

**Supporting Information**  
**for**  
**Automated three-component synthesis of a library of  $\gamma$ -lactams**

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**Results for the four  $4 \times 2 \times 8$  libraries using an automated synthesizer, full characterization data for representative compounds, and copies of  $^1\text{H}$  and  $^{13}\text{C}$  NMR spectra for representative compounds.**

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**Results for the four  $4 \times 2 \times 8$  libraries using an automated synthesizer (Scheme 5)**

| Entry | Maleimide | Aldehyde | Amine | Product  | Yield (%) <sup>a</sup> | Purity (%) <sup>b</sup> | HRMS, calcd for [M + 1] <sup>+</sup> | HRMS, found for [M + 1] <sup>+</sup> |
|-------|-----------|----------|-------|----------|------------------------|-------------------------|--------------------------------------|--------------------------------------|
| 1     | 1{1}      | 2{1}     | 4{1}  | 6{1,1,1} | 66                     | 100                     | 309.1604                             | 309.1599                             |
| 2     | 1{1}      | 2{1}     | 4{2}  | 6{1,1,2} | 73                     | 100                     | 323.1760                             | 323.1756                             |
| 3     | 1{1}      | 2{1}     | 4{3}  | 6{1,1,3} | 68                     | 100                     | 337.1917                             | 337.1912                             |
| 4     | 1{1}      | 2{1}     | 4{4}  | 6{1,1,4} | 70                     | 100                     | 339.1709                             | 339.1706                             |
| 5     | 1{1}      | 2{1}     | 4{5}  | 6{1,1,5} | 33                     | 100                     | 387.0709                             | 387.0699                             |
| 6     | 1{1}      | 2{1}     | 4{6}  | 6{1,1,6} | 51                     | 100                     | 315.2073                             | 315.2071                             |
| 7     | 1{1}      | 2{1}     | 4{7}  | 6{1,1,7} | 60                     | 100                     | 289.1917                             | 289.1913                             |
| 8     | 1{1}      | 2{1}     | 4{8}  | 6{1,1,8} | 0                      | —                       | 324.1713                             | —                                    |
| 9     | 1{1}      | 2{2}     | 4{1}  | 6{1,2,1} | 0                      | —                       | 371.1760                             | —                                    |
| 10    | 1{1}      | 2{2}     | 4{2}  | 6{1,2,2} | 0                      | —                       | 385.1917                             | —                                    |
| 11    | 1{1}      | 2{2}     | 4{3}  | 6{1,2,3} | 9                      | 92                      | 399.2073                             | 399.2063                             |
| 12    | 1{1}      | 2{2}     | 4{4}  | 6{1,2,4} | 0                      | —                       | 401.1866                             | —                                    |
| 13    | 1{1}      | 2{2}     | 4{5}  | 6{1,2,5} | 0                      | —                       | 449.0865                             | —                                    |
| 14    | 1{1}      | 2{2}     | 4{6}  | 6{1,2,6} | 9                      | 100                     | 377.2230                             | 377.2223                             |
| 15    | 1{1}      | 2{2}     | 4{7}  | 6{1,2,7} | 15                     | 25                      | 351.2073                             | 351.2066                             |
| 16    | 1{1}      | 2{2}     | 4{8}  | 6{1,2,8} | 0                      | —                       | 386.1869                             | —                                    |
| 17    | 1{2}      | 2{1}     | 4{1}  | 6{2,1,1} | 6                      | 100                     | 247.1447                             | 247.1441                             |
| 18    | 1{2}      | 2{1}     | 4{2}  | 6{2,1,2} | 53                     | 100                     | 261.1604                             | 261.1601                             |
| 19    | 1{2}      | 2{1}     | 4{3}  | 6{2,1,3} | 32                     | 100                     | 275.1760                             | 275.1756                             |
| 20    | 1{2}      | 2{1}     | 4{4}  | 6{2,1,4} | 18                     | 100                     | 277.1553                             | 277.1550                             |
| 21    | 1{2}      | 2{1}     | 4{5}  | 6{2,1,5} | 8                      | 100                     | 325.0552                             | 325.0542                             |
| 22    | 1{2}      | 2{1}     | 4{6}  | 6{2,1,6} | 52                     | 100                     | 253.1917                             | 253.1914                             |
| 23    | 1{2}      | 2{1}     | 4{7}  | 6{2,1,7} | 51                     | 100                     | 227.1760                             | 227.1757                             |
| 24    | 1{2}      | 2{1}     | 4{8}  | 6{2,1,8} | 0                      | —                       | 262.1556                             | —                                    |
| 25    | 1{2}      | 2{2}     | 4{1}  | 6{2,2,1} | 0                      | —                       | 309.1604                             | —                                    |
| 26    | 1{2}      | 2{2}     | 4{2}  | 6{2,2,2} | 4                      | 100                     | 323.1760                             | 323.1751                             |
| 27    | 1{2}      | 2{2}     | 4{3}  | 6{2,2,3} | 5                      | 92                      | 337.1917                             | 337.1908                             |
| 28    | 1{2}      | 2{2}     | 4{4}  | 6{2,2,4} | 0                      | —                       | 339.1709                             | —                                    |
| 29    | 1{2}      | 2{2}     | 4{5}  | 6{2,2,5} | 0                      | —                       | 387.0709                             | —                                    |
| 30    | 1{2}      | 2{2}     | 4{6}  | 6{2,2,6} | 148                    | 54                      | 315.2073                             | 315.2067                             |
| 31    | 1{2}      | 2{2}     | 4{7}  | 6{2,2,7} | 11                     | 92                      | 289.1917                             | 289.1909                             |
| 32    | 1{2}      | 2{2}     | 4{8}  | 6{2,2,8} | 0                      | —                       | 324.1713                             | —                                    |
| 33    | 1{3}      | 2{1}     | 4{1}  | 6{3,1,1} | 42                     | 100                     | 339.1709                             | 339.1704                             |
| 34    | 1{3}      | 2{1}     | 4{2}  | 6{3,1,2} | 54                     | 100                     | 353.1866                             | 353.1862                             |
| 35    | 1{3}      | 2{1}     | 4{3}  | 6{3,1,3} | 38                     | 99                      | 367.2022                             | 367.2018                             |
| 36    | 1{3}      | 2{1}     | 4{4}  | 6{3,1,4} | 48                     | 98                      | 369.1815                             | 369.1812                             |
| 37    | 1{3}      | 2{1}     | 4{5}  | 6{3,1,5} | 19                     | 100                     | 417.0815                             | 419.0786                             |
| 38    | 1{3}      | 2{1}     | 4{6}  | 6{3,1,6} | 51                     | 100                     | 345.2179                             | 345.2176                             |
| 39    | 1{3}      | 2{1}     | 4{7}  | 6{3,1,7} | 54                     | 100                     | 319.2022                             | 319.2020                             |
| 40    | 1{3}      | 2{1}     | 4{8}  | 6{3,1,8} | 0                      | —                       | 354.1818                             | —                                    |
| 41    | 1{3}      | 2{2}     | 4{1}  | 6{3,2,1} | 0                      | —                       | 401.1866                             | —                                    |
| 42    | 1{3}      | 2{2}     | 4{2}  | 6{3,2,2} | 0                      | —                       | 415.2022                             | —                                    |
| 43    | 1{3}      | 2{2}     | 4{3}  | 6{3,2,3} | 0                      | —                       | 429.2179                             | —                                    |
| 44    | 1{3}      | 2{2}     | 4{4}  | 6{3,2,4} | 0                      | —                       | 431.1972                             | —                                    |
| 45    | 1{3}      | 2{2}     | 4{5}  | 6{3,2,5} | 0                      | —                       | 479.0971                             | —                                    |
| 46    | 1{3}      | 2{2}     | 4{6}  | 6{3,2,6} | 7                      | 96                      | 407.2335                             | 407.2325                             |
| 47    | 1{3}      | 2{2}     | 4{7}  | 6{3,2,7} | 0                      | —                       | 381.2179                             | —                                    |
| 48    | 1{3}      | 2{2}     | 4{8}  | 6{3,2,8} | 0                      | —                       | 416.1975                             | —                                    |

|     |      |      |      |          |    |     |          |          |
|-----|------|------|------|----------|----|-----|----------|----------|
| 49  | 1{4} | 2{1} | 4{1} | 6{4,1,1} | 38 | 100 | 387.0709 | 387.0698 |
| 50  | 1{4} | 2{1} | 4{2} | 6{4,1,2} | 0  | —   | 401.0865 | —        |
| 51  | 1{4} | 2{1} | 4{3} | 6{4,1,3} | 41 | 99  | 415.1022 | 417.0995 |
| 52  | 1{4} | 2{1} | 4{4} | 6{4,1,4} | 41 | 100 | 417.0815 | 417.0805 |
| 53  | 1{4} | 2{1} | 4{5} | 6{4,1,5} | 41 | 100 | 464.9814 | 466.9782 |
| 54  | 1{4} | 2{1} | 4{6} | 6{4,1,6} | 22 | 100 | 393.1178 | 393.1170 |
| 55  | 1{4} | 2{1} | 4{7} | 6{4,1,7} | 39 | 75  | 367.1022 | 369.0993 |
| 56  | 1{4} | 2{1} | 4{8} | 6{4,1,8} | 0  | —   | 402.0818 | —        |
| 57  | 1{4} | 2{2} | 4{1} | 6{4,2,1} | 0  | —   | 449.0865 | —        |
| 58  | 1{4} | 2{2} | 4{2} | 6{4,2,2} | 0  | —   | 463.1022 | —        |
| 59  | 1{4} | 2{2} | 4{3} | 6{4,2,3} | 1  | 100 | 477.1178 | 479.1147 |
| 60  | 1{4} | 2{2} | 4{4} | 6{4,2,4} | 0  | —   | 479.0971 | —        |
| 61  | 1{4} | 2{2} | 4{5} | 6{4,2,5} | 0  | —   | 526.9971 | —        |
| 62  | 1{4} | 2{2} | 4{6} | 6{4,2,6} | 5  | 100 | 455.1335 | 457.1305 |
| 63  | 1{4} | 2{2} | 4{7} | 6{4,2,7} | 0  | —   | 429.1178 | —        |
| 64  | 1{4} | 2{2} | 4{8} | 6{4,2,8} | 0  | —   | 464.0974 | —        |
| 65  | 1{1} | 2{3} | 4{1} | 6{1,3,1} | 66 | 99  | 385.1917 | 385.1911 |
| 66  | 1{1} | 2{3} | 4{2} | 6{1,3,2} | 65 | 100 | 384.1838 | 384.1829 |
| 67  | 1{1} | 2{3} | 4{3} | 6{1,3,3} | 67 | 100 | 413.2230 | 413.2222 |
| 68  | 1{1} | 2{3} | 4{4} | 6{1,3,4} | 69 | 96  | 415.2022 | 415.2016 |
| 69  | 1{1} | 2{3} | 4{5} | 6{1,3,5} | 56 | 98  | 463.1022 | 465.0994 |
| 70  | 1{1} | 2{3} | 4{6} | 6{1,3,6} | 65 | 100 | 391.2386 | 391.2382 |
| 71  | 1{1} | 2{3} | 4{7} | 6{1,3,7} | 65 | 100 | 365.2230 | 365.2224 |
| 72  | 1{1} | 2{3} | 4{8} | 6{1,3,8} | 25 | 98  | 400.2026 | 400.2019 |
| 73  | 1{1} | 2{4} | 4{1} | 6{1,4,1} | 62 | 97  | 337.1917 | 337.1913 |
| 74  | 1{1} | 2{4} | 4{2} | 6{1,4,2} | 65 | 100 | 351.2073 | 351.2068 |
| 75  | 1{1} | 2{4} | 4{3} | 6{1,4,3} | 68 | 97  | 365.2230 | 365.2226 |
| 76  | 1{1} | 2{4} | 4{4} | 6{1,4,4} | 70 | 100 | 367.2022 | 367.2019 |
| 77  | 1{1} | 2{4} | 4{5} | 6{1,4,5} | 46 | 100 | 415.1022 | 417.0994 |
| 78  | 1{1} | 2{4} | 4{6} | 6{1,4,6} | 51 | 100 | 343.2386 | 343.2383 |
| 79  | 1{1} | 2{4} | 4{7} | 6{1,4,7} | 50 | 97  | 317.2230 | 317.2225 |
| 80  | 1{1} | 2{4} | 4{8} | 6{1,4,8} | 2  | 93  | 352.2026 | 352.2017 |
| 81  | 1{2} | 2{3} | 4{1} | 6{2,3,1} | 43 | 100 | 323.1760 | 323.1755 |
| 82  | 1{2} | 2{3} | 4{2} | 6{2,3,2} | 58 | 100 | 337.1917 | 337.1912 |
| 83  | 1{2} | 2{3} | 4{3} | 6{2,3,3} | 37 | 100 | 351.2073 | 351.2067 |
| 84  | 1{2} | 2{3} | 4{4} | 6{2,3,4} | 60 | 100 | 353.1866 | 353.1860 |
| 85  | 1{2} | 2{3} | 4{5} | 6{2,3,5} | 33 | 100 | 401.0865 | 403.0836 |
| 86  | 1{2} | 2{3} | 4{6} | 6{2,3,6} | 58 | 100 | 329.2230 | 329.2225 |
| 87  | 1{2} | 2{3} | 4{7} | 6{2,3,7} | 50 | 100 | 303.2073 | 303.2070 |
| 88  | 1{2} | 2{3} | 4{8} | 6{2,3,8} | 0  | —   | 338.1869 | —        |
| 89  | 1{2} | 2{4} | 4{1} | 6{2,4,1} | 32 | 100 | 275.1760 | 275.1756 |
| 90  | 1{2} | 2{4} | 4{2} | 6{2,4,2} | 50 | 100 | 289.1917 | 289.1913 |
| 91  | 1{2} | 2{4} | 4{3} | 6{2,4,3} | 44 | 100 | 303.2073 | 303.2070 |
| 92  | 1{2} | 2{4} | 4{4} | 6{2,4,4} | 55 | 100 | 305.1866 | 305.1861 |
| 93  | 1{2} | 2{4} | 4{5} | 6{2,4,5} | 30 | 100 | 353.0865 | 353.0856 |
| 94  | 1{2} | 2{4} | 4{6} | 6{2,4,6} | 50 | 100 | 281.2230 | 281.2228 |
| 95  | 1{2} | 2{4} | 4{7} | 6{2,4,7} | 40 | 100 | 255.2073 | 255.2072 |
| 96  | 1{2} | 2{4} | 4{8} | 6{2,4,8} | 0  | —   | 290.1869 | —        |
| 97  | 1{3} | 2{3} | 4{1} | 6{3,3,1} | 11 | 100 | 415.2022 | 415.2014 |
| 98  | 1{3} | 2{3} | 4{2} | 6{3,3,2} | 62 | 99  | 429.2179 | 429.2172 |
| 99  | 1{3} | 2{3} | 4{3} | 6{3,3,3} | 61 | 100 | 443.2335 | 443.2328 |
| 100 | 1{3} | 2{3} | 4{4} | 6{3,3,4} | 60 | 100 | 445.2128 | 445.2121 |
| 101 | 1{3} | 2{3} | 4{5} | 6{3,3,5} | 20 | 98  | 493.1128 | 495.1096 |
| 102 | 1{3} | 2{3} | 4{6} | 6{3,3,6} | 66 | 98  | 314.1994 | 314.1988 |
| 103 | 1{3} | 2{3} | 4{7} | 6{3,3,7} | 51 | 97  | 395.2335 | 395.2330 |
| 104 | 1{3} | 2{3} | 4{8} | 6{3,3,8} | 20 | 100 | 430.2131 | 430.2123 |

|     |      |      |      |          |    |     |          |          |
|-----|------|------|------|----------|----|-----|----------|----------|
| 105 | 1{3} | 2{4} | 4{1} | 6{3,4,1} | 56 | 100 | 367.2022 | 367.2018 |
| 106 | 1{3} | 2{4} | 4{2} | 6{3,4,2} | 58 | 98  | 381.2179 | 381.2172 |
| 107 | 1{3} | 2{4} | 4{3} | 6{3,4,3} | 47 | 98  | 395.2335 | 395.2332 |
| 108 | 1{3} | 2{4} | 4{4} | 6{3,4,4} | 24 | 95  | 397.2128 | 397.2124 |
| 109 | 1{3} | 2{4} | 4{5} | 6{3,4,5} | 26 | 95  | 445.1128 | 447.1100 |
| 110 | 1{3} | 2{4} | 4{6} | 6{3,4,6} | 51 | 100 | 373.2492 | 373.2488 |
| 111 | 1{3} | 2{4} | 4{7} | 6{3,4,7} | 48 | 96  | 347.2335 | 347.2333 |
| 112 | 1{3} | 2{4} | 4{8} | 6{3,4,8} | 1  | 83  | 382.2131 | 382.2123 |
| 113 | 1{4} | 2{3} | 4{1} | 6{4,3,1} | 41 | 100 | 463.1022 | 465.0991 |
| 114 | 1{4} | 2{3} | 4{2} | 6{4,3,2} | 39 | 97  | 477.1178 | 477.1158 |
| 115 | 1{4} | 2{3} | 4{3} | 6{4,3,3} | 42 | 91  | 491.1335 | 491.1313 |
| 116 | 1{4} | 2{3} | 4{4} | 6{4,3,4} | 43 | 93  | 493.1128 | 493.1120 |
| 117 | 1{4} | 2{3} | 4{5} | 6{4,3,5} | 19 | 100 | 541.0127 | 543.0095 |
| 118 | 1{4} | 2{3} | 4{6} | 6{4,3,6} | 35 | 96  | 469.1491 | 469.1476 |
| 119 | 1{4} | 2{3} | 4{7} | 6{4,3,7} | 41 | 100 | 443.1335 | 443.1317 |
| 120 | 1{4} | 2{3} | 4{8} | 6{4,3,8} | 25 | 97  | 478.1131 | 478.1111 |
| 121 | 1{4} | 2{4} | 4{1} | 6{4,4,1} | 45 | 92  | 415.1022 | 417.0991 |
| 122 | 1{4} | 2{4} | 4{2} | 6{4,4,2} | 33 | 97  | 429.1178 | 429.1154 |
| 123 | 1{4} | 2{4} | 4{3} | 6{4,4,3} | 48 | 98  | 443.1335 | 443.1314 |
| 124 | 1{4} | 2{4} | 4{4} | 6{4,4,4} | 35 | 97  | 445.1128 | 445.1121 |
| 125 | 1{4} | 2{4} | 4{5} | 6{4,4,5} | 16 | 68  | 493.0127 | 495.0095 |
| 126 | 1{4} | 2{4} | 4{6} | 6{4,4,6} | 20 | 96  | 421.1491 | 423.1463 |
| 127 | 1{4} | 2{4} | 4{7} | 6{4,4,7} | 32 | 100 | 395.1335 | 395.1315 |
| 128 | 1{4} | 2{4} | 4{8} | 6{4,4,8} | 26 | 94  | 430.1131 | 430.1116 |
| 129 | 1{1} | 2{5} | 4{1} | 6{1,5,1} | 40 | 100 | 355.1481 | 355.1475 |
| 130 | 1{1} | 2{5} | 4{2} | 6{1,5,2} | 48 | 100 | 369.1637 | 369.1632 |
| 131 | 1{1} | 2{5} | 4{3} | 6{1,5,3} | 36 | 99  | 383.1794 | 383.1790 |
| 132 | 1{1} | 2{5} | 4{4} | 6{1,5,4} | 38 | 100 | 385.1587 | 385.1582 |
| 133 | 1{1} | 2{5} | 4{5} | 6{1,5,5} | 25 | 100 | 433.0586 | 435.0555 |
| 134 | 1{1} | 2{5} | 4{6} | 6{1,5,6} | 29 | 100 | 361.1950 | 361.1945 |
| 135 | 1{1} | 2{5} | 4{7} | 6{1,5,7} | 0  | —   | 335.1794 | —        |
| 136 | 1{1} | 2{5} | 4{8} | 6{1,5,8} | 32 | 100 | 370.1590 | 370.1584 |
| 137 | 1{1} | 2{6} | 4{1} | 6{1,6,1} | 6  | 100 | 401.1866 | 401.1859 |
| 138 | 1{1} | 2{6} | 4{2} | 6{1,6,2} | 6  | 100 | 415.2022 | 415.2014 |
| 139 | 1{1} | 2{6} | 4{3} | 6{1,6,3} | 9  | 98  | 429.2179 | 429.2173 |
| 140 | 1{1} | 2{6} | 4{4} | 6{1,6,4} | 9  | 90  | 431.1972 | 431.1960 |
| 141 | 1{1} | 2{6} | 4{5} | 6{1,6,5} | 7  | 93  | 479.0971 | 481.0944 |
| 142 | 1{1} | 2{6} | 4{6} | 6{1,6,6} | 5  | 88  | 407.2335 | 407.2328 |
| 143 | 1{1} | 2{6} | 4{7} | 6{1,6,7} | 3  | 100 | 381.2179 | 381.2171 |
| 144 | 1{1} | 2{6} | 4{8} | 6{1,6,8} | 0  | —   | 416.1975 | —        |
| 145 | 1{2} | 2{5} | 4{1} | 6{2,5,1} | 14 | 100 | 293.1324 | 293.1316 |
| 146 | 1{2} | 2{5} | 4{2} | 6{2,5,2} | 31 | 100 | 307.1481 | 307.1477 |
| 147 | 1{2} | 2{5} | 4{3} | 6{2,5,3} | 36 | 100 | 321.1637 | 321.1634 |
| 148 | 1{2} | 2{5} | 4{4} | 6{2,5,4} | 32 | 100 | 323.1430 | 323.1425 |
| 149 | 1{2} | 2{5} | 4{5} | 6{2,5,5} | 29 | 100 | 371.0430 | 373.0401 |
| 150 | 1{2} | 2{5} | 4{6} | 6{2,5,6} | 29 | 100 | 299.1794 | 299.1790 |
| 151 | 1{2} | 2{5} | 4{7} | 6{2,5,7} | 5  | 100 | 273.1637 | 273.1636 |
| 152 | 1{2} | 2{5} | 4{8} | 6{2,5,8} | 0  | —   | 308.1433 | —        |
| 153 | 1{2} | 2{6} | 4{1} | 6{2,6,1} | 0  | —   | 339.1709 | —        |
| 154 | 1{2} | 2{6} | 4{2} | 6{2,6,2} | 3  | 100 | 353.1866 | —        |
| 155 | 1{2} | 2{6} | 4{3} | 6{2,6,3} | 2  | 100 | 367.2022 | 367.2017 |
| 156 | 1{2} | 2{6} | 4{4} | 6{2,6,4} | 0  | —   | 369.1815 | —        |
| 157 | 1{2} | 2{6} | 4{5} | 6{2,6,5} | 43 | 100 | 417.0815 | 417.0813 |
| 158 | 1{2} | 2{6} | 4{6} | 6{2,6,6} | 68 | 100 | 345.2179 | 345.2171 |
| 159 | 1{2} | 2{6} | 4{7} | 6{2,6,7} | 70 | 100 | 319.2022 | 319.2016 |
| 160 | 1{2} | 2{6} | 4{8} | 6{2,6,8} | 51 | 97  | 354.1818 | 354.1820 |

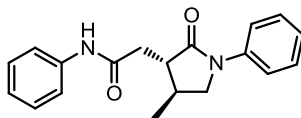
|     |      |      |      |          |    |     |          |          |
|-----|------|------|------|----------|----|-----|----------|----------|
| 161 | 1{3} | 2{5} | 4{1} | 6{3,5,1} | 36 | 100 | 385.1587 | 385.1580 |
| 162 | 1{3} | 2{5} | 4{2} | 6{3,5,2} | 46 | 100 | 399.1743 | 399.1736 |
| 163 | 1{3} | 2{5} | 4{3} | 6{3,5,3} | 39 | 100 | 413.1900 | 413.1893 |
| 164 | 1{3} | 2{5} | 4{4} | 6{3,5,4} | 2  | 100 | 415.1692 | 415.1686 |
| 165 | 1{3} | 2{5} | 4{5} | 6{3,5,5} | 4  | 89  | 463.0692 | 465.0662 |
| 166 | 1{3} | 2{5} | 4{6} | 6{3,5,6} | 5  | 90  | 391.2056 | 391.2052 |
| 167 | 1{3} | 2{5} | 4{7} | 6{3,5,7} | 7  | 100 | 365.1900 | 365.1896 |
| 168 | 1{3} | 2{5} | 4{8} | 6{3,5,8} | 2  | 100 | 400.1696 | 400.1688 |
| 169 | 1{3} | 2{6} | 4{1} | 6{3,6,1} | 4  | 89  | 431.1972 | 431.1963 |
| 170 | 1{3} | 2{6} | 4{2} | 6{3,6,2} | 5  | 90  | 445.2128 | 445.2116 |
| 171 | 1{3} | 2{6} | 4{3} | 6{3,6,3} | 5  | 100 | 459.2285 | 459.2280 |
| 172 | 1{3} | 2{6} | 4{4} | 6{3,6,4} | 7  | 72  | 461.2077 | 461.2065 |
| 173 | 1{3} | 2{6} | 4{5} | 6{3,6,5} | 4  | 91  | 509.1077 | 511.1048 |
| 174 | 1{3} | 2{6} | 4{6} | 6{3,6,6} | 4  | 100 | 437.2441 | 437.2429 |
| 175 | 1{3} | 2{6} | 4{7} | 6{3,6,7} | 4  | 100 | 411.2285 | 411.2277 |
| 176 | 1{3} | 2{6} | 4{8} | 6{3,6,8} | 0  | —   | 446.2081 | —        |
| 177 | 1{4} | 2{5} | 4{1} | 6{4,5,1} | 46 | 90  | 433.0586 | 435.0557 |
| 178 | 1{4} | 2{5} | 4{2} | 6{4,5,2} | 44 | 100 | 447.0743 | 449.0713 |
| 179 | 1{4} | 2{5} | 4{3} | 6{4,5,3} | 45 | 97  | 461.0899 | 463.0867 |
| 180 | 1{4} | 2{5} | 4{4} | 6{4,5,4} | 50 | 100 | 463.0692 | 465.0664 |
| 181 | 1{4} | 2{5} | 4{5} | 6{4,5,5} | 53 | 100 | 510.9691 | 512.9663 |
| 182 | 1{4} | 2{5} | 4{6} | 6{4,5,6} | 40 | 94  | 439.1056 | 441.1025 |
| 183 | 1{4} | 2{5} | 4{7} | 6{4,5,7} | 24 | 100 | 413.0899 | 415.0872 |
| 184 | 1{4} | 2{5} | 4{8} | 6{4,5,8} | 6  | 95  | 448.0695 | 448.0673 |
| 185 | 1{4} | 2{6} | 4{1} | 6{4,6,1} | 13 | 82  | 479.0971 | 481.0941 |
| 186 | 1{4} | 2{6} | 4{2} | 6{4,6,2} | 10 | 84  | 493.1128 | 495.1099 |
| 187 | 1{4} | 2{6} | 4{3} | 6{4,6,3} | 10 | 98  | 507.1284 | 509.1255 |
| 188 | 1{4} | 2{6} | 4{4} | 6{4,6,4} | 12 | 97  | 509.1077 | 511.1050 |
| 189 | 1{4} | 2{6} | 4{5} | 6{4,6,5} | 13 | 93  | 557.0076 | 559.0045 |
| 190 | 1{4} | 2{6} | 4{6} | 6{4,6,6} | 7  | 100 | 485.1441 | 487.1412 |
| 191 | 1{4} | 2{6} | 4{7} | 6{4,6,7} | 9  | 100 | 459.1284 | 461.1257 |
| 192 | 1{4} | 2{6} | 4{8} | 6{4,6,8} | 2  | 83  | 494.1080 | 494.1069 |
| 193 | 1{1} | 2{7} | 4{1} | 6{1,7,1} | 0  | —   | 449.0865 | —        |
| 194 | 1{1} | 2{7} | 4{2} | 6{1,7,2} | 2  | 96  | 463.1022 | 465.0994 |
| 195 | 1{1} | 2{7} | 4{3} | 6{1,7,3} | 2  | 88  | 477.1178 | 479.1149 |
| 196 | 1{1} | 2{7} | 4{4} | 6{1,7,4} | 3  | 58  | 479.0971 | 481.0945 |
| 197 | 1{1} | 2{7} | 4{5} | 6{1,7,5} | 0  | 100 | 526.9971 | 528.9939 |
| 198 | 1{1} | 2{7} | 4{6} | 6{1,7,6} | 2  | 65  | 455.1335 | 457.1305 |
| 199 | 1{1} | 2{7} | 4{7} | 6{1,7,7} | 3  | 64  | 429.1178 | 431.1151 |
| 200 | 1{1} | 2{7} | 4{8} | 6{1,7,8} | 4  | 4   | 464.0974 | 464.0956 |
| 201 | 1{1} | 2{8} | 4{1} | 6{1,8,1} | 16 | 96  | 323.1760 | 323.1757 |
| 202 | 1{1} | 2{8} | 4{2} | 6{1,8,2} | 18 | 100 | 337.1917 | 337.1915 |
| 203 | 1{1} | 2{8} | 4{3} | 6{1,8,3} | 15 | 100 | 351.2073 | 351.2068 |
| 204 | 1{1} | 2{8} | 4{4} | 6{1,8,4} | 18 | 98  | 353.1866 | 353.1863 |
| 205 | 1{1} | 2{8} | 4{5} | 6{1,8,5} | 14 | 100 | 401.0865 | 403.0839 |
| 206 | 1{1} | 2{8} | 4{6} | 6{1,8,6} | 15 | 100 | 329.2230 | 329.2226 |
| 207 | 1{1} | 2{8} | 4{7} | 6{1,8,7} | 19 | 100 | 303.2073 | 303.2071 |
| 208 | 1{1} | 2{8} | 4{8} | 6{1,8,8} | 3  | 100 | 338.1869 | 338.1864 |
| 209 | 1{2} | 2{7} | 4{1} | 6{2,7,1} | 0  | —   | 387.0709 | —        |
| 210 | 1{2} | 2{7} | 4{2} | 6{2,7,2} | 2  | 51  | 401.0865 | 401.0855 |
| 211 | 1{2} | 2{7} | 4{3} | 6{2,7,3} | 1  | 75  | 415.1022 | 417.0996 |
| 212 | 1{2} | 2{7} | 4{4} | 6{2,7,4} | 1  | 64  | 417.0815 | 417.0814 |
| 213 | 1{2} | 2{7} | 4{5} | 6{2,7,5} | 0  | —   | 464.9814 | —        |
| 214 | 1{2} | 2{7} | 4{6} | 6{2,7,6} | 7  | 96  | 393.1178 | 395.1151 |
| 215 | 1{2} | 2{7} | 4{7} | 6{2,7,7} | 4  | 57  | 367.1022 | 367.1013 |
| 216 | 1{2} | 2{7} | 4{8} | 6{2,7,8} | 0  | —   | 402.0818 | —        |

|     |      |      |      |          |    |     |          |          |
|-----|------|------|------|----------|----|-----|----------|----------|
| 217 | 1{2} | 2{8} | 4{1} | 6{2,8,1} | 4  | 100 | 261.1604 | 261.1599 |
| 218 | 1{2} | 2{8} | 4{2} | 6{2,8,2} | 6  | 100 | 275.1760 | 275.1755 |
| 219 | 1{2} | 2{8} | 4{3} | 6{2,8,3} | 7  | 100 | 289.1917 | 289.1912 |
| 220 | 1{2} | 2{8} | 4{4} | 6{2,8,4} | 6  | 100 | 291.1709 | 291.1704 |
| 221 | 1{2} | 2{8} | 4{5} | 6{2,8,5} | 4  | 100 | 339.0709 | 339.0699 |
| 222 | 1{2} | 2{8} | 4{6} | 6{2,8,6} | 9  | 100 | 267.2073 | 267.2071 |
| 223 | 1{2} | 2{8} | 4{7} | 6{2,8,7} | 6  | 100 | 241.1917 | 241.1912 |
| 224 | 1{2} | 2{8} | 4{8} | 6{2,8,8} | 2  | 44  | 276.1713 | 276.1706 |
| 225 | 1{3} | 2{7} | 4{1} | 6{3,7,1} | 0  | —   | 479.0971 | —        |
| 226 | 1{3} | 2{7} | 4{2} | 6{3,7,2} | 2  | 64  | 493.1128 | 495.1098 |
| 227 | 1{3} | 2{7} | 4{3} | 6{3,7,3} | 3  | 37  | 507.1284 | 509.1254 |
| 228 | 1{3} | 2{7} | 4{4} | 6{3,7,4} | 3  | 89  | 509.1077 | 511.1052 |
| 229 | 1{3} | 2{7} | 4{5} | 6{3,7,5} | 0  | —   | 557.0076 | —        |
| 230 | 1{3} | 2{7} | 4{6} | 6{3,7,6} | 4  | 38  | 485.1441 | 487.1413 |
| 231 | 1{3} | 2{7} | 4{7} | 6{3,7,7} | 2  | 70  | 459.1284 | 461.1255 |
| 232 | 1{3} | 2{7} | 4{8} | 6{3,7,8} | 0  | —   | 494.1080 | —        |
| 233 | 1{3} | 2{8} | 4{1} | 6{3,8,1} | 10 | 98  | 353.1866 | 353.1860 |
| 234 | 1{3} | 2{8} | 4{2} | 6{3,8,2} | 17 | 97  | 367.2022 | 367.2020 |
| 235 | 1{3} | 2{8} | 4{3} | 6{3,8,3} | 0  | —   | 381.2179 | —        |
| 236 | 1{3} | 2{8} | 4{4} | 6{3,8,4} | 10 | 89  | 383.1972 | 383.1964 |
| 237 | 1{3} | 2{8} | 4{5} | 6{3,8,5} | 7  | 47  | 431.0971 | 433.0944 |
| 238 | 1{3} | 2{8} | 4{6} | 6{3,8,6} | 1  | —   | 359.2335 | —        |
| 239 | 1{3} | 2{8} | 4{7} | 6{3,8,7} | 9  | 78  | 333.2179 | 333.2173 |
| 240 | 1{3} | 2{8} | 4{8} | 6{3,8,8} | 0  | 100 | 368.1975 | 368.1973 |
| 241 | 1{4} | 2{7} | 4{1} | 6{4,7,1} | 3  | 83  | 526.9971 | 528.9940 |
| 242 | 1{4} | 2{7} | 4{2} | 6{4,7,2} | 5  | 90  | 541.0127 | 543.0094 |
| 243 | 1{4} | 2{7} | 4{3} | 6{4,7,3} | 4  | 72  | 555.0284 | 557.0255 |
| 244 | 1{4} | 2{7} | 4{4} | 6{4,7,4} | 5  | 93  | 557.0076 | 559.0049 |
| 245 | 1{4} | 2{7} | 4{5} | 6{4,7,5} | 3  | 87  | 604.9076 | 608.9029 |
| 246 | 1{4} | 2{7} | 4{6} | 6{4,7,6} | 6  | 90  | 533.0440 | 535.0408 |
| 247 | 1{4} | 2{7} | 4{7} | 6{4,7,7} | 10 | 100 | 507.0284 | 509.0250 |
| 248 | 1{4} | 2{7} | 4{8} | 6{4,7,8} | 3  | 64  | 542.0080 | 544.0050 |
| 249 | 1{4} | 2{8} | 4{1} | 6{4,8,1} | 28 | 100 | 401.0865 | 401.0855 |
| 250 | 1{4} | 2{8} | 4{2} | 6{4,8,2} | 19 | 100 | 415.1022 | 415.1010 |
| 251 | 1{4} | 2{8} | 4{3} | 6{4,8,3} | 22 | 100 | 429.1178 | 431.1150 |
| 252 | 1{4} | 2{8} | 4{4} | 6{4,8,4} | 28 | 100 | 431.0971 | 431.0963 |
| 253 | 1{4} | 2{8} | 4{5} | 6{4,8,5} | 15 | 25  | 478.9971 | 480.9941 |
| 254 | 1{4} | 2{8} | 4{6} | 6{4,8,6} | 12 | 100 | 407.1335 | 407.1323 |
| 255 | 1{4} | 2{8} | 4{7} | 6{4,8,7} | 18 | 100 | 381.1178 | 381.1168 |
| 256 | 1{4} | 2{8} | 4{8} | 6{4,8,8} | 17 | 100 | 416.0974 | 416.0963 |

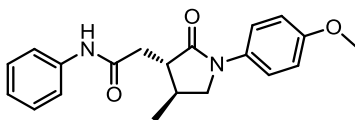
<sup>a</sup>Purified by an automated preparative reverse-phase HPLC (Waters 2767 Mass Directed Fractionation) detected by UV (270 nm, Waters Xterra MS C-18 column, 19 × 150 mm, 5 µm elution with the appropriate gradient of acetonitrile in pH 9.8 buffered aqueous ammonium formate at 18 mL·min<sup>-1</sup> flow rate). <sup>b</sup>Purity was determined by reverse-phase HPLC (Waters Acquity system) with peak area (UV) at 214 nm. (Waters Acquity BEH C-18 column, 2.1 × 50 mm, 1.7 µm elution with a linear gradient of 5% acetonitrile in pH 9.8 buffered aqueous ammonium formate to 100% acetonitrile at 0.6 mL·min<sup>-1</sup> flow rate)

## Full characterization data for representative compounds

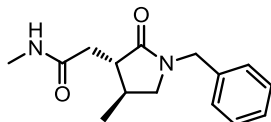
**General information:** Full compound characterizations for a representative set of 20  $\gamma$ -lactams resulting from the four  $4 \times 2 \times 8$  libraries shown in Scheme 5 in the main manuscript are reported.



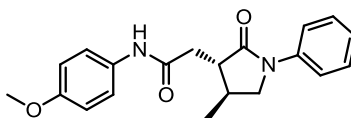
**2-((3S,4S)-4-methyl-2-oxo-1-phenylpyrrolidin-3-yl)-N-phenylacetamide (6{1,1,1}).** White solid; mp 97–100 °C; yield 81.7 mg (66%); purity 100%;  $[\alpha]_D^{26} -17.0$  (c 0.44, CHCl<sub>3</sub>); IR (neat): 3313, 3047, 2964, 2878, 1669 cm<sup>-1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  9.60 (s, 1H), 7.57 (m, 4H), 7.41–7.34 (m, 2H), 7.30–7.24 (m, 2H), 7.20–7.14 (m, 1H), 7.08–7.02 (m, 1H), 3.83 (dd,  $J$  = 9.5, 7.9 Hz, 1H), 3.46 (t,  $J$  = 9.5 Hz, 1H), 2.87 (dd,  $J$  = 14.7, 7.8 Hz, 1H), 2.71–2.64 (m, 1H), 2.60–2.55 (m, 1H), 2.32–2.21 (m, 1H), 1.25 (d,  $J$  = 6.6 Hz, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  175.9, 169.5, 138.8, 138.4, 129.0, 128.8, 125.1, 123.9, 120.2, 119.8, 54.3, 48.2, 37.9, 33.8, 16.8; MS (ESI)  $m/e$  309.2 [M + 1]<sup>+</sup>; HRMS calcd for C<sub>19</sub>H<sub>20</sub>N<sub>2</sub>O<sub>2</sub> [M + 1]<sup>+</sup>: 309.1604; found: 309.1599.



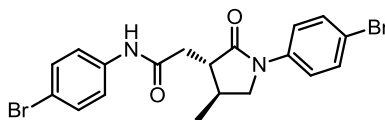
**2-((3S,4S)-1-(4-methoxyphenyl)-4-methyl-2-oxopyrrolidin-3-yl)-N-phenylacetamide (6{1,1,4}).** White solid; mp 133–134 °C; yield 94.7 mg (70%); purity 100%;  $[\alpha]_D^{24} -7.4$  (c 0.49, CHCl<sub>3</sub>); IR (neat): 3460, 3311, 2960, 2836, 1664 cm<sup>-1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  9.77 (s, 1H), 7.63–7.53 (m, 2H), 7.49–7.45 (m, 2H), 7.30–7.27 (m, 2H), 7.08–7.03 (m, 1H), 6.94–6.89 (m, 2H), 3.83–3.77 (m, 4H), 3.47 (m, 1H), 2.92–2.82 (m, 1H), 2.67 (ddd,  $J$  = 11.2, 8.5, 3.1 Hz, 1H), 2.61–2.56 (m, 1H), 2.33–2.22 (m, 1H), 1.27 (d,  $J$  = 6.6 Hz, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  175.7, 169.5, 157.1, 138.5, 131.8, 128.8, 123.8, 122.2, 119.7, 114.2, 55.5, 54.8, 48.1, 38.2, 34.1, 16.7; MS (ESI)  $m/e$  339.2 [M + 1]<sup>+</sup>; HRMS calcd for C<sub>20</sub>H<sub>22</sub>N<sub>2</sub>O<sub>3</sub> [M + 1]<sup>+</sup>: 339.1709; found: 339.1706.



**2-((3S,4S)-1-Benzyl-4-methyl-2-oxopyrrolidin-3-yl)-N-methylacetamide (6{2,1,2}).** Clear colorless liquid; yield 55.4 mg (53%); purity 100%;  $[\alpha]_{\text{D}}^{25} -3.1$  (c 0.25,  $\text{CHCl}_3$ ); IR (neat): 3313, 3091, 2964, 2928, 1656  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  7.41 (s, 1H), 7.36–7.27 (m, 3H), 7.23–7.18 (m, 2H), 4.55–4.34 (m, 2H), 3.29 (dd,  $J = 9.7, 8.0$  Hz, 1H), 2.88–2.83 (m, 1H), 2.79 (d,  $J = 4.8$  Hz, 3H), 2.58 (dd,  $J = 14.6, 8.0$  Hz, 1H), 2.46 (dd,  $J = 14.6, 3.7$  Hz, 1H), 2.44–2.37 (m, 1H), 2.15–2.06 (m, 1H), 1.12 (d,  $J = 6.6$  Hz, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  176.3, 171.9, 136.0, 128.8, 126.0, 127.8, 52.3, 47.1, 46.7, 36.6, 34.0, 26.3, 17.0; MS (ESI)  $m/e$  261.2  $[\text{M} + 1]^+$ ; HRMS calcd for  $\text{C}_{15}\text{H}_{20}\text{N}_2\text{O}_2$   $[\text{M} + 1]^+$ : 261.1604; found: 261.1601.

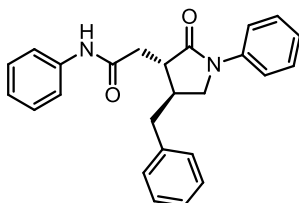


**N-(4-Methoxyphenyl)-2-((3S,4S)-4-methyl-2-oxo-1-phenylpyrrolidin-3-yl)acetamide (6{3,1,1}).** White solid; mp 131–134 °C; yield 56.5 mg (42%); purity 100%;  $[\alpha]_{\text{D}}^{24} -12.2$  (c 0.40,  $\text{CHCl}_3$ ); IR (neat): 3306, 3064, 2960, 2934, 1673  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  9.77 (s, 1H), 7.63–7.53 (m, 2H), 7.49–7.45 (m, 2H), 7.30–7.27 (m, 2H), 7.08–7.03 (m, 1H), 6.94–6.89 (m, 2H), 3.83–3.77 (m, 4H), 3.47 (m, 1H), 2.92–2.82 (m, 1H), 2.67 (ddd,  $J = 11.2, 8.5, 3.1$  Hz, 1H), 2.61–2.56 (m, 1H), 2.33–2.22 (m, 1H), 1.27 (d,  $J = 6.6$  Hz, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  175.7, 169.5, 157.1, 138.5, 131.8, 128.8, 123.8, 122.2, 119.7, 114.2, 55.5, 54.8, 48.1, 38.2, 34.1, 16.7; MS (ESI)  $m/e$  339.2  $[\text{M} + 1]^+$ ; HRMS calcd for  $\text{C}_{20}\text{H}_{22}\text{N}_2\text{O}_3$   $[\text{M} + 1]^+$ : 339.1709; found: 339.1704.

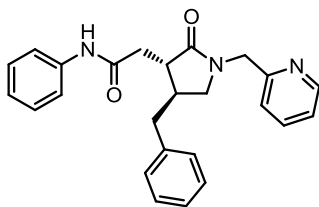


**N-(4-Bromophenyl)-2-((3S,4S)-1-(4-bromophenyl)-4-methyl-2-oxopyrrolidin-3-yl)acetamide (6{4,1,5}).** White solid; mp 149–154 °C; yield 76.3 mg (41%); purity 100%;  $[\alpha]_{\text{D}}^{25} 21.2$  (c 0.26,  $\text{CHCl}_3$ ); IR (neat): 3426, 3299, 2967, 2881, 1702, 1672  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  9.68 (s, 1H), 7.50 (s, 4H), 7.49–7.45 (m, 2H), 7.41–7.37 (m, 2H), 3.83 (dt,  $J = 13.0, 6.5$  Hz, 1H), 3.47 (t,  $J = 9.5$  Hz, 1H), 2.85 (dd,  $J = 14.8, 8.5$  Hz, 1H), 2.71–2.65 (m, 1H), 2.60–

2.55 (m, 1H), 2.33–2.22 (m, 1H), 1.29 (t,  $J = 6.9$  Hz, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  176.1, 169.3, 137.7, 137.5, 132.0, 131.8, 121.6, 121.2, 118.1, 116.3, 54.2, 48.1, 41.0, 37.8, 33.8, 16.6; MS (ESI)  $m/e$  467.0  $[\text{M} + 1]^+$ ; HRMS calcd for  $\text{C}_{19}\text{H}_{18}\text{Br}_2\text{N}_2\text{O}_2$   $[\text{M} + 1]^+$ : 466.9788; found: 466.9782.

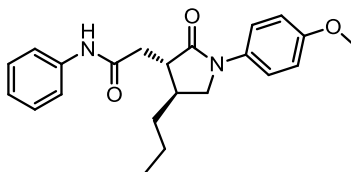


**2-((3S,4S)-4-Benzyl-2-oxo-1-phenylpyrrolidin-3-yl)-N-phenylacetamide (6{1,3,2}).** Clear colorless liquid; yield 103.6 mg (65%); purity 100%;  $[\alpha]_{\text{D}}^{26} -3.5$  ( $c$  0.60,  $\text{CHCl}_3$ ); IR (neat): 3313, 3273, 3031, 2924, 2861, 1666  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  9.67 (s, 1H), 7.58 (dd,  $J = 8.5, 1.0$  Hz, 2H), 7.32–7.23 (m, 7H), 7.21–7.13 (m, 3H), 7.11–7.04 (m, 3H), 4.45 (m, 2H), 3.13 (dd,  $J = 10.0, 8.0$  Hz, 1H), 3.04 (dd,  $J = 13.7, 4.8$  Hz, 1H), 3.01–2.97 (m, 1H), 2.78–2.68 (m, 2H), 2.67–2.62 (m, 1H), 2.59–2.55 (m, 1H), 2.49–2.36 (m, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  176.1, 169.3, 138.5, 138.4, 135.7, 128.8, 128.8, 128.7, 128.7, 127.9, 127.8, 126.6, 123.8, 119.7, 50.5, 46.8, 45.3, 40.3, 38.7, 38.1; MS (ESI)  $m/e$  399.2  $[\text{M} + 1]^+$ ; HRMS calcd for  $\text{C}_{25}\text{H}_{24}\text{N}_2\text{O}_2$   $[\text{M} + 1]^+$ : 384.1838; found: 384.1829.



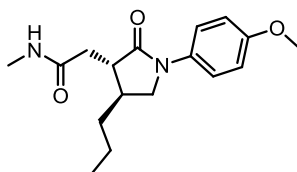
**2-((3S,4S)-4-Benzyl-2-oxo-1-(pyridin-2-ylmethyl)pyrrolidin-3-yl)-N-phenylacetamide (6{1,3,8}).** Colorless liquid; yield 40.4 mg (25%); purity 98%;  $[\alpha]_{\text{D}}^{31} 4.8$  ( $c$  0.24,  $\text{CHCl}_3$ ); IR (neat): 3313, 3270, 3034, 2921, 2858, 1672  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  9.36 (s, 1H), 8.53 (dd,  $J = 4.8, 1.4$  Hz, 1H), 8.46 (d,  $J = 1.7$  Hz, 1H), 7.59–7.54 (m, 2H), 7.50 (dt,  $J = 7.8, 1.8$  Hz, 1H), 7.34–7.27 (m, 4H), 7.24–7.15 (m, 2H), 7.10 (ddd,  $J = 7.4, 5.6, 1.2$  Hz, 3H), 4.56–4.36 (m, 2H), 3.15 (dd,  $J = 9.8, 8.0$  Hz, 1H), 3.06 (dd,  $J = 13.7, 4.9$  Hz, 1H), 3.04–3.00 (m, 1H), 2.73–2.66 (m, 3H), 2.65–2.58 (m, 1H), 2.52–2.40 (m, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  176.3, 169.0, 149.4, 149.3, 138.3, 138.2, 135.7, 131.5, 128.9, 128.8, 128.7, 126.8, 124.0, 123.8, 119.6,

50.6, 45.1, 44.4, 40.3, 38.7, 38.0; MS (ESI)  $m/e$  399.2  $[M + 1]^+$ ; HRMS calcd for  $C_{25}H_{25}N_3O_2$   $[M + 1]^+$ : 400.2026; found: 400.2019.



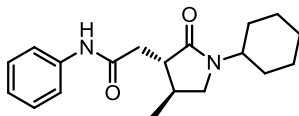
**2-((3S,4S)-1-(4-Methoxyphenyl)-2-oxo-4-propylpyrrolidin-3-yl)-N-phenylacetamide**

**(6{1,4,4})**. Clear yellow liquid; yield 102.9 mg (70%); purity 100%;  $[\alpha]_D^{31}$  19.1 ( $c$  0.53,  $CHCl_3$ ); IR (neat): 3313, 3270, 3057, 2954, 2928, 2868, 1670  $cm^{-1}$ ;  $^1H$  NMR (500 MHz,  $CDCl_3$ )  $\delta$  9.76 (s, 1H), 7.61–7.54 (m, 2H), 7.49–7.45 (m, 2H), 7.31–7.26 (m, 2H), 7.08–7.03 (m, 1H), 6.94–6.90 (m, 2H), 3.83 (dd,  $J$  = 9.6, 8.1 Hz, 1H), 3.81 (s, 3H), 3.49 (t,  $J$  = 9.4 Hz, 1H), 2.90–2.83 (m, 1H), 2.72 (ddd,  $J$  = 11.1, 8.5, 2.8 Hz, 1H), 2.63–2.59 (m, 1H), 2.27–2.18 (m, 1H), 1.86–1.75 (m, 1H), 1.50–1.34 (m, 3H), 0.97 (t,  $J$  = 7.2 Hz, 3H);  $^{13}C$  NMR (125 MHz,  $CDCl_3$ )  $\delta$  175.8, 169.5, 157.1, 138.5, 131.9, 128.8, 123.8, 122.3, 119.7, 114.2, 55.5, 53.6, 46.8, 38.6, 38.6, 34.9, 20.5, 14.2; MS (ESI)  $m/e$  367.2  $[M + 1]^+$ ; HRMS calcd for  $C_{22}H_{26}N_2O_3$   $[M + 1]^+$ : 367.2022; found: 367.2019.



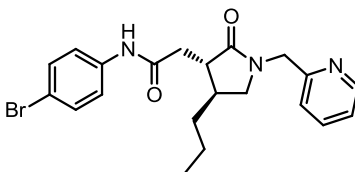
**2-((3S,4S)-1-(4-Methoxyphenyl)-2-oxo-4-propylpyrrolidin-3-yl)-N-methylacetamide**

**(6{2,4,4})**. Clear yellow liquid; yield 67.5 mg (55%); purity 100%;  $[\alpha]_D^{27}$  7.2 ( $c$  0.14,  $CHCl_3$ ); IR (neat): 3310, 3094, 2958, 2934, 2874, 1652  $cm^{-1}$ ;  $^1H$  NMR (500 MHz,  $CDCl_3$ )  $\delta$  7.46 (d, 2H), 7.25 (s, 1H), 6.91 (d, 2H), 3.85–3.80 (m, 1H), 3.80 (s, 3H), 3.45 (t,  $J$  = 9.2 Hz, 1H), 2.78 (d,  $J$  = 4.8 Hz, 3H), 2.69–2.58 (m, 2H), 2.53–2.47 (m, 1H), 2.25–2.14 (m, 1H), 1.80–1.71 (m, 1H), 1.49–1.30 (m, 3H), 0.96 (t, 3H);  $^{13}C$  NMR (125 MHz,  $CDCl_3$ )  $\delta$  175.5, 171.9, 156.9, 132.1, 122.0, 114.1, 55.5, 53.4, 46.8, 38.3, 37.0, 35.0, 26.3, 20.4, 14.2; MS (ESI)  $m/e$  305.2  $[M + 1]^+$ ; HRMS calcd for  $C_{17}H_{24}N_2O_3$   $[M + 1]^+$ : 305.1866; found: 305.1861.



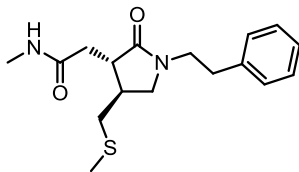
**2-((3S,4S)-1-Cyclohexyl-4-methyl-2-oxopyrrolidin-3-yl)-N-phenylacetamide (6{3,3,6}).**

Yellow solid; yield 110.4 mg (66%); purity 98%;  $[\alpha]_D^{26} -3.1$  (*c* 0.22, CHCl<sub>3</sub>); IR (neat): 3460, 3265, 2932, 2855, 1657 cm<sup>-1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  9.92 (s, 1H), 7.54–7.49 (m, 2H), 7.35–7.29 (m, 2H), 7.27–7.21 (m, 1H), 7.13 (d, *J* = 7.1 Hz, 2H), 6.87–6.82 (m, 2H), 4.01–3.89 (m, 1H), 3.78 (s, 3H), 3.18–3.10 (m, 2H), 3.04–2.97 (m, 1H), 2.94–2.83 (m, 2H), 2.81–2.71 (m, 1H), 2.59–2.52 (m, 1H), 2.27–2.19 (m, 1H), 1.86–1.63 (m, 5H), 1.43–1.28 (m, 4H), 1.11–1.01 (m, 1H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  175.1, 169.9, 155.9, 139.2, 131.9, 129.0, 128.7, 128.7, 126.6, 121.4, 121.3, 114.0, 114.0, 55.5, 55.5, 50.9, 45.0, 43.8, 40.9, 38.3, 35.0, 33.7, 30.3, 25.4, 25.3; MS (ESI) *m/e* 421.2 [*M* + 1]<sup>+</sup>; HRMS calcd for C<sub>19</sub>H<sub>26</sub>N<sub>2</sub>O<sub>2</sub> [*M* + 1]<sup>+</sup>: 314.1994; found: 314.1988.

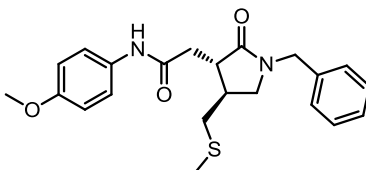


**N-(4-Bromophenyl)-2-((3S,4S)-2-oxo-4-propyl-1-(pyridin-2-ylmethyl)pyrrolidin-3-yl)acetamide (6{4,4,8}).**

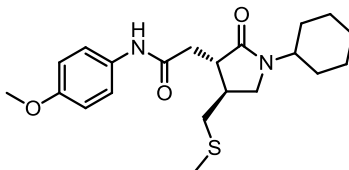
Clear colorless liquid; yield 44.2 mg (26%); purity 94%;  $[\alpha]_D^{27} 2.1$  (*c* 0.50, CHCl<sub>3</sub>); IR (neat): 3480, 3264, 2958, 2929, 1666 cm<sup>-1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  10.07 (s, 1H), 8.57 (dd, *J* = 4.8, 1.5 Hz, 1H), 8.52 (d, *J* = 1.9 Hz, 1H), 7.55 (dt, *J* = 7.8, 1.9 Hz, 1H), 7.51–7.47 (m, 2H), 7.43–7.38 (m, 2H), 7.25 (ddd, *J* = 7.8, 4.8, 0.6 Hz, 1H), 4.51 (m, 2H), 3.39–3.32 (m, 1H), 2.95 (t, *J* = 9.3 Hz, 1H), 2.79–2.73 (m, 1H), 2.61–2.53 (m, 2H), 2.13–2.03 (m, 1H), 1.74–1.64 (m, 1H), 1.39–1.22 (m, 3H), 0.94–0.88 (m, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  176.9, 169.4, 149.5, 149.4, 137.7, 135.7, 131.8, 131.4, 123.9, 121.1, 116.2, 51.2, 45.4, 44.5, 38.9, 38.6, 35.0, 20.4, 14.1; MS (ESI) *m/e* 430.1 [*M* + 1]<sup>+</sup>; HRMS calcd for C<sub>21</sub>H<sub>24</sub>BrN<sub>3</sub>O<sub>2</sub> [*M* + 1]<sup>+</sup>: 430.1131; found: 430.1116.



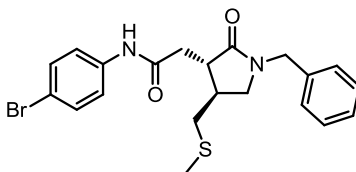
***N*-Methyl-2-((3*S*,4*S*)-4-((methylthio)methyl)-2-oxo-1-phenethylpyrrolidin-3-yl)acetamide (6{2,5,3}).** Clear colorless liquid; yield 45.8 mg (36%); purity 100%;  $[\alpha]_{\text{D}}^{25} -15.3$  (c 0.54, CHCl<sub>3</sub>); IR (neat): 3310, 3091, 2918, 2868, 1656 cm<sup>-1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.34–7.28 (m, 2H), 7.25–7.18 (m, 3H), 7.07 (s, 1H), 3.53 (dd,  $J = 13.7, 6.1$  Hz, 2H), 3.37 (dd,  $J = 9.9, 8.0$  Hz, 1H), 3.01 (dd,  $J = 9.9, 7.9$  Hz, 1H), 2.87–2.83 (m, 2H), 2.80–2.78 (m, 1H), 2.77 (d,  $J = 4.8$  Hz, 3H), 2.58–2.48 (m, 2H), 2.46–2.37 (m, 2H), 2.32–2.24 (m, 1H), 2.09 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  175.3, 171.6, 138.3, 128.7, 128.6, 126.7, 51.2, 44.9, 44.2, 38.1, 37.2, 37.0, 33.6, 26.3, 15.9; MS (ESI)  $m/e$  321.2  $[M + 1]^+$ ; HRMS calcd for C<sub>17</sub>H<sub>24</sub>N<sub>2</sub>O<sub>2</sub>S  $[M + 1]^+$ : 321.1637; found: 321.1634.



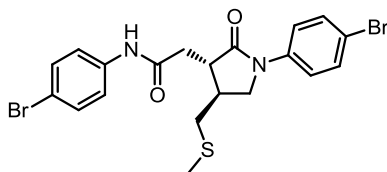
**2-((3*S*,4*S*)-1-Benzyl-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)-*N*-(4-methoxyphenyl)acetamide (6{3,5,2}).** Clear yellow liquid; yield 72.6 mg (46%); purity 100%;  $[\alpha]_{\text{D}}^{27} 7.8$  (c 0.24, CHCl<sub>3</sub>); IR (neat): 3303, 3067, 2915, 2841, 1666 cm<sup>-1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  9.36 (s, 1H), 7.49–7.46 (m, 2H), 7.31–7.27 (m, 3H), 7.21–7.18 (m,  $J = 5.6, 2.1$  Hz, 2H), 6.86–6.83 (m, 2H), 4.60–4.37 (m, 2H), 3.79 (s, 3H), 3.42 (dd,  $J = 10.1, 8.1$  Hz, 1H), 3.04 (dd,  $J = 10.0, 8.4$  Hz, 1H), 2.87–2.81 (m, 2H), 2.76–2.70 (m, 1H), 2.66–2.62 (m, 1H), 2.55–2.49 (m, 1H), 2.46–2.38 (m, 1H), 2.09 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  175.6, 168.87, 156.1, 135.6, 131.6, 128.7, 128.0, 127.9, 121.4, 114.0, 55.5, 50.4, 46.9, 45.0, 38.1, 38.0, 37.1, 16.0; MS (ESI)  $m/e$  399.2  $[M + 1]^+$ ; HRMS calcd for C<sub>22</sub>H<sub>26</sub>N<sub>2</sub>O<sub>3</sub>S  $[M + 1]^+$ : 399.1743; found: 399.1736.



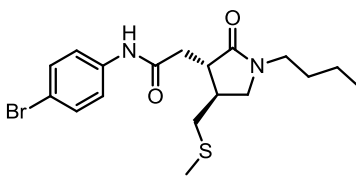
**2-((3S,4S)-1-Cyclohexyl-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)-N-(4-methoxyphenyl)acetamide (6{3,5,6}).** Pale yellow solid; mp 139–143 °C; yield 8.5 mg (5%); purity 90%;  $[\alpha]_{\text{D}}^{28}$  2.5 (c 0.40, CHCl<sub>3</sub>); IR (neat): 3460, 3267, 2932, 2856, 1656 cm<sup>-1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  9.73 (s, 1H), 7.51–7.41 (m, 2H), 6.88–6.76 (m, 2H), 4.02–3.90 (m, 1H), 3.78 (s, 3H), 3.55 (dd, *J* = 10.0, 8.0 Hz, 1H), 3.06 (dd, *J* = 9.9, 8.5 Hz, 1H), 2.88 (dd, *J* = 13.0, 4.4 Hz, 1H), 2.82–2.76 (m, 1H), 2.70–2.64 (m, 1H), 2.56–2.51 (m, 2H), 2.36–2.27 (m, 1H), 2.13 (s, 3H), 1.93–1.62 (m, 5H), 1.45–1.32 (m, 4H), 1.15–1.06 (m, 1H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  175.0, 169.1, 156.0, 131.8, 121.3, 114.0, 55.5, 51.1, 46.9, 45.4, 38.6, 38.5, 37.1, 30.2, 25.4, 25.3, 25.3, 16.1; MS (ESI) *m/e* 391.2 [M + 1]<sup>+</sup>; HRMS calcd for C<sub>21</sub>H<sub>30</sub>N<sub>2</sub>O<sub>3</sub>S [M + 1]<sup>+</sup>: 391.2056; found: 391.2052.



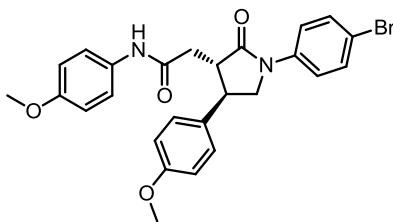
**2-((3S,4S)-1-Benzyl-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)-N-(4-bromophenyl)acetamide (6{4,5,2}).** Clear yellow liquid; yield 78.6 mg (44%); purity 100%;  $[\alpha]_{\text{D}}^{25}$  -27.0 (c 0.33, CHCl<sub>3</sub>); IR (neat): 3460, 3265, 3059, 2915, 1664 cm<sup>-1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  9.91 (s, 1H), 7.51–7.46 (m, 2H), 7.43–7.37 (m, 2H), 7.32–7.28 (m, 3H), 7.23–7.18 (m, 2H), 4.49 (m, 2H), 3.43 (dd, *J* = 10.1, 8.2 Hz, 1H), 3.06 (dd, *J* = 10.1, 8.6 Hz, 1H), 2.86–2.80 (m, 2H), 2.77–2.71 (m, 1H), 2.65–2.61 (m, 1H), 2.52 (dd, *J* = 13.0, 9.0 Hz, 1H), 2.43–2.34 (m, 1H), 2.09 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)  $\delta$  175.7, 169.2, 137.6, 135.4, 131.8, 128.9, 128.0, 128.0, 121.2, 116.3, 50.5, 47.0, 44.8, 38.5, 38.2, 36.9, 16.1; MS (ESI) *m/e* 447.1 [M + 1]<sup>+</sup>; HRMS calcd for C<sub>21</sub>H<sub>23</sub>BrN<sub>2</sub>O<sub>2</sub>S [M + 1]<sup>+</sup>: 449.0713; found: 449.0721.



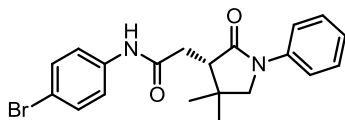
***N*-(4-Bromophenyl)-2-((3*S*,4*S*)-1-(4-bromophenyl)-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)acetamide (6{4,5,5}).** Pale yellow solid; mp 143–149 °C; yield 108.8 mg (53%); purity 100%;  $[\alpha]_D^{26}$  –6.1 (*c* 0.44, CHCl<sub>3</sub>); IR (neat): 3319, 3051, 2918, 1676 cm<sup>–1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ 9.33 (s, 1H), 7.53–7.47 (m, 4H), 7.46–7.42 (m, 2H), 7.40–7.37 (m, 2H), 3.96 (dd, *J* = 9.8, 7.9 Hz, 1H), 3.61 (dd, *J* = 9.7, 8.7 Hz, 1H), 2.96–2.87 (m, 3H), 2.69–2.55 (m, 3H), 2.16 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 175.1, 169.1, 137.7, 137.3, 132.0, 131.8, 121.6, 121.3, 118.2, 116.5, 52.2, 45.9, 37.8, 37.5, 36.8, 16.1; MS (ESI) *m/e* 512.0 [*M* + 1]<sup>+</sup>; HRMS calcd for C<sub>20</sub>H<sub>20</sub>Br<sub>2</sub>N<sub>2</sub>O<sub>2</sub>S [*M* + 1]<sup>+</sup>: 512.9671; found: 512.9663.



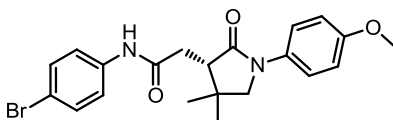
***N*-(4-Bromophenyl)-2-((3*S*,4*S*)-1-butyl-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)acetamide (6{4,5,7}).** Clear yellow liquid; yield 39.7 mg (24%); purity 100%;  $[\alpha]_D^{26}$  –11.1 (*c* 0.42, CHCl<sub>3</sub>); IR (neat): 3306, 2961, 2928, 2874, 1667 cm<sup>–1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ 7.51–7.46 (m, 2H), 7.41–7.37 (m, 2H), 3.53 (dd, *J* = 10.1, 8.1 Hz, 1H), 3.32 (t, *J* = 7.4 Hz, 2H), 3.16 (dd, *J* = 10.0, 8.7 Hz, 1H), 2.88 (dd, *J* = 13.0, 4.5 Hz, 1H), 2.81–2.75 (m, 1H), 2.70–2.65 (m, 1H), 2.59–2.53 (m, 2H), 2.40–2.32 (m, *J* = 13.4, 4.4 Hz, 1H), 2.15 (s, 3H), 1.55–1.48 (m, 2H), 1.34–1.26 (m, 2H), 0.92 (dd, *J* = 9.6, 5.1 Hz, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 175.7, 169.3, 137.7, 131.7, 121.2, 116.2, 51.0, 44.9, 42.8, 38.8, 38.6, 36.9, 29.2, 20.0, 16.1, 13.7; MS (ESI) *m/e* 415.1 [*M* + 1]<sup>+</sup>; HRMS calcd for C<sub>18</sub>H<sub>25</sub>BrN<sub>2</sub>O<sub>2</sub>S [*M* + 1]<sup>+</sup>: 415.0878; found: 415.0872.



**2-((3S,4R)-1-(4-Bromophenyl)-4-(4-methoxyphenyl)-2-oxopyrrolidin-3-yl)-N-(4-methoxyphenyl)acetamide (6{4,6,4}).** Clear yellow liquid; yield 23.8 mg (12%); purity 97%;  $[\alpha]_{\text{D}}^{25} -7.1$  (c 0.11,  $\text{CHCl}_3$ ); IR (neat): 3315, 2961, 2926, 2855, 1679  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  9.99 (s, 1H), 7.51–7.44 (m, 4H), 7.41–7.36 (m, 2H), 7.31–7.27 (m, 2H), 6.96–6.90 (m, 4H), 3.98 (dt,  $J = 11.8, 5.9$  Hz, 1H), 3.91 (t,  $J = 9.9$  Hz, 1H), 3.82 (s, 3H), 3.82 (s, 3H), 3.39–3.31 (m, 1H), 3.19 (ddd,  $J = 11.6, 9.0, 2.4$  Hz, 1H), 2.82 (dd,  $J = 14.9, 9.0$  Hz, 1H), 2.61–2.57 (m, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  174.8, 169.2, 159.3, 157.3, 137.6, 131.8, 131.5, 129.6, 128.8, 122.3, 121.2, 116.2, 114.6, 114.3, 55.5, 55.4, 54.9, 47.9, 44.5, 37.8; MS (ESI)  $m/e$  511.1  $[\text{M} + 1]^+$ ; HRMS calcd for  $\text{C}_{26}\text{H}_{25}\text{BrN}_2\text{O}_4$   $[\text{M} + 1]^+$ : 511.1055; found: 511.1050.



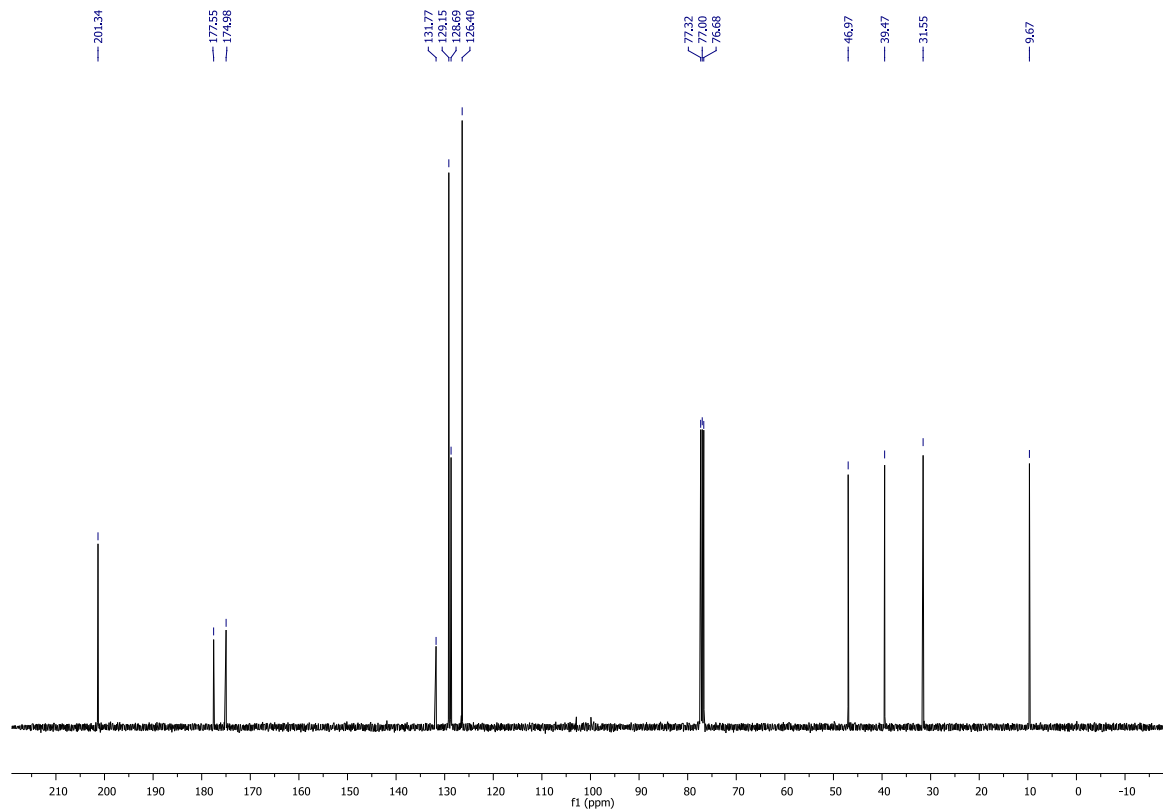
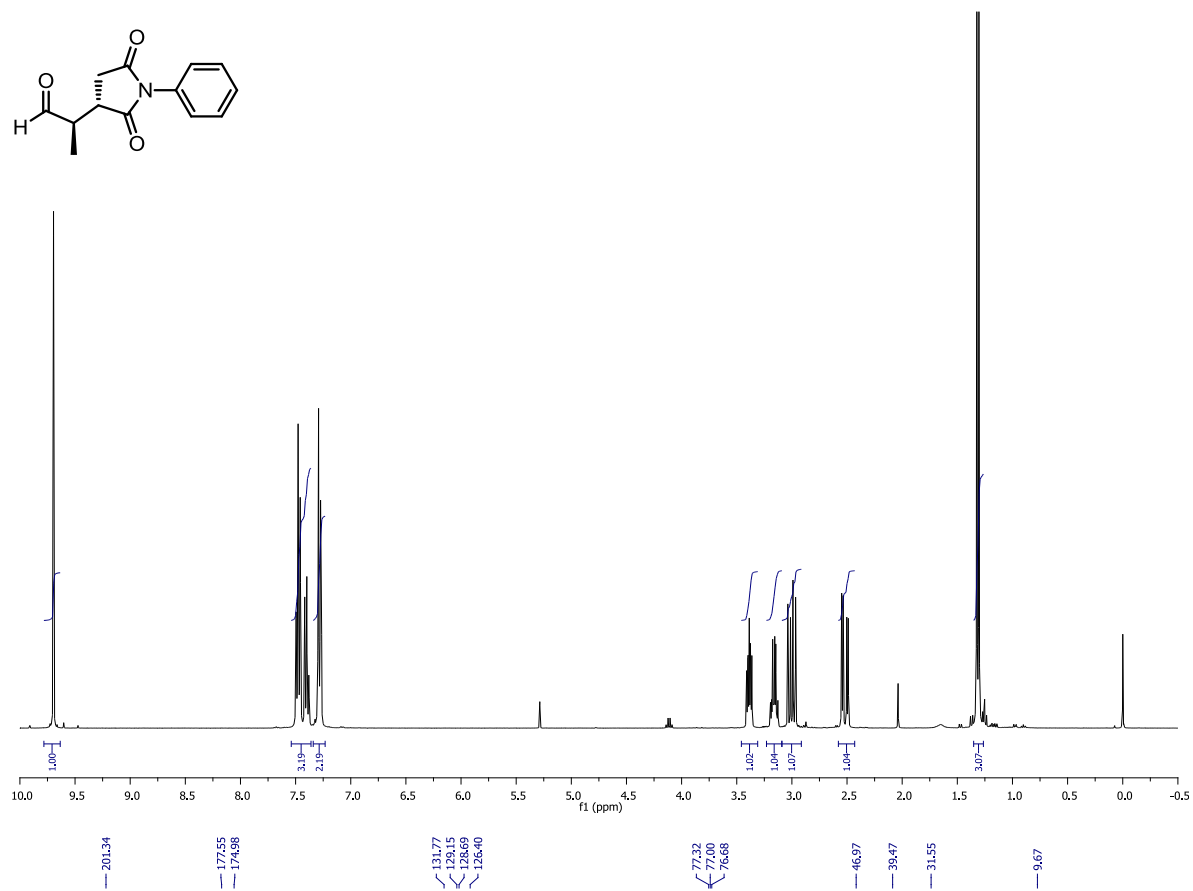
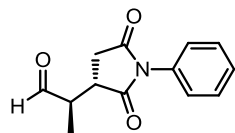
**(S)-N-(4-Bromophenyl)-2-(4,4-dimethyl-2-oxo-1-phenylpyrrolidin-3-yl)acetamide (6{4,8,1}).** Clear colorless liquid; yield 44.8 mg (28%); purity 100%;  $[\alpha]_{\text{D}}^{27} -7.2$  (c 0.22,  $\text{CHCl}_3$ ); IR (neat): 3312, 3264, 3058, 2964, 2877, 1681  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  10.26 (s, 1H), 7.60–7.54 (m, 2H), 7.53–7.46 (m, 2H), 7.44–7.36 (m, 4H), 7.24–7.19 (m, 1H), 3.74 (d,  $J = 9.5$  Hz, 1H), 3.48 (d,  $J = 9.5$  Hz, 1H), 2.90–2.77 (m, 2H), 2.35 (dd,  $J = 14.0, 1.4$  Hz, 1H), 1.30 (s, 3H), 1.06 (s, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  176.0, 170.1, 138.8, 137.7, 131.7, 129.1, 125.4, 121.2, 120.4, 116.1, 61.0, 51.2, 37.8, 34.7, 24.9, 21.8; MS (ESI)  $m/e$  401.1  $[\text{M} + 1]^+$ ; HRMS calcd for  $\text{C}_{20}\text{H}_{21}\text{BrN}_2\text{O}_2$   $[\text{M} + 1]^+$ : 401.0864; found: 401.0855.



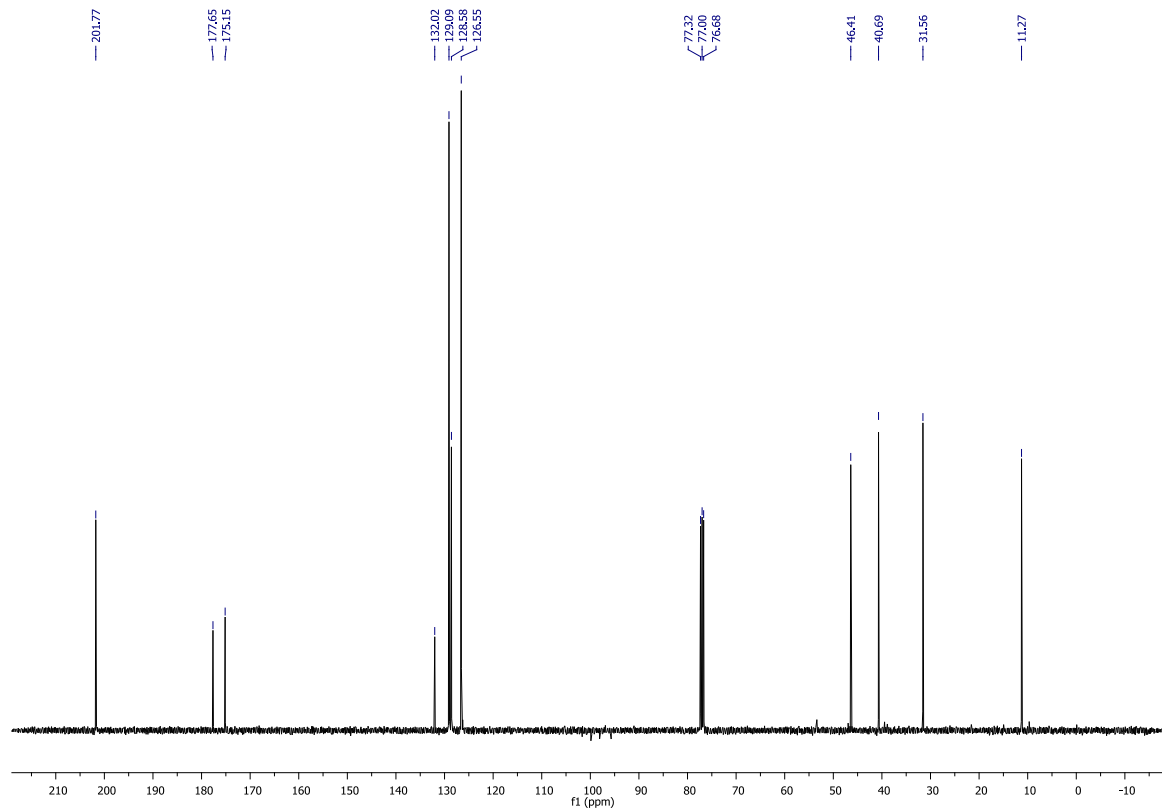
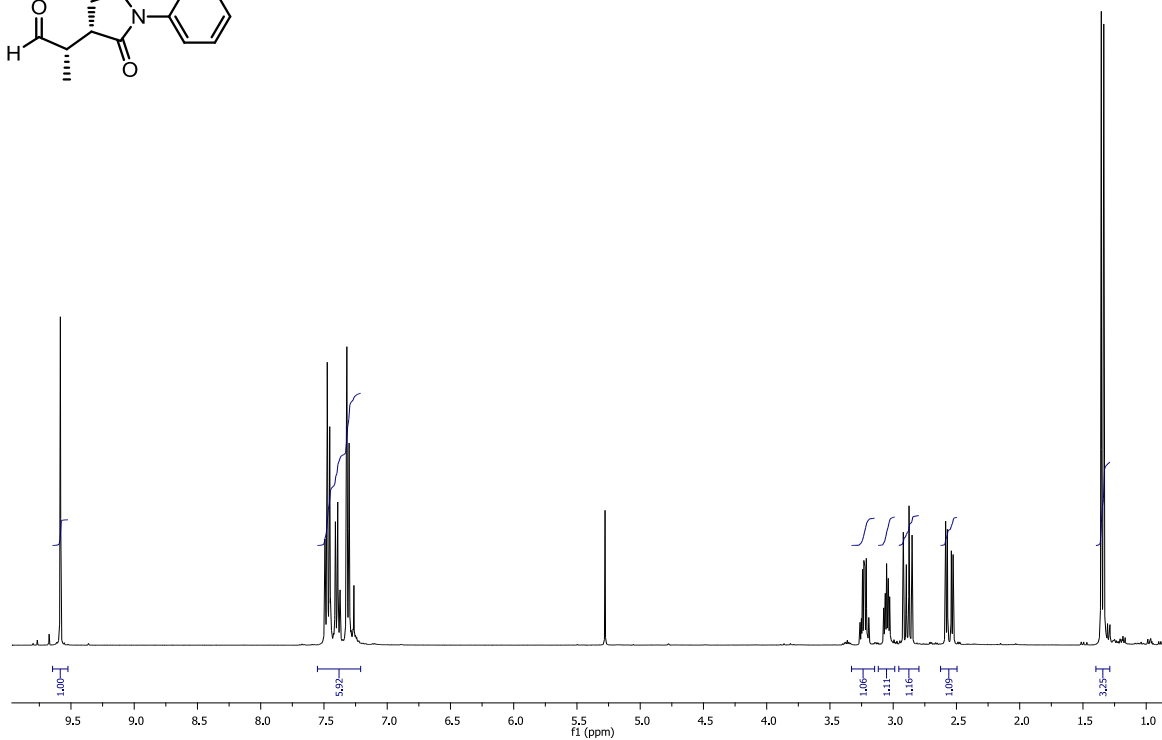
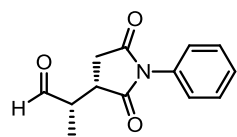
**(S)-N-(4-Bromophenyl)-2-(1-(4-methoxyphenyl)-4,4-dimethyl-2-oxopyrrolidin-3-yl)acetamide (6{4,8,4}).** White solid; mp 168–171  $^{\circ}\text{C}$ ; yield 44.5 mg (28%); purity 100%;  $[\alpha]_{\text{D}}^{26}$

−3.0 (c 0.22, CHCl<sub>3</sub>); IR (neat): 3317, 2964, 2932, 2874, 1671 cm<sup>−1</sup>; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ 10.41 (s, 1H), 7.52–7.47 (m, 2H), 7.47–7.42 (m, 2H), 7.40–7.35 (m, 2H), 6.98–6.90 (m, 2H), 3.82 (s, 3H), 3.71 (d, *J* = 9.5 Hz, 1H), 3.41 (d, *J* = 9.5 Hz, 1H), 2.86–2.75 (m, 2H), 2.34 (d, *J* = 13.2 Hz, 1H), 1.28 (s, 3H), 1.06 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 175.7, 170.2, 157.2, 137.8, 131.8, 131.7, 122.3, 121.2, 116.1, 114.3, 61.6, 55.5, 50.9, 38.0, 34.8, 24.9, 21.8; MS (ESI) *m/e* 431.1 [M + 1]<sup>+</sup>; HRMS calcd for C<sub>21</sub>H<sub>23</sub>BrN<sub>2</sub>O<sub>3</sub> [M + 1]<sup>+</sup>: 431.0971; found: 431.0963.

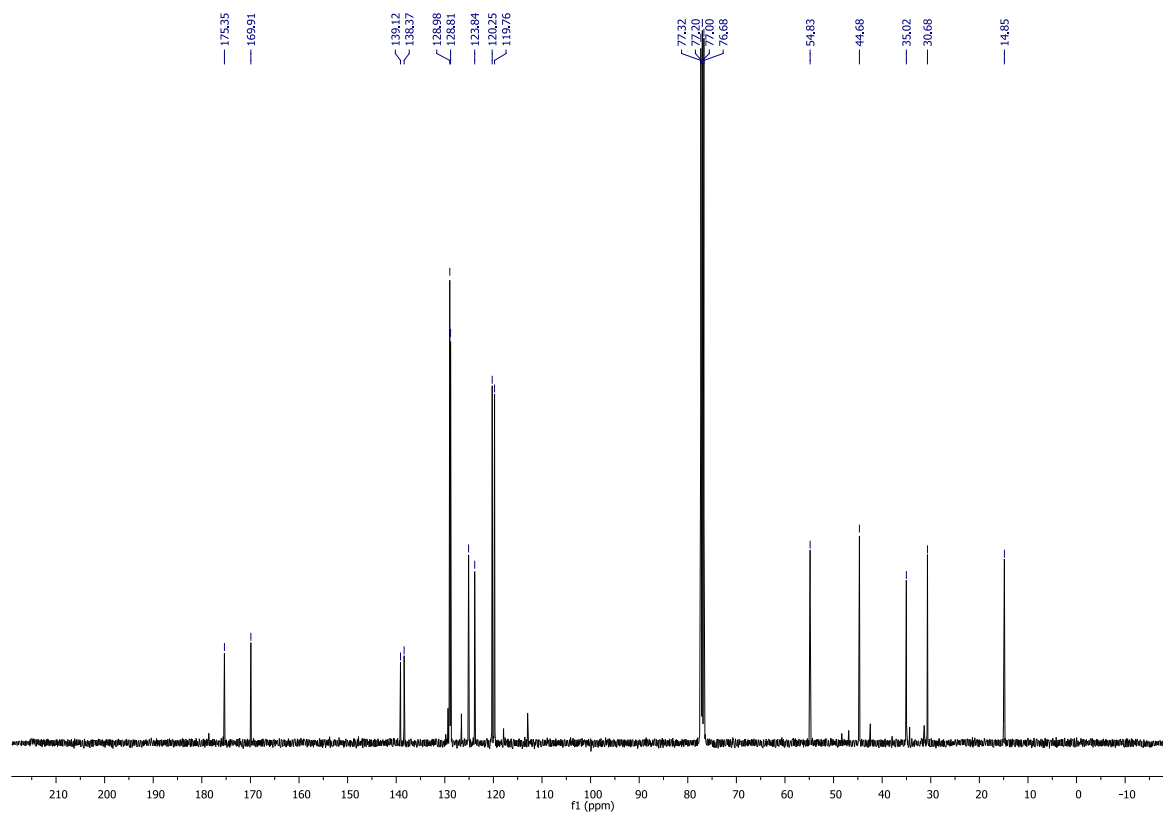
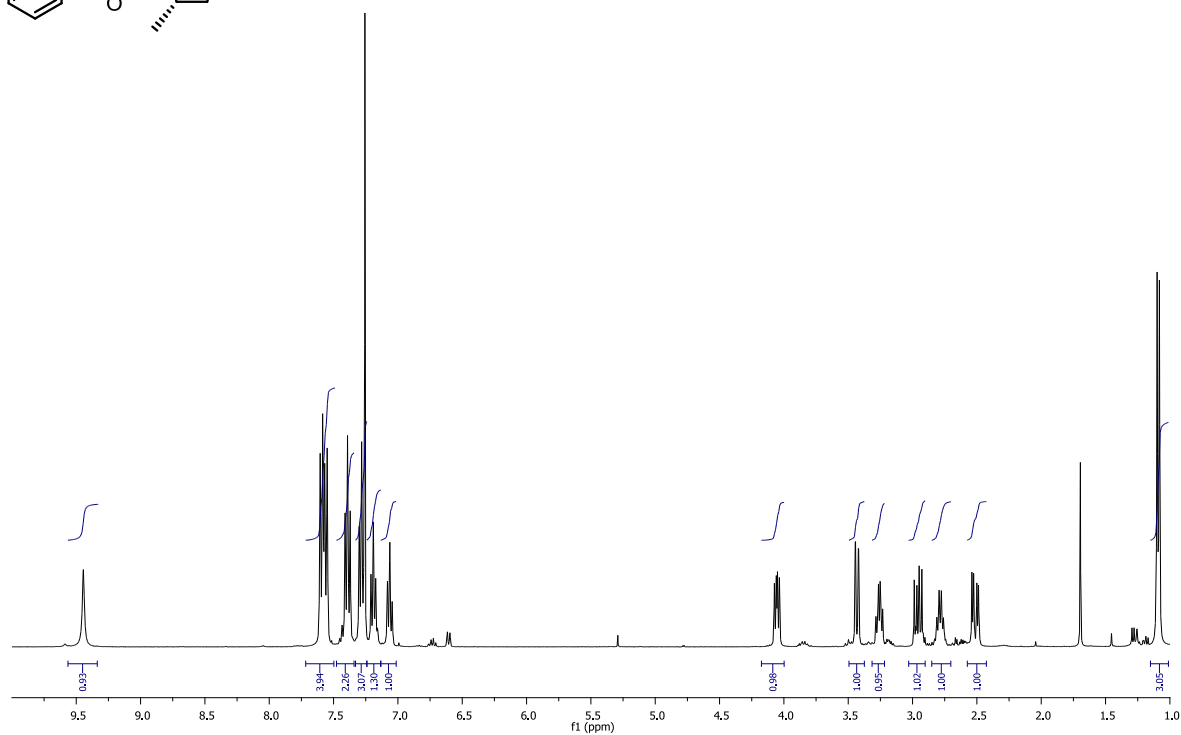
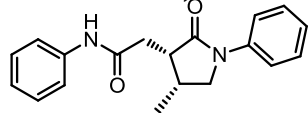
Copies of  $^1\text{H}$  and  $^{13}\text{C}$  NMR spectra for representative compounds  
*(R)*-2-((*S*)-2,5-Dioxo-1-phenylpyrrolidin-3-yl)propanal (3{1,1}) (major diastereomer)



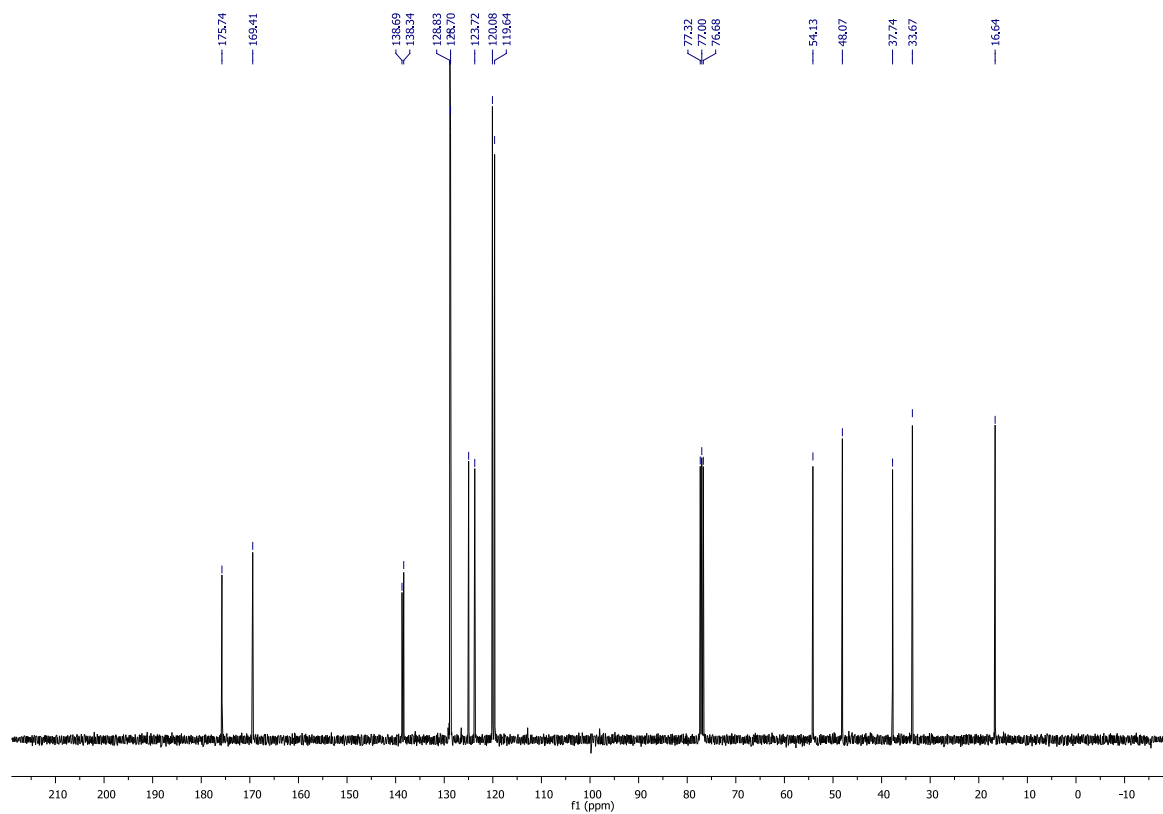
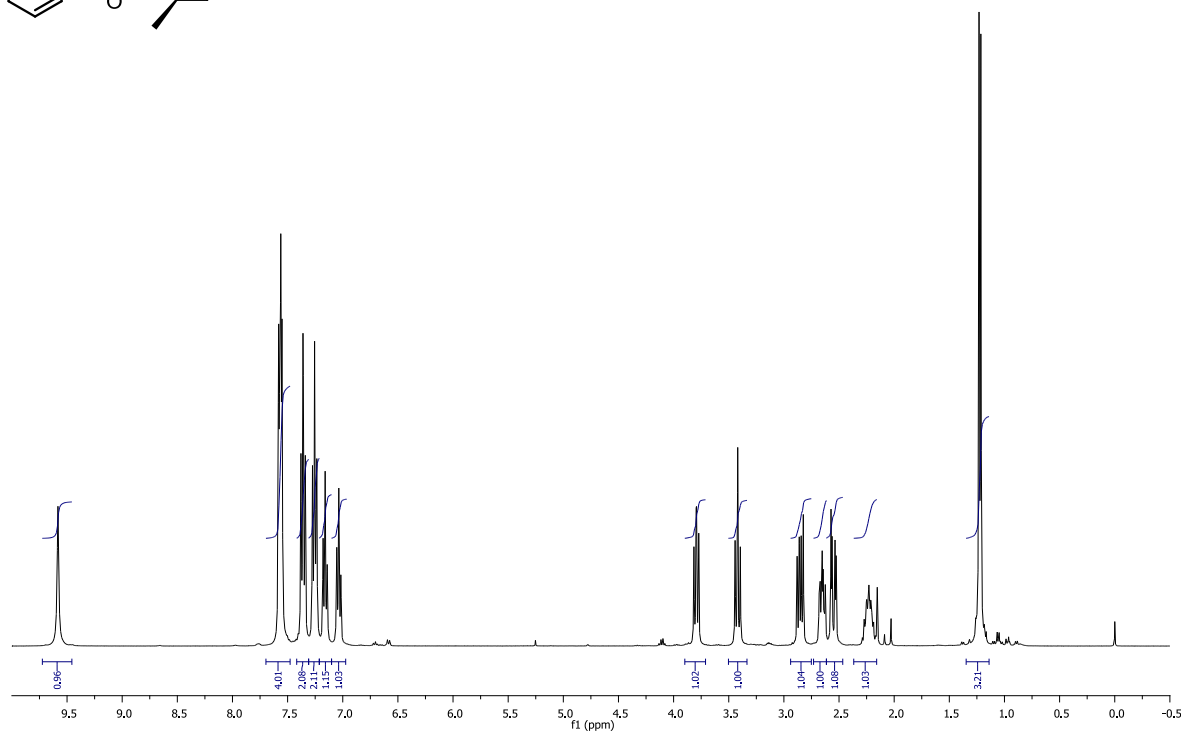
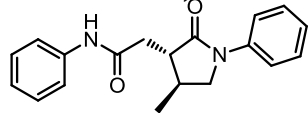
**(S)-2-((S)-2,5-Dioxo-1-phenylpyrrolidin-3-yl)propanal (3{1,1}) (minor diastereomer)**



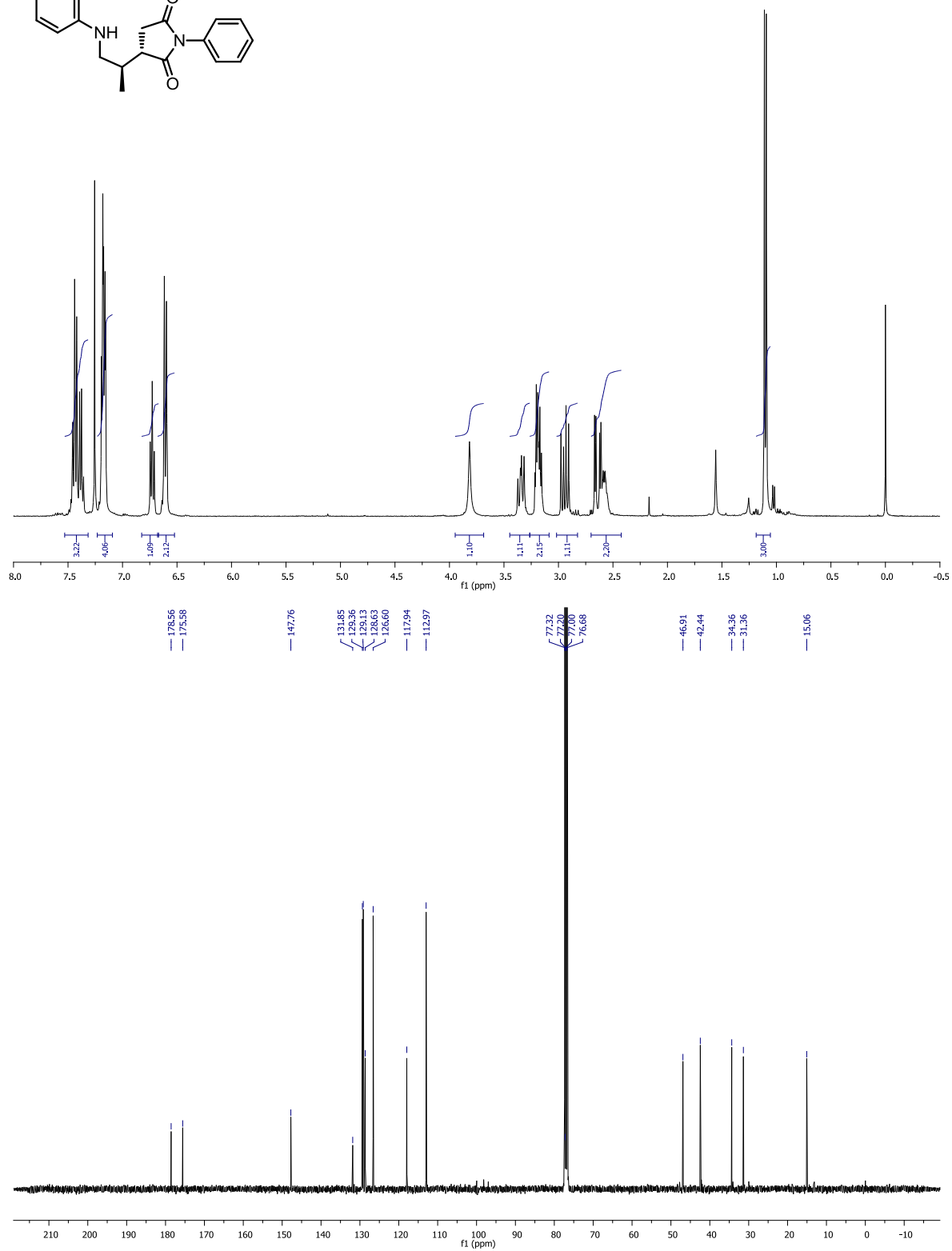
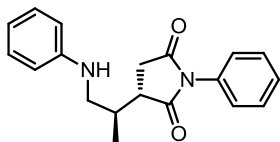
**2-((3*S*,4*R*)-4-Methyl-2-oxo-1-phenylpyrrolidin-3-yl)-*N*-phenylacetamide (6{1,1,1}) (*syn*-diastereomer)**



**2-((3*S*,4*S*)-4-Methyl-2-oxo-1-phenylpyrrolidin-3-yl)-*N*-phenylacetamide (6{1,1,1}) (*trans*-diastereomer)**



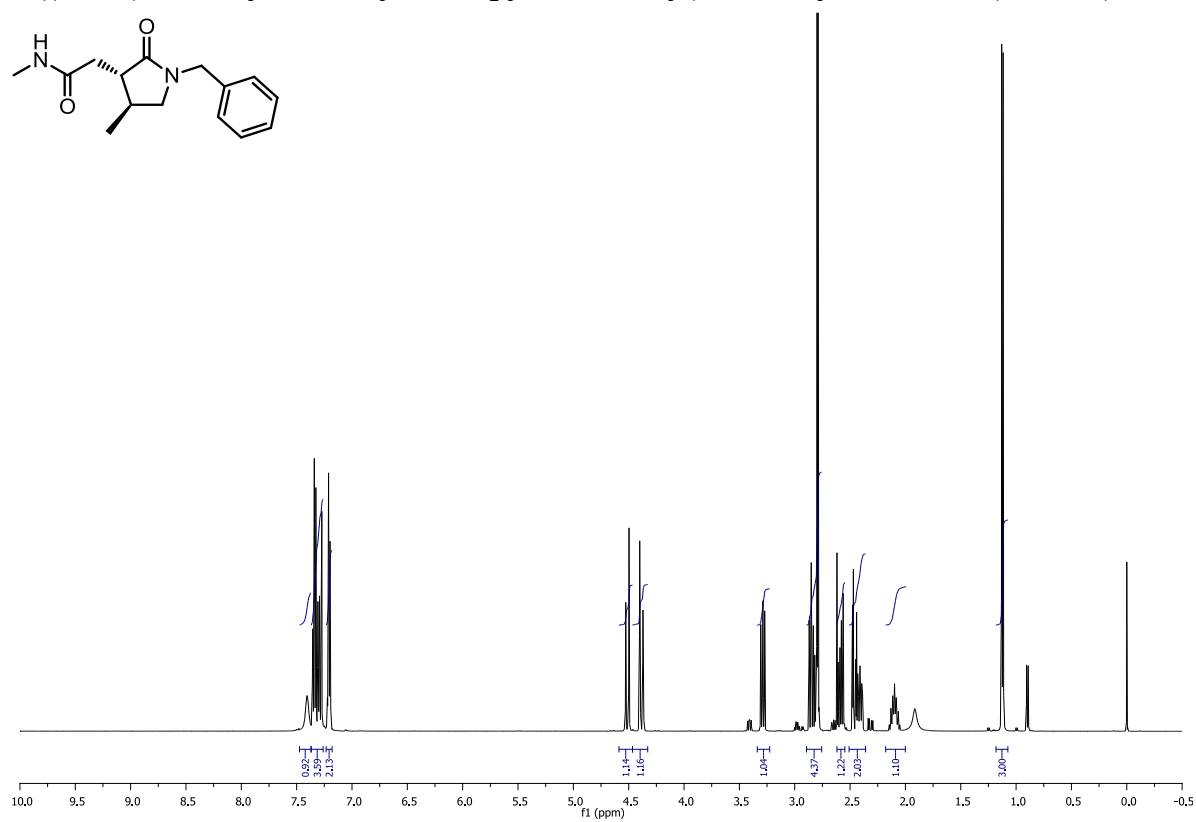
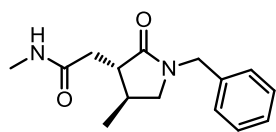
**(S)-1-Phenyl-3-((R)-1-(phenylamino)propan-2-yl)pyrrolidine-2,5-dione (7{1,1,1})**



(6{1,1,4})



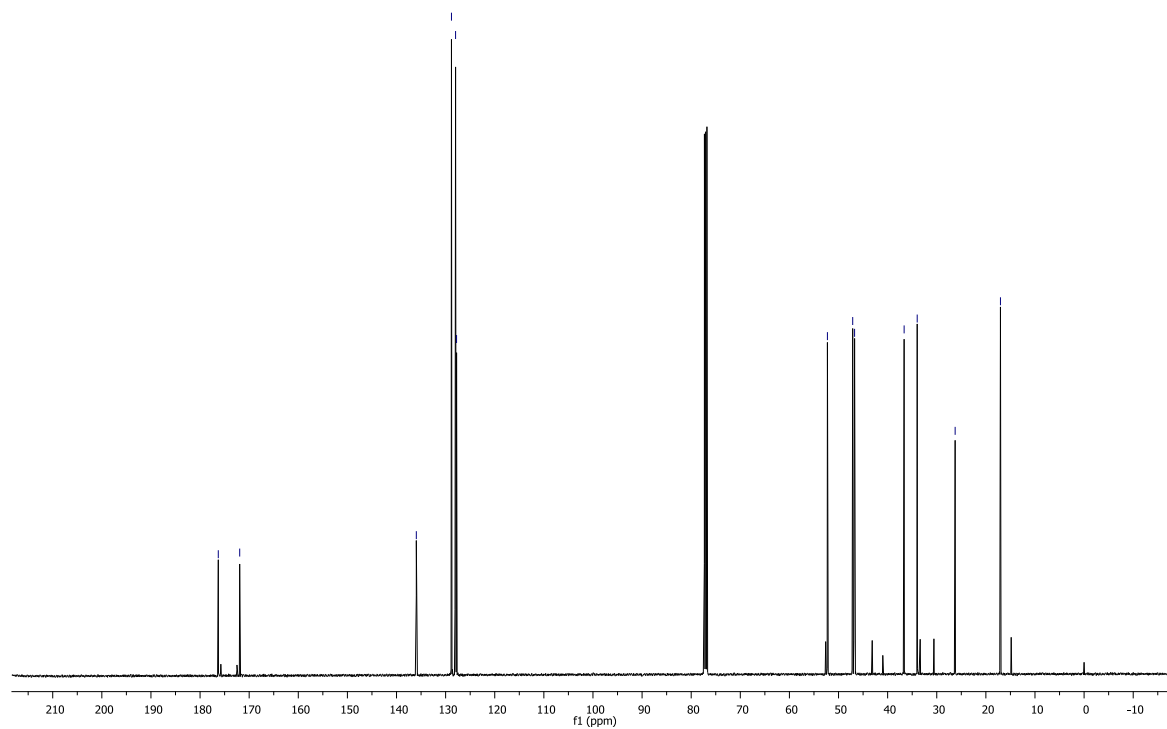
**2-((3*S*,4*S*)-1-Benzyl-4-methyl-2-oxopyrrolidin-3-yl)-*N*-methylacetamide (6{2,1,2})**



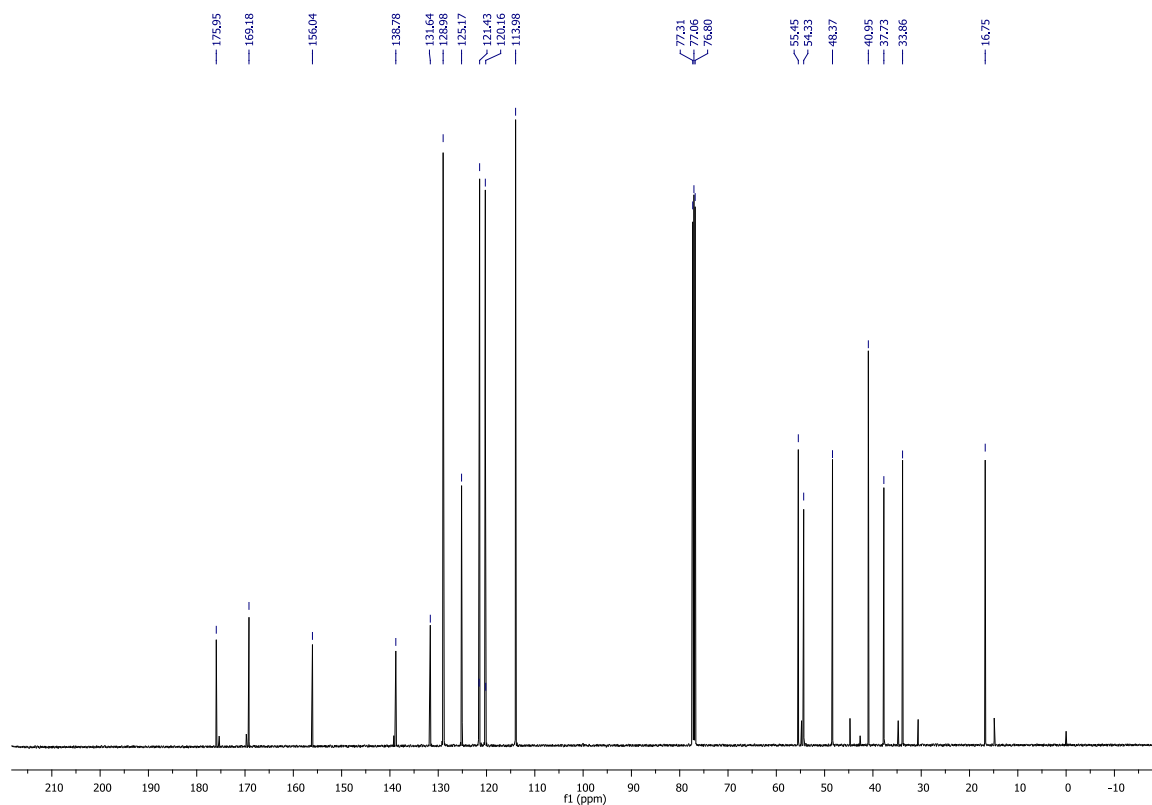
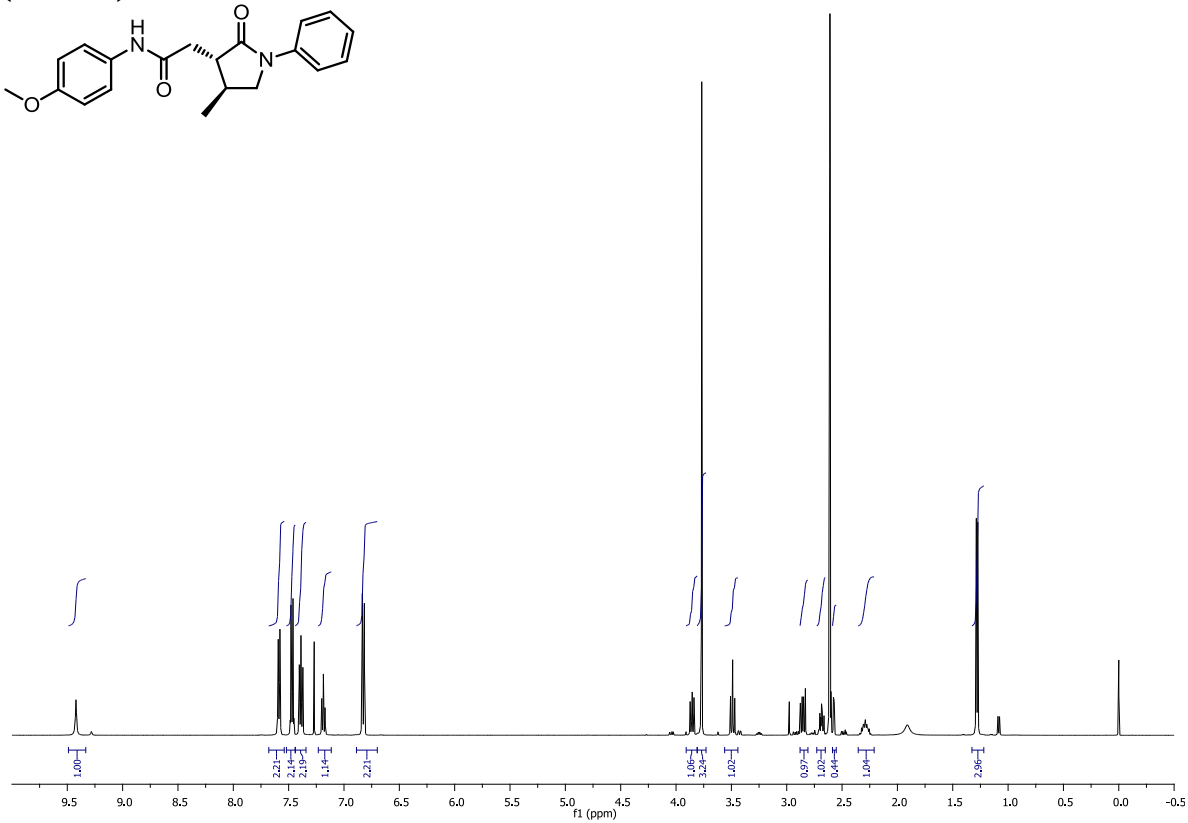
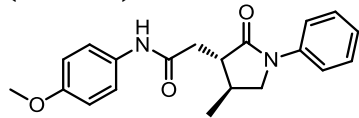
— 176.29  
— 171.93

— 135.97  
— 128.81  
— 127.97  
— 127.77

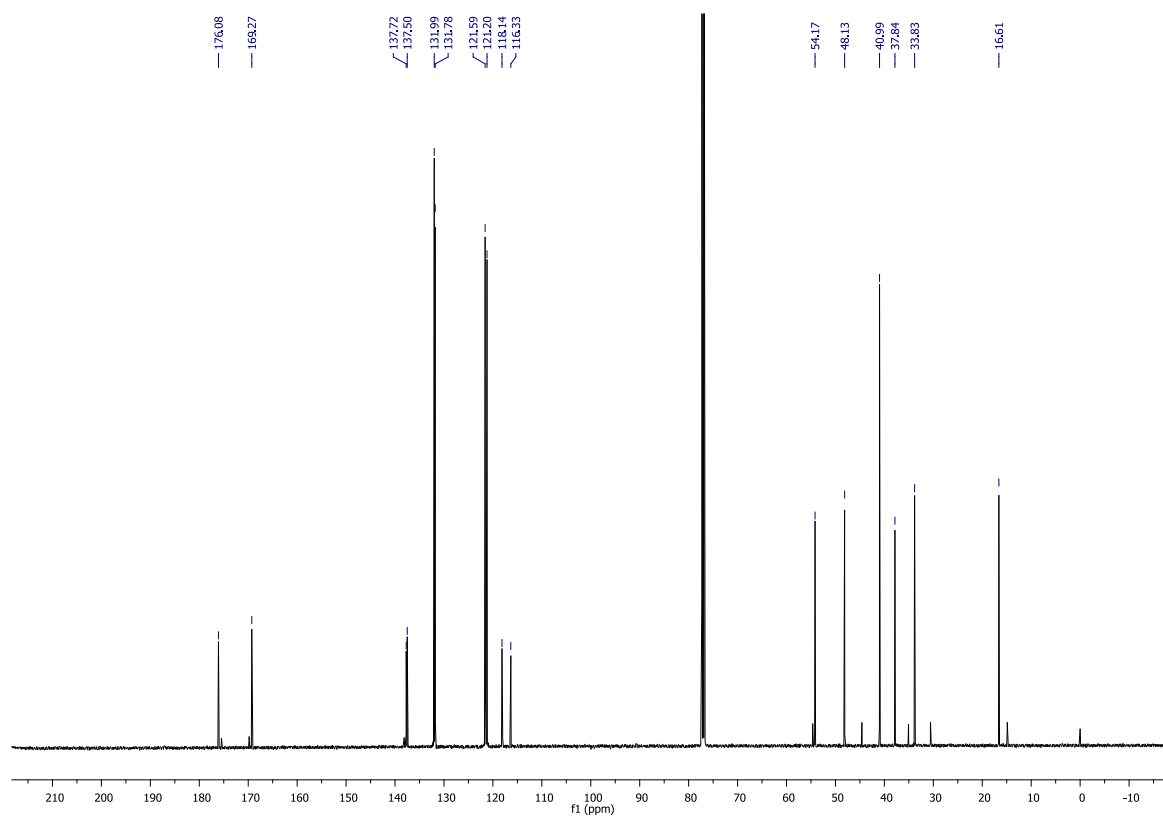
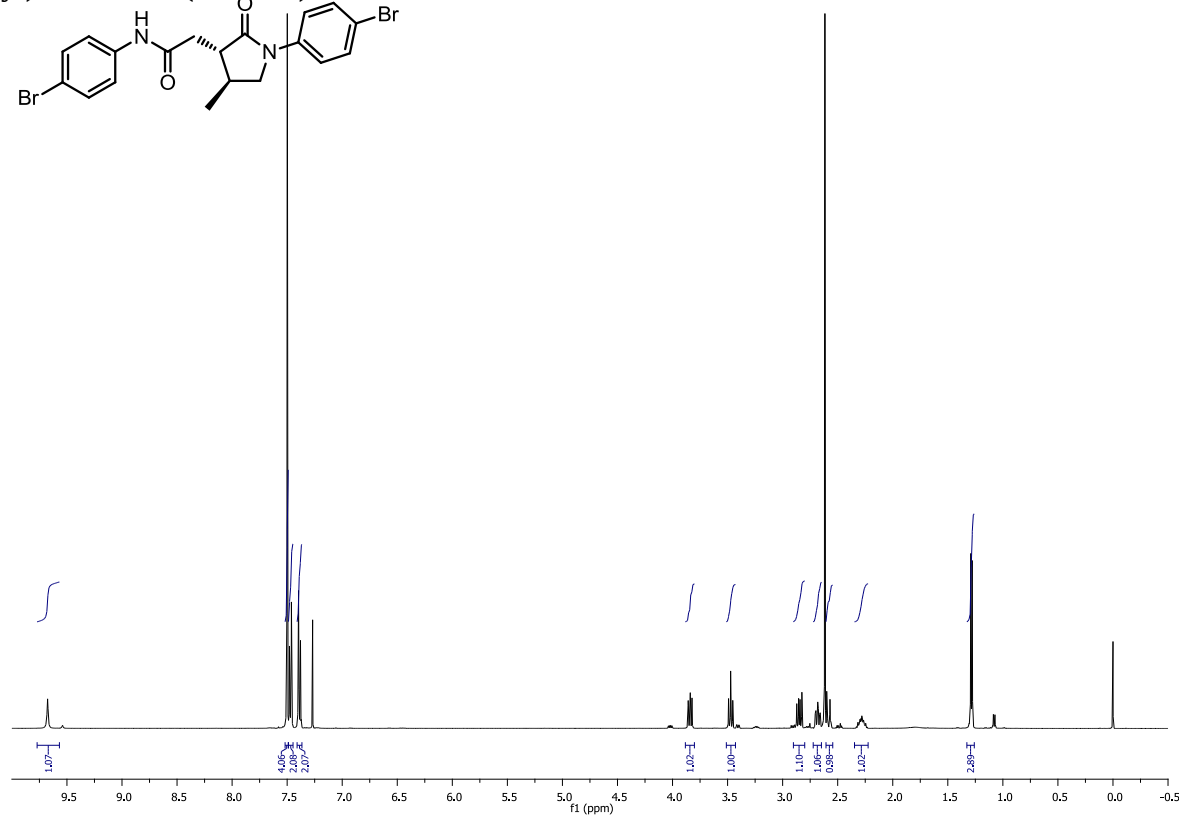
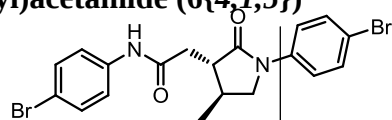
— 52.26  
— 47.11  
— 46.73  
— 36.64  
— 33.98  
— 26.25  
— 17.03



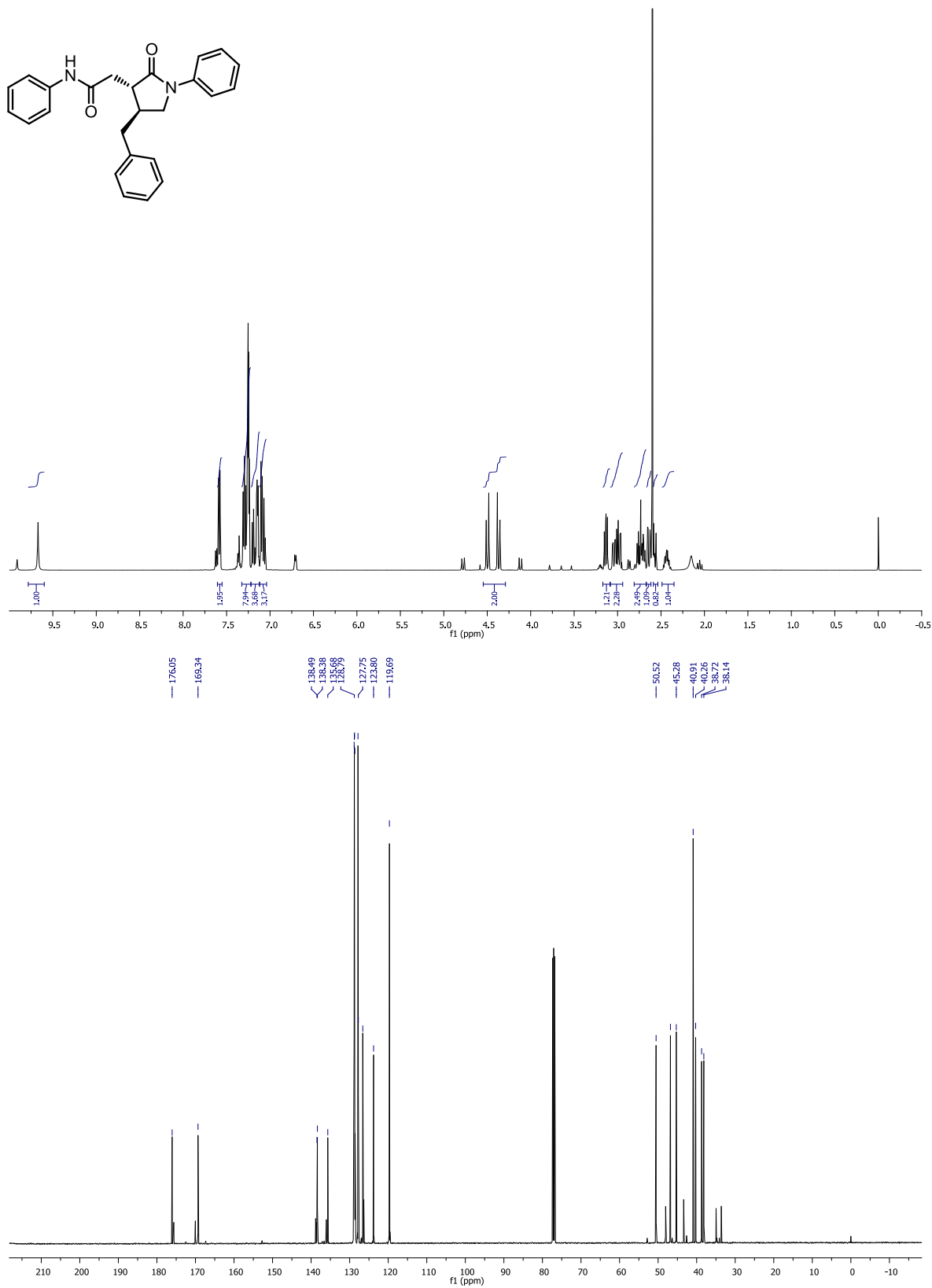
***N*-(4-Methoxyphenyl)-2-((3*S*,4*S*)-4-methyl-2-oxo-1-phenylpyrrolidin-3-yl)acetamide  
(6{3,1,1})**



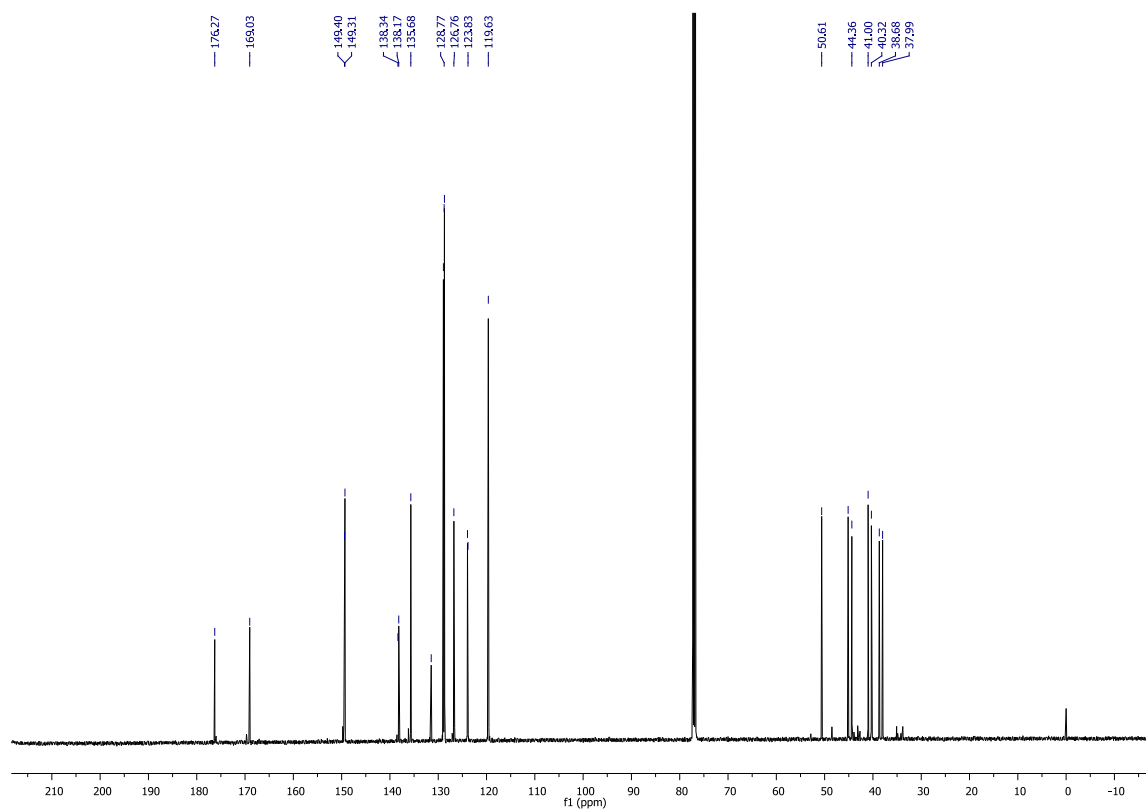
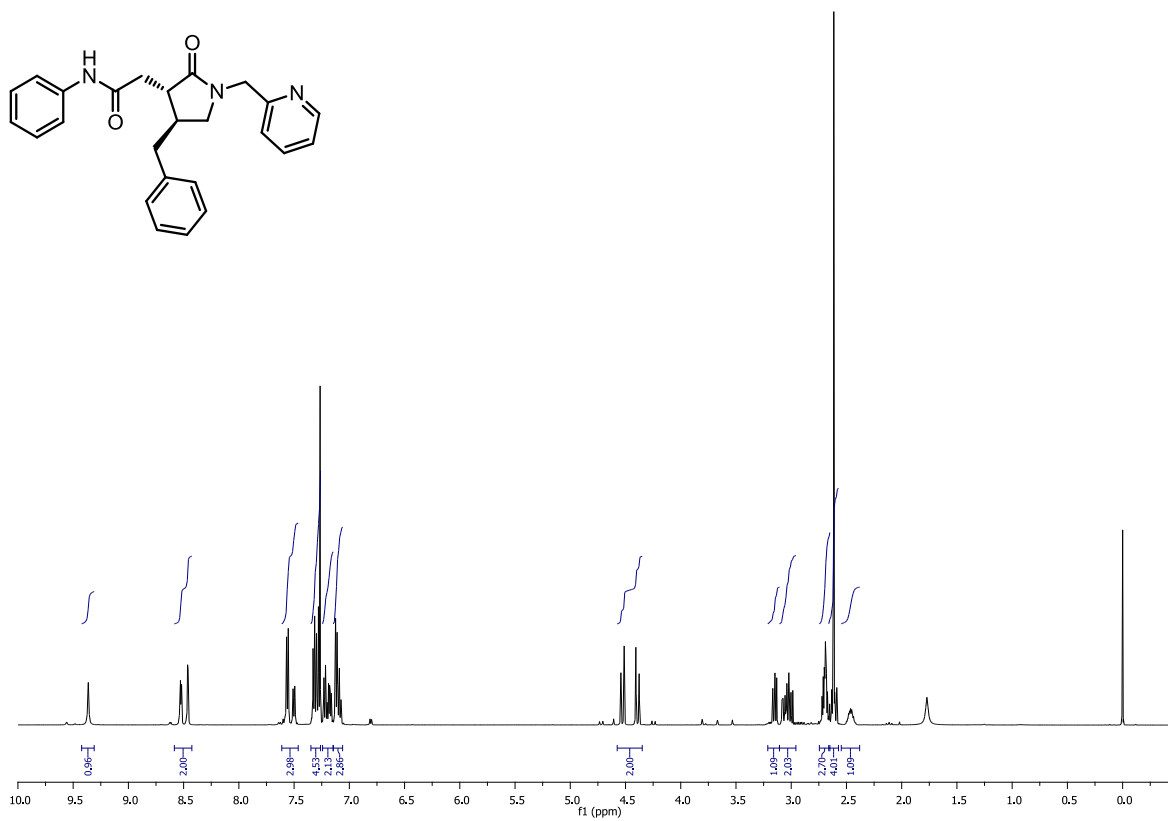
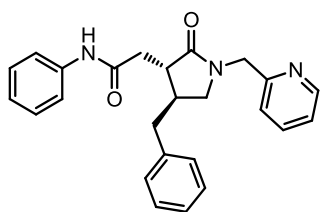
***N*-(4-Bromophenyl)-2-((3*S*,4*S*)-1-(4-bromophenyl)-4-methyl-2-oxopyrrolidin-3-yl)acetamide (6{4,1,5})**



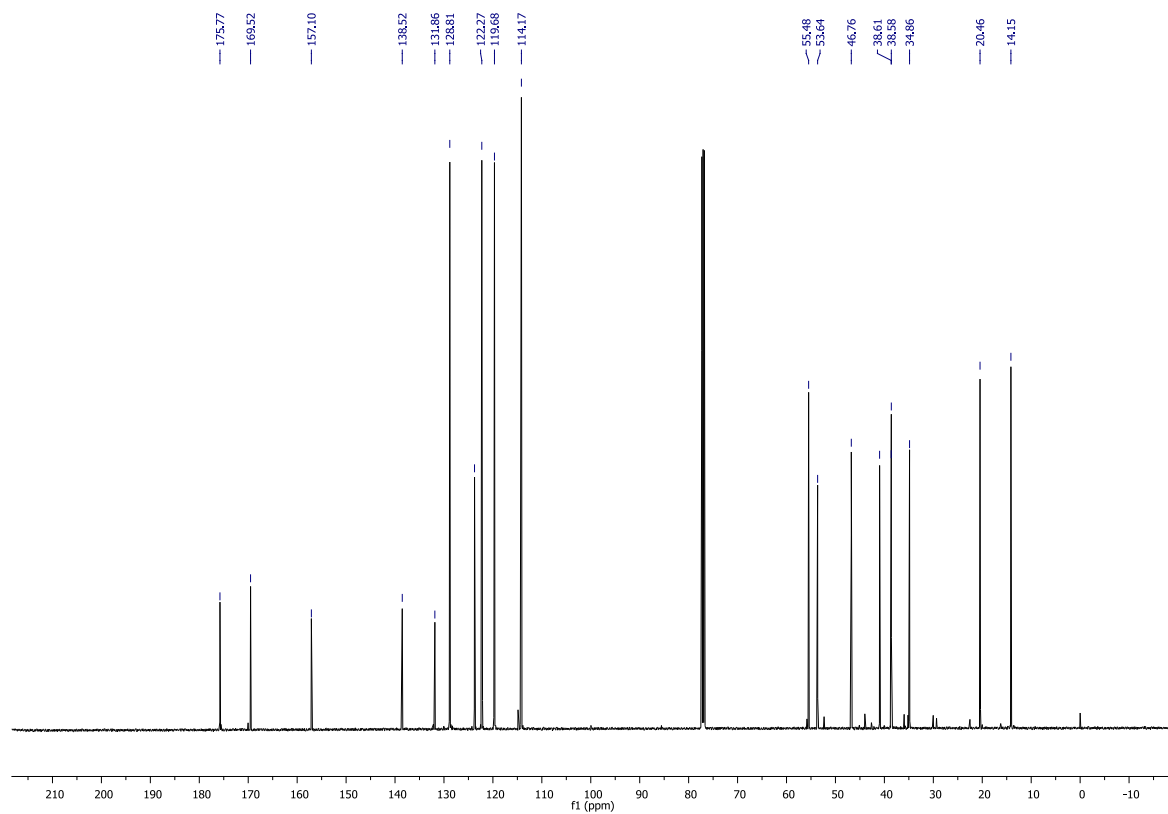
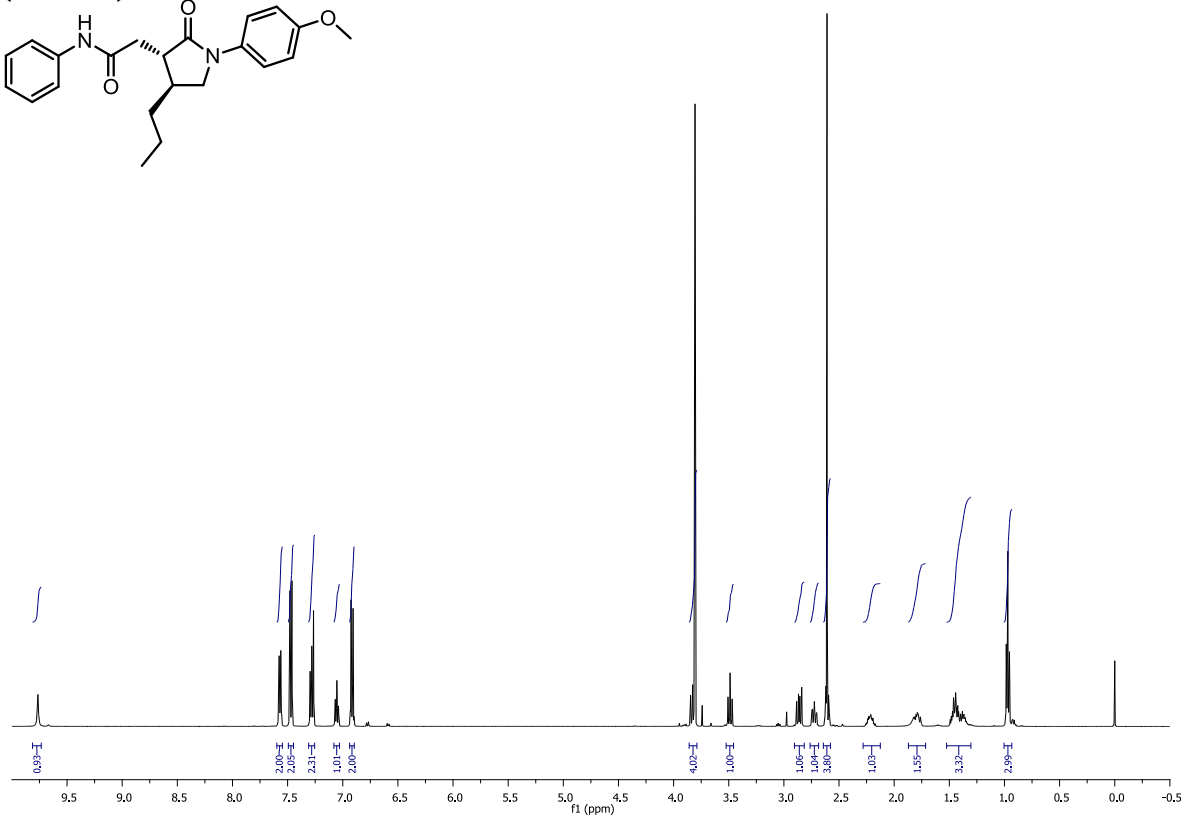
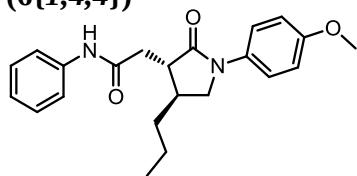
2-((3*S*,4*S*)-4-Benzyl-2-oxo-1-phenylpyrrolidin-3-yl)-*N*-phenylacetamide (6{1,3,2})



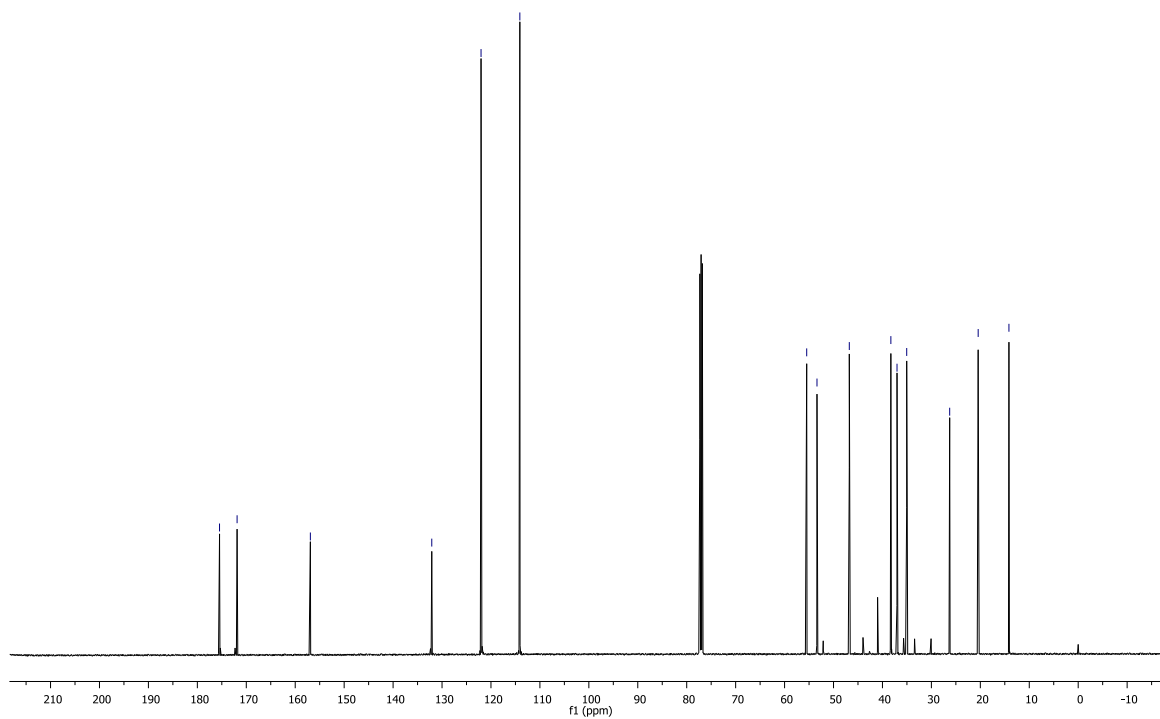
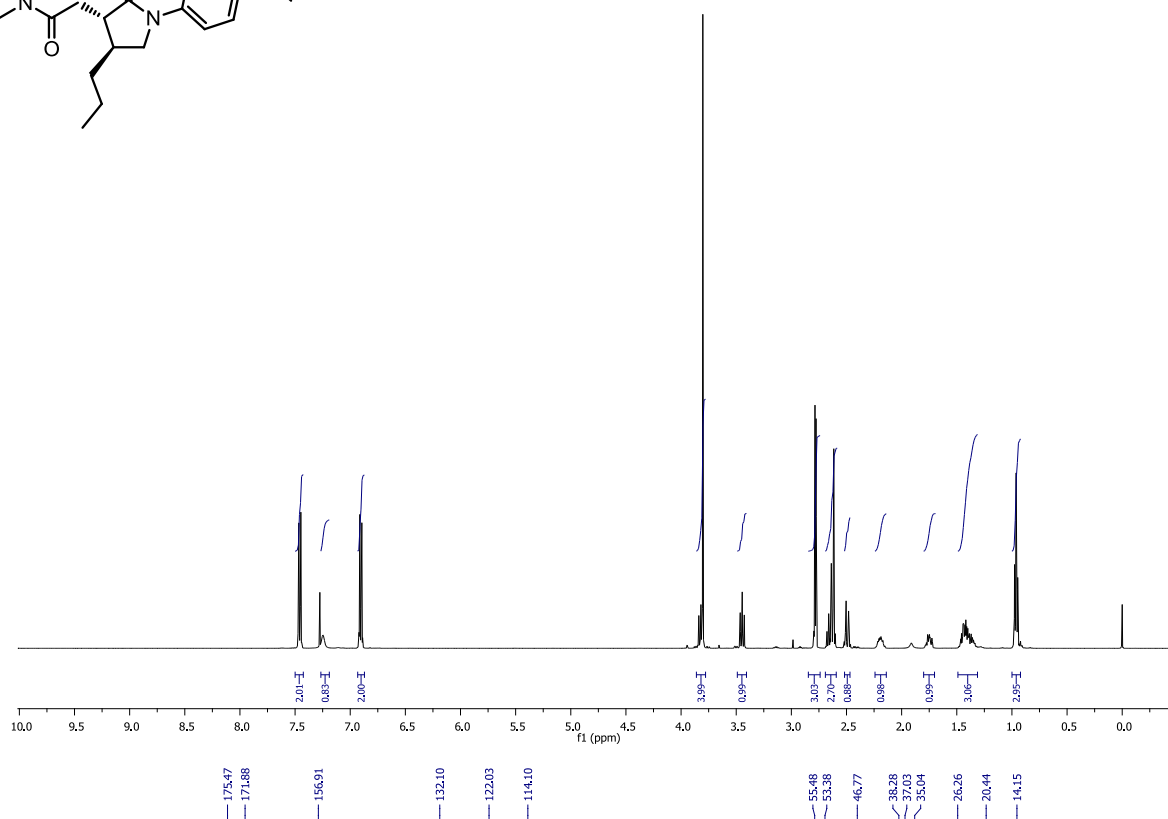
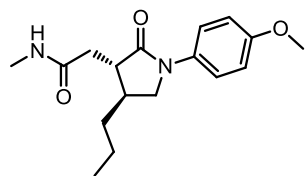
**2-((3*S*,4*S*)-4-Benzyl-2-oxo-1-(pyridin-2-ylmethyl)pyrrolidin-3-yl)-*N*-phenylacetamide (6{1,3,8})**



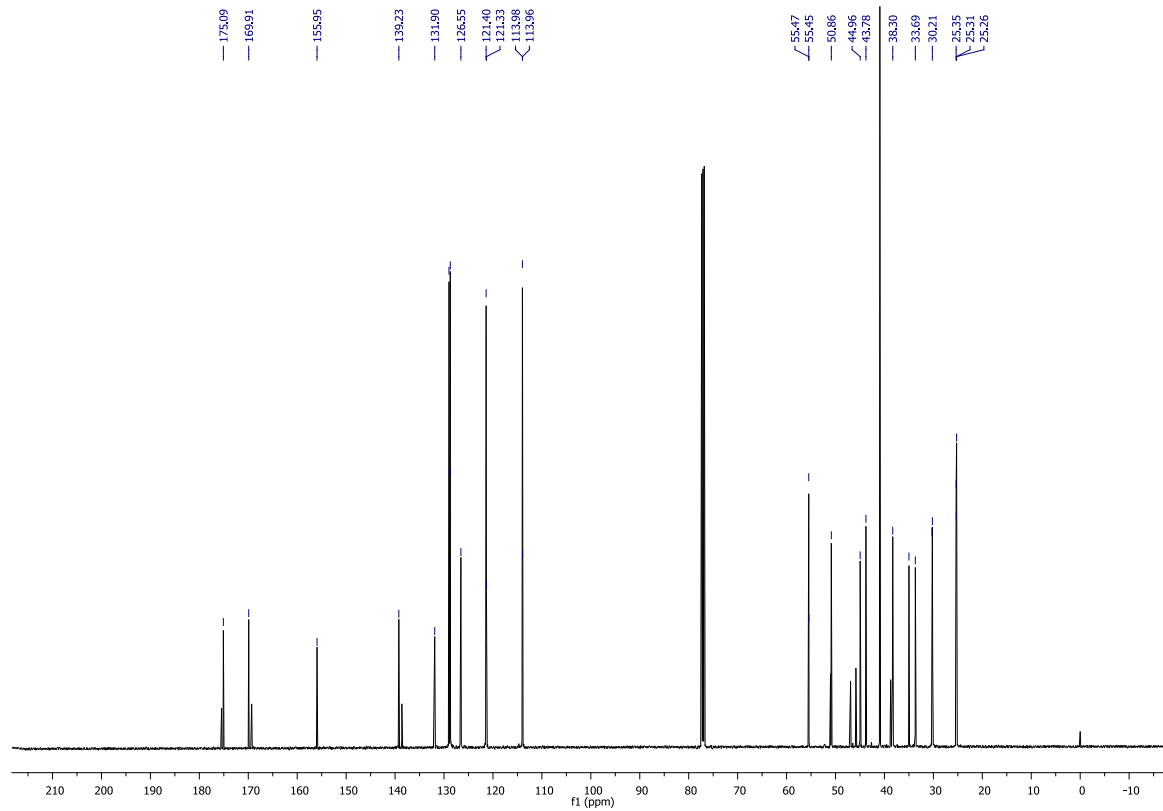
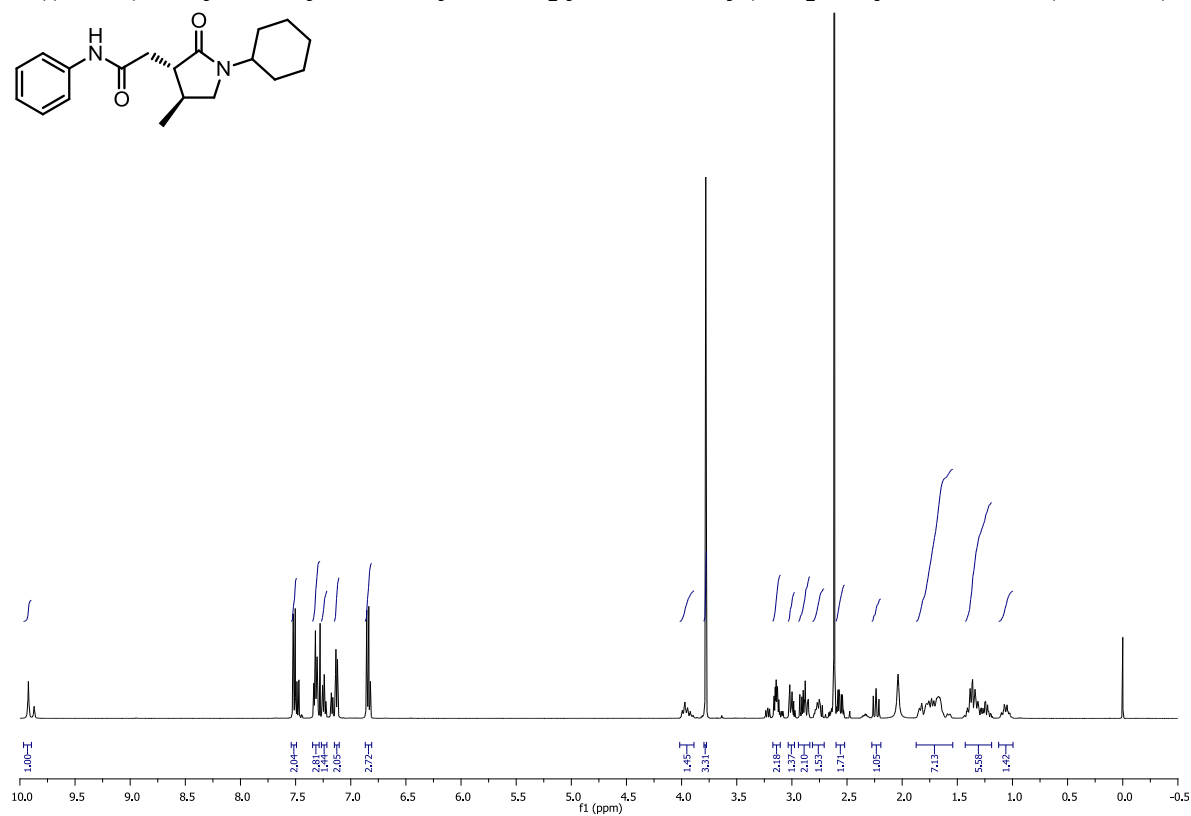
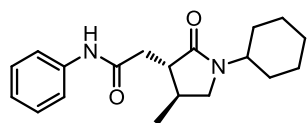
**2-((3S,4S)-1-(4-Methoxyphenyl)-2-oxo-4-propylpyrrolidin-3-yl)-N-phenylacetamide  
(6{1,4,4})**



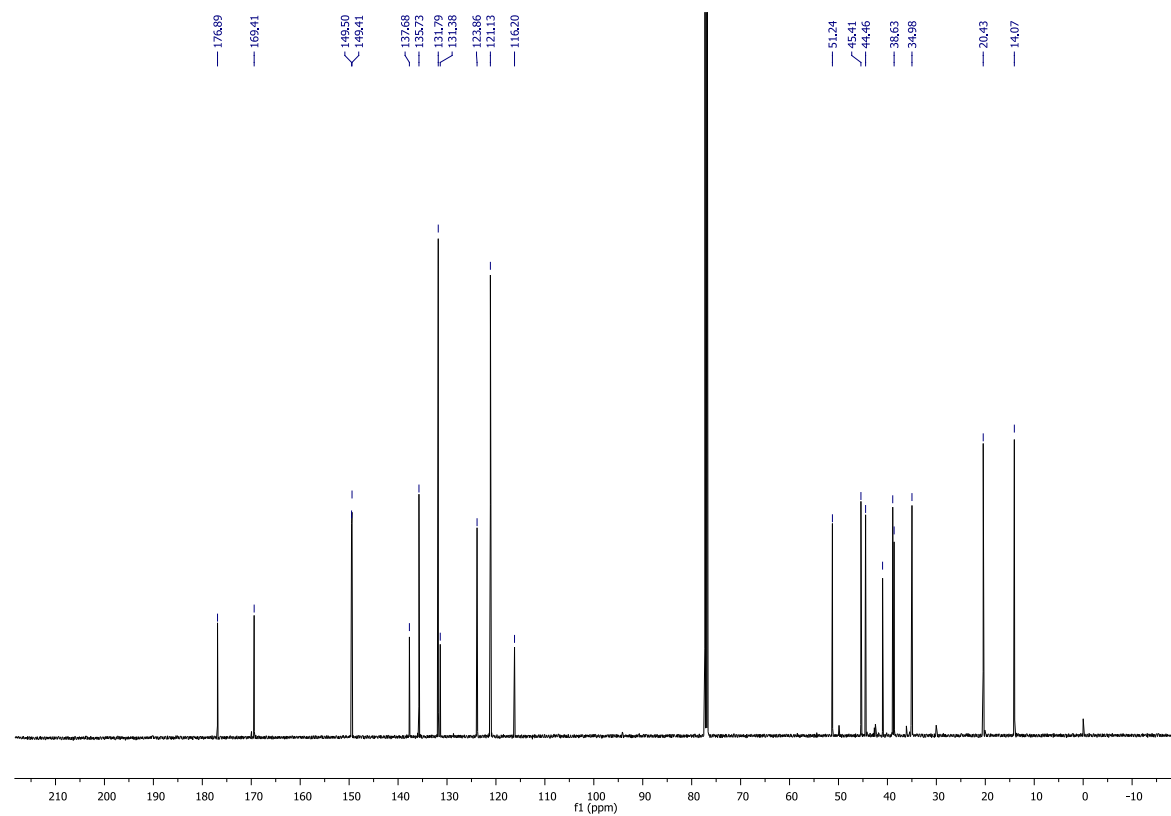
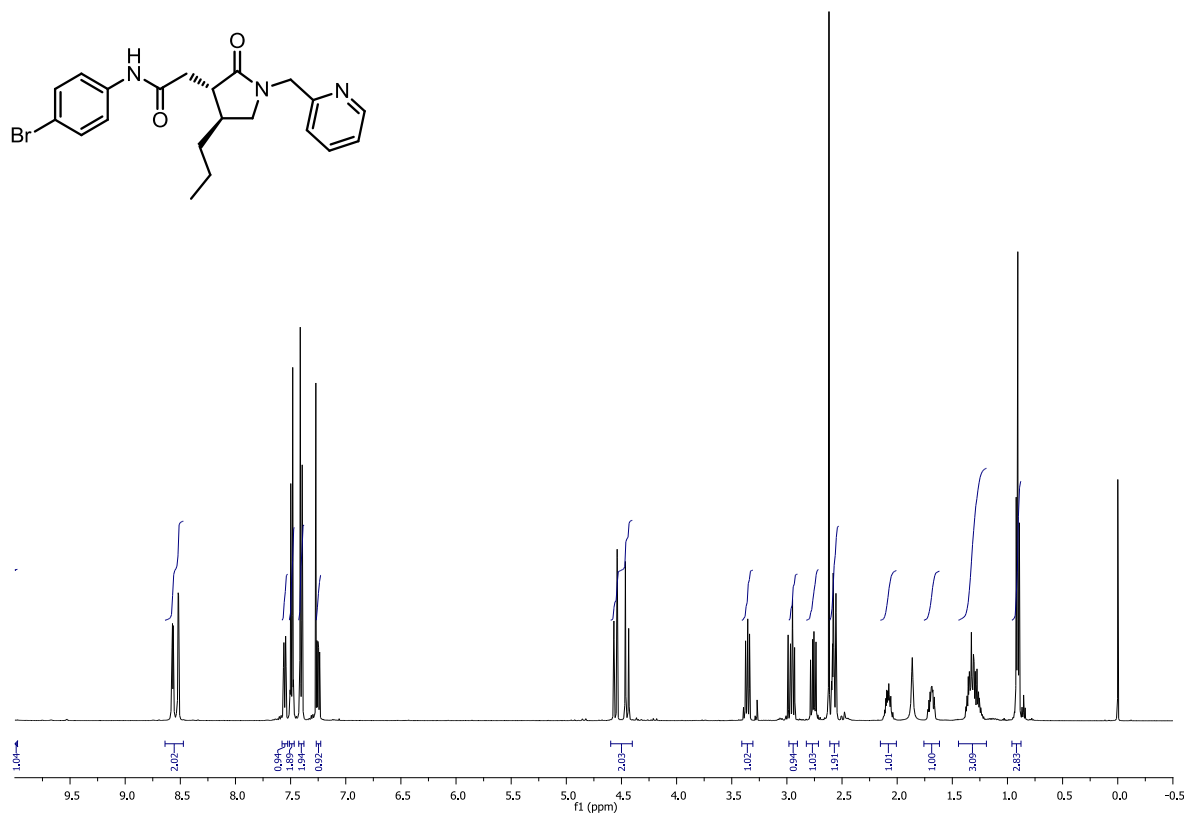
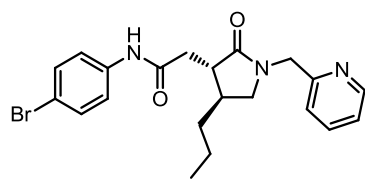
**2-((3S,4S)-1-(4-Methoxyphenyl)-2-oxo-4-propylpyrrolidin-3-yl)-N-methylacetamide  
(6{2,4,4})**



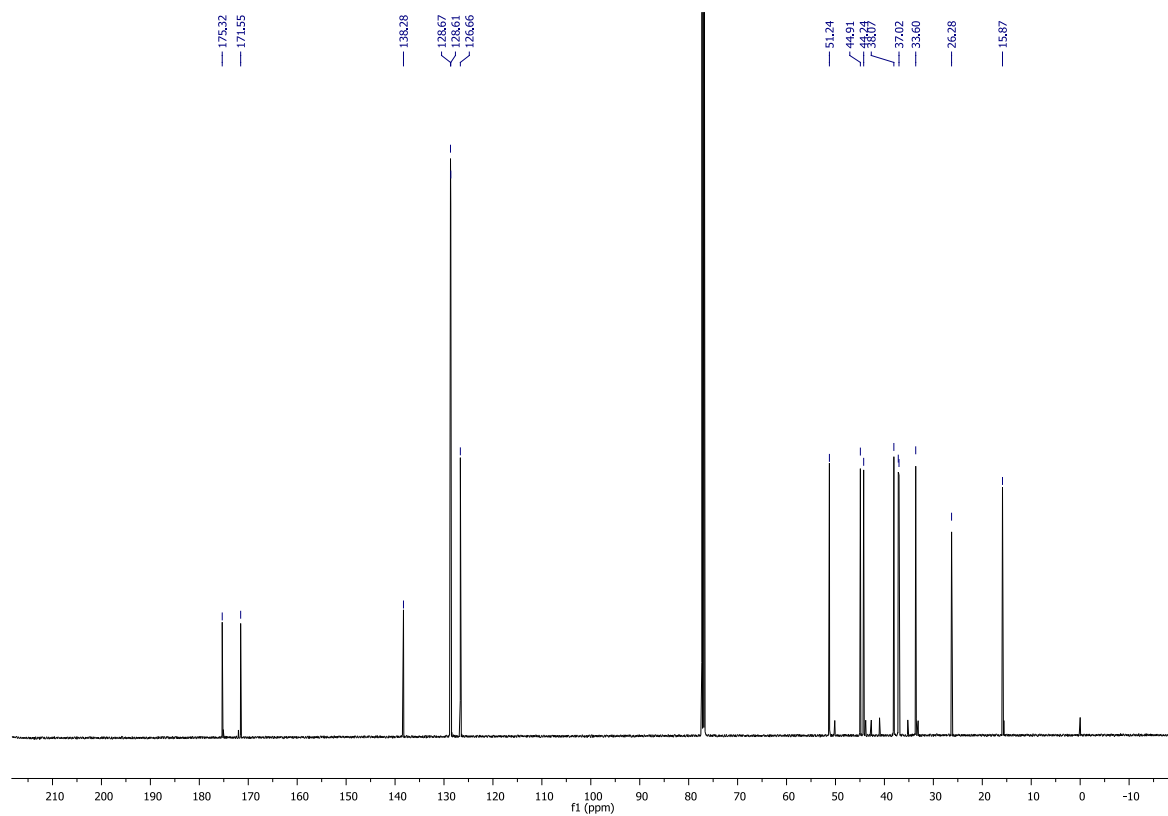
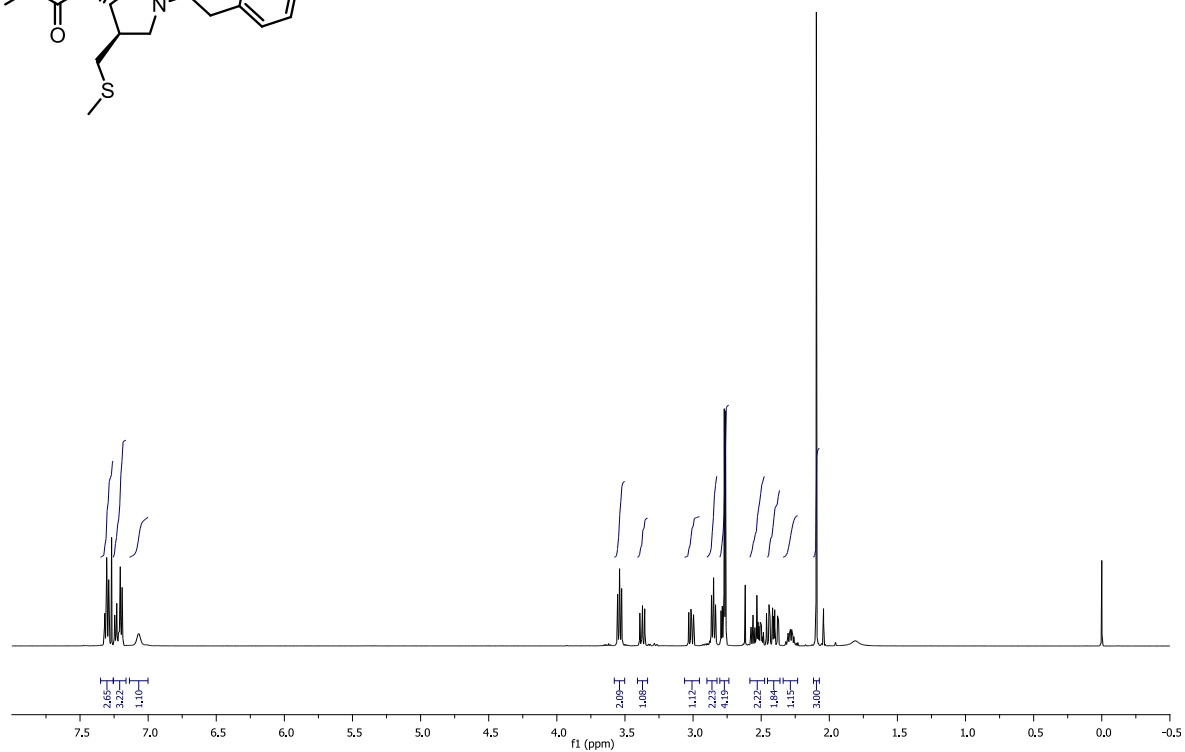
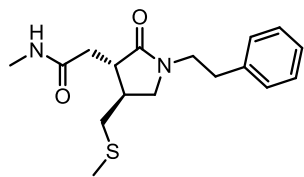
2-((3*S*,4*S*)-1-Cyclohexyl-4-methyl-2-oxopyrrolidin-3-yl)-*N*-phenylacetamide (6{3,3,6})



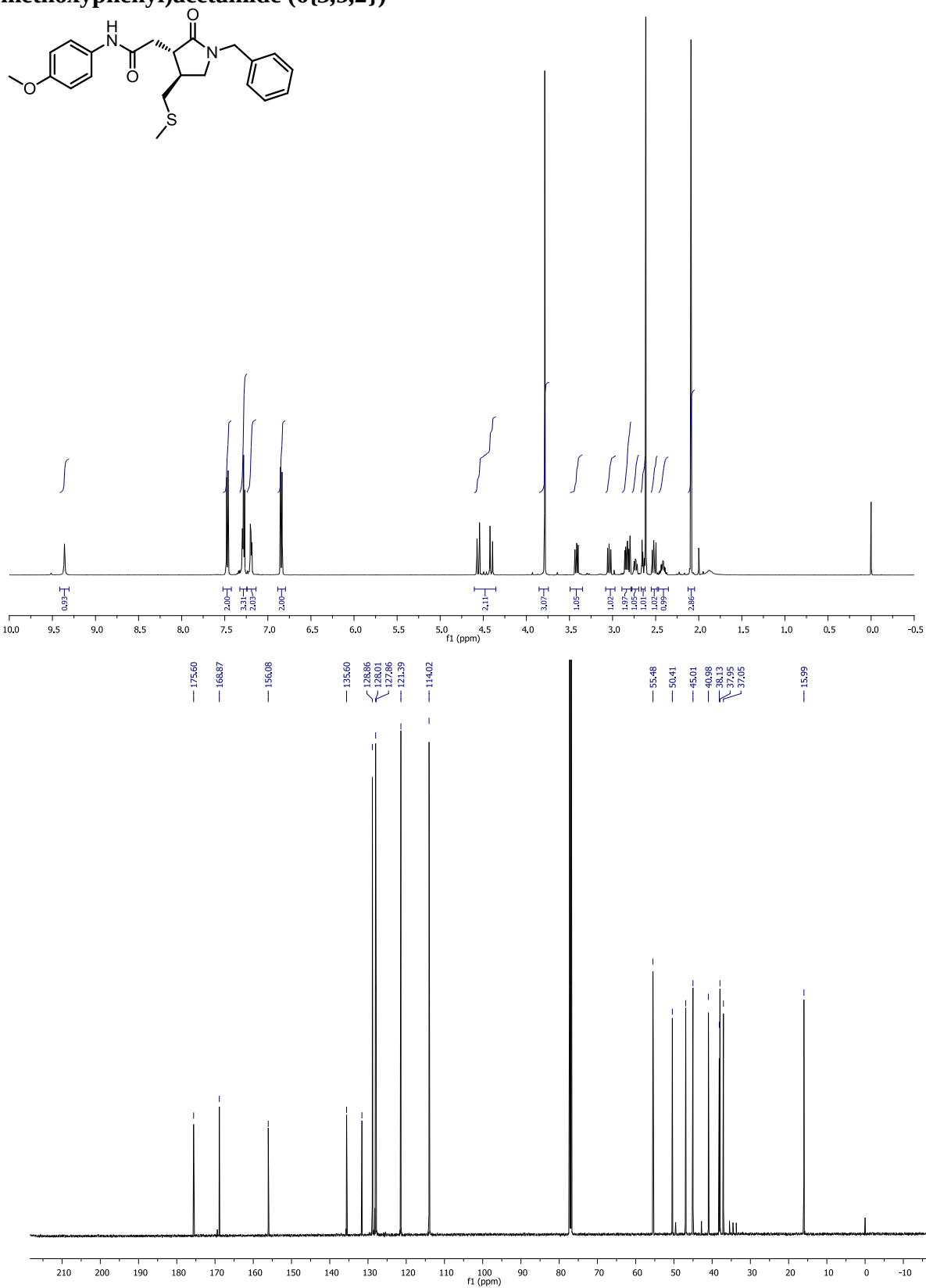
***N*-(4-Bromophenyl)-2-((3*S*,4*S*)-2-oxo-4-propyl-1-(pyridin-2-ylmethyl)pyrrolidin-3-yl)acetamide (6{4,4,8})**



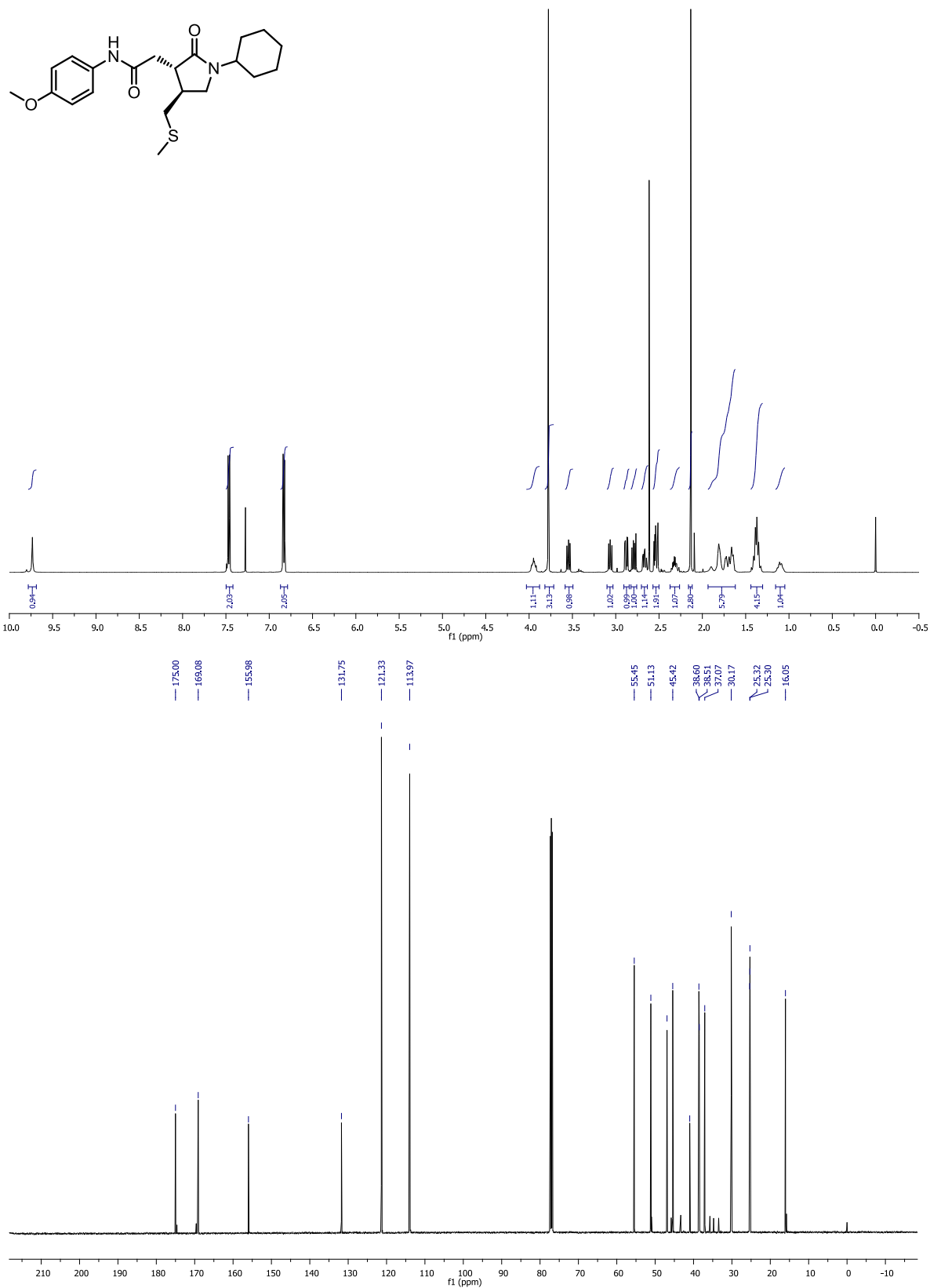
***N*-Methyl-2-((3*S*,4*S*)-4-((methylthio)methyl)-2-oxo-1-phenethylpyrrolidin-3-yl)acetamide (6{2,5,3})**



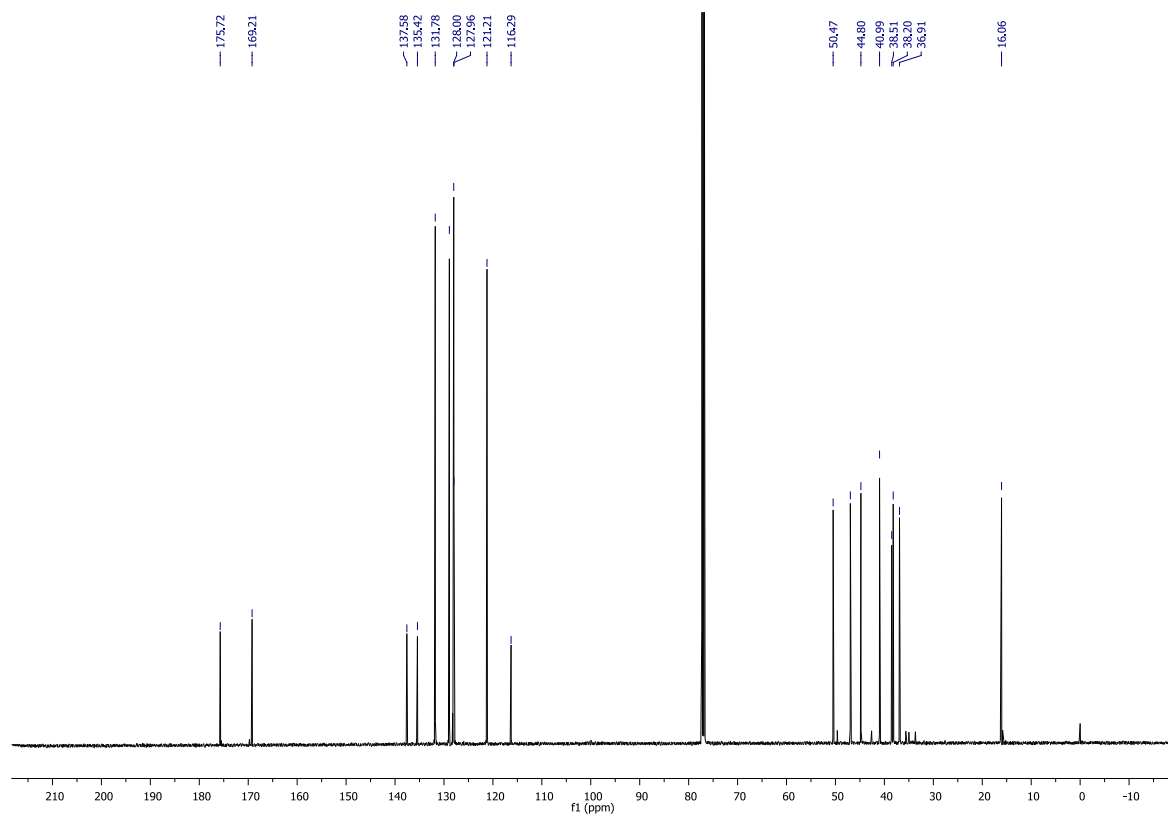
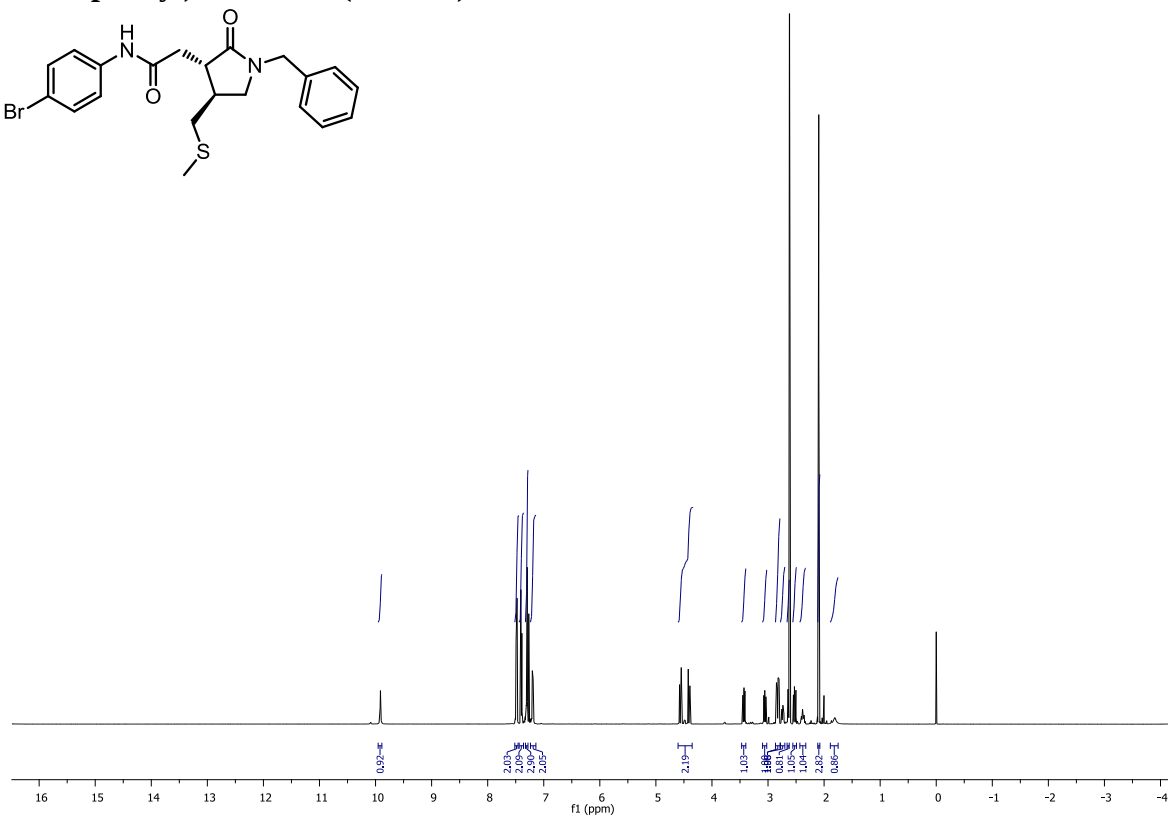
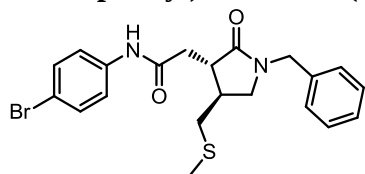
**2-((3*S*,4*S*)-1-Benzyl-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)-*N*-(4-methoxyphenyl)acetamide (6{3,5,2})**



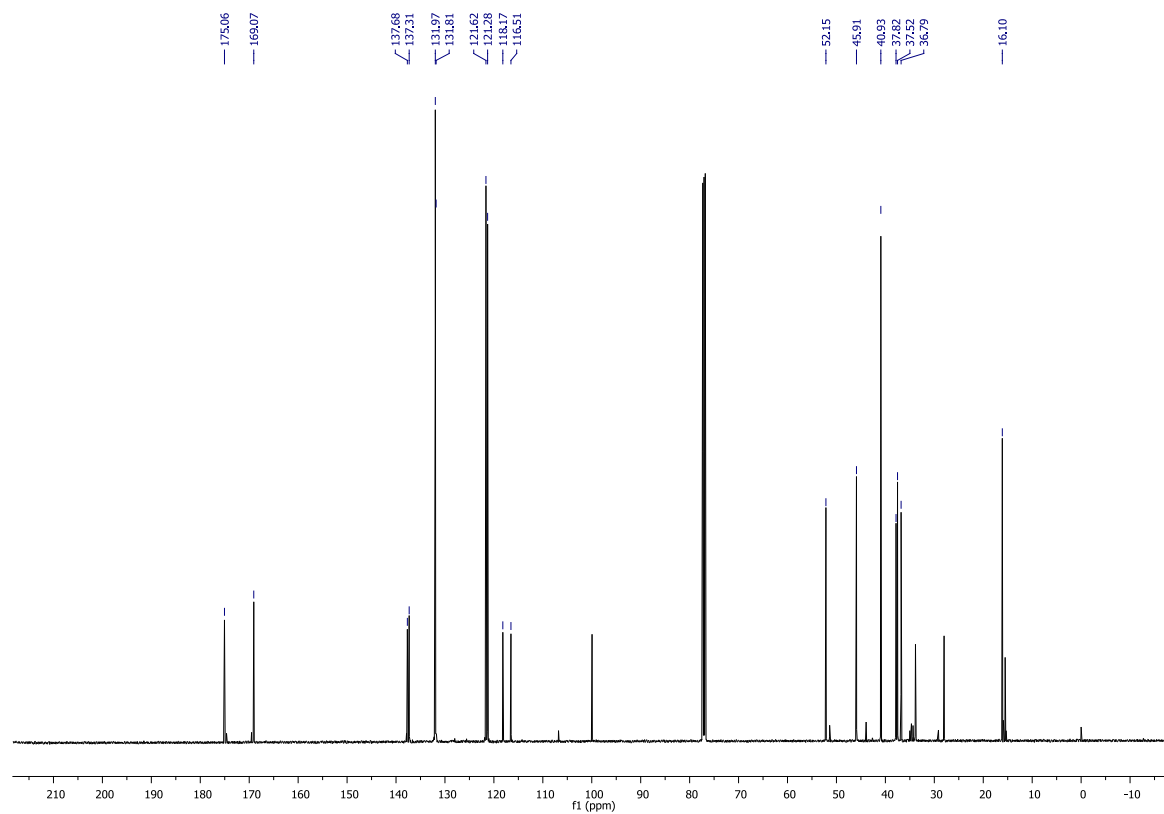
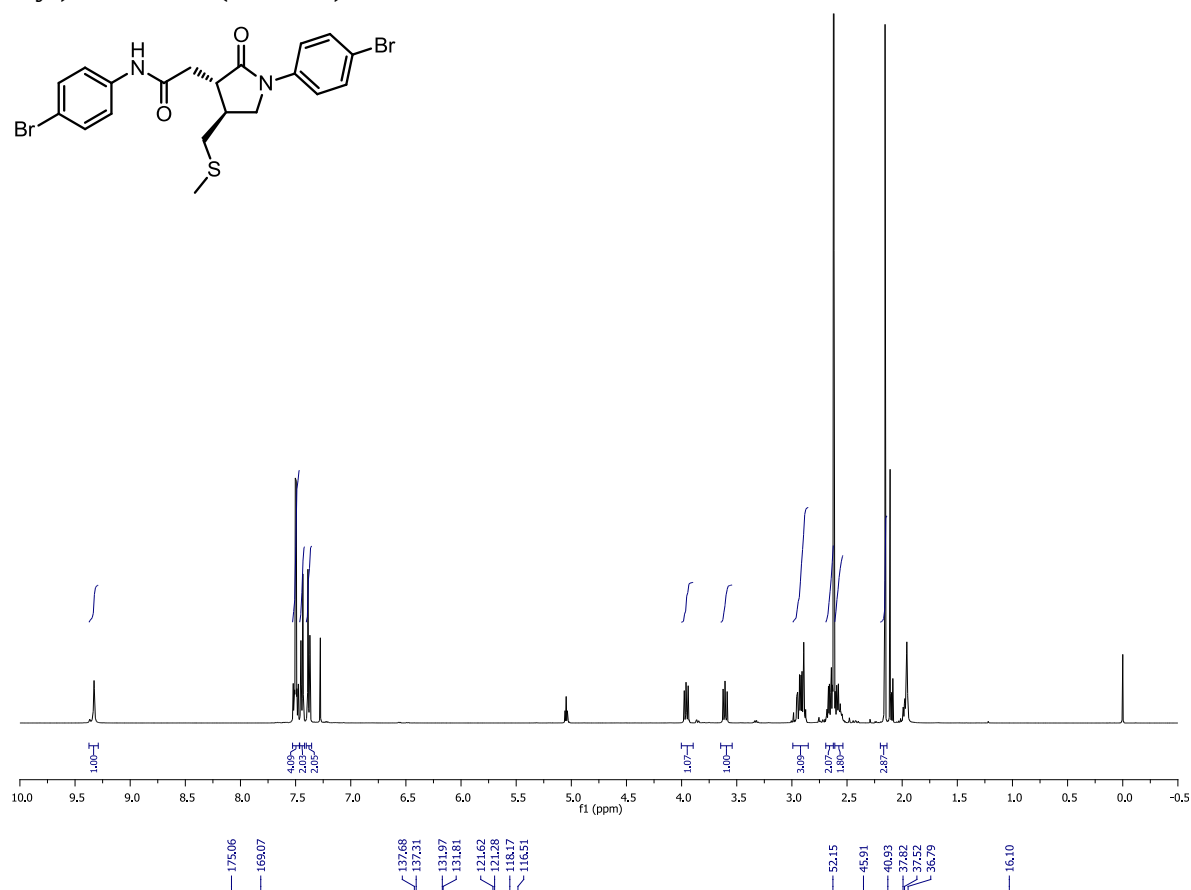
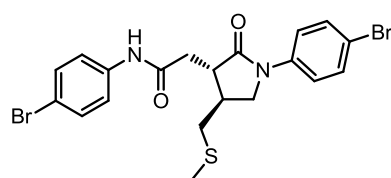
**2-((3S,4S)-1-Cyclohexyl-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)-N-(4-methoxyphenyl)acetamide (6{3,5,6})**



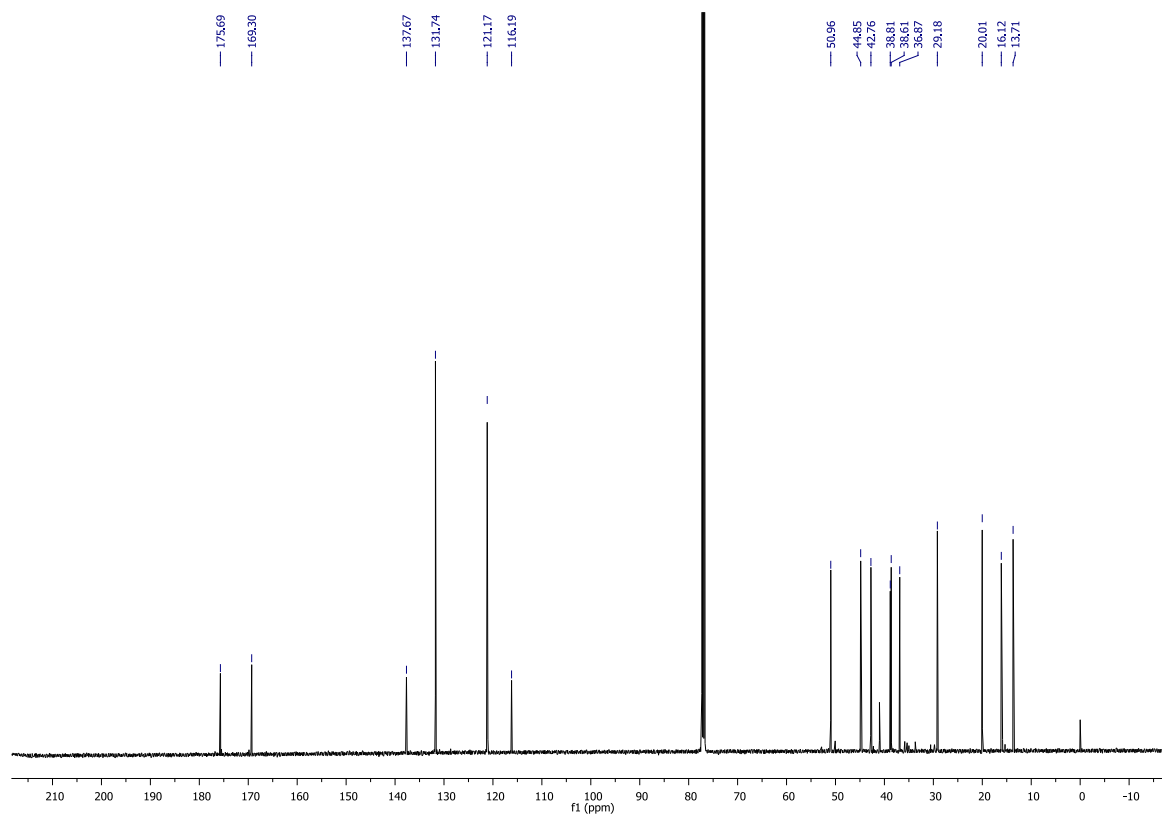
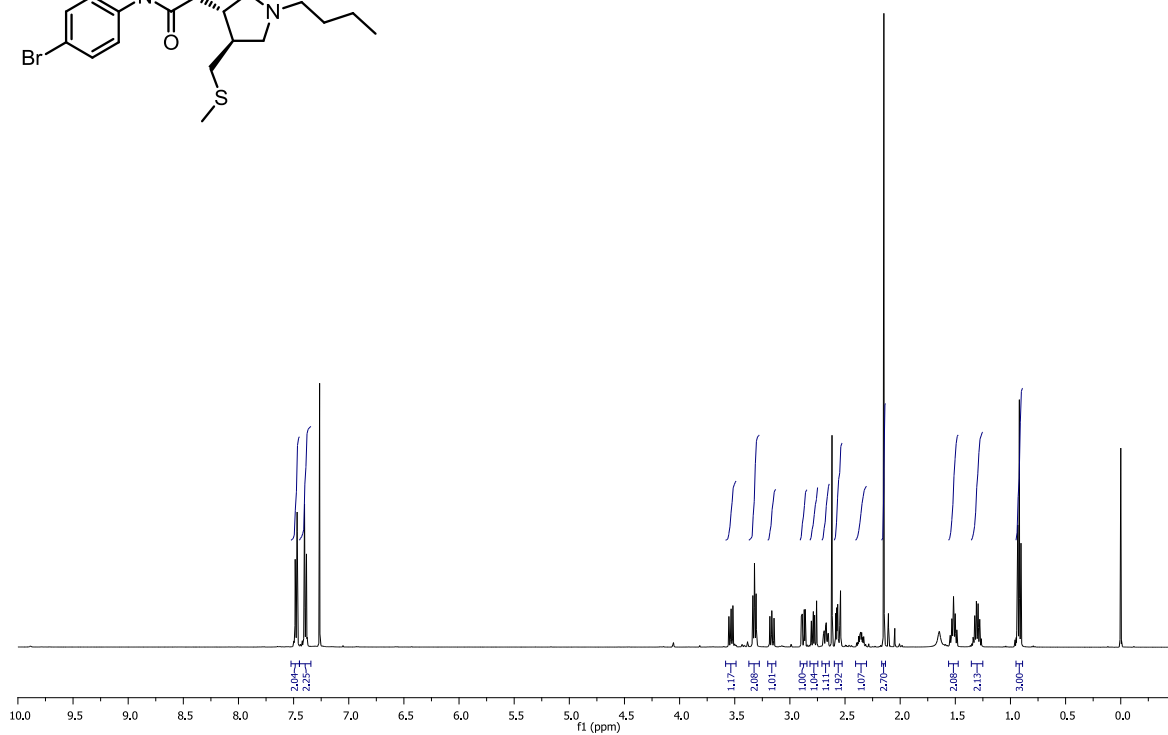
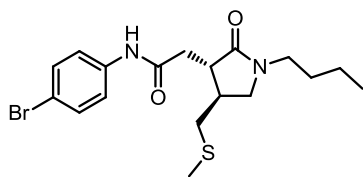
**2-((3*S*,4*S*)-1-Benzyl-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)-*N*-(4-bromophenyl)acetamide (6{4,5,2})**



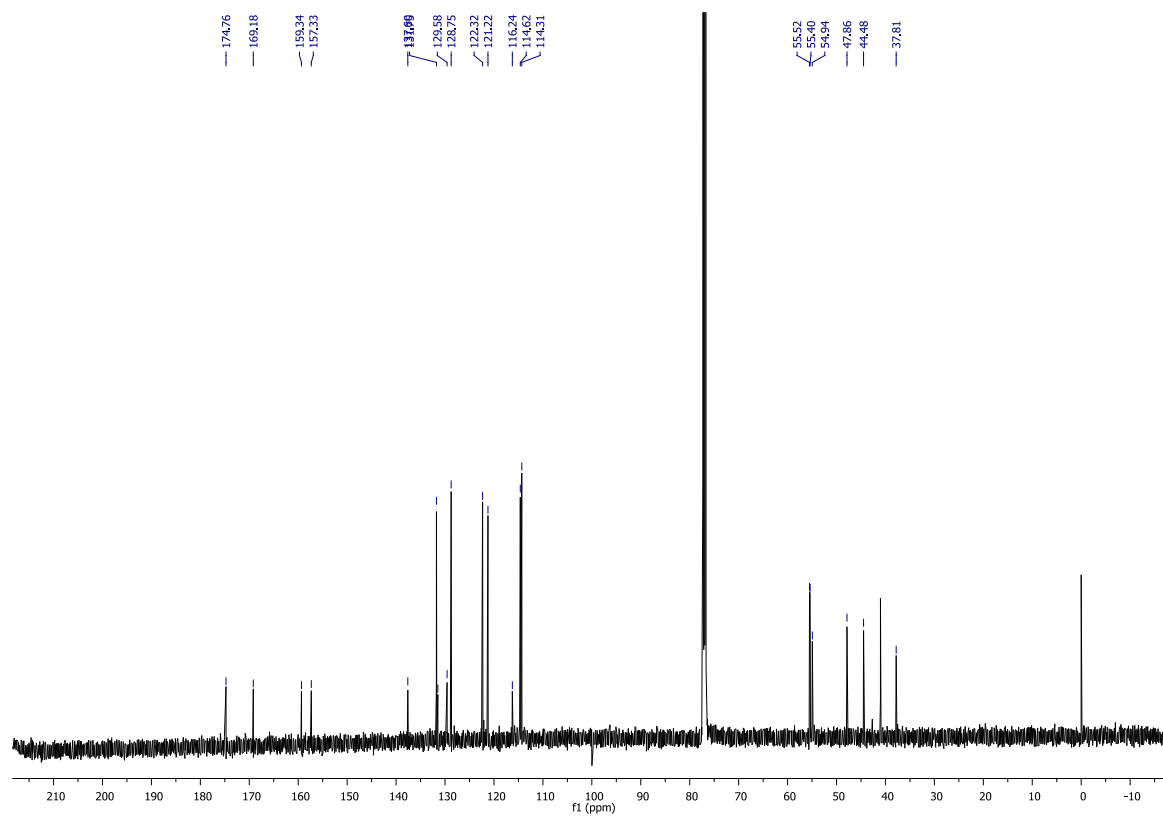
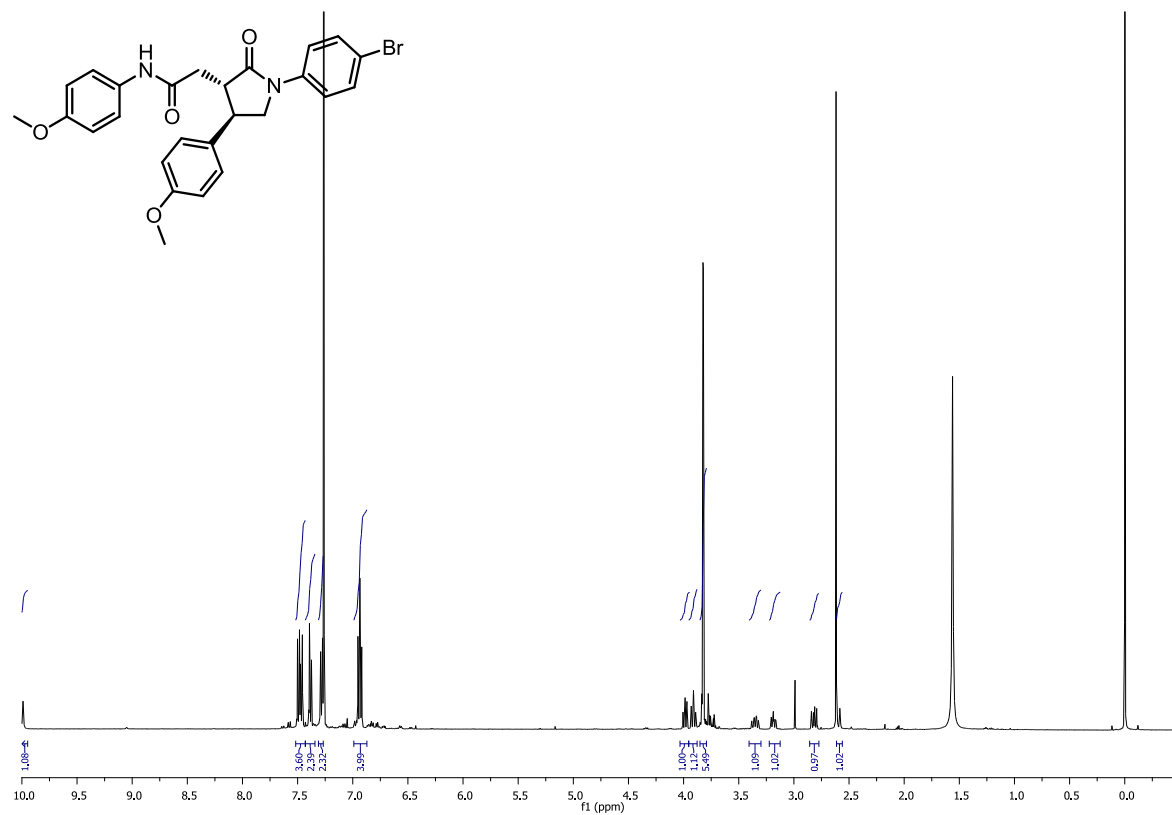
***N*-(4-Bromophenyl)-2-((3*S*,4*S*)-1-(4-bromophenyl)-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)acetamide (6{4,5,5})**



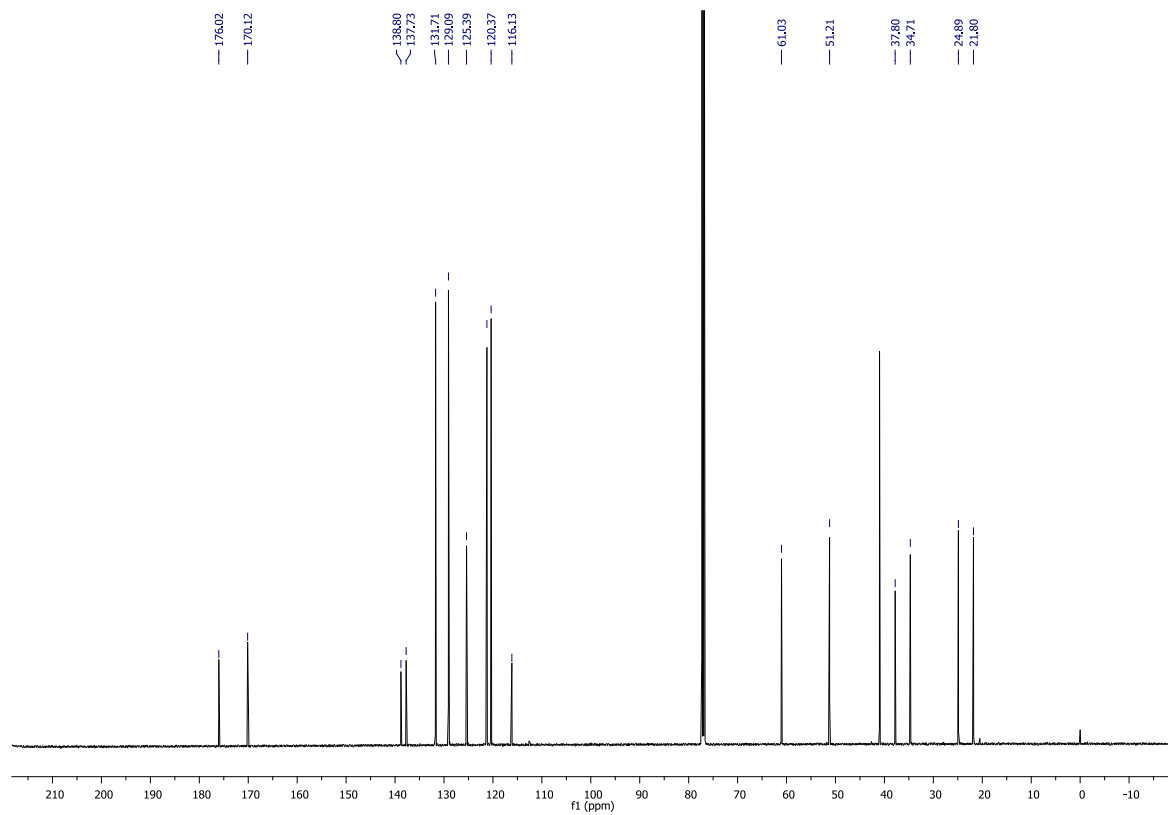
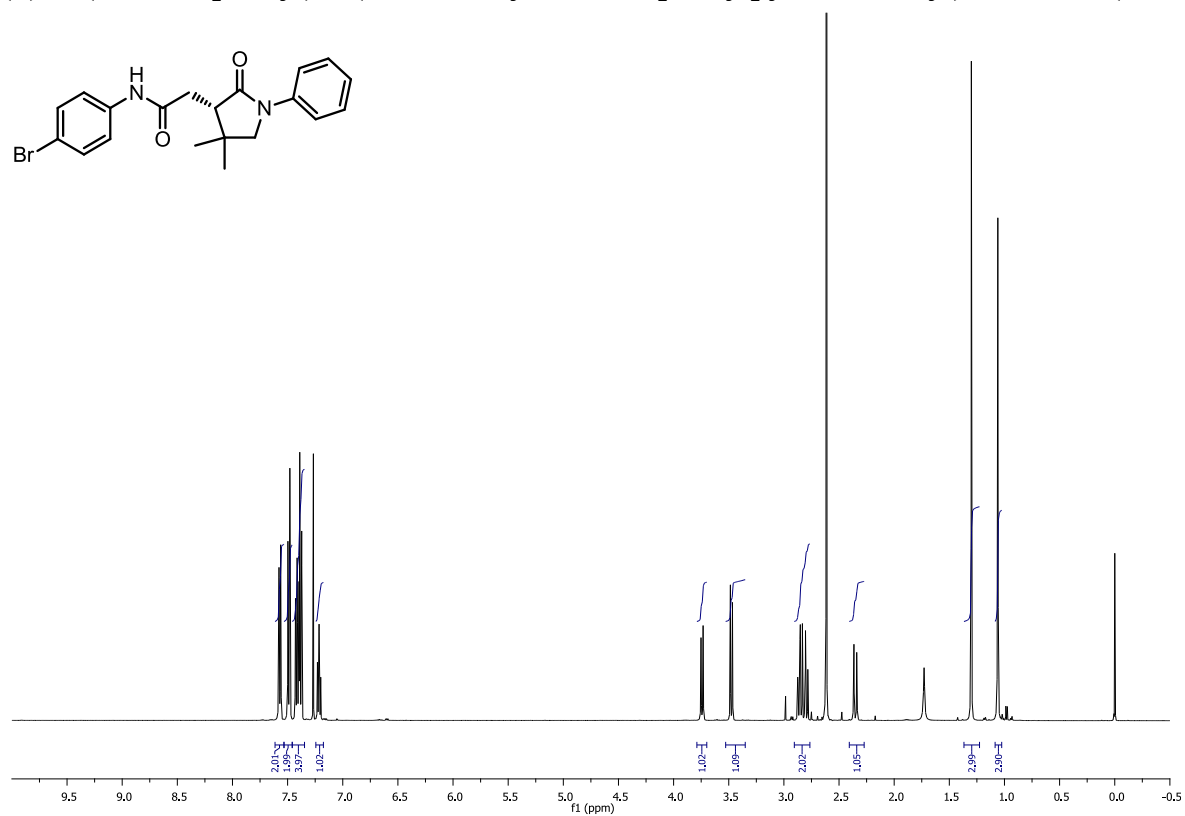
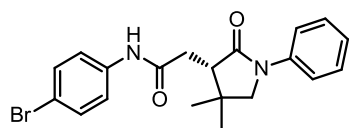
***N*-(4-Bromophenyl)-2-((3*S*,4*S*)-1-butyl-4-((methylthio)methyl)-2-oxopyrrolidin-3-yl)acetamide (6{4,5,7})**



**2-((3*S*,4*R*)-1-(4-Bromophenyl)-4-(4-methoxyphenyl)-2-oxopyrrolidin-3-yl)-*N*-(4-methoxyphenyl)acetamide (6{4,6,4})**



**(S)-N-(4-bromophenyl)-2-(4,4-dimethyl-2-oxo-1-phenylpyrrolidin-3-yl)acetamide (6{4,8,1})**



**(S)-N-(4-bromophenyl)-2-(1-(4-methoxyphenyl)-4,4-dimethyl-2-oxopyrrolidin-3-yl)acetamide (6{4,8,4})**

