, and fluorescence was measured with a PHERAstar FS plate reader (BMG Labtech, Ortenberg, Germany) at $\lambda_{\text{ex}} = 485$ nm and $\lambda_{\text{em}} = 518$ nm. The data were processed by MARS Data Analysis v. 3.01R2 (BMG Labtech, Ortenberg, Germany). Cell viability was expressed as the percent of control.

Annexin V- AF 488/PI staining

The inversion of phosphatidylserine from the inner membrane to the outer membrane was assessed using flow cytometry and annexin-V-AF 488/propidium iodide (PI) double staining. 12-well plates were used to seed 2 $\times$ 10⁵ cells/well. After incubation during 24 h, the T-4ca and T-4cb in different concentrations were added, and the plates were incubated for additionally 48 h. Cells were harvested using trypsin-EDTA solution, stained with annexin-V- AF 488 and propidium iodide kit (Lumiprobe, Russia), and analyzed using NovoCyte flow cytometer (Agilent, Santa Clara, CA, USA).

Wound Scratch Migration Assay

To analyze the effect of test compounds on tumor cells migration, inserts from special migration plates (Culture-insert 2 Well 24, ibiTreat) were removed, leaving a gap of 500 $\pm$ 50 μ m (according to the manufacturer's data) between PC-3 cells attached to the plate the plastic bottom, which was up to this point, is separated by a silicone insert. Then cells were washed twice by PBS to remove cell debris and floating cells and loading with fluorescent probe CFDA-SE. After that, cells were treatment with various concentrations of glycosides for 0 and 24 h. Cells treated with culture medium only were used as vehicle control. Cell migration into the wound areas was then observed under a fluorescence microscope (MIB-2-FL, LOMO, Russia) with objective 10- magnification.

Colony formation assay to determine the effect on cell proliferation

The effect of **4ca** and **4cb** on the proliferation of PC-3 cells was analyzed by the clonogenic assay (Scientific Reports, 6:20896, DOI: 10.1038/srep20896). Briefly, PC-3 cells were cultured on 6-well plates at density of 1 $\times$ 10³ cells per well and received control media (DMEM media, 10 % FBS, 10,000 U/ml of penicillin and 10,000 μ g/ml of streptomycin) or media supplemented with different concentration of compounds. Cells were incubated for 2 weeks at 37°C with 5 % CO₂ until the cells in control plates have formed colonies that were visible to eye and were of a substantially good size (at least 50 cells per colony). For fixation and staining, media was removed and the cells were washed twice with PBS. The colonies were fixed with methanol for 25 min, then washed with PBS and staining with 0.5 % crystal violet solution for 25 min at room temperature. The plates were then washed with water and air-dried.

Antimicrobial Activity

The yeast-like fungi *Candida albicans* KMM 455 and bacterial strains *Staphylococcus aureus* ATCC 21027 and *Escherichia coli* VKPM (B-7935) (Collection of Marine Microorganisms PIBOC FEB RAS) were cultured on solid-medium Mueller Hinton broth with agar (16.0 g/l) in a Petri dish at 37 °C for 24 h. The assays were performed in 96-well microplates in appropriate Mueller Hinton broth. Each well contained 90 µl of bacterial or of a yeast-like fungi suspension (10^6 CFU/ml). Then, 10 µl was added of a compound diluted at concentrations from 1.5 µM to 100.0 µM using twofold dilution (DMSO concentration < 1%). Culture plates were incubated overnight at 37 °C, and the OD₆₂₀ was measured using a Multiskan FC spectrophotometer (Thermo Scientific Inc., Beverly, MA, USA). The antibiotic gentamicin and antifungal agent nitrofungin were used as positive controls at 1 mg/ml; 1% DMSO in PBS served as a negative control. Examination was performed twice and in triplicate. The results were calculated as a percentage of the control data in SigmaPlot 14.0 software.

Urease test

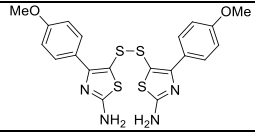
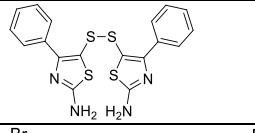
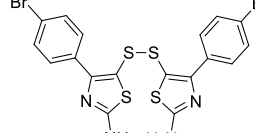
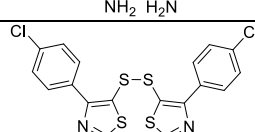
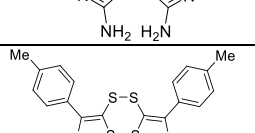
The reaction mixture consisting of 25 µl of enzyme solution (urease from *Canavalia ensiformis*, Sigma) at a final concentration of 1U and 5 µl of test compounds dissolved in water was preincubated at 37 °C for 60 min in a 96-well flat-bottomed plate. Then, 55 µl of a solution of 100 mM urea in Na-phosphate buffer (pH 7.5) was added to each well and incubated at 37 °C for 10 min. The urease inhibitory activity was estimated by determining of ammonia production using indophenol method (Weatherburn M.W. Phenol hypochlorite reaction for determination of ammonia // *Analyt.Chem.* 1967. V. 39. P. 971-974). Briefly, 45 µl of phenol reagent (1% w/v phenol and 0.005% w/v sodium nitroprusside) and 70 µl of alkali reagent (0.5% w/v NaOH and 0.1% active chloride NaOCl) were added to each well. Enzyme activity was assessed after 50 min at 630 nm using a microplate reader Multiskan FC (Thermo Scientific, Waltham, MA, USA). All reactions were performed in a final volume of 200 µl/well in triplicate. In all experiments, the pH was maintained at 7.3-7.5. Thiourea was used as a positive control. The results were evaluated using the SigmaPlot 14.0 program.

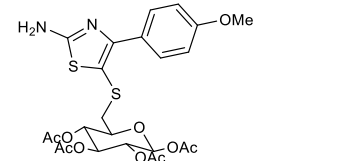
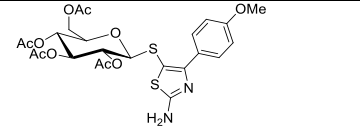
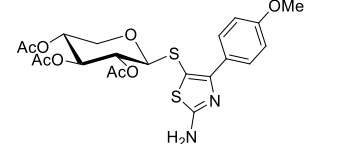
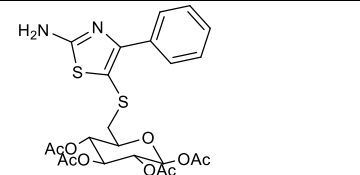
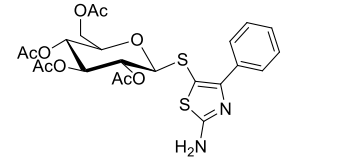
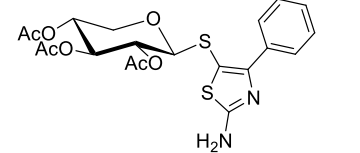
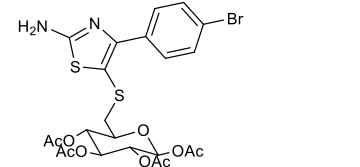
DPPH-Radical Scavenger Assay

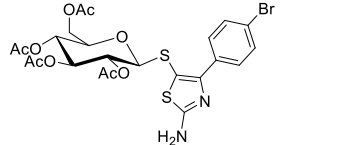
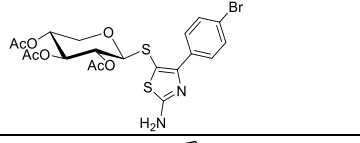
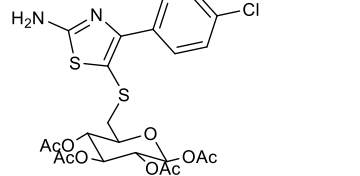
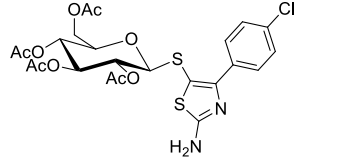
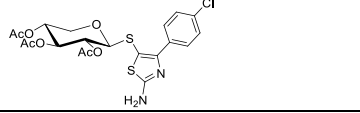
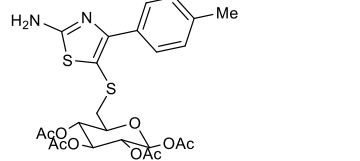
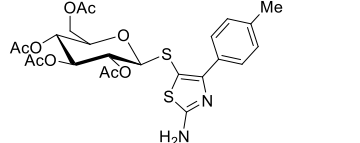
The DPPH radical scavenging activities of the compounds were tested as described (A. S. Leutou, K. Yun, B. W. Son, *Arch. Pharm. Res.*, 2016, **39**, 806. DOI: 10.1007/s12272-016-0764-2).

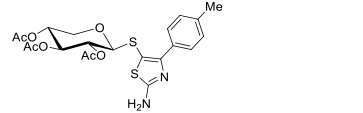
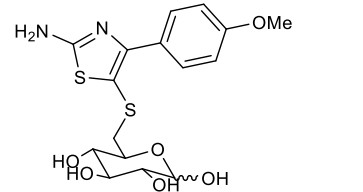
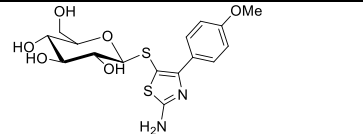
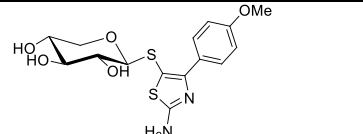
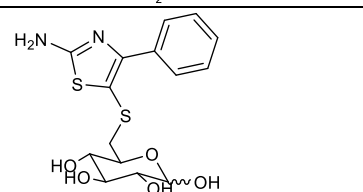
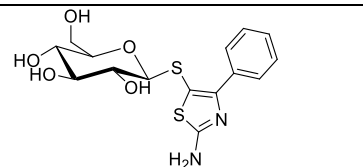
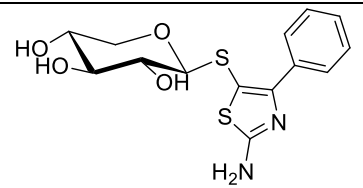
The compounds were dissolved in DMSO and the solutions (120 µl) were dispensed into wells of a 96-well microplate. In all, 30 µl of the DPPH (Sigma-Aldrich, Steinheim, Germany) solution in MeOH (7.5×10^{-3} M) was added to each well. The concentrations of the test compounds in the mixtures were 1.5 and 100.0 µM. The mixtures were shaken and left to stand for 30 min, and the absorbance of the resulting solutions was measured at 520 nm with a microplate reader MultiscanFC (ThermoScientific, Waltham, MA, USA). The radical scavenging activities of the compounds at 100 µM are presented as % relative to the control (DMSO alone). Ascorbic acid was used as a positive control. All experiments were performed in triplicate.

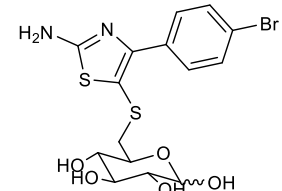
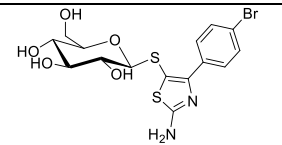
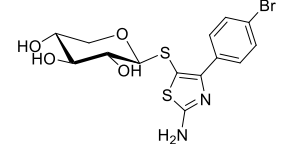
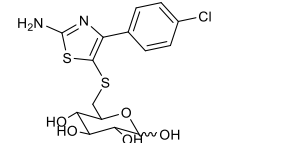
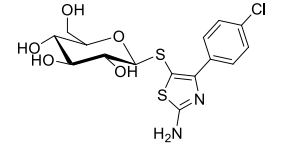
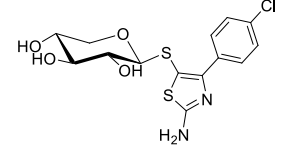
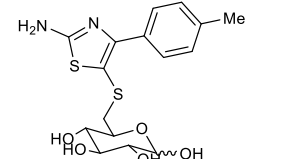
Table S2. Biological activity. Cytostatic activity (EC₅₀) on tumor and non-tumor human cell lines (μM) after 48 hours of incubation (*-MTT test, **-FDA). Antimicrobial activity of synthesized compounds, concentration 100 μM. Radical scavenging activity and inhibition of the urease enzyme by synthesized compounds. All compounds were studied at 100 μM.

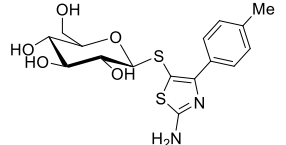
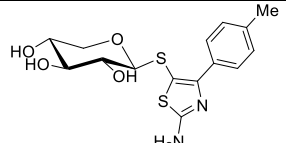
Code	Structure	Cytostatic activity (48h), EC ₅₀ , μM					Microbial growth inhibition, %			Radical scavenging activity, %	Urease enzyme inhibition, %
		PC-3*	Hela**	Mda-mb-231*	HEK-293*	Hemolysis	<i>S. aureus</i>	<i>E. coli</i>	<i>C. albicans</i>		
1a		32.30	33.23	65.03	28.10	>100	90.10 ± 0.82	0	82.10 ± 0.42	75.84 ± 2.47	53.60 ± 3.14
1b		33.28	39.62	61.15	22.36	>100	88.73 ± 4.52	44.37 ± 2.04	87.57 ± 0.97	37.16 ± 1.96	47.95 ± 1.90
1c		16.57	27.15	66.91	14.23	>100	89.87 ± 0.06	0	86.32 ± 0.97	51.07 ± 5.24	27.20 ± 3.63
1d		30.46	33.31	71.24	27.11	>100	79.24 ± 7.14	0	84.28 ± 0.27	51.37 ± 2.06	36.36 ± 1.38
1e		21.57	28.58	16.68	19.41	>100	10.23 ± 0.04	0	0	44.17 ± 1.39	55.77 ± 0.65

4aa		>100	>100	>100	>100	>100	19.02 ± 0.09	0	24.10 ± 3.65	27.64 ± 5.42	0
4ab		>100	>100	>100	>100	>100	25.24 ± 5.08	0	13.60 ± 1.20	17.86 ± 4.18	0
4ac		>100	>100	>100	>100	>100	5.89 ± 1.13	0	12.75 ± 2.04	13.17 ± 3.35	38.82 ± 3.11
4ba		>100	>100	>100	>100	>100	29.61 ± 1.21	0	16.33 ± 2.44	25.68 ± 2.62	0
4bb		>100	>100	>100	>100	>100	0	0	32.38 ± 1.62	18.97 ± 1.56	16.07 ± 0.01
4bc		>100	>100	>100	>100	>100	50.02 ± 6.89	0	31.24 ± 2.01	19.55 ± 3.60	0
4ca		76.26	77.15	>100	68.32	>100	0	0	12.98 ± 3.63	22.00 ± 2.86	0

4cb		42.12	71.12	77.9	88.39	>100	13.24 ± 0.63	0	15.54 ± 0.02	0	0
4cc		>100	>100	>100	>100	>100	14.76 ± 1.47	0	15.30 ± 1.04	0	0
4da		>100	>100	>100	>100	>100	33.05 ± 4.06	0	21.04 ± 1.59	20.84 ± 2.25	8.78 ± 1.22
4db		>100	>100	>100	>100	>100	0	0	0	16.18 ± 2.16	13.31 ± 1.30
4dc		>100	>100	>100	>100	>100	9.36 ± 0.56	0	21.43 ± 0.02	0	12.18 ± 0.15
4ea		>100	>100	>100	>100	>100	9.64 ± 1.01	0	14.76 ± 0.84	0	0
4eb		>100	>100	>100	>100	>100	6.44 ± 0.93	0	0	7.23 ± 2.06	0

4ec		>100	>100	>100	19.6	>100	11.26 ± 1.12	0	17.09 ± 1.46	0	0
5aa		>100	>100	>100	>100	>100	12.33 ± 0.98	0	15.88 ± 1.72	33.16 ± 0.45	0
5ab		>100	>100	>100	>100	>100	15.44 ± 0.96	0	20.59 ± 0.43	11.89 ± 1.24	0
5ac		>100	>100	>100	>100	>100	6.75 ± 0.12	0	15.97 ± 0.78	12.28 ± 3.84	42.12 ± 3.51
5ba		>100	>100	>100	>100	>100	11.35 ± 1.65	0	13.14 ± 1.02	9.03 ± 4.33	0
5bb		>100	>100	>100	>100	>100	27.85 ± 1.37	0	24.96 ± 2.12	9.30 ± 0.65	0
5bc		>100	>100	>100	>100	>100	31.21 ± 0.07	0	13.77 ± 0.72	21.33 ± 2.82	0

5ca		>100	>100	>100	>100	>100	0	0	0	18.42 ± 1.68	0
5cb		>100	>100	>100	>100	>100	12.62 ± 0.96	0	8.94 ± 1.13	0	0
5cc		>100	>100	>100	>100	>100	0	0	14.75 ± 1.30	7.04 ± 2.47	0
5da		>100	>100	>100	>100	>100	10.36 ± 1.15	0	17.10 ± 2.45	8.95 ± 2.25	23.28 ± 1.57
5db		>100	>100	>100	>100	>100	10.47 ± 1.22	0	12.62 ± 1.07	4.04 ± 1.25	0
5dc		>100	>100	>100	>100	>100	21.13 ± 0.96	0	19.25 ± 2.20	5.50 ± 1.20	0
5ea		>100	>100	>100	>100	>100	8.19 ± 1.52	0	18.64 ± 1.36	13.76 ± 0.58	0

5eb		>100	>100	>100	>100	>100	20.72 ± 0.87	0	25.16 ± 0.02	6.60 ± 2.84	0
5ec		>100	>100	>100	>100	>100	11.33 ± 0.97	0	20.25 ± 1.19	0	0
	Gentamicin						96.45 ± 2.36	97.32 ± 2.47			
	Nitrofungin								98.12 ± 2.35		
	Ascorbic acid									98.86 ± 1.23	
	Thiourea										99.12 ± 0.58