Supporting Informations

Synthesis of β-sulfinyl cyclobutane carboxylic amides via a formal α to β sulphoxide migration process

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**General Methods**

$^1$H NMR spectra were recorded on a Varian 500 and Bruker 600 spectrometers at ambient temperature with CDCl$_3$ as solvent. Data are reported as follows: chemical shifts (δ), multiplicity, coupling constants and integration. $^{13}$C NMR spectra were recorded operating at 126 and 151 MHz at 27 °C with CDCl$_3$ as solvent. Infrared spectra were recorded on a FT–IR spectrophotometer. High resolution mass spectra (HRMS) were recorded on a spectrometer using Positive Electro Ionization (ESI) mode. Analytical thin layer chromatography was performed using 0.25 mm silica gel 60–F plates. Flash chromatography was performed using columns of 230 – 400 mesh silica gel 60 (0.040 – 0.063 mm).

**General Procedure for the synthesis of starting materials 6 and 11:**

![Diagram](image)

1) To a solution of thiol S-2 (3.1 mmol) in ethanol (4 mL) was added potassium hydroxide (0.173 g, 3.1 mmol) slowly and the resulting suspension was heated at 60°C until all the potassium hydroxide was dissolved. The resulting solution was cooled to 0°C and ethyl 1-bromocyclobutanecarboxylate S-1 (0.625 g, 3.1 mmol) was added dropwise. The mixture was then heated to reflux for 24 h before it was cooled to room temperature. Potassium bromide (white solid) was removed by filtration and the filtrate was concentrated under reduced pressure. The ethyl 1-(thio)cyclobutanecarboxylate derivative thus produced was pure enough for use in subsequent reactions.

2) Potassium hydroxide (0.755 g, 13.5 mmol) was dissolved in hot toluene (13 mL), and then the above crude ethyl 1-(thio)cyclobutanecarboxylate (3.1 mmol) was added. The mixture was refluxed for 16 h. After the mixture was cooled to 21°C, water (25 mL) was added, and the mixture was extracted with diethyl ether (15 mL) and ethyl acetate (15 mL). The aqueous phase was acidified with 1.0 M aqueous HCl to pH 1. The acidified aqueous layer was extracted with ethyl acetate, and the combined organic phases were washed with water and brine and dried over anhydrous Na$_2$SO$_4$. Flash column chromatography on silica gel, eluting with 1/1 petroleum ether/ethyl acetate, gave the corresponding acid. Yields refer to chromatographically pure materials.
1-(Phenylthio)cyclobutanecarboxylic acid S-3a – Yield 92% (0.58 g); white solid; m. p. = 56–59 °C. 
\(^1\)H NMR (500 MHz, CDCl\(_3\)) δ 9.47 (br s, 1H), 7.43 – 7.40 (m, 2H), 7.31 – 7.29 (m, 3H), 2.73 – 2.67 (m, 2H), 2.29 – 2.21 (m, 3H), 1.96 – 1.94 (m, 1H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) δ 179.96, 133.33, 132.82, 129.05, 128.36, 52.90, 31.88, 15.99. IR (ATR) cm\(^{-1}\): 2979, 2954, 1694, 1479, 1440, 1411, 1290, 1249, 1215, 1130, 922, 745, 690. HRMS (ESI) Calcd. for C\(_{11}\)H\(_{13}\)O\(_2\)S (M+H\(^+\)) m/z 209.0485, found 209.0484.

1-(p-Tolylthio)cyclobutanecarboxylic acid S-3b – Yield 77% (0.52 g); colorless oil. \(^1\)H NMR (500 MHz, CDCl\(_3\)) δ 10.07 (br s, 1H), 7.35 (d, \(J = 8.1\) Hz, 2H), 7.11 (d, \(J = 7.9\) Hz, 2H), 2.67 – 2.62 (m, 2H), 2.32 (s, 3H), 2.25 – 2.19 (m, 3H), 1.93 – 1.89 (m, 1H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) δ 180.28, 138.91, 134.33, 129.82, 128.84, 53.10, 31.65, 21.31, 15.80. IR (ATR) cm\(^{-1}\): 2979, 2954, 1694, 1408, 1292, 1251, 1212, 1130, 1053, 922, 828. HRMS (ESI) Calcd. for C\(_{12}\)H\(_{15}\)O\(_2\)S (M+H\(^+\)) m/z 221.0642, found 221.0645.

1-((4-Ethylphenyl)thio)cyclobutanecarboxylic acid S-3c – Yield 70% (0.51 g); yellow solid; m. p. =54–57 °C. \(^1\)H NMR (500 MHz, CDCl\(_3\)) δ 7.36 (d, \(J = 8.1\) Hz, 2H), 7.14 (d, \(J = 8.2\) Hz, 2H), 2.70 – 2.61 (m, 4H), 2.27 – 2.20 (m, 3H), 1.97 – 1.91 (m, 1H), 1.22 (t, \(J = 7.6\) Hz, 3H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) δ 179.84, 145.10, 134.20, 129.11, 128.65, 53.09, 31.70, 28.66, 15.87, 15.38. IR (ATR) cm\(^{-1}\): 2966, 2875, 1696, 1495, 1408, 1295, 1249, 1210, 1128, 925, 830. HRMS (ESI) Calcd. for C\(_{13}\)H\(_{17}\)O\(_2\)S (M+H\(^+\)) m/z 235.0798, found 235.0796.
1-((4-Isopropylphenyl)thio)cyclobutanecarboxylic acid **S-3d** – Yield 68% (0.52 g); white solid; m. p. = 66–68 °C. \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 11.27 (br s, 1H), 7.37 (d, \(J = 8.3\) Hz, 2H), 7.15 (d, \(J = 8.1\) Hz, 2H), 2.86 (hept, \(J = 6.9\) Hz, 1H), 2.68 – 2.62 (m, 2H), 2.24 – 2.22 (m, 3H), 1.93 – 1.86 (m, 1H), 1.21 (d, \(J = 7.0\) Hz, 6H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) 180.50, 149.42, 134.02, 129.26, 127.08, 52.89, 33.82, 31.58, 23.83, 15.74. IR (ATR) cm\(^{-1}\): 2962, 2872, 1696, 1488, 1462, 1406, 1292, 1251, 1210, 1130, 1053, 927, 828. HRMS (ESI) Calcd. for C\(_{14}\)H\(_{19}\)O\(_2\)S (M+H\(^+\)) m/z 249.0955, found 246.0951.

1-((4-(Tert-butyl)phenyl)thio)cyclobutanecarboxylic acid **S-3e** – Yield 66% (0.53 g); orange solid; m. p. = 75–79 °C. \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 10.48 (br s, 1H), 7.41 – 7.39 (m, 2H), 7.36 – 7.34 (m, 2H), 2.72 – 2.68 (m, 2H), 2.30 – 2.24 (m, 3H), 1.99 – 1.92 (m, 1H), 1.33 (s, \(J = 14.6\) Hz, 9H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) 180.46, 151.72, 133.54, 129.12, 126.09, 52.89, 34.73, 31.70, 31.34, 15.87. IR (ATR) cm\(^{-1}\): 2962, 2872, 1696, 1488, 1462, 1406, 1292, 1251, 1210, 1130, 1053, 927, 830, 755. HRMS (ESI) Calcd. for C\(_{15}\)H\(_{21}\)O\(_2\)S (M+H\(^+\)) m/z 263.1111, found 263.1111.

1-(o-Tolylthio)cyclobutanecarboxylic acid **S-3f** – Yield 79% (0.54 g); colorless oil. \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 10.62 (br s, 1H), 7.28 – 7.26 (m, 1H), 7.19 – 7.09 (m, 3H), 2.76 – 2.70 (m, 2H), 2.41 (s, 3H), 2.30 – 2.20 (m, 3H), 1.98 – 1.91 (m, 1H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) 180.42, 140.02, 132.63, 132.31, 130.53, 127.78, 126.49, 52.50, 32.07, 20.75, 16.28. IR (ATR) cm\(^{-1}\): 2988, 2950, 2867,
1694, 1469, 1411, 1290, 1251, 1208, 1128, 1048, 927, 748, 698. HRMS (ESI) Calcd. for C_{12}H_{15}O_2S (M+H^+) m/z 221.0642, found 221.0642.

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\text{S-3g}
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1-(\text{m-Tolylthio})cyclobutanecarboxylic acid S-3g – Yield 80% (0.54 g); white solid; m. p. = 62–68°C. \textbf{1H NMR} (500 MHz, CDCl\textsubscript{3}) \(\delta\) 9.90 (br s, 1H), 7.24 – 7.16 (m, 3H), 7.11 (s, 1H), 2.70 – 2.65 (m, 2H), 2.31 (s, 3H), 2.28 – 2.17 (m, 3H), 1.96 – 1.91 (m, 1H). \textbf{13C NMR} (126 MHz, CDCl\textsubscript{3}) \(\delta\) 180.20, 138.81, 134.04, 132.44, 130.37, 129.25, 128.86, 52.86, 31.82, 21.37, 15.95. IR (ATR) \(cm^{-1}\): 2993, 2950, 2862, 1694, 1411, 1290, 1249, 1210, 1130, 925, 779, 690. HRMS (ESI) Calcd. for C\textsubscript{12}H\textsubscript{15}O\textsubscript{2}S (M+H\textsuperscript{+}) m/z 221.0642, found 221.0644.

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\text{S-3h}
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1-(\text{(2,5-Dimethylphenyl)thio})cyclobutanecarboxylic acid S-3h – Yield 72% (0.52 g); white solid; m. p. = 60–63°C. \textbf{1H NMR} (500 MHz, CDCl\textsubscript{3}) \(\delta\) 10.68 (s, 1H), 7.12 (s, 1H), 7.06 (d, \(J\) = 7.7 Hz, 1H), 6.96 (d, \(J\) = 7.6 Hz, 1H), 2.73 – 2.68 (m, 2H), 2.37 (s, 3H), 2.29 – 2.19 (m, 6H), 1.95 – 1.91 (m, 1H). \textbf{13C NMR} (126 MHz, CDCl\textsubscript{3}) \(\delta\) 180.56, 137.29, 135.94, 133.62, 131.98, 130.34, 128.88, 52.62, 31.97, 20.90, 20.26, 16.20. IR (ATR) \(cm^{-1}\): 2947, 2862, 1694, 1488, 1411, 1290, 1251, 1210, 1130, 925, 876, 811, 692. HRMS (ESI) Calcd. for C\textsubscript{13}H\textsubscript{17}O\textsubscript{2}S (M+H\textsuperscript{+}) m/z 235.0798, found 235.0795.

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\text{S-3i}
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1-((4-Chlorophenyl)thio)cyclobutanecarboxylic acid S-3i – Yield 74% (0.55 g); yellow oil. \textbf{1H NMR} (500 MHz, CDCl\textsubscript{3}) \(\delta\) 10.73 (br s, 1H), 7.35 (d, \(J\) = 8.6 Hz, 2H), 7.26 (d, \(J\) = 8.5 Hz, 2H), 2.72 – 2.66 (m, 2H), 2.26 – 2.20 (m, 3H), 1.98 – 1.90 (m, 1H). \textbf{13C NMR} (126 MHz, CDCl\textsubscript{3}) \(\delta\) 180.22, 134.75, 134.68, 131.25, 129.19, 52.88, 31.77, 15.91. IR (ATR) \(cm^{-1}\): 2995, 2947, 1694, 1479, 1411, 1389,
1295, 1249, 1212, 1130, 1096, 820. HRMS (ESI) Calcd. for C_{11}H_{12}ClO_{2}S (M+H^+) m/z 241.0096, found 241.0098.

1-((4-Bromophenyl)thio)cyclobutanecarboxylic acid S-3j – Yield 80% (0.7 g); yellow oil. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.43 (d, $J = 8.4$ Hz, 2H), 7.28 (d, $J = 8.4$ Hz, 2H), 2.74 – 2.68 (m, 2H), 2.28 – 2.22 (m, 3H), 1.99 – 1.94 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 178.99, 134.67, 132.25, 132.02, 122.87, 52.81, 31.91, 16.04. IR (ATR) $cm^{-1}$: 2995, 2954, 2865, 1696, 1474, 1411, 1387, 1290, 1249, 1212, 1130, 1009, 816. HRMS (ESI) Calcd. for C$_{11}$H$_{12}$BrO$_2$S (M+H$^+$) m/z 284.959, found 284.9587.

1-((4-Fluorophenyl)thio)cyclobutanecarboxylic acid S-3k – Yield 80% (0.55 g); white solid; m. p. = 76–80 °C. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 9.94 (br s, 1H), 7.47 – 7.42 (m, 2H), 7.03 – 6.98 (m, 2H), 2.66 – 2.61 (m, 2H), 2.24 – 2.20 (m, 3H), 1.94 – 1.90 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 180.14, 163.39 (d, $J = 249.5$ Hz), 136.56 (d, $J = 8.4$ Hz), 127.62 (d, $J = 3.3$ Hz), 116.18 (d, $J = 21.8$ Hz), 53.25, 31.66, 15.79. IR (ATR) $cm^{-1}$: 2998, 2954, 1708, 1587, 1491, 1259, 835. HRMS (ESI) Calcd. for C$_{11}$H$_{12}$FO$_2$S (M+H$^+$) m/z 225.0391, found 225.0387.

1-((4-Methoxyphenyl)thio)cyclobutanecarboxylic acid S-3l – Yield 98% (0.72 g); white solid; m. p. = 85–89 °C. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 10.87 (br s, 1H), 7.43 (d, $J = 8.9$ Hz, 2H), 6.84 (d, $J = 8.8$ Hz, 2H), 3.78 (s, 3H), 2.62 – 2.59 (m, 2H), 2.23 – 2.17 (m, 3H), 1.91 – 1.88 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 180.35, 160.64, 136.99, 122.76, 114.57, 55.39, 53.45, 31.44, 15.65. IR (ATR)
1-((4-Nitrophenyl)thio)cyclobutanecarboxylic acid **S-3m** – (mix 75:25 of 2 rotamers according to NMR analysis in CDCl₃). Yield 47% (0.36 g); yellow solid; m. p. = 134–139 °C. **¹H NMR** (500 MHz, CDCl₃) δ 8.73 (br s, 1H), 8.12 (d, J = 8.8 Hz, 2H), 7.37 (d, J = 8.8 Hz, 2H), 2.92 – 2.87 (m, 2H), 2.36 – 2.31 (m, 3H), 2.09 – 2.05 (m, 1H). **¹³C NMR** (126 MHz, CDCl₃) δ 179.06, 144.32, 128.75, 126.55, 124.13, 51.81, 32.16, 16.47. **IR (ATR) cm⁻¹**: 3102, 2995, 2954, 1701, 1597, 1580, 1515, 1479, 1401, 1341, 1285, 1217, 1089, 852. **HRMS (ESI) Calcd. for C₁₂H₁₅O₃S (M+H⁺) m/z 237.0591, found 237.0594.

1-(Naphthalen-2-ylthio)cyclobutanecarboxylic acid **S-3n** – Yield 82% (0.65 g); yellow solid; m. p. = 122–127 °C. **¹H NMR** (500 MHz, CD₃OD) δ 7.88 (s, 1H), 7.79 – 7.73 (m, 3H), 7.44 – 7.42 (m, 3H), 7.70 – 2.64 (m, 2H), 2.26 – 2.15 (m, 3H), 1.91 – 1.86 (m, 1H). **¹³C NMR** (126 MHz, CD₃OD) δ 177.65, 134.92, 134.07, 133.06, 132.06, 131.10, 129.27, 128.62, 128.55, 127.54, 127.50, 54.37, 33.01, 16.68. **IR (ATR) cm⁻¹**: 3056, 2991, 2950, 1699, 1590, 1503, 1411, 1295, 1249, 1292, 1246, 1193, 1130, 857, 818, 745. **HRMS (ESI) Calcd. for C₁₅H₁₅O₂S (M+H⁺) m/z 257.0642, found 257.0640.

1-(Benzylthio)cyclobutanecarboxylic acid **S-3o** – Yield 52% (0.35 g); white solid; m. p. = 95–97 °C. **¹H NMR** (500 MHz, CDCl₃) δ 9.86 (br s, 1H), 7.33 (d, J = 7.5 Hz, 2H), 7.30 – 7.27 (m, 2H), 7.23 – 7.20 (m, 1H), 3.82 (s, 2H), 2.69 – 2.64 (m, 2H), 2.19 – 2.12 (m, 3H), 1.93 – 1.90 (m, 1H). **¹³C NMR**
(126 MHz, CDCl₃) δ 180.47, 137.32, 129.19, 128.55, 127.20, 50.49, 35.49, 31.71, 16.01. IR (ATR) cm⁻¹: 3029, 2988, 2950, 1689, 1495, 1411, 1295, 1256, 1210, 1125, 1072, 1026, 922, 796, 697. HRMS (ESI) Calcd. for C₁₂H₁₅O₂S (M+H⁺) m/z 221.0642, found 221.0639.

**Procedure for the synthesis of S-5, S-10 and S-11**

The carboxylic acid S-3 (1.25 mmol), benzoazolone S-4 (0.152 g, 1.13 mmol) or oxazolidin-2-one S-4’ (0.098 g, 1.13 mmol) or p-methoxyaniline (0.138 g, 1.13 mmol) and DMAP (0.023 g, 0.187 mmol) were dissolved in 2.5 mL of dry dichloromethane. Diisopropylcarbodimide (0.157 g, 1.25 mmol) was added and the resulting mixture was stirred for 24 h at room temperature. After completion of the reaction, the solid residue was filtered and washed with dichloromethane. The filtrate was washed with aqueous NH₄Cl, brine and dried over Na₂SO₄. The combined organic layer was concentrated in vacuo. The desired carboxylic amide was purified by column chromatography (silica gel, 5/1 petroleum ether/ethyl acetate). Yields refer to chromatographically pure materials.

![Diagram of S-5a](image)

3-(1-(Phenylthio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5a – Yield 64% (0.232 g); white solid; m. p. = 68–70 °C. ¹H NMR (500 MHz, CDCl₃) δ 8.07 – 8.05 (m, 1H), 7.31 – 7.23 (m, 8H), 2.81 – 2.75 (m, 2H), 2.50 – 2.44 (m, 2H), 2.31 – 2.27 (m, 1H), 1.89 – 1.85 (m, 1H). ¹³C NMR (126 MHz, CDCl₃) δ 172.41, 149.84, 143.12, 134.43, 132.31, 128.99, 128.72, 128.65, 125.32, 124.77, 116.14, 110.03, 54.82, 31.38, 15.27. IR (ATR) cm⁻¹: 3124, 3058, 3005, 2952, 1800, 1704, 1479, 1312, 1287, 1254, 1145, 1026, 1002, 753. HRMS (ESI) Calcd. for C₁₈H₁₆NO₃S (M+H⁺) m/z 326.0845, found 326.0844.

![Diagram of S-5b](image)

3-(1-(p-Tolylthio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5b – Yield 63% (0.237 g); yellow oil. ¹H NMR (500 MHz, CDCl₃) δ 8.09 – 8.08 (m, 1H), 7.30 – 7.25 (m, 3H), 7.22 (d, J = 8.0 Hz, 2H), 7.09 (d, J = 7.9 Hz, 2H), 2.79 – 2.73 (m, 2H), 2.50 – 2.45 (m, 2H), 2.36 – 2.27 (m, 1H), 2.34
(s, 3H), 1.92 – 1.84 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 172.36, 149.85, 143.14, 139.19, 135.19, 129.82, 128.76, 128.32, 125.28, 124.75, 116.14, 110.02, 55.07, 31.22, 21.32, 15.20. IR (ATR) cm$^{-1}$: 3020, 2950, 2920, 2870, 1800, 1704, 1600, 1479, 1350, 1307, 1285, 1249, 1145, 1024, 1002. HRMS (ESI) Calcd. for C$_{19}$H$_{18}$NO$_3$S (M+H$^+$) m/z 340,1002, found 340,0999.

3-(1-((4-Ethylphenyl)thio)cyclobutanecarbonyl)benzo[dl]oxazol-2(3H)-one S-5c – Yield 69% (0.272 g); colorless oil. $^1$H NMR (500 MHz, CDCl$_3$) δ 8.06 – 8.04 (m, 1H), 7.27 – 7.21 (m, 5H), 7.09 (d, J = 7.9 Hz, 2H), 2.77 – 2.71 (m, 2H), 2.61 (q, J = 7.6 Hz, 2H), 2.48 – 2.42 (m, 2H), 2.29 (qt, J = 17.2, 8.6 Hz, 1H), 1.89 – 1.81 (m, 1H), 1.20 (t, J = 7.6 Hz, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 172.39, 149.82, 145.29, 143.11, 135.09, 128.74, 128.60, 128.56, 125.25, 124.72, 116.10, 109.99, 55.00, 31.23, 28.60, 15.28, 15.20. IR (ATR) cm$^{-1}$: 2964, 2933, 2872, 1803, 1706, 1479, 1348, 1309, 1290, 1251, 1145, 1024, 1002. HRMS (ESI) Calcd. for C$_{20}$H$_{20}$NO$_3$S (M+H$^+$) m/z 354,1158, found 354,1156.

3-(1-((4-Isopropylphenyl)thio)cyclobutanecarbonyl)benzo[dl]oxazol-2(3H)-one S-5d – Yield 62% (0.255 g); colorless oil. $^1$H NMR (500 MHz, CDCl$_3$) δ 8.06 – 8.03 (m, 1H), 7.27 – 7.21 (m, 5H), 7.11 (d, J = 8.1 Hz, 2H), 2.89 – 2.83 (m, 1H), 2.78 – 2.72 (m, 2H), 2.47 – 2.43 (m, 2H), 2.33 – 2.24 (m, 1H), 1.89 – 1.81 (m, 1H), 1.21 (d, J = 7.0 Hz, 6H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 172.49, 149.86, 149.82, 143.14, 134.96, 128.79, 128.77, 127.18, 125.27, 124.75, 116.12, 110.02, 54.98, 33.91, 31.30, 23.90, 15.23. IR (ATR) cm$^{-1}$: 2959, 2872, 1805, 1704, 1479, 1350, 1312, 1287, 1251, 1147, 1026, 1002. HRMS (ESI) Calcd. for C$_{21}$H$_{22}$NO$_3$S (M+H$^+$) m/z 368,1315, found 368,1313.
3-(1-((4-(Tert-butyl)phenyl)thio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5e – Yield 60% (0.26 g); yellow oil. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.07 – 8.03 (m, 1H), 7.28 – 7.21 (m, 7H), 2.79 – 2.73 (m, 2H), 2.46 (ddd, $J = 13.6, 9.0, 4.4$ Hz, 2H), 2.32 – 2.26 (m, 1H), 1.87 – 1.85 (m, 1H), 1.28 (s, 9H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 172.56, 152.03, 149.89, 143.16, 134.49, 128.79, 128.63, 126.08, 125.29, 124.77, 116.14, 110.04, 54.91, 34.76, 31.33 (2 C), 15.26. IR (ATR) cm$^{-1}$: 2959, 2906, 2870, 1803, 1704, 1479, 1350, 1307, 1285, 1251, 1142, 1024, 1002. HRMS (ESI) Calcd. for C$_{22}$H$_{24}$NO$_3$S (M+H$^+$) m/z 382.1471, found 382.1472.

3-(1-(o-Tolythio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5f – Yield 69% (0.26 g); white solid; m. p. = 72–74 °C. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.08 – 8.07 (m, 1H), 7.25 – 7.15 (m, 6H), 7.09 – 7.06 (m, 1H), 2.83 – 2.74 (m, 2H), 2.45 – 2.43 (m, 2H), 2.39 (s, 3H), 2.37 – 2.26 (m, 1H), 1.91 – 1.85 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 172.63, 149.89, 143.11, 141.61, 134.74, 131.89, 130.63, 128.71, 128.55, 126.41, 125.34, 124.81, 116.19, 110.04, 54.68, 31.33, 20.96, 15.53. IR (ATR) cm$^{-1}$: 3061, 3005, 2950, 1800, 1728, 1701, 1479, 1348, 1309, 1285, 1251, 1147, 1026, 1004. HRMS (ESI) Calcd. for C$_{19}$H$_{18}$NO$_3$S (M+H$^+$) m/z 338.0856, found 338.0855.
3-(1-(m-Tolythio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5g – Yield 62% (0.235 g); yellow oil. 

**¹H NMR** (500 MHz, CDCl₃) δ 8.05 – 8.03 (m, 1H), 7.27 – 7.22 (m, 3H), 7.14 – 7.09 (m, 4H), 2.81 – 2.75 (m, 2H), 2.49 – 2.44 (m, 2H), 2.31 – 2.27 (m, 1H), 2.25 (s, 3H), 1.88 – 1.85 (m, 1H).

**¹³C NMR** (126 MHz, CDCl₃) δ 172.40, 149.83, 143.12, 138.74, 135.23, 131.84, 131.54, 129.56, 128.79, 128.74, 125.26, 124.72, 116.04, 110.01, 54.99, 31.35, 21.30, 15.26. IR (ATR) cm⁻¹: 2952, 2925, 2855, 1805, 1706, 1479, 1350, 1309, 1290, 1249, 1145, 1026, 1004. HRMS (ESI) Calcd. for C₁₉H₁₈NO₃S (M+H⁺) m/z 340.1002, found 340.0980.

![Image of S-5g](image.png)

3-(1-((2,5-Dimethylphenyl)thio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5h – Yield 50% (0.197 g); white solid; m. p. = 96 – 98 °C. 

**¹H NMR** (500 MHz, CDCl₃) δ 8.08 – 8.06 (m, 1H), 7.27 – 7.23 (m, 3H), 7.08 (d, J = 7.6 Hz, 1H), 7.01 – 6.98 (m, 2H), 2.81 – 2.75 (m, 2H), 2.45 – 2.41 (m, 2H), 2.36 – 2.27 (m, 1H), 2.35 (s, 3H), 2.21 (s, 3H), 1.91 – 1.83 (m, 1H). 

**¹³C NMR** (126 MHz, CDCl₃) δ 172.62, 149.92, 143.19, 138.86, 136.03, 135.92, 131.20, 130.47, 129.69, 128.81, 125.31, 124.80, 116.12, 110.07, 55.09, 31.34, 20.86, 20.49, 15.58. IR (ATR) cm⁻¹: 3015, 2952, 2920, 2865, 1803, 1704, 1479, 1348, 1307, 1285, 1254, 1145, 1027, 1002. HRMS (ESI) Calcd. for C₂₀H₂₀NO₃S (M+H⁺) m/z 354,1158, found 354,1156.

![Image of S-5h](image.png)

3-(1-((4-Chlorophenyl)thio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5i – Yield 64% (0.255 g); white solid; m. p. = 95 – 97 °C. 

**¹H NMR** (500 MHz, CDCl₃) δ 8.06 – 8.04 (m, 1H), 7.27 – 7.22 (m, 7H), 2.81 – 2.72 (m, 2H), 2.47 – 2.42 (m, 2H), 2.31 – 2.23 (m, 1H), 1.90 – 1.84 (m, 1H). 

**¹³C NMR** (126 MHz, CDCl₃) δ 172.15, 149.76, 143.05, 135.57, 135.02, 130.79, 129.18, 128.55, 125.40, 124.80, 116.08, 110.04, 54.77, 31.33, 15.21. IR (ATR) cm⁻¹: 3003, 2952, 2920, 1800, 1704, 1476, 1348, 1309, 1287, 1251, 1145, 1094, 1024, 1004. HRMS (ESI) Calcd. for C₁₈H₁₅ClNO₃S (M+H⁺) m/z 360,0456, found 360,0455.

![Image of S-5i](image.png)
3-(1-((4-Bromophenyl)thio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5j – Yield 76% (0.34 g); colorless oil. \(^1^H\)NMR (500 MHz, CDCl\(_3\)) \(\delta\) 8.07 – 8.05 (m, 1H), 7.38 (d, \(J = 8.4\) Hz, 2H), 7.28 – 7.23 (m, 3H), 7.16 (d, \(J = 8.4\) Hz, 2H), 2.78 (dt, \(J = 13.8, 9.2\) Hz, 2H), 2.45 – 2.43 (m, 2H), 2.31 – 2.22 (m, 1H), 1.91 – 1.83 (m, 1H). \(^1^C\)NMR (126 MHz, CDCl\(_3\)) \(\delta\) 172.20, 149.80, 143.09, 135.70, 132.16, 131.53, 128.58, 125.44, 124.84, 123.19, 116.13, 110.08, 54.69, 31.39, 15.25. IR (ATR) cm\(^{-1}\): 3010, 2952, 1800, 1704, 1476, 1350, 1312, 1287, 1254, 1147, 1024, 1004. HRMS (ESI) Calcd. for C\(_{18}\)H\(_{15}\)BrNO\(_3\)S (M+H\(^+\)) m/z 403.9951, found 403.9949.

3-(1-((4-Fluorophenyl)thio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5k – Yield 54% (0.207 g); white solid; m. p. = 81–83 °C. \(^1^H\)NMR (500 MHz, CDCl\(_3\)) \(\delta\) 8.06 – 8.05 (m, 1H), 7.31 – 7.23 (m, 5H), 6.98 – 6.95 (m, 2H), 2.77 – 2.71 (m, 2H), 2.46 – 2.41 (m, 2H), 2.32 – 2.23 (m, 1H), 1.90 – 1.82 (m, 1H). \(^1^C\)NMR (126 MHz, CDCl\(_3\)) \(\delta\) 172.18, 163.44 (d, \(J = 249.6\) Hz), 149.83, 143.12, 137.21 (d, \(J = 8.4\) Hz), 128.63, 127.20 (d, \(J = 3.4\) Hz), 125.42, 124.84, 116.29, 116.12 (d, \(J = 0.9\) Hz), 110.09, 55.11, 31.24, 15.18. IR (ATR) cm\(^{-1}\): 3003, 2952, 2865, 1800, 1704, 1587, 1491, 1479, 1348, 1307, 1285, 1251, 1225, 1147, 1024, 1002. HRMS (ESI) Calcd. for C\(_{18}\)H\(_{15}\)FNO\(_3\)S (M+H\(^+\)) m/z 344.0751, found 344.0752.
3-(1-((4-Methoxyphenyl)thio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one **S-5I** – Yield 75% (0.397 g); yellow oil. **^1H NMR** (500 MHz, CDCl$_3$) δ 8.05 – 8.03 (m, 1H), 7.25 – 7.22 (m, 5H), 6.79 (d, $J = 8.8$ Hz, 2H), 3.76 (s, 3H), 2.73 – 2.66 (m, 2H), 2.43 – 2.42 (m, 2H), 2.29 – 2.26 (m, 1H), 1.86 – 1.80 (m, 1H). **^13C NMR** (126 MHz, CDCl$_3$) δ 172.18, 160.64, 149.77, 143.07, 137.40, 128.69, 125.22, 124.69, 122.22, 116.05, 114.52, 109.96, 55.36, 55.33, 31.01, 15.09. **IR** (ATR) cm$^{-1}$: 2945, 2838, 1803, 1706, 1495, 1476, 1314, 1285, 1251, 1145, 1024, 1002. **HRMS** (ESI) Calcd. for C$_{19}$H$_{18}$NO$_4$S (M+H$^+$) m/z 356.0951, found 356.0951.

![S-5I](image)

3-(1-((4-Nitrophenyl)thio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one **S-5m** – Yield 49% (0.202 g); yellow solid; m. p. = 151–153 °C. **^1H NMR** (500 MHz, CDCl$_3$) δ 8.11 – 8.09 (m, 3H), 7.37 (d, $J = 8.9$ Hz, 2H), 7.29 – 7.23 (m, 3H), 3.04 – 2.98 (m, 2H), 2.61 – 2.56 (m, 2H), 2.31 – 2.29 (m, 1H), 2.00 – 1.97 (m, 1H). **^13C NMR** (126 MHz, CDCl$_3$) δ 172.06, 149.78, 146.37, 144.00, 143.06, 130.09, 128.38, 125.72, 124.99, 124.01, 116.25, 110.19, 53.79, 31.85, 15.48. **IR** (ATR) cm$^{-1}$: 3010, 2952, 1803, 1704, 1597, 1578, 1515, 1479, 1341, 1314, 1290, 1251, 1145, 1026, 1004. **HRMS** (ESI) Calcd. for C$_{18}$H$_{15}$N$_2$O$_5$S (M+H$^+$) m/z 371.0696, found 371.0695.

![S-5m](image)

3-(1-((Naphthalen-2-ylthio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one **S-5n** – Yield 71% (0.297 g); white solid; m. p. = 83–86 °C. **^1H NMR** (500 MHz, CDCl$_3$) δ 8.09 – 8.06 (m, 1H), 7.83 (s, 1H), 7.78 – 7.76 (m, 1H), 7.71 – 7.69 (m, 2H), 7.48 – 7.44 (m, 2H), 7.31 (d, $J = 8.5$ Hz, 1H), 7.28 – 7.22 (m, 3H), 2.81 (dt, $J = 13.9$, 9.2 Hz, 2H), 2.55 – 2.49 (m, 2H), 2.34 – 2.29 (m, 1H), 1.91 – 1.85 (m, 1H). **^13C NMR** (126 MHz, CDCl$_3$) δ 172.51, 149.94, 143.17, 133.98, 133.57, 133.00, 131.03, 129.77, 128.76, 128.54, 127.85, 127.78, 126.81, 126.62, 125.36, 124.81, 116.15, 110.08, 55.03, 31.48, 15.36. **IR** (ATR) cm$^{-1}$: 3058, 2951, 1819, 1803, 1704, 1479, 1349, 1312, 1286, 1252, 1145, 1027, 1003. **HRMS** (ESI) Calcd. for C$_{22}$H$_{18}$NO$_5$S (M+H$^+$) m/z 376.1002, found 376.1002.

![S-5n](image)
3-(1-(Benzylthio)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one S-5o – Yield 66% (0.25 g); colorless oil. $^1$H NMR (500 MHz, CDCl$_3$) δ 7.89 – 7.88 (m, 1H), 7.23 – 7.15 (m, 5H), 7.11 – 7.08 (m, 2H), 7.05 – 7.04 (m, 1H), 3.65 (s, 2H), 2.85 (dt, $J$ = 13.8, 9.4 Hz, 2H), 2.55 – 2.50 (m, 2H), 2.30 – 2.24 (m, 1H), 1.90 – 1.85 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 171.91, 149.51, 143.00, 137.15, 128.90, 128.53, 128.41, 127.02, 125.11, 124.46, 116.25, 109.76, 53.06, 34.28, 31.60, 15.46. IR (ATR) cm$^{-1}$: 3029, 3000, 2950, 1800, 1699, 1604, 1479, 1348, 1312, 1285, 1251, 1145, 1024, 1002. HRMS (ESI) Calcd. for C$_{19}$H$_{18}$NO$_3$S (M+H$^+$) m/z 340.1002, found 340.1003.

3-(1-(Phenylthio)cyclobutanecarbonyloxazolidin-2-one S-10 – Yield 63% (0.195 g); white solid; m. p. = 128–133 °C. $^1$H NMR (500 MHz, CDCl$_3$) δ 7.32 – 7.26 (m, 5H), 4.45 (t, $J$ = 8.1 Hz, 2H), 4.07 (t, $J$ = 8.0 Hz, 2H), 2.72 – 2.66 (m, 2H), 2.39 – 2.35 (m, 2H), 2.22 – 2.20 (m, 1H), 1.84 – 1.76 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 173.40, 152.11, 133.46, 133.32, 128.88, 128.04, 62.51, 53.58, 43.65, 31.67, 15.38. IR (ATR) cm$^{-1}$: 3057, 2957, 2928, 2859, 1776, 1680, 1476, 1446, 1388, 1361, 1313, 1219, 1150, 1121, 1042. HRMS (ESI) Calcd. for C$_{14}$H$_{16}$NO$_3$S (M+H$^+$) m/z 278.0845, found 278.0842.

$N$-(4-methoxyphenyl)-1-(phenylthio)cyclobutanecarboxamide S-11 – Yield 71% (0.250 g); orange oil. $^1$H NMR (500 MHz, CDCl$_3$) δ 8.33 (br s, 1H), 7.30 (d, $J$ = 9.0 Hz, 2H), 7.22 – 7.17 (m, 2H), 7.15 (d, $J$ = 7.3 Hz, 3H), 6.77 (d, $J$ = 9.0 Hz, 2H), 3.71 (s, 3H), 2.88 (dt, $J$ = 12.6, 9.4 Hz, 2H), 2.28 – 2.21 (m, 1H), 2.16 – 2.11 (m, 2H), 2.03 – 1.97 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 171.42, 156.63, 133.59, 131.08, 129.85, 129.37, 127.24, 121.74, 114.29, 55.64, 54.61, 31.69, 16.74. IR (ATR) cm$^{-1}$:
HRMS (ESI) Calcd. for C_{18}H_{20}NO_{2}S (M+H\(^{+}\)) m/z 314,1209, found 314,1209.

**Procedure for the synthesis of 6, 10, 11 and 13**

The α-sulfinyl cyclobutane carboxylic derivative S-5 or S-10 or S-11 (0.425 mmol) was dissolved in dichloromethane (2.6 mL) with 1 equiv of sodium bicarbonate (0.036 g). m-CPBA (0.066 g, 0.9 equiv.) was dissolved in dichloromethane (1.5 mL) in an addition funnel and added dropwise to the sulfide solution for 15 min at 0°C. The solution was then stirred for an additional 45 min. The product was washed with distilled water; the organic layer was dried over Na_{2}SO_{4} and purified on a silica gel column using 1:1 petroleum ether/ethyl acetate. Yields refer to chromatographically pure materials.

3-(1-(Phenylsulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6a – Yield 80% (0.115 g); white solid; m. p. = 122–124 °C. \(^1\)H NMR (500 MHz, CDCl\(_3\)) δ 8.05 – 8.04 (m, 1H), 7.55 – 7.46 (m, 5H), 7.34 – 7.26 (m, 3H), 3.11 – 3.04 (m, 1H), 2.79 – 2.74 (m, 1H), 2.64 – 2.57 (m, 1H), 2.37 – 2.33 (m, 1H), 1.80 – 1.73 (m, 1H), 1.59 – 1.54 (m, 1H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) δ 168.40, 150.12, 143.05, 139.82, 131.87, 128.95, 128.10, 126.02, 125.24, 125.17, 116.34, 110.32, 71.75, 25.91, 23.73, 14.81. IR (ATR) cm\(^{-1}\): 3061, 3012, 2952, 1798, 1706, 1479, 1348, 1309, 1290, 1251, 1147, 1029, 1007. HRMS (ESI) Calcd. for C_{18}H_{16}NO_{4}S (M+H\(^{+}\)) m/z 342,0794, found 342,0798.

3-(1-(p-Polysulfanyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6b – Yield 87% (0.131 g); orange oil. \(^1\)H NMR (500 MHz, CDCl\(_3\)) δ 8.05 – 8.02 (m, 1H), 7.41 (d, J = 8.0 Hz, 2H), 7.32 – 7.26 (m, 5H), 3.07 – 3.04 (m, 1H), 2.76 – 2.75 (m, 1H), 2.63 – 2.57 (m, 1H), 2.40 (s, 3H), 2.36 – 2.35 (m, 1H), 1.79 – 1.74 (m, 1H), 1.58 – 1.55 (m, 1H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) δ 168.52, 150.10, 143.05, 142.44, 136.51, 129.69, 125.98, 125.21, 125.14, 116.35, 110.30, 71.77, 71.77, 25.88, 23.71, 21.62,
3-(1-((4-Ethylphenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6c – Yield 73% (0.114 g); white solid; m. p. = 87–90 °C. \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 8.06 – 8.03 (m, 1H), 7.45 (d, \(J = 8.0\) Hz, 2H), 7.33 – 7.26 (m, 5H), 3.08 – 3.05 (m, 1H), 2.78 – 2.75 (m, 1H), 2.70 (q, \(J = 7.6\) Hz, 2H), 2.65 – 2.58 (m, 1H), 2.38 – 2.35 (m, 1H), 1.78 – 1.74 (m, 1H), 1.62 – 1.56 (m, 1H), 1.25 (t, \(J = 7.6\) Hz, 3H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) 168.55, 150.13, 148.64, 143.06, 136.70, 128.52, 128.15, 125.98, 125.33, 125.15, 116.36, 110.31, 71.75, 28.90, 25.86, 23.84, 15.30, 14.84. \(\text{IR (ATR) cm}^{-1}\): 3058, 2964, 2877, 1798, 1706, 1479, 1348, 1309, 1290, 1145, 1029, 1006. HRMS (ESI) Calcd. for C\(_{19}\)H\(_{18}\)NO\(_4\)S (M+H\(^+\)) m/z 356.0951, found 348.0647.

3-(1-((4-Isopropylphenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6d – Yield 75% (0.122 g); yellow oil. \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 8.05 – 8.03 (m, 1H), 7.46 (d, \(J = 8.0\) Hz, 2H), 7.33 – 7.27 (m, 5H), 3.07 – 3.03 (m, 1H), 2.98 – 2.92 (m, 1H), 2.80 – 2.75 (m, 1H), 2.65 – 2.59 (m, 1H), 2.39 – 2.36 (m, 1H), 1.80 – 1.74 (m, 1H), 1.58 – 1.54 (m, 1H), 1.26 (d, \(J = 6.9\) Hz, 6H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) 168.48, 153.21, 150.08, 143.01, 136.77, 128.10, 127.09, 125.92, 125.34, 125.08, 116.29, 110.24, 71.69, 34.17, 25.82, 23.86, 23.80, 14.80. \(\text{IR (ATR) cm}^{-1}\): 3061, 2962, 2870, 1798, 1706, 1479, 1348, 1309, 1290, 1251, 1145, 1029, 1002. HRMS (ESI) Calcd. for C\(_{21}\)H\(_{22}\)NO\(_4\)S (M+H\(^+\)) m/z 384.1264, found 384.1264.
3-(1-((4-(Tert-butyl)phenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6e  – Yield 75% (0.126 g); white solid; m. p. = 120–122 °C. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.04 – 8.02 (m, 1H), 7.49 – 7.47 (m, 4H), 7.32 – 7.26 (m, 3H), 3.07 – 3.05 (m, 1H), 2.79 – 2.76 (m, 1H), 2.65 – 2.59 (m, 1H), 2.40 – 2.37 (m, 1H), 1.80 – 1.74 (m, 1H), 1.57 – 1.54 (m, 1H), 1.32 (s, 9H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 168.35, 155.38, 149.98, 142.88, 136.32, 127.99, 125.87, 125.84, 124.99 (2 C), 116.17, 110.14, 71.53, 35.03, 31.18, 25.72, 23.87, 14.72. IR (ATR) cm$^{-1}$: 3061, 2959, 2870, 1798, 1706, 1479, 1348, 1307, 1290, 1251, 1147, 1029, 1004. HRMS (ESI) Calcd. for C$_{22}$H$_{24}$NO$_4$S (M+H$^+$) m/z 398,1420, found 398,1418.

3-(1-((o-Tolyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6f  – Yield 84% (0.126 g); white solid; m. p. = 110–113 °C. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.99 (d, $J$ = 8.0 Hz, 1H), 7.88 – 7.86 (m, 1H), 7.39 – 7.36 (m, 2H), 7.32 – 7.29 (m, 1H), 7.27 – 7.24 (m, 2H), 7.11 – 7.09 (m, 1H), 3.21 (dt, $J$ = 13.6, 9.1 Hz, 1H), 2.87 (dt, $J$ = 12.7, 9.3 Hz, 1H), 2.74 – 2.69 (m, 1H), 2.38 (ddd, $J$ = 12.8, 8.3, 4.0 Hz, 1H), 2.11 (s, 3H), 1.85 – 1.76 (m, 2H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 168.50, 149.94, 142.94, 138.51, 136.28, 131.57, 130.85, 127.94, 126.67, 126.00, 125.79, 125.13, 116.32, 110.25, 71.87, 28.58, 22.46, 18.23, 15.27. IR (ATR) cm$^{-1}$: 3061, 2954, 1800, 1706, 1479, 1350, 1312, 1287, 1251, 1145, 1031, 1004. HRMS (ESI) Calcd. for C$_{19}$H$_{18}$NO$_4$S (M+H$^+$) m/z 356,0951, found 356,0949.
3-(1-(m-Tolylsulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6g – Yield 98% (0.147 g); colorless oil. $^1$H NMR (500 MHz, CDCl$_3$) δ 8.03 – 8.01 (m, 1H), 7.36 – 7.27 (m, 7H), 3.07 (dt, $J$ = 13.5, 9.2 Hz, 1H), 2.79 – 2.77 (m, 1H), 2.66 – 2.60 (m, 1H), 2.39 – 2.35 (m, 1H), 2.34 (s, 3H), 1.83 – 1.74 (m, 1H), 1.63 – 1.57 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 168.30, 150.07, 143.03, 139.54, 139.13, 132.72, 128.76, 128.09, 125.99, 125.38, 125.13, 116.24, 110.31, 71.72, 26.12, 23.40, 21.48, 14.83. IR (ATR) $cm^{-1}$: 3012, 2950, 1800, 1706, 1479, 1350, 1309, 1287, 1251, 1145, 1053, 1029, 1004. HRMS (ESI) Calcd. for C$_{19}$H$_{18}$NO$_4$S (M+H$^+$) m/z 356.0951, found 356.0950.

3-(1-((2,5-Dimethylphenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6h – Yield 81% (0.127 g); white solid; m. p. = 153–155 °C. $^1$H NMR (500 MHz, CDCl$_3$) δ 8.02 – 8.01 (m, 1H), 7.66 (s, 1H), 7.34 – 7.25 (m, 3H), 7.18 (d, $J$ = 7.7 Hz, 1H), 7.00 (d, $J$ = 7.7 Hz, 1H), 3.22 (dt, $J$ = 13.7, 9.1 Hz, 1H), 2.90 (dt, $J$ = 12.9, 9.4 Hz, 1H), 2.77 – 2.72 (m, 1H), 2.45 – 2.39 (m, 1H), 2.37 (s, 3H), 2.08 (s, 3H), 1.87 – 1.80 (m, 2H). $^{13}$C NMR (126 MHz CDCl$_3$) δ 168.55, 149.96, 142.99, 138.05, 136.63, 133.23, 132.57, 130.82, 128.03, 125.99, 125.89, 125.14, 116.38, 110.26, 71.84, 28.68, 22.66, 21.19, 17.82, 15.34. IR (ATR) $cm^{-1}$: 3063, 2954, 1803, 1696, 1479, 1348, 1310, 1290, 1251, 1145, 1033, 1007. HRMS (ESI) Calcd. for C$_{20}$H$_{20}$NO$_4$S (M+H$^+$) m/z 370,1107, found 370,1108.

3-(1-((4-Chlorophenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6i – Yield 77% (0.122 g); white solid; m. p. = 153–156 °C. $^1$H NMR (500 MHz, CDCl$_3$) δ 8.06 (d, $J$ = 7.7 Hz, 1H), 7.50 – 7.46 (m, 4H), 7.34 – 7.29 (m, 3H), 3.08 – 3.02 (m, 1H), 2.78 – 2.75 (m, 1H), 2.57 – 2.51 (m, 1H), 2.37 – 2.34 (m, 1H), 1.82 – 1.77 (m, 1H), 1.61 – 1.56 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 168.25, 150.14, 143.04, 138.34, 138.27, 129.31, 127.99, 126.66, 126.15, 125.27, 116.34, 110.39, 71.84, 25.77, 23.95, 14.79. IR (ATR) $cm^{-1}$: 3058, 2954, 1798, 1706, 1476, 1348, 1312, 1287, 1254,
3-(1-((4-Bromophenyl)sulfinyl)cyclobutanecarbonyl)benzodioxazol-2(3H)-one **6j** – Yield 77% (0.136 g); white solid; m. p. = 154–156 °C; **$^1$H NMR** (500 MHz, CDCl$_3$) $\delta$ 8.07 – 8.05 (m, 1H), 7.65 – 7.61 (m, 2H), 7.42 (d, J = 8.4 Hz, 2H), 7.35 – 7.27 (m, 3H), 3.07 – 3.01 (m, 1H), 2.79 – 2.74 (m, 1H), 2.56 – 2.50 (m, 1H), 2.38 – 2.34 (m, 1H), 1.82 – 1.75 (m, 1H), 1.60 – 1.56 (m, 1H). **$^{13}$C NMR** (126 MHz, CDCl$_3$) $\delta$ 168.19, 150.10, 143.00, 138.97, 132.19, 127.96, 126.79, 126.56, 126.13, 125.24, 116.30, 110.36, 71.74, 25.76, 23.88, 14.77. **IR (ATR) cm$^{-1}$**: 3056, 2981, 2889, 1800, 1706, 1479, 1348, 1312, 1251, 1145, 1055, 1031, 1007. **HRMS (ESI) Calcd. for C$_{18}$H$_{15}$ClNO$_4$S (M+H$^+$) m/z 376.0404, found 376.0401. 

3-(1-((4-Fluorophenyl)sulfinyl)cyclobutanecarbonyl)benzodioxazol-2(3H)-one **6k** – Yield 74% (0.113 g); white solid; m. p. = 135–138 °C. **$^1$H NMR** (500 MHz, CDCl$_3$) $\delta$ 8.03 (d, J = 8.0 Hz, 1H), 7.57 – 7.55 (m, 2H), 7.33 – 7.27 (m, 3H), 7.20 – 7.17 (m, 2H), 3.03 – 3.01 (m, 1H), 2.78 – 2.76 (m, 1H), 2.55 – 2.53 (m, 1H), 2.38 – 2.35 (m, 1H), 1.83 – 1.74 (m, 1H), 1.57 – 1.54 (m, 1H). **$^{13}$C NMR** (126 MHz, CDCl$_3$) $\delta$ 168.26, 164.93 (d, J = 252.5 Hz), 150.07, 142.96, 135.18 (d, J = 3.0 Hz), 127.95, 127.49 (d, J = 8.9 Hz), 126.05, 125.17, 116.43, 116.25, 110.30, 71.78, 25.65, 23.89, 14.70. **IR (ATR) cm$^{-1}$**: 3063, 3012, 2950, 1795, 1706, 1590, 1479, 1350, 1312, 1251, 1145, 1055, 1029, 1007. **HRMS (ESI) Calcd. for C$_{18}$H$_{15}$BrNO$_4$S (M+H$^+$) m/z 419.9890, found 419.9890. 

3-$(1-((4-Bromophenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)$-one **6j** – Yield 77% (0.136 g); white solid; m. p. = 154–156 °C; **$^1$H NMR** (500 MHz, CDCl$_3$) $\delta$ 8.07 – 8.05 (m, 1H), 7.65 – 7.61 (m, 2H), 7.42 (d, J = 8.4 Hz, 2H), 7.35 – 7.27 (m, 3H), 3.07 – 3.01 (m, 1H), 2.79 – 2.74 (m, 1H), 2.56 – 2.50 (m, 1H), 2.38 – 2.34 (m, 1H), 1.82 – 1.75 (m, 1H), 1.60 – 1.56 (m, 1H). **$^{13}$C NMR** (126 MHz, CDCl$_3$) $\delta$ 168.19, 150.10, 143.00, 138.97, 132.19, 127.96, 126.79, 126.56, 126.13, 125.24, 116.30, 110.36, 71.74, 25.76, 23.88, 14.77. **IR (ATR) cm$^{-1}$**: 3056, 2981, 2889, 1800, 1706, 1479, 1348, 1312, 1251, 1145, 1055, 1031, 1007. **HRMS (ESI) Calcd. for C$_{18}$H$_{15}$ClNO$_4$S (M+H$^+$) m/z 376.0404, found 376.0401. 

3-$(1-((4-Fluorophenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)$-one **6k** – Yield 74% (0.113 g); white solid; m. p. = 135–138 °C. **$^1$H NMR** (500 MHz, CDCl$_3$) $\delta$ 8.03 (d, J = 8.0 Hz, 1H), 7.57 – 7.55 (m, 2H), 7.33 – 7.27 (m, 3H), 7.20 – 7.17 (m, 2H), 3.03 – 3.01 (m, 1H), 2.78 – 2.76 (m, 1H), 2.55 – 2.53 (m, 1H), 2.38 – 2.35 (m, 1H), 1.83 – 1.74 (m, 1H), 1.57 – 1.54 (m, 1H). **$^{13}$C NMR** (126 MHz, CDCl$_3$) $\delta$ 168.26, 164.93 (d, J = 252.5 Hz), 150.07, 142.96, 135.18 (d, J = 3.0 Hz), 127.95, 127.49 (d, J = 8.9 Hz), 126.05, 125.17, 116.43, 116.25, 110.30, 71.78, 25.65, 23.89, 14.70. **IR (ATR) cm$^{-1}$**: 3063, 3012, 2950, 1795, 1706, 1590, 1479, 1350, 1312, 1251, 1145, 1055, 1029, 1007. **HRMS (ESI) Calcd. for C$_{18}$H$_{15}$BrNO$_4$S (M+H$^+$) m/z 419.9890, found 419.9890.
3-(1-((4-Methoxyphenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6l – Yield 98% (0.154 g); white solid; m. p. = 134–136 °C. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.04 – 8.02 (m, 1H), 7.49 (d, $J$ = 8.7 Hz, 2H), 7.32 – 7.26 (m, 3H), 6.98 (d, $J$ = 8.8 Hz, 2H), 3.84 (s, 3H), 3.02 (dt, $J$ = 13.5, 9.2 Hz, 1H), 2.78 – 2.75 (m, 1H), 2.61 (ddd, $J$ = 13.1, 10.0, 9.0 Hz, 1H), 2.39 – 2.38 (m, 1H), 1.77 (ddd, $J$ = 19.0, 9.5, 1.6 Hz, 1H), 1.58 – 1.52 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 168.51, 162.60, 150.05, 142.95, 130.40, 128.04, 126.96, 125.89, 125.06, 116.26, 114.48, 110.20, 71.82, 55.56, 25.46, 23.94, 14.72. IR (ATR) cm$^{-1}$: 3058, 3010, 2945, 2838, 1795, 1706, 1592, 1495, 1479, 1348, 1307, 1249, 1145, 1082, 1026, 1002. HRMS (ESI) Calcd. for C$_{19}$H$_{18}$NO$_5$S (M+H$^+$) m/z 372.0900, found 372.0901.

3-(1-((4-Nitrophenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 6m – Yield 85% (0.139 g); yellow solid; m. p. = 140–144 °C. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.34 (d, $J$ = 8.7 Hz, 2H), 8.09 – 8.07 (m, 1H), 7.72 (d, $J$ = 8.6 Hz, 2H), 7.37 – 7.31 (m, 3H), 3.12 (dd, $J$ = 22.8, 9.3 Hz, 1H), 2.78 (td, $J$ = 9.6, 4.7 Hz, 1H), 2.53 – 2.47 (m, 1H), 2.34 (td, $J$ = 9.5, 4.7 Hz, 1H), 1.87 – 1.78 (m, 1H), 1.65 – 1.63 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 167.90, 150.21, 150.14, 147.55, 143.09, 127.86, 126.46, 126.44, 125.48, 123.99, 116.37, 110.57, 72.12, 29.85, 14.92. IR (ATR) cm$^{-1}$: 3102, 3017, 2918, 2850, 1798, 1706, 1527, 1479, 1348, 1312, 1254, 1145, 1031, 1004. HRMS (ESI) not stable.
3-(1-(Naphthalen-2-ylsulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one \textbf{6n} – Yield 97% (0.16 g); colorless oil. \textbf{1H NMR} (500 MHz, CDCl$_3$) $\delta$ 8.01 (s, 1H), 7.93 (d, $J = 7.7$ Hz, 1H), 7.80 (t, $J = 8.6$ Hz, 2H), 7.75 (d, $J = 7.9$ Hz, 1H), 7.52 – 7.44 (m, 2H), 7.40 (d, $J = 8.4$ Hz, 1H), 7.24 – 7.17 (m, 3H), 3.04 (dd, $J = 15.7$, 6.8 Hz, 1H), 2.74 – 2.70 (m, 1H), 2.69 – 2.54 (m, 1H), 2.25 (dd, $J = 12.9$, 4.7 Hz, 1H), 1.70 – 1.65 (m, 1H), 1.49 – 1.47 (m, 1H). \textbf{13C NMR} (126 MHz, CDCl$_3$) $\delta$ 168.36, 150.15, 143.03, 137.06, 134.88, 132.67, 128.89, 128.69, 128.14, 128.08, 127.35, 126.02, 126.01, 125.15, 120.90, 116.27, 110.31, 71.90, 25.97, 23.76, 14.83. \textbf{IR} (ATR) cm$^{-1}$: 3056, 3012, 2950, 1800, 1708, 1479, 1348, 1309, 1287, 1249, 1145, 1029, 1000. \textbf{HRMS} (ESI) Calcd. for C$_{22}$H$_{18}$NO$_4$S (M+H$^+$) m/z 392.0951, found 392.0948.

3-(1-(Benzylsulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one \textbf{6o} – Yield 70% (0.105 g); white solid; m. p. = 103–105 °C. \textbf{1H NMR} (500 MHz, CDCl$_3$) $\delta$ 7.88 (dd, $J = 7.9$, 0.8 Hz, 1H), 7.28 – 7.17 (m, 5H), 7.14 (t, $J = 7.4$ Hz, 2H), 7.09 – 7.06 (m, 1H), 3.84 (d, $J = 13.2$ Hz, 1H), 3.76 (d, $J = 13.2$ Hz, 1H), 3.21 (dt, $J = 13.7$, 9.4 Hz, 1H), 3.06 – 3.02 (m, 1H), 2.97 – 2.93 (m, 1H), 2.66 – 2.63 (m, 1H), 2.00 – 1.95 (m, 2H). \textbf{13C NMR} (126 MHz, CDCl$_3$) $\delta$ 168.44, 149.63, 142.79, 130.05, 129.74, 128.87, 128.21, 127.75, 125.88, 124.74, 116.44, 110.03, 69.10, 54.92, 28.41, 21.72, 15.56. \textbf{IR} (ATR) cm$^{-1}$: 3061, 3034, 3008, 2947, 1798, 1699, 1476, 1348, 1309, 1287, 1251, 1145, 1048, 1029, 1007. \textbf{HRMS} (ESI) Calcd. for C$_{19}$H$_{18}$NO$_4$S (M+H$^+$) m/z 356.0951, found 356.0951.
3-((1-(phenylsulfonyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 10 – Yield 81% (0.123 g); white semi-solid; $^1$H NMR (500 MHz, CDCl$_3$) δ 8.07 – 8.04 (m, 1H), 7.81 (dd, $J = 8.4$, 1.2 Hz, 2H), 7.68 – 7.64 (m, 1H), 7.53 – 7.50 (m, 2H), 7.32 – 7.26 (m, 2H), 7.25 – 7.22 (m, 1H), 3.02 – 2.94 (m, 2H), 2.92 – 2.88 (m, 2H), 2.15 – 2.09 (m, 1H), 1.85 – 1.79 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 167.68, 149.38, 143.01, 136.57, 134.34, 129.73, 129.11, 128.29, 126.01, 124.94, 116.21, 110.23, 73.28, 30.08, 15.42. IR (ATR) cm$^{-1}$: 2961, 1816, 1701, 1476, 1357, 1316, 1251, 1149, 1079, 1031, 754, 690, 548. HRMS (ESI) Calcd. for C$_{18}$H$_{16}$NO$_5$S (M+H$^+$) m/z 358.0743, found 358.0744.

![Image of compound 10](image)

3-((1-(phenylsulfinyl)cyclobutanecarbonyl)oxazolidin-2-one 11 – Yield 74% (0.092 g); white solid; m. p. = 134–139 °C. $^1$H NMR (500 MHz, CDCl$_3$) δ 7.60 – 7.49 (m, 5H), 4.53 (t, $J = 8.1$ Hz, 2H), 4.16 (dt, $J = 11.1$, 8.0 Hz, 1H), 4.14 – 4.04 (m, 1H), 2.93 – 2.90 (m, 1H), 2.69 – 2.60 (m, 1H), 2.50 – 2.47 (m, 1H), 2.28 – 2.27 (m, 1H), 1.73 – 1.64 (m, 1H), 1.45 – 1.40 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 168.98, 152.39, 140.01, 131.62, 128.75, 125.22, 70.46, 62.83, 43.57, 25.35, 24.33, 14.72. IR (ATR) cm$^{-1}$: 3057, 2955, 1775, 1680, 1476, 1357, 1316, 1251, 1149, 1079, 1031, 754, 690, 548. HRMS (ESI) Calcd. for C$_{14}$H$_{16}$NO$_4$S (M+H$^+$) m/z 294.0795, found 294.0796.

![Image of compound 11](image)

N-(4-methoxyphenyl)-1-(phenylsulfinyl)cyclobutanecarboxamide 13 – Yield 65% (0.090 g); colorless oil. $^1$H NMR (500 MHz, CDCl$_3$) δ 8.56 (br s, 1H), 7.48 – 7.46 (m, 2H), 7.41 – 7.35 (m, 1H), 7.33 – 7.19 (m, 4H), 6.77 – 6.76 (m, 2H), 3.71 (s, 3H), 2.92 – 2.86 (m, 1H), 2.83 – 2.77 (m, 1H), 2.57 – 2.51 (m, 1H), 2.22 – 2.12 (m, 2H), 2.10 – 2.03 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 166.20, 156.50, 138.82, 132.10, 131.10, 129.28, 124.91, 121.83, 114.27, 64.95, 55.59, 29.66, 24.15, 15.91. IR (ATR) cm$^{-1}$: 3773 2917 2958 1676 1602 1513 1454 1240 1033 831 786. HRMS (ESI) Calcd. for C$_{18}$H$_{20}$NO$_3$S (M+H$^+$) m/z 330,1158, found 330,1158.

![Image of compound 13](image)

**Procedure for the synthesis of 12**
1) To a solution of benzenethiol (0.170 g, 1.55 mmol) in ethanol (2 mL) was added potassium hydroxide (0.0865 g, 1.55 mmol) slowly and the resulting suspension was heated at 60°C until all the potassium hydroxide was dissolved. The resulting solution was cooled to 0°C and ethyl 1-bromocyclobutanecarboxylate S-1 (0.312 g, 1.55 mmol) was added dropwise. The mixture was then heated to reflux for 24 h before it was cooled to room temperature. Potassium bromide (white solid) was removed by filtration and the filtrate was concentrated under reduced pressure. The residue was dissolved in dichloromethane and the resulting solution was washed with water and brine, dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The residue was purified by flash chromatography (5:1 petroleum ether/ethyl acetate) to afford the corresponding ethyl 1-(phenylthio)cyclobutanecarboxylate derivative – Yield 81% (0.315 g); colorless oil. 

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.40 – 7.38 (m, 2H), 7.31 – 7.26 (m, 3H), 4.13 (q, $J = 7.1$ Hz, 2H), 2.69 – 2.66 (m, 2H), 2.26 – 2.23 (m, 3H), 1.92 – 1.88 (m, 1H), 1.19 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 174.13, 133.39, 133.27, 128.89, 128.10, 61.37, 53.13, 32.22, 16.10, 14.21. IR (ATR) cm$^{-1}$: 2983, 2950, 1713, 1442, 1287, 1128, 1082, 750, 685. The ethyl 1-(thio)cyclobutanecarboxylate derivative thus produced was used in the subsequent reaction:

2) The ethyl 1-(phenylthio)cyclobutanecarboxylate (0.1 g, 0.425 mmol) was dissolved in dichloromethane (2.55 mL) with 1 equiv of sodium bicarbonate (0.036 g). m-CPBA (0.066 g, 0.9 equiv.) was dissolved in dichloromethane (1.5 mL) in an addition funnel and added dropwise to the sulfide solution for 15 min at 0°C. The solution was then stirred for an additional 45 min. The product was washed with water; the organic layer was dried over Na$_2$SO$_4$ and purified on a silica gel column using 1:1 petroleum ether/ethyl acetate.

Ethyl 1-(phenylsulfinyl)cyclobutanecarboxylate 12 – Yield 70% (0.074 g); orange oil. $^1$H NMR (500 MHz, CDCl$_3$) δ 7.54 – 7.43 (m, 5H), 4.14 – 4.08 (m, 2H), 2.90 (dt, $J = 12.4$, 9.2 Hz, 1H), 2.79 – 2.77 (m, 1H), 2.44 – 2.41 (m, 1H), 2.12 – 1.90 (m, 3H), 1.21 (t, $J = 7.2$ Hz, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.13, 140.47, 131.65, 128.83, 124.89, 68.91, 61.73, 28.32, 20.03, 15.82, 14.04. IR (ATR) cm$^{-1}$: 3061, 2990, 2951, 1728, 1446, 1226, 1207, 1130, 1086, 1015, 751. HRMS (ESI) Calcd. for C$_{13}$H$_{17}$O$_3$S (M+H$^+$) m/z 253.0892, found 253.0892.

**Procedure for the synthesis of 7, 8a and 8b**
The sulphoxide 6 or 10 (0.225 mmol) in toluene (1.38 mL) was heated at 80°C for 4 days under argon. The solvent was removed under reduced pressure, and the residue was purified by column chromatography (silica gel, 1/1 petroleum ether/ethyl acetate). Yields refer to chromatographically pure materials.

3-(2-(Phenylsulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7\textit{a}/7\textit{a}' – Yield 70% (0.054 g).

Pure 7\textit{a} diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 151–157°C – \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}) \(\delta 7.68 – 7.66\) (m, 1H), 7.55 (dd, \(J = 8.3, 1.1\) Hz, 2H), 7.32 (t, \(J = 7.8\) Hz, 2H), 7.24 – 7.13 (m, 4H), 4.77 – 4.71 (m, 1H), 4.16 – 4.11 (m, 1H), 2.66 – 2.52 (m, 2H), 2.26 – 2.17 (m, 1H), 2.13 – 2.05 (m, 1H). \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}) \(\delta 171.24, 150.56, 142.37, 141.46, 130.84, 129.02, 127.44, 125.47, 124.74, 123.93, 115.73, 109.97, 57.31, 34.99, 22.61, 18.70\).

IR (ATR) cm\textsuperscript{-1}: 3061, 2996, 2956, 1793, 1714, 1477, 1444, 1377, 1303, 1282, 1253, 1174, 1138, 1086, 1038, 995. HRMS (ESI) Calcd. for C\textsubscript{18}H\textsubscript{16}NO\textsubscript{4}S (M+H\textsuperscript{+}) m/z 342.0795, found 342.0797.

The following data are attributed to 7\textit{a}' in the mixture – \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}) \(\delta 8.04\) (dd, \(J = 5.7, 1.7\) Hz, 1H), 7.62 (d, \(J = 8.0\) Hz, 2H), 7.54 – 7.44 (m, 4H), 7.14 – 7.07 (m, 2H), 4.61 (q, \(J = 9.3\) Hz, 1H), 4.10 (dd, \(J = 15.1, 6.8\) Hz, 1H), 1.61 (dd, \(J = 16.9, 9.3\) Hz, 1H), other signals masked. \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}) \(\delta 171.39, 150.64, 142.64, 141.29, 131.11, 129.28, 127.68, 125.74, 125.03, 124.17, 115.97, 110.18, 56.48, 39.69, 22.61, 14.30\).

3-(2-(p-Tolylsulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7\textit{b}/7\textit{b}'/7\textit{b}'' – Yield 88% (0.07 g). Pure 7\textit{b} diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 127–133°C – \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}) \(\delta 7.65\) (d, \(J = 7.9\) Hz, 1H), 7.41 (d, \(J = 8.1\) Hz, 2H),
7.25 – 7.14 (m, 3H), 7.07 (d, J = 8.0 Hz, 2H), 4.73 (q, J = 9.0 Hz, 1H), 4.07 (q, J = 8.8 Hz, 1H), 2.62 – 2.55 (m, 1H), 2.52 – 2.45 (m, 1H), 2.23 – 2.17 (m, 1H), 2.13 – 2.06 (m, 1H), 1.99 (s, 3H). \[^{13}\text{C NMR}\] (126 MHz, CDCl\(_3\)) δ 171.45, 150.49, 142.23, 141.49, 138.08, 129.56, 127.40, 125.37, 124.71, 123.84, 115.62, 109.91, 57.96, 34.60, 22.32, 21.00, 18.60. \[^{1}\text{IR}\] (ATR) cm\(^{-1}\): 2951, 1793, 1717, 1477, 1372, 1307, 1283, 1249, 1139, 1084, 1037, 920.

HRMS (ESI) Calcd. for \(\text{C}_{19}\text{H}_{18}\text{NO}_{4}\text{S} (\text{M+H}^+)^{-}\) m/z 356.0951, found 356.0950. The following data are attributed to 7b’ and 7b” in the mixture – \[^{1}\text{H NMR}\] (500 MHz, CDCl\(_3\)) δ 8.13 (d, J = 6.0 Hz, 1H), 8.06 – 7.96 (m, 1H), 7.49 (d, J = 8.1 Hz, 2H), 4.57 (q, J = 9.3 Hz, 1H), 4.50 (q, J = 8.4 Hz, 1H), 4.03 – 3.96 (m, 1H), 2.90 – 2.78 (m, 1H), 2.70 – 2.63 (m, 1H), 2.42 – 2.37 (m, 1H), 2.34 (s, 3H), 2.30 (s, 3H), 1.96 – 1.89 (m, 1H), 1.66 (dd, J = 17.1, 9.0 Hz, 1H), other signals masked.

3-(2-((4-Ethylphenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7c/7c’/7c” – Yield 87% (0.072 g). Pure 7c diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 167–170 °C – \[^{1}\text{H NMR}\] (500 MHz, CDCl\(_3\)) δ 7.67 – 7.66 (m, 1H), 7.45 (d, J = 8.2 Hz, 2H), 7.22 – 7.11 (m, 5H), 4.75 (q, J = 8.7 Hz, 1H), 4.09 (q, J = 8.9 Hz, 1H), 2.62 – 2.58 (m, 1H), 2.50 (ddd, J = 19.5, 9.7, 2.3 Hz, 1H), 2.32 (q, J = 7.6 Hz, 2H), 2.21 – 2.19 (m, 1H), 2.11 – 2.07 (m, 1H), 0.96 (t, J = 7.6 Hz, 3H). \[^{13}\text{C NMR}\] (126 MHz, CDCl\(_3\)) δ 171.43, 150.54, 147.72, 142.31, 138.33, 128.44, 127.48, 125.44, 124.74, 123.99, 115.73, 109.95, 57.71, 34.69, 28.44, 22.46, 18.62, 15.00. \[^{1}\text{IR}\] (ATR) cm\(^{-1}\): 2967, 2875, 1800, 1720, 1482, 1375, 1307, 1286, 1252, 1142, 1040. HRMS (ESI) Calcd. for \(\text{C}_{20}\text{H}_{20}\text{NO}_{4}\text{S} (\text{M+H}^+)^{-}\) m/z 370.1108, found 370.1108. The following data are attributed to 7c’ in the mixture – \[^{1}\text{H NMR}\] (500 MHz, CDCl\(_3\)) δ 8.04 – 7.99 (m, 1H), 7.52 (d, J = 8.2 Hz, 2H), 7.31 (d, J = 8.1 Hz, 2H), 7.05 (d, J = 7.6 Hz, 1H), 4.58 (q, J = 9.5 Hz, 1H), 4.07 (dd, J = 16.3, 7.8 Hz, 1H), 1.74 – 1.63 (m, 1H), 1.21 (t, J = 7.6 Hz, 3H), other signals masked.
3-(2-((4-Isopropylphenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7d/7d'/7d'' – Yield 58% (0.05 g). Pure 7d diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 160–165 °C – $^1$H NMR (500 MHz, CDCl$_3$) δ 7.69 – 7.68 (m, 1H), 7.46 (d, $J$ = 8.3 Hz, 2H), 7.22 – 7.11 (m, 5H), 4.79 – 4.74 (m, 1H), 4.14 – 4.08 (m, 1H), 2.66 – 2.51 (m, 3H), 2.21 – 2.17 (m, 1H), 2.09 – 2.05 (m, 1H), 0.99 (d, $J$ = 10.2 Hz, 3H), 0.98 (d, $J$ = 10.2 Hz, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 171.30, 152.32, 150.54, 142.35, 138.40, 127.45, 127.11, 125.47, 124.76, 124.02, 115.79, 109.97, 57.28, 34.75, 33.87, 23.64, 23.54, 22.57, 18.58. IR (ATR) cm$^{-1}$: 2964, 2870, 1793, 1715, 1474, 1372, 1304, 1283, 1249, 1137, 1048, 1035. HRMS (ESI) Calcd. for C$_{21}$H$_{22}$NO$_4$S (M+H$^+$) m/z 384,1264, found 384,1261. The following data are attributed to 7d' in the mixture – $^1$H NMR (500 MHz, CDCl$_3$) δ 8.04 – 8.00 (m, 1H), 7.53 (d, $J$ = 8.2 Hz, 2H), 7.34 (d, $J$ = 8.1 Hz, 2H), 4.59 (q, $J$ = 9.0 Hz, 1H), 4.08 (dd, $J$ = 14.3, 5.4 Hz, 1H), 1.73 – 1.64 (m, 1H), 1.22 (dd, $J$ = 6.9, 2.7 Hz, 6H), other signals masked.

3-(2-((Tert-butyl)phenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7e/7e'/7e'' – Yield 56% (0.049 g). Pure 7e diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 151–155 °C – $^1$H NMR (500 MHz, CDCl$_3$) δ 7.69 – 7.68 (m, 1H), 7.48 – 7.46 (m, 2H), 7.35 – 7.33 (m, 2H), 7.20 – 7.12 (m, 3H), 4.81 – 4.76 (m, 1H), 4.14 – 4.09 (m, 1H), 2.63 – 2.50 (m, 2H), 2.22 – 2.17 (m, 1H), 2.11 – 2.05 (m, 1H), 1.06 (s, 9H). $^{13}$C NMR (126 MHz, CDCl$_3$) δ 171.25, 154.64, 150.53, 142.30, 137.98, 125.96, 125.49, 124.78, 123.74, 115.82, 109.98, 57.14, 34.83, 34.59, 31.03, 22.57, 18.54. IR (ATR) cm$^{-1}$: 2963, 2907, 2873, 1796, 1719, 1478, 1373, 1303, 1284, 1251,
1142, 1082, 1040. HRMS (ESI) Calcd. for C\textsubscript{22}H\textsubscript{24}NO\textsubscript{4}S (M+H\textsuperscript{+}) m/z 398.1421, found 398.1421. The following data are attributed to 7e in the mixture – \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}) \(\delta\) 8.08 – 7.96 (m, 1H), 7.53 (d, \(J = 8.4\) Hz, 2H), 7.49 (d, \(J = 8.5\) Hz, 2H), 4.59 (q, \(J = 9.2\) Hz, 1H), 4.08 (q, \(J = 8.4\) Hz, 1H), 1.71 – 1.66 (m, 1H), 1.29 (s, 9H), other signals masked.

\[3-(2-(\text{o}-\text{Tolylsulfinyl})\text{cyclobutanecarbonyl})\text{benzo[d]oxazol-2}(3H)-\text{one}\]

7f/7f' – Yield 76% (0.061 g); orange oil. NMR data were obtained from a not separable d.r.: \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}) \(\delta\) 8.07 – 8.06 (m, 1H), 7.81 – 7.80 (m, 1H), 7.77 (d, \(J = 7.7\) Hz, 1H), 7.58 (d, \(J = 7.9\) Hz, 1H), 7.39 – 7.35 (m, 2H), 7.28 – 7.26 (m, 1H), 7.24 – 7.19 (m, 3H), 7.18 – 7.08 (m, 4H), 7.04 – 6.97 (m, 2H), 4.67 (q, \(J = 9.2\) Hz, 1H), 4.58 (q, \(J = 9.5\) Hz, 1H), 4.26 (q, \(J = 9.0\) Hz, 1H), 4.18 (q, \(J = 8.5\) Hz, 1H), 2.69 – 2.61 (m, 3H), 2.53 (qd, \(J = 9.8, 2.3\) Hz, 1H), 2.47 (s, 3H), 2.41 (s, 3H), 2.22 (td, \(J = 10.7, 1.6\) Hz, 1H), 2.09 – 2.03 (m, 2H), 1.50 – 1.49 (m, 1H). \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}) \(\delta\) 171.46, 171.01, 150.55, 150.51, 142.62, 142.28, 139.71, 139.42, 134.34, 130.46, 130.74, 130.71, 130.47, 127.65, 127.32, 126.84, 126.33, 125.67, 125.37, 124.95, 124.63, 124.11, 123.50, 115.93, 115.59, 110.13, 109.88, 53.54, 53.47, 39.98, 34.43, 22.75, 22.60, 18.59, 18.27, 18.16, 13.36. IR (ATR) \(cm^{-1}\): 3059, 3011, 2950, 2922, 2692, 1798, 1720, 1602, 1479, 1312, 1252, 1147, 1048, 758, 609. HRMS (ESI) Calcd. for C\textsubscript{19}H\textsubscript{18}NO\textsubscript{5}S (M+H\textsuperscript{+}) m/z 372.0900, found 372.0900.

The structure of diastereoisomeric compounds 7f and 7f' were further supported by oxidation with m-CPBA which gave the same sulfone 9f: white solid; m. p. = 164–169 °C. \textsuperscript{1}H NMR (500 MHz, CDCl\textsubscript{3}) \(\delta\) 7.93 – 7.90 (m, 1H), 7.86 – 7.83 (m, 1H), 7.34 (t, \(J = 6.8\) Hz, 1H), 7.24 – 7.13 (m, 5H), 4.61 – 4.57 (m, 2H), 2.70 (s, 3H), 2.66 – 2.61 (m, 2H), 2.17 – 2.10 (m, 1H), 2.05 – 2.00 (m, 1H). \textsuperscript{13}C NMR (126 MHz, CDCl\textsubscript{3}) \(\delta\) 170.40, 150.59, 142.53, 138.84, 135.75, 133.88, 132.97, 130.69, 127.48, 126.55, 125.76, 124.99, 115.94, 110.15, 55.00, 40.38, 22.49, 20.61, 19.24. IR (ATR) \(cm^{-1}\): 3064, 2959, 2922, 2692, 1798, 1720, 1602, 1479, 1312, 1252, 1147, 1048, 758, 609. HRMS (ESI) Calcd. for C\textsubscript{19}H\textsubscript{18}NO\textsubscript{5}S (M+H\textsuperscript{+}) m/z 372.0900, found 372.0900.
3-(2-(m-Tolylsulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7g/7g’ – Yield 45% (0.036 g): orange oil. NMR data were obtained from a not separable d.r. 74:26 mixture: 7g – \(^{1}H\) NMR (500 MHz, CDCl\(_3\)) \(\delta\) 7.67 – 7.66 (m, 1H), 7.36 (s, 1H), 7.30 – 7.29 (m, 1H), 7.23 – 7.21 (m, 1H), 7.18 – 7.15 (m, 3H), 6.90 (d, \(J = 7.5\) Hz, 1H), 4.77 – 4.72 (m, 1H), 4.10 (q, \(J = 8.9\) Hz, 1H), 2.62 – 2.55 (m, 1H), 2.50 (ddd, \(J = 19.8, 9.8, 2.4\) Hz, 1H), 2.24 – 2.18 (m, 1H), 2.19 (s, 3H), 2.14 – 2.08 (m, 1H). \(^{13}C\) NMR (126 MHz, CDCl\(_3\)) \(\delta\) 171.48, 150.53, 142.32, 141.24, 139.31, 131.63, 128.83, 127.41, 125.47, 124.69, 124.11, 121.08, 115.66, 109.89, 57.74, 34.84, 22.47, 21.27, 18.71. 7g’ – \(^{1}H\) NMR (500 MHz, CDCl\(_3\)) \(\delta\) 8.04 – 8.03 (m, 1H), 7.42 (s, 1H), 7.42 – 7.34 (m, 2H), 7.28 – 7.23 (m, 3H), 7.15 – 7.14 (m, 1H), 4.62 – 4.57 (m, 1H), 4.08 (q, \(J = 8.8\) Hz, 1H), 2.61 (ddd, \(J = 30.1, 16.3, 14.4\) Hz, 2H), 2.40 (s, 3H), 2.14 – 2.08 (m, 1H), 1.65 – 1.60 (m, 1H). \(^{13}C\) NMR (126 MHz, CDCl\(_3\)) \(\delta\) 171.46, 150.62, 142.62, 141.52, 139.46, 131.89, 129.07, 127.67, 125.71, 125.01, 124.45, 121.26, 115.95, 110.16, 56.52, 39.64, 22.64, 21.53, 14.41. IR (ATR) cm\(^{-1}\): 3059, 3011, 2950, 1796, 1717, 1478, 1371, 1307, 1251, 1142, 1036, 757. HRMS (ESI) Calcd. for C\(_{19}\)H\(_{18}\)NO\(_5\)S (M+H\(^+\)) m/z 356.0951, found 355.0950.

The structure of diastereoisomeric compounds 7g and 7g’ were further supported by oxidation with m-CPBA which gave the same sulfone 9g: white solid; m. p. = 143–148 °C. \(^{1}H\) NMR (500 MHz, CDCl\(_3\)) \(\delta\) 7.86 (d, \(J = 8.0\) Hz, 1H), 7.65 – 7.63 (m, 2H), 7.34 – 7.30 (m, 2H), 7.20 – 7.13 (m, 3H), 4.67 – 4.62 (m, 1H), 4.47 – 4.42 (m, 1H), 2.66 – 2.53 (m, 2H), 2.32 (s, 3H), 2.16 – 2.10 (m, 1H), 2.05 – 2.00 (m, 1H). \(^{13}C\) NMR (126 MHz, CDCl\(_3\)) \(\delta\) 170.40, 150.66, 142.54, 139.78, 137.59, 134.80, 129.30, 128.80, 127.50, 125.79, 125.66, 125.04, 56.07, 40.25, 22.47, 21.41, 19.60. IR (ATR) cm\(^{-1}\): 2959, 1978, 1800, 1720, 1599, 1479, 1377, 1312, 1254, 1140, 1045, 755. HRMS (ESI) Calcd. for C\(_{19}\)H\(_{18}\)NO\(_5\)S (M+H\(^+\)) m/z 372.0900, found 372.0902.
3-(2-((2,5-Dimethylphenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one \(7h/7h'/7h''\) – Yield 84% (0.069 g). Pure \(7h\) diastereomer was obtained by recrystallization from diethyl ether; white semi-solid;

- \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 7.58 – 7.55 (m, 2H), 7.24 – 7.21 (m, 1H), 7.14 (dd, \(J = 12.2, 4.5\) Hz, 2H), 6.86 (d, \(J = 7.6\) Hz, 1H), 6.71 (d, \(J = 7.4\) Hz, 1H), 4.69 (q, \(J = 8.9\) Hz, 1H), 4.21 (q, \(J = 9.1\) Hz, 1H), 2.64 (dq, \(J = 19.7, 9.8\) Hz, 1H), 2.49 (qd, \(J = 9.7, 2.0\) Hz, 1H), 2.33 (s, 3H), 2.19 (dt, \(J = 10.3, 5.1\) Hz, 1H), 2.07 (dd, \(J = 19.3, 10.0\) Hz, 1H), 2.03 (s, 3H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) 171.31, 150.54, 142.34, 139.19, 136.55, 131.33, 131.28, 130.72, 127.31, 125.44, 124.66, 123.74, 115.65, 109.83, 54.12, 34.27, 22.56, 20.68, 18.67, 17.68. IR (ATR) cm\(^{-1}\): 2951, 2879, 2805, 1754, 1482, 1401, 1345, 1253, 1143, 1059, 1007, 923. HRMS (ESI) Calcd. for C\(_{20}\)H\(_{20}\)NO\(_4\)S (M+H\(^{+}\)) m/z 370.1108, found 370.1108.

The following data are attributed to \(7h'\) in the mixture – \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 8.08 – 8.01 (m, 1H), 4.58 (dd, \(J = 18.2, 9.5\) Hz, 1H), 2.41 (s, 3H), 2.38 (s, 3H), 1.56 – 1.51 (m, 1H), other signals masked.

\[\begin{array}{c}
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\(7h/7h'/7h''\)

3-(2-((4-Chlorophenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one \(7i/7i'/7i''\) – Yield 80% (0.067 g). Pure \(7i\) diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 148–153°C – \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 7.70 – 7.66 (m, 1H), 7.52 – 7.48 (m, 2H), 7.33 – 7.29 (m, 2H), 7.27 – 7.17 (m, 3H), 4.69 (q, \(J = 9.2\) Hz, 1H), 4.11 (q, \(J = 8.5\) Hz, 1H), 2.64 – 2.54 (m, 2H), 2.28 – 2.21 (m, 1H), 2.15 – 2.07 (m, 1H). \(^{13}\)C NMR (126 MHz, CDCl\(_3\)) \(\delta\) 171.09, 150.57, 142.35, 140.04, 137.38, 129.32, 127.30, 125.63, 125.39, 125.01, 115.63, 110.13, 57.30, 35.03, 22.66, 18.77. IR (ATR) cm\(^{-1}\): 3082, 3063, 2951, 1798, 1715, 1476, 1369, 1309, 1284, 1253, 1140, 1080, 1042, 1013. HRMS (ESI) Calcd. for C\(_{18}\)H\(_{15}\)ClNO\(_4\)S (M+H\(^{+}\)) m/z 376.0405, found 376.0405. The following data are attributed to \(7i'\) in the mixture – \(^1\)H NMR (500 MHz, CDCl\(_3\)) \(\delta\) 8.07 – 8.03 (m, 1H), 7.57 (d, \(J = 8.6\) Hz, 2H), 7.50 (d, \(J = 8.6\) Hz, 2H), 7.30 – 7.27 (m, 2H), 7.17 – 7.05 (m, 1H), 4.60 (dt, \(J = 9.7, 4.8\) Hz, 1H), 4.08 (dd, \(J = 17.3, 8.8\) Hz, 1H), 1.65 – 1.56 (m, 1H), other signals masked.
3-(2-((4-Bromophenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7j/7j'/7j'' – Yield 68% (0.064 g). Pure 7j diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 149–154°C – 1H NMR (500 MHz, CDCl3) δ 7.69 – 7.68 (m, 1H), 7.47 – 7.41 (m, 4H), 7.25 – 7.18 (m, 3H), 4.71 – 4.66 (m, 1H), 4.14 – 4.09 (m, 1H). 13C NMR (126 MHz, CDCl3) δ 171.09, 150.58, 142.36, 140.67, 132.24, 127.29, 125.64, 125.62, 125.07, 115.68, 110.17, 57.27, 22.67, 18.78. **IR (ATR) cm⁻¹**: 3080 - 2951, 1798, 1717, 1476, 1375, 1307, 1284, 1251, 1140, 1042, 1007. **HRMS** (ESI) Calcd. for C18H15BrNO4S (M+H⁺) m/z 419.99, found 419.9899. The following data are attributed to 7j' in the mixture – 1H NMR (500 MHz, CDCl3) δ 8.08 – 8.00 (m, 1H), 7.64 (d, J = 8.5 Hz, 2H), 7.49 (d, J = 8.5 Hz, 2H), 4.63 – 4.52 (m, 1H), 4.06 (dd, J = 17.3, 8.8 Hz, 1H), 1.60 (dd, J = 18.9, 7.7 Hz, 1H), other signals masked.

3-(2-((4-Fluorophenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7k/7k'/7k'' – Yield 80% (0.065 g). Pure 7k diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 160–164 °C – 1H NMR (500 MHz, CDCl3) δ 7.69 (d, J = 8.2 Hz, 1H), 7.57 – 7.54 (m, 2H), 7.25 – 7.16 (m, 3H), 7.06 – 7.02 (m, 2H), 4.69 (q, J = 9.4 Hz, 1H), 4.11 (q, J = 8.8 Hz, 1H), 2.61 – 2.54 (m, 2H), 2.25 – 2.19 (m, 1H), 2.12 – 2.06 (m, 1H). 13C NMR (126 MHz, CDCl3) δ 171.06, 164.34 (d, J = 251.5 Hz), 150.57, 142.34, 136.81 (d, J = 3.0 Hz), 127.32, 126.16 (d, J = 8.9 Hz), 125.64, 124.94, 116.43 (d, J = 22.6 Hz), 115.61, 110.11, 35.10, 22.64, 18.69. **IR (ATR) cm⁻¹**: 3098, 3069, 2996, 2950, 1798, 1719, 1588, 1480, 1371, 1307, 1286, 1251, 1225, 1142, 1084, 1042. **HRMS** (ESI) Calcd. for C18H15FNO4S (M+H⁺) m/z 360,0700, found 360,0700. The following data
are attributed to 7k' and 7k'' in the mixture – ^1H NMR (500 MHz, CDCl₃) δ 8.15 (dd, J = 6.0, 3.2 Hz, 1H), 8.07 – 8.00 (m, 1H), 7.62 (dd, J = 8.8, 5.1 Hz, 2H), 7.54 – 7.45 (m, 2H), 4.61 – 4.55 (m, 1H), 4.51 (dd, J = 16.9, 8.6 Hz, 1H), 4.06 (q, J = 8.4 Hz, 1H), 3.99 (dd, J = 16.3, 8.6 Hz, 1H), 1.69 – 1.58 (m, 1H), other signals masked. The mother liquor from the above mentioned crystallization was concentrated to give a 24:4:54 mixture of 7k:7k':7k'' isomers and unreacted starting material 6k (18%). This mixture was treated with m-CPBA to give a mixture of sulfones 9k (trans) and 9k' (cis) and 3-(1-((4-fluorophenyl)sulfonyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 10k. Careful column chromatography of this mixture gave 9k contaminated with minor impurities and a highly enriched sample of compound 9k': white solid; m. p. = 128 – 132 °C – ^1H NMR (600 MHz, CDCl₃) δ 8.07 – 8.05 (m, 1H), 7.72 – 7.69 (m, 2H), 7.23 – 7.19 (m, 2H), 7.14 – 7.11 (m, 1H), 6.94 (t, J = 8.5 Hz, 2H), 4.49 (dd, J = 18.4, 9.2 Hz, 1H), 4.45 – 4.42 (m, 1H), 3.14 – 3.07 (m, 1H), 2.41 – 2.35 (m, 2H), 2.10 – 2.04 (m, 1H). ^13C NMR (151 MHz, CDCl₃) δ 168.06, 165.93 (d, J = 257.5 Hz), 151.22, 142.46, 134.21, 131.28 (d, J = 9.3 Hz), 127.70, 125.65, 125.21, 116.60, 116.26 (d, J = 58.6 Hz), 110.03, 61.44, 41.89, 20.66, 20.34. IR (ATR) cm⁻¹: 3400, 2958, 2924, 1796, 1590, 1556, 1478, 1373, 1316, 1290, 1086, 843, 757, 671. HRMS (ESI) Calcd. for C₁₈H₁₅FNO₅S (M+H⁺) m/z 376.0649, found 376.0648.

9k: white semi-solid – ^1H NMR (600 MHz, CDCl₃) δ 7.89 – 7.85 (m, 3H), 7.23 – 7.17 (m, 1H), 7.16 – 7.11 (m, 4H), 4.61 (dt, J = 9.7, 4.8 Hz, 1H), 4.44 (q, J = 8.7 Hz, 1H), 2.66 (tdd, J = 13.2, 9.8, 4.5 Hz, 1H), 2.63 – 2.55 (m, 1H), 2.18 – 2.12 (m, 1H), 2.06 – 1.99 (m, 1H). ^13C NMR (151 MHz, CDCl₃) δ 170.23, 166.15 (d, J = 257.1 Hz), 150.66, 142.57, 133.90, 131.42 (d, J = 9.8 Hz), 127.44, 125.90, 125.13, 116.86 (d, J = 22.9 Hz), 115.96, 110.24, 56.07, 40.52, 22.48, 19.49. IR (ATR) cm⁻¹: 3356, 2959, 2922, 2852, 1801, 1722, 1592, 1479, 1375, 1317, 1241, 1145, 1045, 758, 590. HRMS (ESI) Calcd. for C₁₈H₁₅FNO₅S (M+H⁺) m/z 376.0649, found 376.0649.

3-(2-((4-Methoxyphenyl)sulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7l/7l'/7l'' – Yield 88% (0.073 g). Pure 7l diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 153 – 157 °C – ^1H NMR (500 MHz, CDCl₃) δ 7.71 – 7.69 (m, 1H), 7.45 (d, J = 8.8 Hz, 2H),
7.25 – 7.15 (m, 3H), 6.81 – 6.78 (m, 2H), 4.75 – 4.69 (m, 1H), 4.06 (q, J = 8.8 Hz, 1H), 3.52 (s, 3H), 2.60 – 2.48 (m, 2H), 2.22 – 2.16 (m, 1H), 2.11 – 2.06 (m, 1H). \(^{13}\text{C NMR}\) (126 MHz, CDCl\(_3\)) δ 171.45, 161.83, 150.58, 142.33, 132.20, 127.48, 125.59, 125.42, 124.77, 115.70, 114.50, 109.95, 57.86, 55.29, 34.93, 22.37, 18.60. \(^{1}\text{IR (ATR)}\) cm\(^{-1}\): 3003, 2944, 1796, 1719, 1594, 1496, 1478, 1376, 1307, 1284, 1250, 1178, 1140, 1088, 1038. HRMS (ESI) Calcd. for C\(_{19}\)H\(_{18}\)NO\(_5\)S (M+H\(^{+}\)) m/z 372.0900, found 372.0900. The following data are attributed to \(7l'\) in the mixture – \(^{1}\text{H NMR}\) (500 MHz, CDCl\(_3\)) δ 8.01 (dd, J = 6.6, 2.4 Hz, 1H), 7.54 (d, J = 8.8 Hz, 1H), 6.97 (d, J = 8.8 Hz, 2H), 6.82 (d, J = 8.9 Hz, 55H), 4.56 (t, J = 8.4 Hz, 1H), 3.73 (s, 3H), 1.71 (q, J = 9.5 Hz, 17H), other signals masked.

3-(2-(Naphthalen-2-ylsulfinyl)cyclobutanecarbonyl)benzoxazol-2(3H)-one \(7n/7n'/7n''\) – Yield 30% (0.027 g). Pure \(7n\) diastereomer was obtained by recrystallization from diethyl ether; white solid; m. p. = 174–177°C – \(^{1}\text{H NMR}\) (500 MHz, CDCl\(_3\)) δ 8.10 (s, 1H), 7.72 (d, J = 8.1 Hz, 1H), 7.64 (d, J = 8.6 Hz, 1H), 7.45 (d, J = 8.2 Hz, 1H), 7.33 (dd, J = 8.6, 1.6 Hz, 1H), 7.29 (dd, J = 11.0, 4.0 Hz, 1H), 7.24 – 7.19 (m, 2H), 7.00 (td, J = 8.1, 1.1 Hz, 1H), 6.89 – 6.87 (m, 2H), 4.73 (q, J = 9.1 Hz, 1H), 4.11 (q, J = 9.0 Hz, 1H), 2.58 (dq, J = 19.4, 9.7 Hz, 1H), 2.42 (qd, J = 9.8, 2.3 Hz, 1H), 2.18 (dt, J = 18.5, 5.6 Hz, 1H), 2.05 – 1.98 (m, 1H). \(^{13}\text{C NMR}\) (126 MHz, CDCl\(_3\)) δ 171.28, 150.44, 141.93, 138.66, 134.28, 132.60, 129.12, 128.11, 127.69, 127.66, 127.28, 126.88, 125.09, 124.53, 124.32, 119.90, 115.30, 109.70, 57.96, 34.43, 22.35, 18.78. \(^{1}\text{IR (ATR)}\) cm\(^{-1}\): 3063, 2950, 2878, 2805, 1773, 1757, 1723, 1480, 1373, 1307, 1251, 1142, 1069, 1036, 923. HRMS (ESI) Calcd. for C\(_{22}\)H\(_{18}\)NO\(_4\)S (M+H\(^{+}\)) m/z 392.0951, found 392.0951. The following data are attributed to \(7n'\) in the mixture – \(^{1}\text{H NMR}\) (500 MHz, CDCl\(_3\)) δ 8.00 – 7.97 (m, 1H), 7.95 – 7.92 (m, 2H), 7.59 (dd, J = 8.6, 1.6 Hz, 1H), 7.57 – 7.54 (m, 2H), 4.71 – 4.60 (m, 1H), 1.67 – 1.59 (m, 1H), other signals masked.
3-(2-(Benzylsulfinyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 7o/7o’ – Yield 45% (0.036 g); colorless oil. 7o – **1H NMR** (500 MHz, CDCl₃) δ 8.12 – 8.09 (m, 1H), 7.42 (d, *J* = 7.1 Hz, 2H), 7.36 (t, *J* = 7.3 Hz, 2H), 7.33 – 7.25 (m, 4H), 4.89 – 4.83 (m, 1H), 4.20 (q, *J* = 8.5 Hz, 1H), 3.92 (d, *J* = 12.9 Hz, 1H), 2.79 – 2.72 (m, 1H), 2.45 – 2.38 (m, 1H), 2.22 – 2.11 (m, 2H). **13C NMR** (126 MHz, CDCl₃) δ 172.20, 150.47, 142.71, 130.31, 130.24, 129.07, 128.39, 127.76, 125.75, 125.00, 116.07, 110.21, 56.69, 50.93, 36.75, 23.85, 19.40. **IR** (ATR) cm⁻¹: 3063, 2982, 2953, 1798, 1715, 1480, 1367, 1307, 1253, 1144, 1038, 761. **HRMS** (ESI) Calcd. for C₁₉H₁₈NO₄S (M+H⁺) m/z 356.0951, found 356.0954. The following data are attributed to 7o’ in the mixture – **1H NMR** (500 MHz, CDCl₃) δ 4.66 – 4.60 (m, 1H), 1.82 – 1.67 (m, 1H), other signals masked.

3-((cyclobut-1-enecarbonyl)benzo[d]oxazol-2(3H)-one 8a – White solid; m. p. = 79–81 °C. **1H NMR** (500 MHz, CDCl₃) δ 7.98 – 7.96 (m, 1H), 7.25 – 7.20 (m, 4H), 3.00 – 2.99 (m, 2H), 2.62 – 2.60 (m, 2H). **13C NMR** (126 MHz, CDCl₃) δ 160.37, 152.63, 150.83, 142.86, 138.05, 128.31, 125.30, 124.78, 115.79, 110.02, 30.60, 28.07. **IR** (ATR) cm⁻¹: 2973, 2923, 2812, 1821, 1673, 1480, 1348, 1321, 1251, 1148, 1032, 1005. **HRMS** (ESI) Calcd. for C₁₂H₁₀NO₃ (M+H⁺) m/z 216.0655, found 216.0657.

3-((cyclobut-1-enecarbonyl)oxazolidin-2-one 8b – Yield 90% (0.034 g); colorless oil. **1H NMR** (500 MHz, CDCl₃) δ 7.04 (t, *J* = 1.2 Hz, 1H), 4.44 (t, *J* = 7.9 Hz, 2H), 4.05 (t, *J* = 8.0 Hz, 2H), 2.89 – 2.87 (m, 2H), 2.53 – 2.51 (m, 2H). **13C NMR** (126 MHz, CDCl₃) δ 161.75, 152.97, 150.19, 138.00, 62.64,
43.27, 30.63, 27.78. **IR (ATR) cm⁻¹**: 2976, 2925, 1776, 1651, 1586, 1478, 1361, 1325, 1200, 1098, 1040, 1000. **HRMS (ESI)** Calcd. for C₈H₁₀NO₃ (M+H⁺) m/z 168.0655, found 168.0656.
Charaterization of the third diastereomer 7a’’ (from Table 1, entry 10)
The sulphoxide 6a (0.225 mmol) in toluene (1.4 mL) was heated at 60°C for 4 days under argon. The solvent was removed under reduced pressure, and the residue contained a 31:8:16:20 mixture of 7a, 7a’, 7a’’, 8a and unreacted 6a (25%). Fractionation of the crude product by column chromatography (silica gel, 1/1 petroleum ether/ethyl acetate) gave a 30:37:33 mixture of 7a:7a’:7a’’, a mixture of 7a:8a and a mixture of 7a:7a’. The following data are attributed to 7a’’ in the mixture (since some peaks for diastereomer 7a’’ are indiscriminate from diastereomers 7a and 7a’, only representative peaks for diastereomer 7a’’ are listed): ^1H NMR (500 MHz, CDCl₃) δ 8.16 – 8.14 (m, 1H), 4.52 (dd, J = 16.9, 8.6 Hz, 1H), 4.03 (dd, J = 16.3, 8.6 Hz, 1H), 2.85 – 2.81 (m, 1H), 2.69 – 2.65 (m, 1H), 1.90 – 1.85 (m, 1H) other signals masked.

Mixture 7a:7a’:7a’’=30:37:33

Oxidation of the above mentioned mixture with m-CPBA gave, after column chromatography, pure compound 9a (trans) and a 16:84 mixture of sulfones 9a (trans) and 9a’ (cis).
Careful column chromatography of this mixture allowed the preparation of a sample of the compound 9a' contaminated with minor impurities. $^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 8.06 (dd, $J = 6.6$, 2.6 Hz, 1H), 7.69 (d, $J = 7.3$ Hz, 2H), 7.41 (t, $J = 7.5$ Hz, 1H), 7.26 (t, $J = 7.8$ Hz, 2H), 7.21 – 7.20 (m, 2H), 7.09 – 7.08 (m, 1H), 4.47 – 4.43 (m, 2H), 3.13 – 3.07 (m, 1H), 2.43 – 2.36 (m, 2H), 2.09 – 2.01 (m, 1H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 168.14, 151.19, 142.47, 138.15, 138.83, 129.14, 128.33, 127.55, 125.51, 125.12, 116.11, 109.92, 61.23, 41.86, 20.61, 20.37. IR (ATR) cm$^{-1}$: 3225, 3019, 2951, 2880, 2800, 2666, 1776, 1727, 1477, 1307, 1143, 741. HRMS (ESI) Calcd. for C$_{18}$H$_{16}$NO$_5$S (M+H$^+$) m/z 358.0744, found 358.0741.
Procedure for the synthesis of 3-(2-(phenylsulfonyl)cyclobutanecarbonyl)benzo[d]oxazol-2(3H)-one 9a

The 50:50 mixture of sulphoxides 7a and 7a’ (0.025 g, 0.07 mmol) was dissolved in dichloromethane (1 mL) with 1 equiv. of sodium bicarbonate (0.006 g). m-CPBA (0.013 g, 0.077 mmol) was dissolved in dichloromethane in an addition funnel and added dropwise to the solution of sulphoxides for 15 min at room temperature. The solution was then stirred for an additional 15 h. The product was washed with distilled water; the organic layer was dried over Na₂SO₄ and purified on a silica gel column using 1:1 hexane/ethyl acetate. Yield 83% (0.021 g); white solid; m. p. = 170–173 °C. ¹H NMR (500 MHz, CDCl₃) δ 7.86 – 7.84 (m, 3H), 7.53 (t, J = 7.4 Hz, 1H), 7.46 (t, J = 7.5 Hz, 1H), 7.19 – 7.13 (m, 4H), 4.63 (q, J = 8.6 Hz, 1H), 4.46 (q, J = 8.7 Hz, 1H), 2.67 – 2.55 (m, 2H), 2.17 – 2.11 (m, 1H), 2.02 (dd, J = 19.2, 8.8 Hz, 1H). ¹³C NMR (126 MHz, CDCl₃) δ 170.29, 150.65, 142.56, 137.82, 134.01, 129.46, 128.54, 127.50, 125.80, 125.04, 115.98, 110.17, 56.01, 40.39, 22.51, 19.52. IR (ATR) cm⁻¹: 3063, 2976, 1798, 1719, 1480, 1376, 1313, 1251, 1146, 1048. HRMS (ESI) Calcd. for C₁₈H₁₆NO₅S (M+H⁺) m/z 358.0743, found 358.0740.

Procedure for the synthesis of methyl 2-(p-tolylsulfinyl)cyclobutanecarboxylate 14b and 14b’

The 50:50 mixture of sulphoxides 7b and 7b’ (0.036 g, 0.1 mmol) was dissolved in 2 mL of MeOH and sodium carbonate (0.021 g, 0.2 mmol) was added. The mixture was stirred at room temperature for 48 h. After completion of the reaction, the solvent was removed in vacuo and the crude product was purified over silica gel by column chromatography (silica gel, 5/1 hexanes/ethyl acetate). Yield 80% (0.02 g); colorless oil. It was obtained as a not separable 50:50 mixture of diastereoisomers. ¹H
**NMR** (500 MHz, CDCl$_3$) δ 7.44 – 7.40 (m, 4H), 7.30 – 7.26 (m, 4H), 3.76 – 3.70 (m, 1H), 3.71 (s, 3H), 3.65 (q, $J = 8.9$ Hz, 1H), 3.58 – 3.53 (m, 2H), 3.28 (s, 3H), 2.51 – 2.46 (m, 2H), 2.40 (s, 3H), 2.38 (s, 3H), 2.20 – 2.09 (m, 6H). **$^{13}$C NMR** (126 MHz, CDCl$_3$) δ 173.39, 173.19, 141.41, 141.20, 138.44, 138.03, 129.94, 129.72, 124.09, 124.04, 58.85 (2 C), 52.21, 51.67, 37.58, 33.39, 21.55, 21.52, 21.48, 21.13, 19.18, 13.98. **IR** (ATR) cm$^{-1}$: 2951, 1732, 1496, 1436, 1361, 1244, 1203, 1086, 1048, 813. **HRMS** (ESI) Calcd. for C$_{13}$H$_{17}$O$_3$S (M+H$^+$) m/z 253.0892, found 253.0890.

**Procedure for the synthesis of N-(4-methoxyphenyl)-2-((4-methoxyphenyl)sulfinyl)cyclobutanecarboxamide 15l and 15l’**

A mixture of 7l and 7l’ (d. r. 88:12; 0.041 g, 0.11 mmol), para-methoxy aniline (0.0015 g, 0.12 mmol) in dichloromethane (0.5 mL) was stirred for 72h at room temperature. After completion of the reaction, the solvent was removed in vacuo and the crude product was purified over silica gel by column chromatography (silica gel, 1/1 hexanes/ethyl acetate). Yield 75% (0.029 g); yellow oil. It was obtained as a not separable 91:9 mixture of diastereoisomers 15l and 15l’. **1H NMR** (500 MHz, CDCl$_3$) δ 8.60 (br s, 1H), 7.56 (d, $J = 8.8$ Hz, 2H), 7.39 (d, $J = 9.0$ Hz, 2H), 6.99 (d, $J = 8.8$ Hz, 2H), 6.82 (d, $J = 9.0$ Hz, 2H), 3.78 (s, 3H), 3.76 (s, 3H), 3.75 – 3.69 (m, 1H), 3.67 – 3.64 (m, 1H), 2.44 – 2.38 (m, 1H), 2.28 – 2.22 (m, 2H), 1.95 – 1.90 (m, 1H). **$^{13}$C NMR** (126 MHz, CDCl$_3$) δ 169.65, 162.55, 156.18, 132.29, 131.74, 125.94, 121.12, 115.18, 114.12, 61.35, 55.62 (2 C), 41.34, 20.37, 19.03. **IR** (ATR) cm$^{-1}$: 3300, 3071, 2946, 2838, 1659, 1598, 1544, 1509, 1301, 146, 1176, 1088, 1034. **HRMS** (ESI) Calcd. for C$_{19}$H$_{22}$NO$_3$S (M+H$^+$) m/z 360.1264, found 360.1262.
X-ray diffraction data for compound 7a

Fig. 1. ORTEP diagram of 7a shows only one molecule of the eight in the asymmetric unit. Thermal ellipsoids are shown at the 30% level.

X-ray diffraction data for compound 7a was collected by using a Kappa X8 APPEX II Bruker diffractometer with graphite-monochromated MoKα radiation. Crystals were mounted on a CryoLoop (Hampton Research) with Paratone-N (Hampton Research) as cryoprotectant and then flashfrozen in a nitrogen-gas stream at 100 K. For compound, the temperature of the crystal was maintained at the selected value by means of a 700 series Cryostream cooling device to within an accuracy of ±1K. The data were corrected for Lorentz polarization, and absorption effects. The structures were solved by direct methods using SHELXS-971 and refined against $F^2$ by full-matrix least-squares techniques using SHELXL-20182 with anisotropic displacement parameters for all non-hydrogen atoms. Hydrogen atoms were located on a difference Fourier map and introduced into the calculations as a riding model with isotropic thermal parameters. All calculations were performed by using the Crystal Structure crystallographic software package WINGX.3

The crystal data collection and refinement parameters are given in Table X1.

CCDC 1902949 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from the Cambridge Crystallographic Data Centre via http://www.ccdc.cam.ac.uk/Community/Requestastructure.

**Table X1.** Crystallographic data and structure refinement details.

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$7g + 7g'$