Supporting Information

L-Proline catalyzed highly efficient synthesis of *Z*-5-alkylidene cyclic sulfamidate imines: An easy access of 5-alkyl-substituted cyclic sulfamidate imines.

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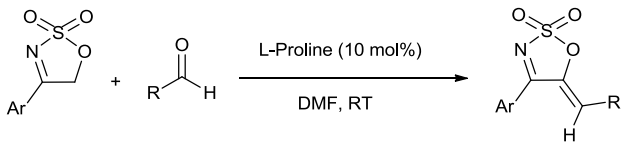
General Information:

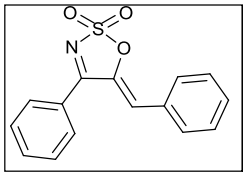
All reactions were carried out under air and monitored by TLC using Merck 60 F_{254} pre coated silica gel plates (0.25 mm thickness) and the products were visualized by UV detection. Flash chromatography was carried out with silica gel (200-300 mesh). FT-IR spectra were recorded on a Bruker Tensor-27 spectrometer. ^{1}H and ^{13}C NMR spectra were recorded on a Bruker Avance (III) 400 MHz spectrometer. Data for ^{1}H NMR are reported as a chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, q = quartet, m = multiplet), coupling constant *J* (Hz), integration, and assignment, data for ^{13}C are reported as a chemical shift. High resolutions mass spectral analyses (HRMS) were carried out using ESI-TOF-MS. Single crystal X-ray structural studies were performed on a CCD Agilent Technologies (Oxford Diffraction) SUPER NOVA diffractometer. Data were collected at 293(2) K using graphite-monochromoated Mo Kα radiation (λ_{α} = 0.71073 Å). The strategy for the Data collection was evaluated by using the CrysAlisPro CCD software. The data were collected by the standard 'phi-omega scan techniques, and were scaled and reduced using CrysAlisPro RED software. The structures were solved by direct methods using SHELXS-97 and refined by full matrix least-squares with SHELXL-97, refining on F^2.[1]

The positions of all the atoms were obtained by direct methods. All non-hydrogen atoms were refined anisotropically. The remaining hydrogen atoms were placed in geometrically constrained positions and refined with isotropic temperature factors, generally 1.2U_{eq} of their parent atoms.

Materials: All these starting materials and catalysts were either purchased from commercial sources or synthesized by literature known procedures.[2] *N*,*N*-Dimethylformamide was dried over calcium hydride under argon atmosphere and distilled under reduced pressure before prior used.



General procedure for the synthesis of Z-5-alkylidene-4-aryl-1,2,3-oxathiazole-2,2-dioxide: To a stirred solution of 4-aryl-5*H*-1,2,3-oxathiazole-2,2-dioxides (0.5 mmol, **1a-g**), aldehydes (0.55 mmol) and L-proline (10 mol%) in dry DMF (1.0 mL) at room temperature under argon atmosphere. The progress of the reaction was monitored by TLC. After completion of the reaction, the mixture was extracted with ethyl acetate (3 × 10 mL), washed with water and brine respectively and dried with Na_2SO_4. The organic phase was evaporated by rotary evaporator under reduced pressure to give the crude product. The crude product was purified by column chromatography over silica gel to furnish the pure product. The product was characterized by corresponding spectroscopic data (IR, NMR, HRMS).



(Z)-5-Benzylidene-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3aa): Yellow Solid; m.p. 132 °C; yield: 95%; IR (KBr): ν 2925, 2854, 1638, 1599, 1519, 1491, 1449, 1372 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.82-7.85 (m, 2H), 7.77-7.79 (m, 2H), 7.70-7.74 (m, 1H), 7.60-7.64 (m, 2H), 7.46-7.48 (m, 3H), 6.66 (s, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)**: δ 172.2, 143.6, 134.0, 131.9, 131.7, 131.3, 130.2, 129.7, 129.5, 128.3, 121.0; **HRMS** (ESI) m/z calcd For $C_{15}H_{11}NO_3S$ $[M+Na]^+$: 308.0352. Found 308.0409.

The stereochemistry of *exo*-cyclic C=C double bond of compound **3aa** was assigned by ORTEP data as shown **Fig. 1**

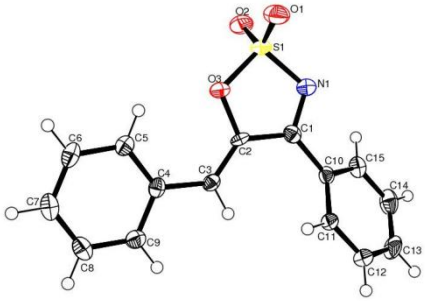
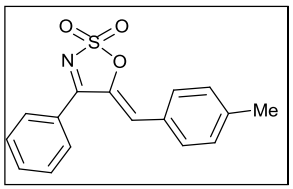


Fig. 1. Molecular structure of compound **3aa**

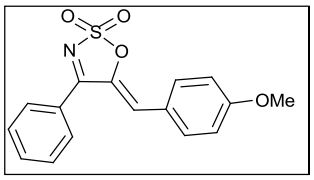
Table 1. Crystal data and structure refinement for **3aa**

Compound	**Compound 3aa**
Empirical formula	C_{15} H_{11} N O_3 S
Molecular weight	285.31
Temperature	150(2) K
Wavelength (Å)	0.71073 A
Crystal system, space group	Monoclinic, P 21/c
a (Å)	a = 12.6212(3) A

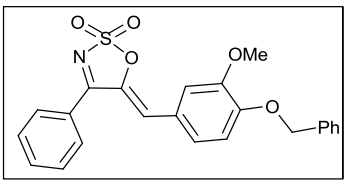
b (Å)	b = 6.9825(2) A
c (Å)	c = 15.3469(9) A
α (°)	alpha = 90 deg.
β (°)	beta = 101.991(3) deg.
γ (°)	gamma = 90 deg.
Volume (Å^3)	1322.97(9) A^3
Z, Calculated density (mg/m^3)	4, 1.432 Mg/m^3
Absorption coefficient (mm^{-1})	0.250 mm^-1
F(000)	592
Crystal size (mm)	0.23 × 0.18 × 0.13 mm
θ range (deg)	3.22 to 24.99 deg
Limiting indices	-13<=h<=15, -8<=k<=8, -18<=l<=11
Reflections collected / unique	8823 / 2319 [R(int) = 0.0227]
Completeness to θ = 25.00	99.9 %
Max. and min. transmission	0.9682 and 0.9446
Data / restraints / parameters	2319 / 0 / 181
Goodness-of-fit on F^2	1.032
Final R indices [I>2sigma(I)]	R1 = 0.0338, wR2 = 0.0861
R indices (all data)	R1 = 0.0377, wR2 = 0.0896
Largest diff. peak and hole (e.A^{-3})	0.164 and -0.411 e.A^-3
CCDC	**913688**



(Z)-5-(4-Methylbenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ab): Greenish yellow solid; m.p. 140 °C; yield: 92%; **IR** (KBr): ν 2921, 2854, 1635, 1603, 1517, 1487, 1448, 1372, 1346 cm^{-1}; **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.81-7.84 (m, 2H), 7.66-7.74 (m, 3H), 7.58-7.63 (m, 2H), 7.27 (d, *J* = 7.2 Hz, 2H), 6.65 (s, 1H), 2.41 (s, 3H); **^{13}C NMR (100 MHz, CDCl$_3$)**: δ 172.2, 143.1, 142.9, 133.9, 131.8, 130.3, 130.1, 129.6, 128.5, 128.4, 121.4, 22.1; **HRMS** (ESI) m/z calcd For $C_{16}H_{13}NO_3S$ $[M+Na]^+$: 322.0508. Found 322.0645.

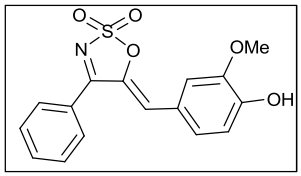


(Z)-5-(4-Methoxybenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ac): Yellowish solid; m.p. 138 °C; yield: 96%; **IR** (KBr): ν 2960, 2925, 2853, 2840, 1598, 1512, 1487, 1363, 1340, 1308 cm^{-1}; **^{1}H NMR (400 MHz, CDCl$_3$)**: δ 7.79-7.83 (m, 2H), 7.74-7.78 (m, 2H), 7.68-7.73 (m, 1H), 7.57-7.63 (m, 2H), 6.94-7.00 (m, 2H), 6.63 (s, 1H), 3.88 (s, 3H); **^{13}C NMR (100 MHz, CDCl$_3$)**: δ 172.0, 162.7, 142.4, 133.9, 133.7, 130.1, 129.6, 128.5, 124.0, 121.4, 115.1, 55.9 ; **HRMS** (ESI) m/z calcd For $C_{16}H_{13}NO_4S$ $[M+Na]^+$: 338.0457. Found 338.0513.



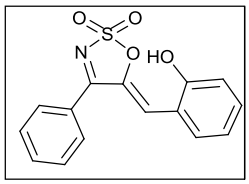
(Z)-5-(4-Benzyloxy-3-Methoxybenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ad): Light yellow solid; m.p. 157 °C; yield: 97%; **IR** (KBr): ν 2957, 2923, 1628, 1596, 1510, 1487, 1424, 1369, 1350 cm^{-1}; **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.76-7.80 (m, 2H), 7.66-7.72 (m, 1H), 7.55-7.61 (m, 2H), 7.34-7.44 (m, 5H), 7.24-7.32 (m, 2H), 6.91 (d, *J* = 8.6 Hz, 1H), 6.58 (s, 1H), 5.20 (s, 2H), 3.92 (s, 3H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 172.0, 151.7, 150.0, 142.4, 136.4,

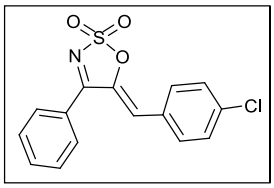
133.7, 130.1, 129.6, 129.0, 128.5, 128.4, 127.5, 126.7, 124.5, 121.6, 114.0, 113.6, 71.1, 56.4; **HRMS** (ESI) m/z calcd For $C_{23}H_{19}NO_5S$ $[M+Na]^+$: 444.0876. Found 444.1051.



(Z)-5-(4-Hydroxy-3-Methoxybenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ae): Yellowish solid; m.p. 138 °C; yield: 93%; **IR** (KBr) ν 3441, 1639, 1598, 1515, 1487, 1463, 1433, 1371 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.80-7.82 (m, 2H), 7.68-7.73 (m, 1H), 7.58-7.62 (m, 2H), 7.43-7.44 (m, 1H), 7.22-7.24 (m, 1H), 6.96 (d, J = 8.3 Hz, 1H), 6.60 (s, 1H), 6.12 (s, 1H), 3.97 (s, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 172.1, 149.7, 147.2, 142.2, 133.7, 130.1, 129.6, 128.5, 127.8, 123.9, 121.9, 115.3, 112.8, 56.5; **HRMS** (ESI) m/z calcd For $C_{16}H_{13}NO_5S$ $[M+Na]^+$: 354.0407. Found 354.0504.

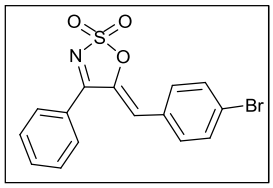
(Z)-5-(2-Hydroxybenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3af): Yellow Solid; m.p. 190 °C; yield: 91%; **IR** (KBr) ν 3447, 3076, 2925, 2854, 1633, 1603, 1520, 1484, 1460, 1365, 1332 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.11 (d, J = 8.0 Hz, 1H), 7.85 (d, J = 7.6 Hz, 2H), 7.68-7.71 (m, 1H), 7.57-7.61 (m, 2H), 7.29-7.31 (m, 1H), 7.24 (s, 1H), 7.02-7.06 (m, 1H), 6.81 (d, J = 8.3 Hz,1H), 5.50 (s, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 172.3, 155.5, 143.3, 133.9, 133.4, 131.9, 130.3, 129.6, 128.5, 122.0, 119.0, 115.9, 115.0; **HRMS** (ESI) m/z calcd For $C_{15}H_{11}NO_4S$ $[M+Na]^+$: 324.0301. Found 324.0611.

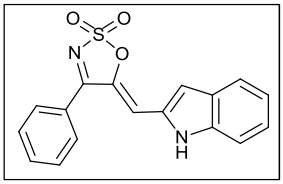




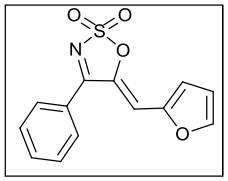
(Z)-5-(4-Chlorobenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ag): White solid; m.p. 172 °C; yield: 90% ; **IR** (KBr) ν 2923, 1683, 1586, 1523, 1491, 1448, 1411, 1375, 1349 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.80-7.84 (m, 2H), 7.70-7.76 (m, 3H), 7.60-7.64 (m, 2H), 7.42-7.46 (m, 2H), 6.62 (s, 1H) ; **^{13}C NMR (100 MHz, $CDCl_3$)** δ 172.1, 143.7, 138.0, 134.1, 132.8, 130.1, 129.9, 129.7, 128.1, 119.4; **HRMS** (ESI) m/z calcd For $C_{15}H_{10}ClNO_3S$ $[M]^+$: 319.0064. Found 319.0123.

(Z)-5-(4-Bromobenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ah): Pale yellow solid; m.p. 180 °C; yield: 92% ; **IR** (KBr) ν 2925, 1643, 1582, 1533, 1491, 1447, 1384, 1347, 1330 cm^{-1}; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.81-7.83 (m, 2H), 7.71-7.75 (m, 1H), 7.56-7.67 (m, 6H), 6.60 (s, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 172.0, 143.8, 134.1, 132.9, 132.8, 130.1, 129.7, 128.0, 126.5, 119.5; **HRMS** (ESI) m/z calcd For $C_{15}H_1BrNO_3S$ $[M+Na]^+$: 385.9457. Found 385.9532.



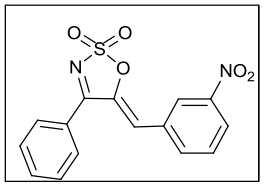


(Z)-5-(2-indolylmethylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ai): Reddish solid; m.p. 250 °C; yield: 96%; **IR** (KBr): ν 3431, 2924, 1626, 1612, 1479, 1342 cm^{-1}; **^{1}H NMR (400 MHz, Acetone-d_6)**: δ 10.90 (s, 1H, NH), 7.98-8.02 (m, 2H), 7.78-7.82 (m, 1H), 7.66-7.72 (m, 3H), 7.54-7.57 (m, 1H), 7.24-7.31 (m, 3H), 7.09-7.13 (m, 1H); **^{13}C NMR (100 MHz, Acetone-d_6)**: δ 170.8, 141.5, 139.6, 133.6, 130.0, 129.9, 129.4, 128.3, 128.2, 125.7, 121.8, 120.8, 113.1, 112.2, 112.1; **HRMS** (ESI) m/z calcd For $C_{17}H_{12}N_2O_3S$ $[M+Na]^+$: 324.0563. Found 324.0642.

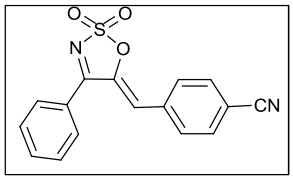


(Z)-5-(2-Furylmethylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3aj): Light yellowish solid; m.p. 90 °C; yield: 93%; **IR** (KBr) ν 2924, 2853, 1641, 1526, 1491, 1462, 1380, 1349 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.78-7.84 (m, 2H), 7.68-

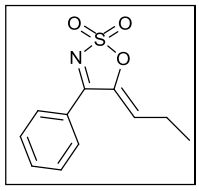
7.74 (m, 1H), 7.57-7.64 (m, 3H), 7.25 (d, *J* = 3.8 Hz, 1H), 6.71 (s, 1H), 6.63-6.67 (m, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.9, 147.8, 147.0, 141.3, 134.1, 129.9, 129.7, 128.0, 119.6, 114.2, 108.5; **HRMS** (ESI) m/z calcd For $C_{13}H_9NO_4S$ $[M+Na]^+$: 298.0144. Found 298.0225.



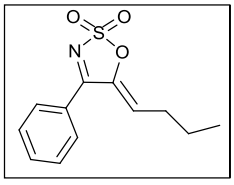
(Z)-5-(4-Nitrobenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ak): Yellowish solid; m.p. 158 °C; yield: 55%; IR (KBr) ν 2923, 2853, 1612, 1528, 1490, 1449, 1381, 1353, 1332 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.50-8.51 (m, 1H), 8.28-8.31 (m, 1H), 8.19-8.21 (m, 1H), 7.83-7.88 (m, 2H), 7.74-7.80 (m, 1H), 7.62-7.71 (m, 3H), 6.71 (s, 1H);**^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.9, 148.9, 144.8, 136.4, 134.5, 132.8, 130.6, 130.2, 129.9, 127.6, 126.1, 125.7, 117.4; **HRMS** (ESI) m/z calcd For $C_{15}H_{10}N_2O_5S$ $[M+Na]^+$: 353.0203. Found 353.0234.



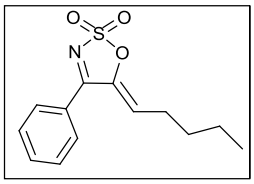
(Z)-5-(4-Cyanobenzylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3al): Pale yellowish solid**;** m.p. 190 °C; yield: 65%; **IR** (KBr) ν 3058, 2924, 2221, 1648, 1600, 1538, 1491, 1447, 1386, 1331 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.82-7.89 (m, 4H), 7.71-7.78 (m, 3H), 7.61-7.66 (m, 2H), 6.65 (s, 1H) ; **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.9, 145.0, 135.4, 134.5, 133.0, 131.7, 130.2, 129.9, 127.7, 118.3, 117.8, 114.5 ;**HRMS** (ESI) m/z calcd For $C_{16}H_{10}N_2O_3S$ $[M+Na]^+$: 333.0337 Found 333.0409



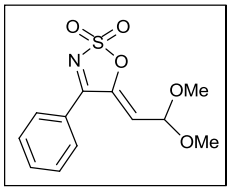
(Z)-5-Propylidene-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3am): Colourless liquid; yield: 90% ; **IR** (KBr) ν 2931, 2365, 1656, 1631, 1538, 1376, 1344 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.74-7.78 (m, 2H), 7.66-7.70 (m, 1H), 7.53-7.58 (m, 2H), 5.96 (t, *J* = 7.8 Hz, 1H), 2.43-2.51 (m, 2H), 1.15 (t, *J* = 7.5 Hz); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.8, 145.8, 134.1, 130.1, 129.6, 128.2, 126.2, 21.4, 13.1; **HRMS** (ESI) m/z calcd For $C_{11}H_{11}NO_3S$ $[M+H]^+$: 238.0532. Found 238.1668.



(Z)-5-Butylidene-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3an): Colourless liquid; yield: 94% ; **IR** (KBr) ν 2963, 2874, 1719, 1660, 1543, 1493, 1454, 1384, 1345 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.74-7.78 (m, 2H), 7.66-7.70 (m, 1H), 7.54-7.58 (m, 2H), 5.95 (t, *J* = 7.8 Hz, 1H), 2.39-2.45 (m, 2H), 1.53-1.60 (m, 2H), 0.95 (t, *J* = 7.6 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.7, 146.2, 134.1, 130.0, 129.6, 128.1, 124.9, 29.7, 22.0, 14.1; **HRMS** (ESI) m/z calcd For $C_{12}H_{13}NO_3S$ $[M+K]^+$: 290.0248. Found 290.0343.

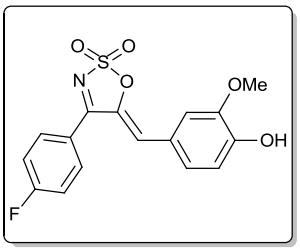


(**Z)-5-Pentylidene-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ao):** Colourless liquid; yield: 92%; IR (KBr) ν 2959, 2867, 1660, 1542, 1492, 1449, 1383, 1346 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.73-7.77 (m, 2H), 7.65-7.71 (m, 1H), 7.53-7.58 (m, 2H), 5.98 (t, *J* = 7.8 Hz, 1H), 2.41-2.47(m, 2H), 1.46-1.55 (m, 2H), 1.32-1.43 (m, 2H), 0.93 (t, *J* =7.3 Hz, 3 H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.7, 146.1, 134.1, 130.1, 129.6, 126.1, 125.2, 30.7, 27.6, 22.7, 14.0; **HRMS** (ESI) m/z calcd For $C_{13}H_{15}NO_3S$ $[M+Na]^+$: 288.0665. Found 288.0683.



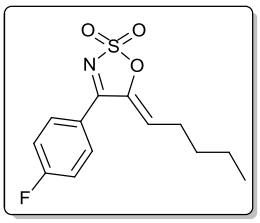
(Z)-5-(1,1-Dimethoxyethylidene)-4-phenyl-1,2,3-oxathiazole-2,2-dioxide (3ap): Colourless liquid; yield: 82%; **IR** (KBr) ν 2927, 2831, 1603, 1546, 1493, 1451, 1383 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.78-7.81 (m, 2H), 7.68-7.73(m, 1H), 7.55-7.60 (m, 2H), 5.92 (d, J = 6.8 Hz, 1H), 5.27 (d, J = 7.0 Hz, 1H), 3.43 (s, 6 H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.0, 145.7, 134.6, 130.2, 129.7, 127.4, 117.6, 99.14, 54.5; **HRMS** (ESI) m/z calcd For $C_{12}H_{13}NO_5S$ $[M+Na]^+$: 306.0407 Found 306.0465.

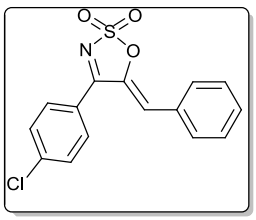
(Z)-5-(4-Hydroxy-3-methoxybenzylidene)-4-(4-fluorophenyl)-1,2,3-oxathiazole-2,2-dioxide



(3be): Yellowish solid; m.p. 197 °C; yield: 97%; IR (KBr) ν 3435, 2957, 2924, 2853, 1637, 1598, 1513, 1463, 1433 cm^{-1}; **^{1}H NMR (400 MHz, acetone-d_6)** δ 8.70 (s, 1H), 8.07-8.11 (m, 2H), 7.43-7.54 (m, 4H), 6.98-7.02 (m, 2H), 3.91 (s, 3H); **^{13}C NMR (100 MHz, acetone-d_6)** δ 171.0, 167.1, 164.6, 150.7, 147.8, 141.6, 133.0, 132.9, 126.9, 124.8, 124.7, 123.4, 122.3, 116.6, 116.4, 115.9, 114.6, 55.5; **HRMS** (ESI) m/z calcd For $C_{16}H_{12}FNO_5S$ $[M+Na]^+$: 372.0312 Found 372.0405

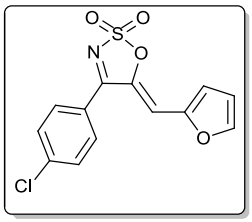
(Z)-5-(Pentylidene)-4-(4-fluorophenyl)-1,2,3-oxathiazole-2,2-dioxide (3b): Colourless liquid; yield: 89%; IR (KBr) ν 2960, 2932, 2873, 1660, 1605, 1544, 1506, 1414, 1383 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.77-7.84 (m, 2H), 7.22-7.29 (m, 2H), 5.95 (t, J =7.8 Hz, 1H), 2.42-2.48 (m, 2 H), 1.47-1.56 (m, 2 H), 1.32-1.43 (m, 2 H), 0.93 (t, J = 7.3 Hz, 3 H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 169.5, 167.7, 165.2, 146.0, 132.7, 132.6, 125.2, 124.4, 124.3, 117.2, 117.0, 30.7, 27.6, 22.8, 14.0; **HRMS** (ESI) m/z calcd For $C_{13}H_{14}FNO_3S$ $[M+Na]^+$: 306.0571. Found 306.0571



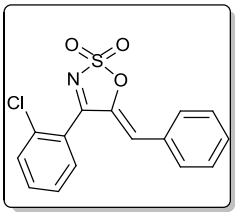


(Z)-5-(Benzylidene)-4-(4-chlorophenyl)-1,2,3-oxathiazole-2,2-dioxide (3ca): Yellowish solid; m.p. 190 °C; yield: 94%; **IR** (KBr) ν 3094, 3067, 1638, 1593, 1517, 1488, 1449, 1403, 1374, 1335 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.75-7.81 (m, 4H), 7.57-7.63 (m, 2H), 7.45-7.50 (m, 3H), 6.63 (s, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.1, 143.3, 140.8, 132.1, 131.8, 131.5, 131.1, 130.1, 129.5, 126.6, 121.0; **HRMS** (ESI) m/z calcd For $C_{15}H_{10}ClNO_3S$ $[M+Na]^+$: 341.9962. Found 342.0030.

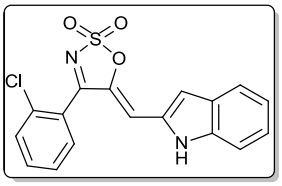
(Z)-5-(2-furylmethylidene)-4-(4-chlorophenyl)-1,2,3-oxathiazole-2,2-dioxide (3cj): Yellowish solid**;** m.p. 140 °C; yield: 90%; **IR** (KBr) ν 3093, 2920, 1628, 1591, 1489, 1407, 1345 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.78-7.80 (m, 1H), 7.75-7.77 (m, 1H), 7.65-7.67 (m, 1H), 7.59-7.61 (m, 1H), 7.56-7.58 (m, 1H), 7.27 (d, J = 8.8 Hz, 1H), 6.68 (s, 1H), 6.65-6.67 (m, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 169.8, 147.7, 147.2, 141.1, 140.8, 131.2, 131.2, 126.4, 120.0, 114.3, 108.5 ppm; **HRMS** (ESI) m/z calcd For $C_{13}H_8ClNO_4S$ $[M+Na]^+$: 331.9755. Found 331.9875.



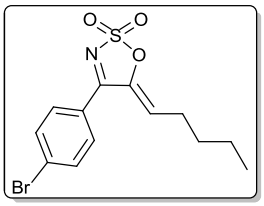
(**Z)-5-Benzylidene-4-(2-chlorophenyl)-1,2,3-oxathiazole-2,2-dioxide (3da):** Pale yellowish solid; m.p. 119 °C; yield: 91%; **IR** (KBr) ν 3048, 1652, 1597, 1548, 1468, 1383, 1338 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.72-7.75 (m, 2H), 7.59-7.62 (m,



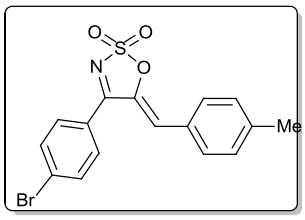
2H), 7.48-7.55 (m, 2H), 7.41-7.47 (m, 3H), 6.27 (s, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.1, 143.8, 133.5, 133.4, 132.1, 131.8, 131.2, 130.9, 130.9, 129.5, 127.6, 127.5, 120.6; **HRMS** (ESI) m/z calcd For $C_{15}H_{10}ClO_3S$ $[M+Na]^+$: 341.9962. Found 342.0050.



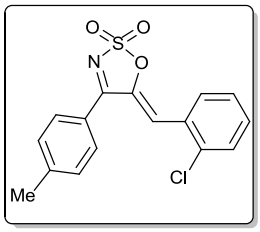
(Z)-5-(2indolylmethylidene)-4-(2-chlorophenyl)-1,2,3-oxathiazole-2,2-dioxide (3di): Reddish solid; m.p. 190 °C; yield: 95%; **IR** (KBr) ν 3418, 1634, 1613, 1523, 1509, 1467, 1439, 1375 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.18 (br s, 1 H), 7.59-7.65 (m, 3 H), 7.45-7.58 (m, 3 H), 7.33-7.39 (m, 1H), 7.12-7.18 (m,1 H), 6.94 (s, 1 H), 6.45 (s, 1 H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 169.5, 142.0, 139.7, 133.5, 131.3, 131.0, 129.6, 128.1, 127.6, 127.5, 122.4, 121.7, 114.8, 112.2, 111.3 ; **HRMS** (ESI) m/z calcd For $C_{17}H_{11}ClN_2O_3S$ $[M+ Na]^+$: 381.0071. Found 381.0121.



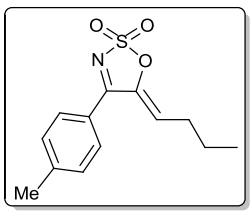
(Z)-5-(Pentylidene)-4-(4-bromophenyl)-1,2,3-oxathiazole-2,2-dioxide (3eo): Colourless liquid; yield: 90%; **IR** (KBr) ν 3072, 2954, 2929, 2866, 1600, 1588, 1530, 1482, 1371 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.71-7.73 (m, 2H), 7.69-7.71 (m, 2 H), 5.94 (t, J = 7.8 Hz, 1 H), 2.45 (q, J = 7.5 Hz, 2H), 1.46-1.55 (m, 2 H), 1.33-1.43 (m, 2 H), 0.93 (t, J = 7.3 Hz, 3 H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 169.7, 145.9, 133.0, 131.4, 129.4, 126.9, 125.2, 30.6, 27.6, 22.8, 14.0; **HRMS** (ESI) m/z calcd For $C_{13}H_{14}BrNO_3S$ $[M+Na]^+$: 365.9770. Found 365.9743.



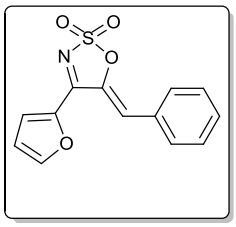
(Z)-5-(4-Methylbenzylidene)-4-(4-bromophenyl)-1,2,3-oxathiazole-2,2-dioxide (3eb): Yellowish solid; m.p. 156 °C; yield: 94%; **IR** (KBr) ν 2922, 2853, 1637, 1585, 1512, 1481, 1371 cm^{-1}; ; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.74-7.77 (m, 2H), 7.65-7.72 (m, 4H), 7.26-7.30 (m, 2H), 6.59 (s, 1H), 2.42 (s, 3H); ^{13}C **NMR (100 MHz, $CDCl_3$)** δ 171.2, 143.2, 142.8, 133.1, 131.9, 131.5, 130.4, 129.1, 128.4, 127.2, 121.3, 22.1;



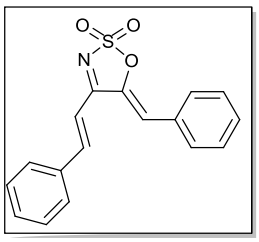
(Z)-5-(4-Methylbenzylidene)-4-(2-chlorophenyl)-1,2,3-oxathiazole-2,2-dioxide (3fs): Yellowish solid; m.p. 134 °C; yield: 85%**; IR** (KBr) ν 2924, 2362, 2344, 1636, 1608, 1522, 1498, 1469, 1440, 1390, 1349 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.18-8.21 (m, 1H), 7.79-7.81 (m, 2H), 7.36-7.48 (m, 5H), 7.23 (s, 1H), 2.51 (s, 3 H) ; **^{13}C NMR (100 MHz, $CDCl_3$)** δ 172.1, 145.6, 144.3, 136.1, 132.4, 132.0, 130.5, 130.5, 130.4, 130.3, 129.3, 127.9, 125.2, 22.1; **HRMS** (ESI) m/z calcd For $C_{16}H_{12}ClNO_3S$ $[M+Na]^+$: 356.0119. Found 356.0192.



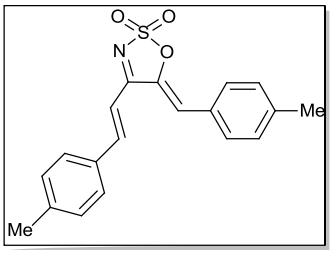
(Z)-5-Butylidene-4-(4-methylphenyl)-1,2,3-oxathiazole-2,2-dioxide (3fn): Colourless liquid; yield: 91%; **IR** (KBr) ν 3069, 3037, 2937, 2877, 2361, 2342, 1659, 1610, 1574, 1533, 1507, 1467, 1428, 1372, 13451304, 1200, 1161, 1103, 1048 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.66-7.69 (m, 2H), 7.34-7,37 (m, 2H), 5.98 (t, J = 7.8 Hz, 1H), 2.46 (s, 3H), 2.42 (q, J = 7.8 Hz, 1H), 1.51-1.60 (m, 2H), 0.98 (t, J = 7.3 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.6, 146.2, 145.4, 130.3, 130.2, 125.3, 124.6, 29.7, 22.1, 22.0 14.1; **HRMS** (ESI) m/z calcd For $C_{13}H_{15}NO_3S$ $[M+Na]^+$: 288.0665. Found 288.1004.



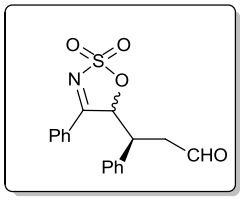
(Z)-5-Benzylidene-4-(2-furyl)-1,2,3-oxathiazole-2,2-dioxide (3ga): Light greenish solid; m.p. 150 °C; yield: 89%; **IR** (KBr) ν 2924, 2853, 1638, 1577, 1513, 1467, 1370, 1331 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.88-7.90 (m, 1H), 7.82-7.86 (m, 2H), 7.64-7.66 (m, 1H), 7.43-7.49 (m, 4H), 6.75-6.78 (m, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 158.0, 149.9, 145.3, 141.7, 132.0, 131.7, 131.6, 129.4, 123.2, 120.6, 114.2; **HRMS** (ESI) m/z calcd For $C_{13}H_9NO_4S$ $[M+Na]^+$: 298.0144. Found 298.0242.



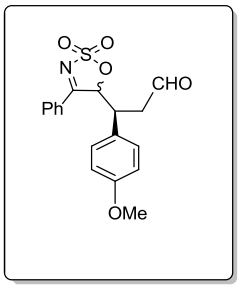
(Z)-5-Benzylidene-4-(*trans*-2-phenylethylene)-1,2,3-oxathiazole-2,2-dioxide (3ha): yield 87%; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.16 (d, J = 15.28 Hz, 1H), 7.82-7.84 (m, 2H), 7.69 (d, J = 7.6 Hz, 2H), 7.47-7.50 (m, 6H), 7.08 (d, J = 15.28 Hz, 1H), 6.73 (s, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 167.1, 148.7, 143.8, 133.9, 132.1, 131.4 (2C), 130.9, 129.3, 129.2, 129.1, 115.5, 110.9; HRMS (ESI) m/z calcd For $C_{17}H_{13}NO_3S$ $[M+Na]^+$: 334.0508. Found 334.0563



(Z)-5-(4-methylbenzylidene)-4-[*trans*-2-(4-methylphenylethylene)]-1,2,3-oxathiazole-2,2-dioxide (3hb): Yield 83%; **^{1}H NMR (400 MHz, DMSO-d_6)** δ 8.14 (d, J = 15.6 Hz, 1H), 7.87 (d, J = 8.0Hz, 2H), 7.76 (d, J= 8.0 Hz, 2H), 7.67-7.71 (m, 2H), 7.39 (d, J = 8.0Hz, 2H), 7.35 (d, J = 8.0 Hz, 2H), 2.38 (s, 6H);**^{13}C NMR (100 MHz, DMSO-d_6)** δ 168.0, 148.0, 142.9, 142.5, 141.9, 131.5, 131.2, 130.0, 129.7 (2C), 128.5, 117.2, 114.4, 21.2; HRMS (ESI) m/z calcd For $C_{19}H_{17}NO_3S$ $[M+K]^+$: 378.0561. Found 378.0328.



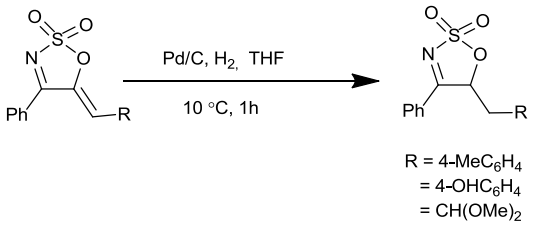
5-(3-phenylpropanal)-4-phenyl-5*H*-1,2,3-oxathiazole-2,2-dioxide(4aa): *trans:cis* = 80:20; Orange solid; m.p. 58 °C; yield: 63%; **IR (KBr)** ν 3064, 2943, 2863, 1714, 1598, 1569, 1496, 1450, 1369 cm^{-1}; **^{1}NMR (400 MHz, $CDCl_3$)** δ (major isomer) 9.92 (s, 1H), 7.95-7.98 (m, 2H), 7.72-7.77 (m, 1H), 7.59-7.64 (m, 2H), 7.20-7.25 (m, 1H), 7.14-7.18 (m, 2H), 6.76-6.79 (m, 2H), 6.28 (d, J = 2.4 Hz, 1H), 4.04-4.08 (m, 1H), 3.49-3.57 (m, 1H), 2.99-3.04 (m, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ (major isomer) 200.5, 178.1, 135.5, 134.2, 129.9, 129.8, 129.2, 128.9, 128.8, 128.4, 89.5, 46.3, 41.7; **HRMS** (ESI) m/z calcd For $C_{17}H_{15}NO_4S$ $[M+Na]^+$: 352.0614. Found 352.0700.



5-[3-(4-methoxyphenyl)propanal]-4-phenyl-5*H*-1,2,3-oxathiazole-2,2-dioxide (4ab): Orange solid; m.p. 62 °C; yiled: 59%; **IR (KBr)** ν 2928, 2841, 1720, 1601, 1569, 1514, 1451, 1370 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ (mixture of diastereoisomers, *trans:cis* = 75: 25) 9.87 (s, 0.75 H), 9.49-9.50 (m, 0.25H), 7.93-7.96 (m, 1.5H), 7.86-7.90 (m, 0.5H), 7.71-7.76 (m, 1.5H), 7.57-7.63 (m, 2.5H), 7.25-7.28 (m, 0.7H), 6.88-6.91 (m, 0.7H), 6.65-6.70 (m, 4H), 6.25 (d, J = 2.5 Hz, 0.75 H), 6.00 (d, J = 2.24 Hz, 0.25H), 3.99-4.03 (m, 0.75H), 3.89-3.93 (m, 0.25 H), 3.80 (s, 0.75H), 3.71 (m, 2.25H), 3.42-3.50 (m, 0.75H), 2.93-3.02 (m, 1H), 2.75-2.82 (m, 0.25H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ *(major isomer)* 200.6, 178.2, 159.8, 135.4, 130.3, 129.9, 129.8, 129.3, 126.1,

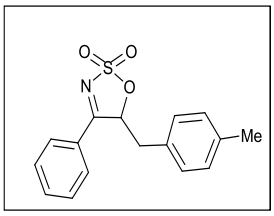
114.1, 89.8, 55.4, 46.6, 41.0 **HRMS** (ESI) m/z calcd For $C_{18}H_{17}NO_5S[M+Na]^+$: 382.0720. Found 382.0720.

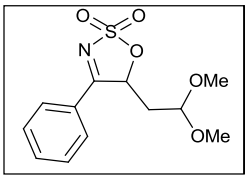
General experimental procedure for the synthesis of 5-alkyl-4-phenyl-5*H*-1,2,3,-oxathiazole-2,2-dioxides:



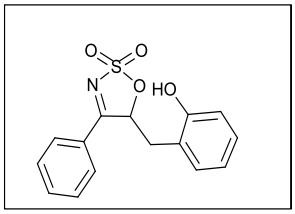
5-Alkylidene-4-phenyl-1,2,3-oxathiazole-2,2-dioxide was hydrogenated in the presence of 10% Pd/C in THF medium at 10 °C for 1h. The reaction was monitored by TLC. After completion of the reaction, the reaction mixture was filtered through Celite and washed with EtOAc. The filtrate was concentrated under reduced pressure to leave the crude product which was purified by column chromatography over silica-gel to furnish the desired product. The product was fully characterized by spectroscopic data (IR, NMR, HRMS).

5-(4-Methylbenzyl)-4-Phenyl-5*H*-1,2,3-oxathiazole-2,2-dioxide (8): Yield: 88%**;** **IR** (KBr) ν 2925, 1596, 1568, 1514, 1449, 1362 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.89-7.92 (m, 2H), 7.71-7.76 (m, 1H), 7.57-7.61 (m, 2H), 7.05-7.13 (m, 4H), 5.98-6.01 (m, 1H), 3.27-3.32 (m, 1H), 3.12-3.18 (m, 1H), 2.33 (s, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 178.5, 137.9, 135.7, 131.2, 129.9 (2C), 129.8, 129.6, 127.8, 88.6, 39.9, 21.4; **HRMS** (ESI) m/z calcd For $C_{16}H_{15}NO_3S$ $[M+Na]^+$: 340.0404. Found 340.0786.



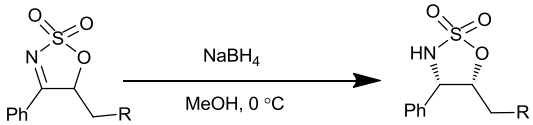


5-(1,1-dimethoxyethyl)-4-Phenyl-5H-1,2,3-oxathiazole-2,2-dioxide (9): Colourless gummy liquid; yield: 86%; **IR** (KBr) ν 2931, 2364, 2340, 1634, 1600, 1570, 1452, 1355 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.91-7.92 (m, 1H), 7.89-7.90 (m, 1H), 7.67-7.72 (m, 1H), 7.54-7.59 (m, 2H), 5.99 (dd, J = 8.8, 2.8 Hz),4.63 (dd, 6.8, 4.2 Hz, 1H), 3.48 (s, 3H), 3.33 (s, 3H), 2.28-2.34 (m, 1H), 2.14-2.21 (m, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)**: δ 179.2, 135.6, 129.8, 127.6, 102.1, 85.0, 55.8, 54.7, 38.2**;** **HRMS** (ESI) m/z calcd For $C_{12}H_{15}NO_5S$ $[M+Na]^+$: 308.0563. Found 308.0691.

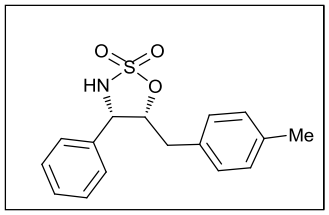


5-(2-Hydroxylbenzyl)-4-Phenyl-5H-1,2,3-oxathiazole-2,2-dioxide (10): Light greenish solid**;** yield: 91%; **IR**(KBr) ν 3493, 2924, 1598, 1567, 1502, 1456, 1368 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.10-8.13 (m, 2H), 7.69-7.74 (m, 1H), 7.55-7.60 (m, 2H), 7.17-7.22 (m, 2H), 6.90-6.95 (m, 1H), 6.80-6.82 (m, 1H), 6.17-6.21 (m, 1H), 5.30 (s, 1H), 3.56-3.61 (m, 1H), 2.86-2.93 (m, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 179.2, 153.7, 135.8, 132.5, 130.3, 129.8, 129.6, 127.6, 121.8, 115.6, 87.4, 36.6; **HRMS** (ESI) m/z calcd For $C_{15}H_{13}NO_4S$ $[M+Na]^+$: 326.0457. Found 326.0471.

General experimental procedure for the synthesis of 5-alkyl-4-phenyl-1,2,3,-oxathiazolidine-2,2-dioxide:

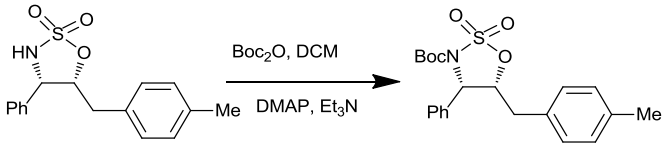


To a stirred solution of 5-alkyl-4-phenyl-5*H*-1,2,3,-oxathiazole-2,2-dioxide in MeOH was added $NaBH_4$ at 0 ºC. The stirring was continued for 30 min. Then MeOH was evaporated before being quenched with 1N HCl. After that the reaction mixture was extracted with EtOAc, washed with brine and dried with Na_2SO_4. Evaporation of the solvent left the crude product which was purified column chromatography over silica gel to provide the pure product. All the products were characterized by their spectroscopic data (IR, NMR and HRMS).



***cis*-4-Phenyl-5-(4-methylbenzyl)-1,2,3-oxathiazolidine-2,2-dioxide (11)** White solid; Yield: 96%; **IR** (KBr) ν 3285, 2923, 1515, 1457, 1402, 1375, 1332 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)** *δ (cis isomer)* 7.38-7.46 (m, 5H), 7.05-7.08 (m, 2H), 6.91-6.96 (m, 2H), 5.24-5.30 (m, 1H), 5.05 (d, *J* = 4.0 Hz, 1H), 4.96 (dd, *J* = 5.6, 4.0 Hz, 1H), 2.68-2.76 (m, 1H), 2.41-2.47 (m, 1H), 2.31 (s, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)**: *δ (cis isomer)* 137.1, 135.3, 132.3, 129.7, 129.6, 129.4, 129.3, 128.0, 86.7, 63.7, 36.5, 21.4; **HRMS** (ESI) m/z calcd For $C_{16}H_{17}NO_3S$ $[M+Na]^+$: 326.0821. Found 326.0903

The relative configuration (*cis*) of the product was assigned by the coupling constant of vicinal hydrogen ($J_{H\text{-}5,H\text{-}4}$ = 4.4 Hz), which was further confirmed by single crystal x-ray diffraction data of its Boc protected form (**Figure 2**).



***Cis*-N-Boc-4-Phenyl-5-(4-methylbenzyl)-1,2,3-oxathiazolidine-2,2-dioxide (13): White solid;** m.p. 125 °C; yield; **IR** (KBr) ν 2984, 2935, 1731, 1633, 1519, 1374, 1323 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)**: *δ* 7.37-7.46 (m, 5H), 7.06-7.10 (m, 2H), 6.89-6.92 (m, 2H), 5.27-5.32 (m, 1H), 5.17 (d, *J* = 4.4 Hz, 1H), 2.49-2.63 (m, 2H), 2.32 (s, 3H), 1.43 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)**: *δ* 148.5, 139.5, 137.4, , 131.4, 129.7, 129.6, 129.2(2C), 128.3, 85.8, 83.5, 65.1, 36.1, 28.2, 21.4; **HRMS** (ESI) m/z calcd For $C_{21}H_{25}NO_5S$ $[M+Na]^+$: 426.1346. Found 426.1387.

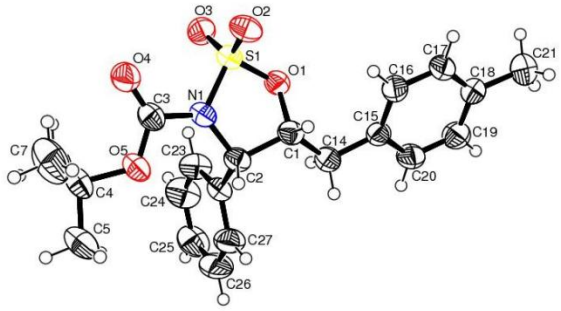
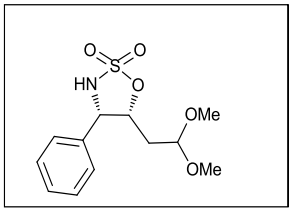


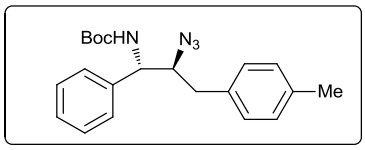
Fig. 2 Molecular structure of compound **13**

Table 2 Crystal data of compound 13

Compound	**Compound 13**
Empirical formula	C_{21} H_{25} N O_5 S
Molecular weight	403.48
Temperature	150(2) K
Wavelength (Å)	0.71073 A
Crystal system, space group	Monoclinic, P21/n
a (Å)	a = 9.8446(3) A
b (Å)	b = 14.2440(3) A
c (Å)	c = 15.0095(3) A
α (°)	alpha = 90 deg.
β (°)	beta = 97.378(2) deg.
γ (°)	gamma = 90 deg.
Volume ($Å^3$)	2087.30(9) A^3
Z, Calculated density (mg/m^3)	4, 1.284 Mg/m^3
Absorption coefficient (mm^{-1})	0.186 mm^-1
F(000)	856
Crystal size (mm)	0.23 × 0.18 × 0.12 mm
θ range (deg)	3.00 to 24.99 deg.
Limiting indices	-11<=h<=9, -16<=k<=16, -17<=l<=1
Reflections collected / unique	17195 / 3671 [R(int) = 0.0243]
Completeness to θ = 25.00	99.8 %
Max. and min. transmission	0.9780 and 0.9584
Data / restraints / parameters	3671 / 0 / 257
Goodness-of-fit on F^2	1.094
Final R indices [I>2sigma(I)]	R1 = 0.0393, wR2 = 0.1062
R indices (all data)	R1 = 0.0448, wR2 = 0.1111
Largest diff. peak and hole ($e.A^{-3}$)	0.262 and -0.320 e.A^-3
CCDC	913689



***cis*-4-Phenyl-5-(1,1-dimethoxyethyl)-1,2,3-oxathiazolidine-2,2-dioxide (12): *syn* : *anti* = 5:1**; Colourless gummy liquid; yield: 92%; **IR** (KBr) ν 2925, 2854, 1632, 1461 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$):** δ (major isomer) 7.34-7.42 (m, 5H), 5.45-5.55 (m, 1H), 5.19-5.24 (m, 1H), 5.13 (br s, 1H), 4.97 (dd, *J* = 6.0, 4.8 Hz, 1H), 4.36-4.39 (m, 1H), 3.34 (s, 3H), 3.23 (s, 3H), 1.62-1.69 (m, 1H), 1.45-1.52 (m, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)**: δ *(major isomer)* 135.2, 129.6, 129.5, 127.6, 102.2, 83.2, 63.4, 54.2, 54.1, 34.7; **HRMS** (ESI) m/z calcd For $C_{12}H_{17}NO_5S$ $[M+Na]^+$: 310.0720. Found 310.0815.



***Trans*-N-Boc-2-Azido-1-phenyl-3-(4-methylphenyl)-1-aminopropane (15):** White crystalline solid; yield: 95% IR (KBr): 3394, 2978, 2925, 2856, 2117, 2082, 1689, 1509, 1456, 1350, 1319, 1241, 1161 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.32-7.37 (m, 2H), 7.23-7.30 (m, 3H), 7.14 (s, 4H), 5.29 (br s, 1H), 4.83 (br s, 1H), 3.84-3.89 (m, 1H), 2.84-2.95 (m, 2H), 2.34 (s, 3H), 1.46 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)**: δ 155.6, 140.7, 136.9, 134.3, 129.8, 129.5, 129.0, 128.0, 126.7, 80.3, 69.8, 56.4, 38.7, 28.7, 21.4; **HRMS** (ESI) m/z calcd For $C_{21}H_{26}N_4O_2$ $[M+Na]^+$: 389.1948. Found 389.1985.

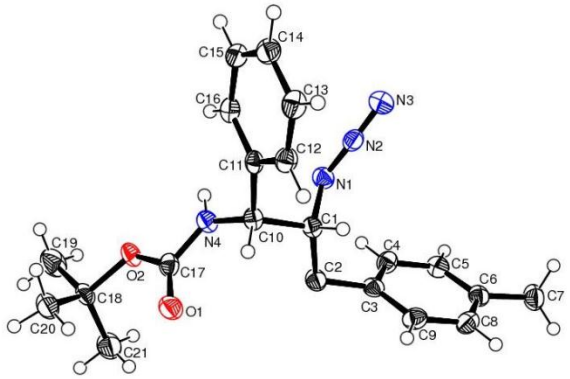
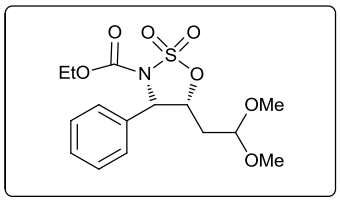


Fig. 3. Molecular structure of compound **15**

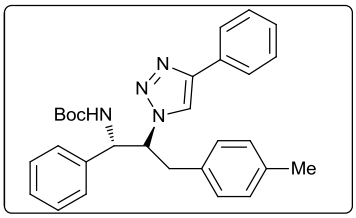
Table 3. Crystal data of compound 15

Compound	Compound **15**
Empirical formula	C_{21} H_{26} N_4 O_2
Molecular weight	366.45
Temperature	293(2) K
Wavelength (Å)	0.71073 A
Crystal system, space group	monoclinic, P 21/c
a (Å)	a = 5.47870(10) A
b (Å)	b = 21.0779(6) A
c (Å)	c = 16.8942(4) A
α (°)	alpha = 90 deg.
β (°)	beta = 93.526(2) deg.
γ (°)	gamma = 90 deg
Volume ($Å^3$)	1947.24(8) A^3
Z, Calculated density (mg/m^3)	4, 1.243 Mg/m^3
Absorption coefficient (mm^{-1})	0.082 mm^-1
F(000)	776
Crystal size (mm)	0.08 x 0.05 x 0.02 mm
θ range (deg)	3.09 to 25.00 deg.
Limiting indices	-6<=h<=6, -25<=k<=24, -17<=l<=20
Reflections collected / unique	13935 / 3431 [R(int) = 0.0367]
Completeness to θ = 25.00	99.9 %
Max. and min. transmission	0.9984 and 0.9935
Data / restraints / parameters	3431 / 0 / 248
Goodness-of-fit on F^2	1.068
Final R indices [I>2sigma(I)]	R1 = 0.0501, wR2 = 0.1321
R indices (all data)	R1 = 0.0634, wR2 = 0.1427
Largest diff. peak and hole ($e.A^{-3}$)	0.752 and -0.203 e.A^-3
CCDC	**913690**

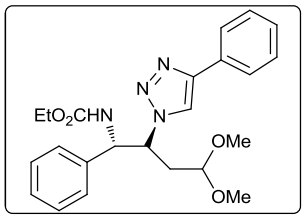


***Cis*-N-Ethoxycarbonyl-4-phenyl-5-(1,1-dimethoxyethyl)-1,2,3-oxathiazolidine-2,2-dioxide (14):** Colourless gummy liquid; **IR (KBr):** ν 2986, 2940, 2838, 1742, 1633, 1460, 1378, 1313 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$):** δ *(major)* 7.37 (s, 5H), 5.24-5.29 (m, 1H), 5.22 (d, J = 5.6 Hz, 1H), 4.39 (dd, J = 7.5, 3.5 Hz, 1H), 4.20-4.24 (m, 2H), 3.32 (s, 3H), 3.23 (s, 3H), 1.59-1.66 (m, 1H), 1.44-1.52 (m, 1H), 1.17-1.24 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ (major) 149.7, 134.2, 129.2, 127.9, 101.5, 80.6, 65.3, 64.4, 54.9, 53.8, 34.1, 14.2; **HRMS** (ESI) m/z calcd For $C_{15}H_{21}ClNO_7S$ $[M+Na]^+$: 382.0931. Found 382.1012.

***Trans*-1-N-Ethoxycarbonyl-3-azido-4-phenyl-1,1-dimethoxy-4-aminobutane (16):** Colourless gummy liquid; yield: 92%; **IR (KBr):**2928, 2853, 2108, 1701, 1531, 1428, 138 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.27-7.38 (m, 5H), 5.46 (d, J = 9.2 Hz), 4.84 (m, 1H), 4.55 (dd, J = 6.8, 4.8 Hz, 1H), 4.07-4.13 (m, 2H), 3.83-3.88 (m, 1H), 3.34 (s, 3H), 3.33 (s, 3H), 1.80-1.94 (m, 2H), 1.19-1.25 (m, 3H); **^{13}C NMR (100 MHz, $CDCl_3$):** δ 156.5, 140.0, 129.1, 128.2, 126.7, 102.4, 64.5, 62.7, 61.6, 53.8, 35.8, 14.8; **HRMS** (ESI) m/z calcd For $C_{15}H_{22}N_4O_4$ $[M+Na]^+$: 345.1533. Found 345.1600.

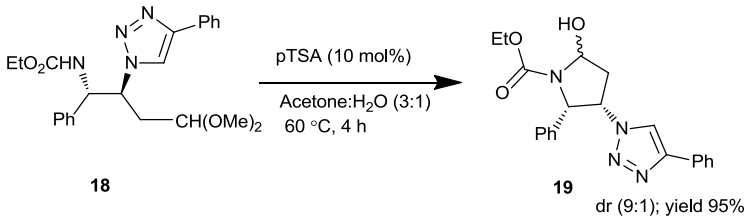


***Trans*-1-(4-Methylphenyl)-1-N-Boc-amino-2-(4-phenyltriazole)-1-phenylpropane (17):** White solid compound; yield: 96%; IR (KBr) ν 3393, 3270, 3119, 3054, 3031, 2962, 2926, 2856, 1705, 1516, 1458, 1365 cm^{-1}; **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.59-7.62 (m, 2H), 7.34-7.38 (m, 2H), 7.28-7.30 (m, 1H), 7.16-7.23 (m, 3H), 6.98-7.02 (m, 4H), 6.94 (s, 1H), 6.87-6.91(m, 2H), 6.42 (d, J = 8.7 Hz, 1H), 5.26-5.31 (m, 1H), 4.68-4.74 (m, 1H), 3.49-3.56 (m,1H), 3.33-3.38 (m, 1H), 2.25 (s, 3H), 1.43 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$):** δ 155.8, 146.8, 139.7, 137.0, 133.8, 130.6, 129.8, 129.1, 129.0, 129.0, 128.4, 128.1, 126.3, 125.9, 122.1, 80.3, 69.3, 53.7, 39.0, 31.3, 21.3; **HRMS** (ESI) m/z calcd For $C_{29}H_{32}N_4O_2$[M+H]$^+$: 469.2598. Found: 469.2616.



***Trans*-1-(4-Methylphenyl)-1-N-Boc-amino-2-(4-phenyltriazole)-1-phenylpropane (18):** White Solid Compound; yield: 91%; **IR (KBr)** ν 3297, 3123, 3061, 2949, 2634, 1708, 1541, 1461, 1370 cm^{-1}; **^{1}NMR (400 MHz, $CDCl_3$)**: δ 7.67-7.71 (m, 2H), 7.36-7.41(m, 2H), 7.29-7.33 (m, 1H), 7.18-7.23 (m, 4H), 6.98-7.01 (m, 2H), 6.42-6.44 (m, 1H), 5.23-5.25 (m, 1H), 4.80-4.85 (m, 1H), 4.05-4.14 (m, 2H), 3.30 (s, 3H), 3.25 (s, 3H), 2.59-2.66 (m, 1H), 2.35-2.40 (m, 1H), 1.20-1.25 (m, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)**: δ 156.6, 147.1, 139.2, 130.5, 129.2, 129.1, 128.6, 128.3, 126.3, 126.0, 121.9, 102.0, 63.1, 61.6, 54.2, 53.9, 35.9, 14.8; **HRMS** (ESI) m/z calcd For $C_{23}H_{28}N_4O_4$[M+H]$^+$: 425.2183. Found: 425.2266.

Synthesis of compound 1-Ethoxycarbonyl-2-hydroxy-4-(4-phenyltriazole)-5-phenylpyrrolidine (19):



To a stirred solution of compound **18** (0. 1 mmol) in acetone/water (3: 1) medium was added pTSA (0.01 mmol). The reaction mixture was heated at 60 °C for 4h. The progress of the reaction was monitored by TLC. After completion of the reaction, the mixture was extracted with ethyl acetate (3 × 10 mL), washed with water and brine respectively and dried with Na_2SO_4. The organic phase was evaporated by rotary evaporator under reduced pressure to give the crude product. The crude product was purified by column chromatography over silica gel to furnish the pure product (95%). The product was characterized by corresponding spectroscopic data (IR, NMR, HRMS).

White Solid; yield: 95% ; **IR** (KBr) ν 3447, 3133, 2985, 2911, 1698, 1433, 1384 cm^{-1}; **^{1}H NMR (400 MHz, DMSO-d6, mixture of diastereomer = 90:10)** *δ (major diastereomer)* 8.20 (s, 1H), 7.62-7.64 (m, 2H), 7.38-7.43 (m, 2H), 7.29-7.33 (m, 1H), 7.10-7.18 (m, 5H), 6.69 (br s), 5.77-5.81 (m, 1H), 5.59-5.65 (m, 1H), 5.38 (d, *J* = 7.8 Hz, 1H), 4.05-4.08 (m, 2H), 2.80-2.87 (m, 1H), 2.56-2.62 (m, 1H), 1.18-1.21 (m, 3H) ; **^{13}C NMR (100 MHz, DMSO-d6)** *δ* (*major diastereomer*)154.1, 145.7, 137.2, 130.6, 128.8, 127.8, 127.7, 127.6, 127.1, 126.7, 124.9, 121.0, 79.7, 63.9, 60.7, 59.4, 35.8 14.5; **HRMS** (ESI) m/z calcd For $C_{21}H_{22}N_4O_3$ $[M+K]^+$: 417.1323. Found 417.1426.

References:

1. G. M. Sheldrick, *Acta Crystallogr., Sect. A,* 2008, **A64**, 112-122. *Program for Crystal Structure Solution and Refinement;* University of Goettingen: Goettingen, Germany, 1997.
2. Y.-Q. Wang, C.-B. Yu, D.-W. Wang, X.-B. Wang and Y.-G. Zhou, *Org. Lett*., 2008, **10,** 2071.