Synthesis of 4-oxazolinephenylboronic acid and heterobiaryl oxazolines via a Suzuki reaction

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An efficient synthesis of 4-oxazolinephenylboronic acid from 4-bromobenzoic acid is reported. The title compound couples with heteroaryl halides in presence of $Pd(PPh_3)_4$ and Na_2CO_3 in aqueous toluene to give heterobiaryl oxazolines.

Keywords: 4-oxazolinephenylboronic acid, Suzuki-coupling, biaryl, heteroaryl halides, palladium catalyst

Heterocyclic compounds such as oxazolines are of interest to organic chemists, because they are present in various biologically active natural products.[1] Furthermore, the optically active heterocyclic compounds have been successfully used in asymmetric synthesis as chiral templates or ligands.

The Suzuki reaction is a powerful tool for the construction of C–C bonds in the synthesis of heterobiaryl oxazolines.[2-3] As a result of the developments in this area, there are increasing demands for boronic acid products. In the process of preparing a variety of boronic acids, we needed a method to prepare 4-oxazolinephenylboronic acid **3**. Oxazolinephenylboronic acids are typically prepared from 2-, 3- and 4- bromooxazolines using nBuli and triisopropyl borate at –78 °C. The bromooxazolines[4] are prepared from commercially available 2-, 3- and 4- bromobenzoic acids using thionyl chloride and 2-amino-2-methyl propane-1-ol under mild conditions.

However, there is no exact procedure for the synthesis of these oxazolinephenylboronic acids. We describe here a practical method for the preparation of 4-oxazolinephenylboronic **3** acid and its Suzuki coupling reactions with heteroaryl halides under optimal conditions to prepare heterobiaryl(–4–/–3–/–2–)oxazolines.The title compound was prepared from 4-bromooxazoline **2** by reacting it with n-BuLi and triisopropyl borate in THF at –78 °C. This easy preparation and the economical starting material make this method potentially useful for the large-scale synthesis of 4-oxazolinephenylboronic acid **3**. In the case of 4- and 3-oxazolinephenylboronic acid, the yield was ~70–80%. On the other hand, 2-oxazolinephenylboronic acid the yield was considerably lower at ~30% possibly because of steric hindrance.

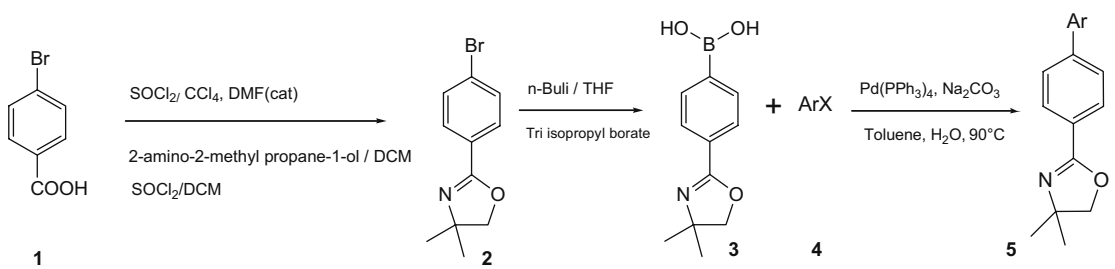
With 4-oxazolinephenylboronic acid in hand, several Suzuki coupling reactions were carried out. However with 3- and 2-oxazolinephenylboronic acids only two reactions were performed. Scheme 1 also gives general conditions for the cross-coupling reactions of 4-oxazolinephenylboronic acid **3** with some readily accessible heteroaryl halides **4**. In a typical example an equimolar amount of boronic acid **3** and halide **4** was heated with under optimal reaction conditions (5 mol% Pd $(PPh_3)_4$, 3 equiv. of 1M aq. Na_2CO_3 solution in toluene at 90 °C for the time indicated in Table 1. The coupling reactions for reactive monohalides **4a–i** proceed in moderate to excellent yields (55–90%), with minimal work-up.

The heteroaryl halides shown in Table 1 demonstrate the scope of the reaction. Under the reaction conditions, 2- and 3-bromopyridines (entries a and b) gave the corresponding heterobiaryl oxazoline in 82% and 90% yield respectively.[5] 4-Bromopyridine hydrochloride (entry c) also underwent the reaction and the coupling product was an off-white solid obtained in 85% yield. As expected, 3-bromoquinoline (entry d) gave the desired product within 1 h. In the case of entries e and f under the same conditions the observed yield was 65% and 60% respectively. However, in the case of entry f, a detosylated coupled product was observed. Though bromopyrimidines are more reactive than the analogous bromopyridines, the observed yield was less. In case of π-excessive hetero aromatic compounds (entries g, h and i), the observed yield was 75%, 80% and 55% respectively.

Table 1 showed that all reactions are fast and proceed with moderate yield except for the chloro substituted moiety.

The cross-coupling of 3- and 2-oxazolinephenylboronic acids **6** and **8** with selected heteroaryl halides **4g** and **4i** were also examined (Scheme 2). Similar to the 4-oxazolinephenylboronic acid **3**, 3-oxazolinephenylboronic acid **6** gave the corresponding heterobiaryl oxazolines **7g** and **7i** in the same yield as earlier. On the other hand, 2-oxazolinephenylboronic acid **8** was only partially converted to the corresponding heterobiaryl oxazolines **9g** (30%) and **9i** (20%) using the standard conditions. This may be due to steric constraints.

In conclusion, we have developed a practical method from readily available starting materials, for preparation of 4-oxazolinephenylboronic acid under optimal conditions. The title compound reacts with the different heteroaryl halides under optimal Suzuki condition to afford the heterobiaryl oxazolines in fair to good yields.



1 2 3 4 5

Scheme 1

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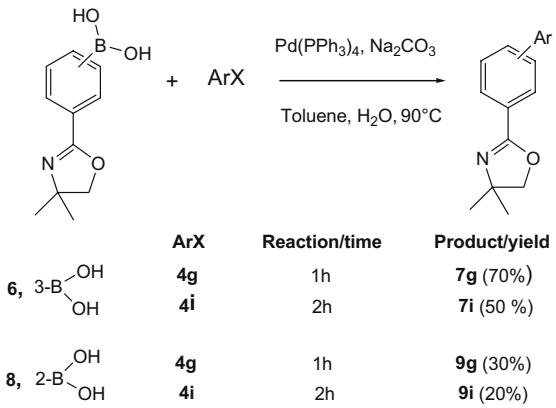
Table 1 Coupling reactions of 4-oxazolinephenylboronic acid **3** with heteroaryl halides **4**

Entry	Ar–X	Time/h	Yield/%
a	2-Bromopyridine	2	82
b	3-Bromopyridine	1	90
c	4-Bromopyridine	1	85
d	3-Bromoquinoline	1	80
e	5-Bromopyrimidine	1	65
f	4-Chloro-7-tosyl-7H-pyrrolo [2, 3-d] pyrimidine	4	60
g	2-Bromothiophene	1	75
h	3-Bromothiophene	1	80
i	3-Bromofuran	2	55



Scheme 2

Experimental

All solvents and reagents were purchased from the suppliers and used without further purification. All non-aqueous reactions were performed in dry glassware under an atmosphere of dry nitrogen. Organic solutions were concentrated under reduced pressure. TLC was performed on Merck precoated Silica-gel $60F_{254}$ plates. ^1H and ^{13}C NMR spectra were recorded in DMSO-d_6 and $CDCl_3$ at 400 MHz, on a Varian Gemini 400 MHz FT NMR spectrometer. The chemical shifts were reported in δ ppm relative to TMS. The mass spectra were recorded on Shimadzu LCMS-QP 800 LC-MS and AB-4000 Q-trap LC-MS/MS. Melting points were obtained by using the open capillary method and are uncorrected.

4-Oxazolinephenylboronic acid (**3**)
4-Bromooxazoline **2**[4] (10 g, 39.37 m.mol) was dissolved in dry THF (50 mL) and cooled to –78 °C under N_2. After the internal temperature reached –78 °C, n-BuLi (1.6M in hexane, 60 mL, 98.42 m.mol) was added dropwise under N_2 over 30 min; a yellow solid precipitated. The reaction mixture was stirred at the same temperature for 1 h. At the same temperature neat triisopropyl borate (14.8 g, 78.74 mmol) was added to the reaction mixture. The solids dissolved and a brown homogeneous solution was observed. The reaction mixture was warmed to −15 °C and stirred at this temperature for 1 h. The reaction was monitored by TLC until there was no starting material present. The reaction mixture was then quenched with an ice-cold solution of 2 N HCl (50 mL). The reaction mixture was warmed to 30 °C and stirred at the same temperature for another 1 h. The reaction mixture was diluted with water (30 mL) and extracted with methyl t-butylether (MTBE) (50 mL). The organic layer was removed and the aqueous layer was washed with MTBE (30 mL). The aqueous layer was basified with 10% aq. $NaHCO_3$ solution to make pH~ 6 and extracted with ethyl acetate (2 × 50 mL). The ethyl acetate layer was dried over $MgSO_4$ and concentrated under reduced pressure. The residue was dissolved in heptanes (50 mL) and the solvent distilled off to give a yellow solid. The solid was triturated with heptanes (50 mL) and filtered to give a pure yellow solid **3**[9] (6.9 g) with 80% yield, m.p. 112–115 °C, ^1H NMR (400 MHz,DMSO-d_6) δ 8.22 (s, 2H), 7.82 (d, J = 7.4 Hz, 2H), 7.77 (d, J = 7.2 Hz, 2H), 4.06 (s, 2H), 1.24 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 28.3, 67.3, 78.2, 126.0, 128.3, 132.2, 134.2, 160.6; ESIMS: *m/z* Calcd [M +]: 219; Found: 220 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 219.0447; Found: 220.0627 [M + H +].

3-Oxazolinephenylboronic acid (**6**): Preparative procedure was the same as for compound **3,** to give a yellow solid, 70% yield**,** m.p. 140–145 °C, ^1H NMR (400 MHz,DMSO-d_6) δ 8.23 (s, 1H), 8.16 (s, 2H), 7.85 (m, J = 7.2 Hz, 1H), 7.80 (m, J = 8 Hz, 1H), 7.37 (m, J = 7.2 Hz, 1H), 4.03 (s, 2H), 1.24 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 161.3, 137.4, 134.1, 129.8, 129.7, 128.0, 127.2, 78.7, 67.8, 28.7; ESIMS: *m/z* Calcd [M +]: 219; Found: 220 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 219.0447; Found: 220.0426 [M + H +].

2-Oxazolinephenylboronic acid (**8**): Preparative procedure was the same as for compound **3**. Compound **8** was purified by column chromatography (silica, 4:6 hexane/EtOAc) as an eluent; Rf = 0.1, 30% yield, white solid, m.p. 115–120 °C, ^1H NMR (400 MHz,DMSO-d_6) δ 8.04 (d, J = 8 Hz, 1H), 8.01 (s, 2H), 7.53 (m, J = 7.6 Hz, 1H), 7.40 (m, J = 8 Hz, 1H), 7.31 (m, J = 7.6 Hz, 1H), 4.11 (s, 2H), 1.29 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.2, 137.3, 135.5, 130.2, 129.9, 128.8, 128.5, 78.9, 68.0, 27.8; ESIMS: *m/z* Calcd [M +]: 219; Found: 220 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 219.0447; Found: 220.0128 [M + H +].

Typical procedure for Suzuki coupling
Pd $(PPh_3)_4$ (5 mol%) was added to a degassed solution of oxazolinephenylboronic acid **3** (1.0 equiv) and heteroaryl halides (1.0 equiv) in 1M sodium carbonate solution (1.4 mL) and toluene (10 vol.). The mixture was heated at 90 °C under N_2 for the time indicated in Table 1 (reaction progress was monitored by TLC). After cooling to r.t., the solution was diluted with ethyl acetate, washed with H_2O and brine, dried over anhydrous $MgSO_4$ and concentrated under reduced pressure. The concentrate was purified by silica gel column chromatography to give the coupling products.

Spectral data for new compounds prepared as above
2-(4-(4, 4-dimethyl-4, 5-dihydrooxazol-2-yl)phenyl)pyridine (**5a**): Purification by column chromatography (silica, 1 : 1 hexane/EtOAc) as an eluent; Rf = 0.4, 82% yield, white solid, m.p. 72–75 °C, ^1H NMR (400 MHz,DMSO-d_6) δ 8.91 (d, J = 3.2 Hz, 1H), 8.63 (d, J = 8.4 Hz, 2H), 8.12 (m, J = 4.8 Hz, 1H), 7.87 (d, J = 8.4 Hz, 2H), 7.71 (m, J = 8 Hz, 1H), 7.46 (m, J = 8.2 Hz, 1H), 4.07 (s, 2H), 1.26 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.9, 158.0, 149.4, 140.3, 135.6, 129.8, 129.5 128.5, 126.3, 124.5 78.8, 68.0, 27.8; ESIMS: *m/z* Calcd [M +]: 252; Found: 253 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 252.3110; Found: 253.3240 [M + H +].

3-(4-(4, 4-dimethyl-4, 5-dihydrooxazol-2-yl) phenyl) pyridine (**5b**): Purification by column chromatography (silica, 1:1 hexane/EtOAc) as an eluent; Rf = 0.4, 90% yield, off white solid, m.p. 87–92 °C, ^1H NMR (400 MHz,DMSO-d_6) δ 8.86 (d, J = 2 Hz, 1H), 8.53 (d, J = 4.4 Hz, 1H), 8.08 (m, J = 8.4 Hz, 1H), 7.89 (d, J = 8.4 Hz, 2H), 7.78 (d, J = 8 Hz, 2H), 7.62 (m, J = 8.4 Hz, 1H), 4.09 (s, 2H), 1.27 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.8, 149.5, 148.0, 140.1, 135.0, 134.7, 132.6, 131.9 129.2, 127.4, 78.9, 67.9, 28.6; ESIMS: *m/z* Calcd [M +]: 252; Found: 253 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 252.3110; Found: 253.3282 [M + H +].

4-(4-(4, 4-dimethyl-4, 5-dihydrooxazol-2-yl) phenyl) pyridine (**5c**): Purification by column chromatography (silica, 1:1 hexane/EtOAc) as an eluent; Rf = 0.4, 85% yield, white solid, m.p. 138–144 °C, ^1H NMR (400 MHz,DMSO-d_6) δ 8.61 (m, J = 6 Hz, 2H), 7.92 (m, J = 8.4 Hz, 4H), 7.71 (m, J = 4.8 Hz, 2H), 4.07 (s, 2H), 1.23 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.6, 150.8, 146.3, 140.1, 132.0, 129.0, 127.4 121.7, 78.9, 67.9, 28.2; ESIMS: *m/z* Calcd [M +]: 252; Found: 253 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 252.3110; Found: 253.3189 [M + H +].

3-(4-(4, 4-dimethyl-4, 5-dihydrooxazol-2-yl) phenyl) quinoline (**5d**): Purification by column chromatography (silica, 1:1 hexane/EtOAc) as an eluent; Rf = 0.5, 80% yield, off white solid, m.p. 90–95 °C, ^1H NMR (400 MHz,DMSO-d_6) δ 9.23 (s,1H), 8.67 (s, 1H), 8.02 (m, J = 6 Hz, 1H), 7.93 (s, 2H), 7.88 (d, J = 8 Hz, 1H), 7.76

(m, *J* = 7.2 Hz, 2H), 7.61 (m, *J* = 6.8 Hz, 2H), 4.07 (s, 2H), 1.24 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.7, 149.6, 147.5, 140.0, 133.8, 132.5, 131.9 130.3, 129.2, 128.9, 128.0, 127.6, 127.3, 78.9, 67.9, 28.8; ESIMS: *m/z* Calcd [M +]: 304; Found: 305 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 304.3856; Found: 304.3740 [M +].

5-(4-(4, 4-dimethyl-4, 5-dihydrooxazol-2-yl) phenyl) pyrimidine (**5e**): Purification by column chromatography (silica, 1:1 hexane/EtOAc) as an eluent; Rf = 0.4, 65% yield, off white solid, m.p. 115–117 °C, ^{1}H NMR (400 MHz,DMSO-d_6) δ 9.15 (d, *J* = 11.2 Hz, 3H), 7.91 (d, *J* = 8.4 Hz, 2H), 7.85 (d, *J* = 8.4 Hz, 2H), 4.06 (s, 2H), 1.22 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.5, 158.1, 155.3, 136.8, 132.7,131.9, 131.8 127.5, 78.9, 67.9, 28.6; ESIMS: *m/z* Calcd [M +]: 253; Found: 254 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 253.2991; Found: 254.2752 [M + H +].

4-(4-(4, 4-dimethyl-4, 5-dihydrooxazol-2-yl) phenyl)-7H-pyrrolo [2, 3-d] pyrimidine (**5f**): Purification by column chromatography (silica, 1:1 hexane/EtOAc) as an eluent; Rf = 0.7, 60% yield, white solid, m.p. 195–198 °C, ^{1}H NMR (400 MHz,DMSO-d_6) δ 9.12 (s, 1H), 8.26 (m, *J* = 8.8 Hz, 2H), 8.15 (m, *J* = 8 Hz, 2H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.31 (d, *J* = 4 Hz, 1H), 4.20 (s, 2H), 1.29 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.4, 158.2,152.0, 151.2, 136.3, 132.4, 131.8, 130.5 127.8, 114.3, 103.4 78.8, 67.7, 28.5; ESIMS: *m/z* Calcd [M +]: 292; Found: 293 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 292.3351; Found: 293.3201 [M + H +].

4, 4-dimethyl-2-(4-(thiophen-2-yl) phenyl)-4, 5-dihydrooxazole (**5g**): Purification by column chromatography (silica, 7:3; hexane/EtOAc) as an eluent; Rf = 0.6, 75% yield, yellow solid, m.p. 75–77 °C, ^{1}H NMR (400 MHz,DMSO-d_6) δ 7.80 (d, *J* = 8.4 Hz, 2H), 7.69 (d, *J* = 8 Hz, 2H), 7.57 (m, *J* = 7.2 Hz, 2H), 7.11 (m, *J* = 8.8 Hz, 1H), 4.04 (s, 2H), 1.22 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.6, 142.7, 136.7, 129.3, 129.0, 127.3, 126.9 125.7, 125.3, 78.8, 67.9, 28.7; ESIMS: *m/z* Calcd [M +]: 257; Found: 258 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 257.3507; Found: 258.2326 [M + H +].

4, 4-dimethyl-2-(4-(thiophen-3-yl) phenyl)-4, 5-dihydrooxazole (**5h**): Purification by column chromatography (silica, 7:3 hexane/EtOAc) as an eluent; Rf = 0.6, 80% yield, yellow solid, m.p. 80–84 °C, ^{1}H NMR (400 MHz,DMSO-d_6) δ 7.71 (d, *J* = 8.2 Hz, 2H), 7.51 (d, *J* = 7.4 Hz, 2H), 7.46 (s, 1H), 7.30 (d, *J* = 8.8 Hz, 1H), 7.08 (d, *J* = 8.4 Hz, 1H), 4.05 (s, 2H), 1.27 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.5, 142.4, 139.8, 130.3, 128.5, 126.7, 125.8 124.8, 122.5, 78.9, 67.8, 27.9; ESIMS: *m/z* Calcd [M +]: 257; Found: 258 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 257.3507; Found: 258.2816 [M + H +].

2-(4-(furan-3-yl) phenyl)-4, 4-dimethyl-4, 5-dihydrooxazole (**5i**): Purification by column chromatography (silica, 7:3 hexane/EtOAc) as an eluent; Rf = 0.6, 55% yield, brown liquid, ^{1}H NMR (400 MHz,DMSO-d_6) δ 7.89 (d, *J* = 8.4 Hz, 2H), 7.61 (s, 1H), 7.56 (d, *J* = 7.4 Hz, 2H), 6.58 (m, *J* = 8.8 Hz, 2H), 4.08 (s, 2H), 1.23 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 159.8, 142.7, 141.4, 136.0, 129.7, 128.8, 127.7 125.9, 108.6, 78.7, 67.9, 28.2; ESIMS: *m/z* Calcd [M +]: 241; Found: 242 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 241.2851; Found: 242.1102 [M + H +].

4,4-dimethyl-2-(3-(thiophen-2-yl)phenyl)-4,5-dihydrooxazole (**7g**): Purification by column chromatography (silica, 7:3 hexane/EtOAc) as an eluent; Rf = 0.6, 70% yield, brown liquid, ^{1}H NMR (400 MHz,DMSO-d_6) δ 7.98 (t, *J* = 1.6 Hz, 1H), 7.79 (m, *J* = 6.4 Hz, 1H), 7.70 (m, *J* = 8 Hz, 1H), 7.53 (m, *J* = 7.6 Hz, 2H), 7.46 (m, *J* = 7.6 Hz, 1H), 7.10 (m, *J* = 5.2 Hz, 1H), 4.06 (s, 2H), 1.22 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.6, 142.7, 134.4, 129.9, 129.1, 128.9, 128.5 127.2, 126.7, 124.6 78.9, 67.9, 28.6; ESIMS: *m/z* Calcd [M +]: 257; Found: 258 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 257.3507; Found: 258.0916 [M + H +].

2-(3-(furan-3-yl) phenyl)-4, 4-dimethyl-4, 5-dihydrooxazole (**7i**): Purification by column chromatography (silica, 7:3 hexane/EtOAc) as an eluent; Rf = 0.6, 50% yield, brown liquid, ^{1}H NMR (400 MHz,$CDCl_3$) δ 8.21 (s, 1H), 7.94 (d, *J* = 7.76 Hz, 1H), 7.74 (m, *J* = 6.8 Hz, 2H), 7.49 (m, *J* = 10 Hz, 3H), 4.15 (s, 2H), 1.42 (s, 6H), ^{13}C NMR ($CDCl_3$) δ 162.0, 140.5, 140.4, 132.3, 130.0, 129.6, 128.9 127.4, 127.0, 120.0, 114.9, 79.2, 67.6, 29.7; ESIMS: *m/z* Calcd [M +]: 241; Found: 242 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 241.2851; Found: 242.2751 [M + H +].

4, 4-dimethyl-2-(2-(thiophen-2-yl) phenyl)-4, 5-dihydrooxazole (**9g**): Purification by column chromatography (silica, 7:3 hexane/EtOAc) as an eluent; Rf = 0.6, 30% yield, off white solid, m.p. 219–223 °C, ^{1}H NMR (400 MHz,DMSO-d_6) δ 7.63 (m, *J* = 8.4 Hz, 1H), 7.48 (m, *J* = 7.6 Hz, 2H), 7.21 (m, *J* = 7.6 Hz, 1H), 6.92 (t, *J* = 7.2 Hz, 1H), 6.56 (m, 1H), 6.29 (m, *J* = 7.2 Hz, 1H), 4.42 (s, 2H), 1.59 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.3, 144.0, 133.2, 131.5, 131.2, 130.7, 129.3 128.1, 127.7, 126.0, 123.2, 79.8, 67.9, 28.3; ESIMS: *m/z* Calcd [M +]: 257; Found: 258 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 257.3507; Found: 258.3216 [M + H +].

2-(2-(furan-3-yl) phenyl)-4, 4-dimethyl-4, 5-dihydrooxazole (**9i**): Purification by column chromatography (silica, 7:3 hexane/EtOAc) as an eluent; Rf = 0.6, 20% yield, colourless liquid, ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.81 (d, *J* = 8.2 Hz, 1H), 7.72 (m, *J* = 7.4 Hz, 2H), 7.69 (m, *J* = 7.6 Hz, 1H), 7.60 (s, 1H), 7.56 (m, 1H), 6.61 (d, 1H), 4.06 (s, 2H), 1.28 (s, 6H), ^{13}C NMR (DMSO-d_6) δ 160.8, 146.3, 144.0, 133.0, 131.7, 129.2, 128.1 127.8, 127.7, 126.2, 108.4, 79.8, 67.9, 29.3; ESIMS: *m/z* Calcd [M +]: 241; Found: 242 [M + H +]; HRMS (ESI): *m/z* Calcd [M +]: 241.2851; Found: 242.2831 [M + H +].

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