

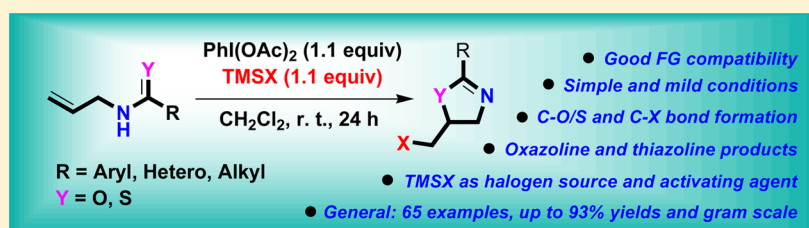
# Modular Preparation of 5-Halomethyl-2-oxazolines via $\text{PhI}(\text{OAc})_2$ -Promoted Intramolecular Halooxygenation of *N*-Allylcarboxamides

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**S** Supporting Information



**ABSTRACT:** A new method for the construction of oxazoline moiety was detailed. Using (diacetoxyiodo)benzene (PIDA) as the reaction promoter and halotrimethylsilane as the halogen source, intramolecular halooxygenation and halothionation of *N*-allylcarboxamides/*N*-allylcarbothioamides proceeded readily, leading to the corresponding 5-halomethyloxazolines/5-halomethylthiazolines in good to excellent isolated yields. The 5-halomethyl products could be converted to different derivatives via conventional nucleophilic substitution methods. The reactions were carried out using easily available starting materials, and did not need harsh reaction conditions. All these features made this reaction a viable method for the construction of different oxazoline and thiazoline structures.

## INTRODUCTION

2-Oxazoline (4,5-dihydrooxazole) structures<sup>1</sup> are important subunits in natural products<sup>2</sup> or biologically active compounds (Figure 1),<sup>3</sup> and can be used as key skeletons in many pharmaceuticals with antitumor,<sup>4</sup> anticancer,<sup>5</sup> antidepressive,<sup>6</sup> antibacterial<sup>3a</sup> or cytotoxic activities.<sup>2b</sup> In addition, these functional groups have also been widely used as key structures,<sup>7</sup> protective groups,<sup>8</sup> chiral ligands or chiral auxiliaries<sup>9</sup> in organic synthesis and asymmetric synthesis. To this end, different methods have been developed for the construction of 2-oxazoline structures from carboxylic acids,<sup>10</sup> carboxylic esters,<sup>11</sup> nitriles,<sup>12</sup> aldehydes,<sup>13</sup> olefins<sup>14</sup> and  $\beta$ -hydroxyamides.<sup>15</sup>

In addition, electrophile-mediated cyclization of allylbenzamide or allylbenzothioamide also produced oxazoline or thiazoline derivatives in excellent yields. For example, using *t*-butyl hypoiodite as the promoter, cyclization of *N*-alkenylamides produced a variety of *N*-heterocycles under very mild conditions.<sup>16</sup> The cyclization could also be realized with chloramine-T/ $\text{I}_2$  system.<sup>17</sup> Using BINAP compounds as catalysts, enantioselective bromocyclization of allylic amides was realized using NBS as the bromine source, and the products were obtained in up to 99% ee.<sup>18</sup> In the presence of NBS, electrophilic cyclization of *N*-(buta-2,3-dienyl)amides was also possible, and the corresponding oxazoline products were obtained in good isolated yields.<sup>19</sup> Finally, palladium(II)-

catalyzed hydroamination of propargylic tosylcarbamates produced the corresponding oxazolones in good yields. The latter compounds could be further functionalized via  $\beta$ -selective Heck reactions, leading to a variety of biologically interesting structures in good yields.<sup>20</sup>

It is our purpose to prepare structure-diversified oxazoline products. In this paper, we wish to report a modular access to 2-oxazolines as a continuation of our program on the cyclization of unactivated olefins.<sup>21</sup>

## RESULTS AND DISCUSSION

Recently, we have shown that (diacetoxyiodo)benzene (PIDA) can be used to promote haloheterocyclization of different unfunctionalized olefins (Scheme 1, eq 1).<sup>21</sup> In the presence of 1.1 equiv of PIDA and suitable halogen sources, intramolecular haloamidation (iodo-, bromo-, chloro-, and fluoroamidation), haloetherification and halolactonization reactions could all be realized, giving the corresponding halocyclization products in good to excellent isolated yields. However, the workup process was sometimes troublesome due to the use of excess amount of halogen sources. Further, the scope of reaction was limited to

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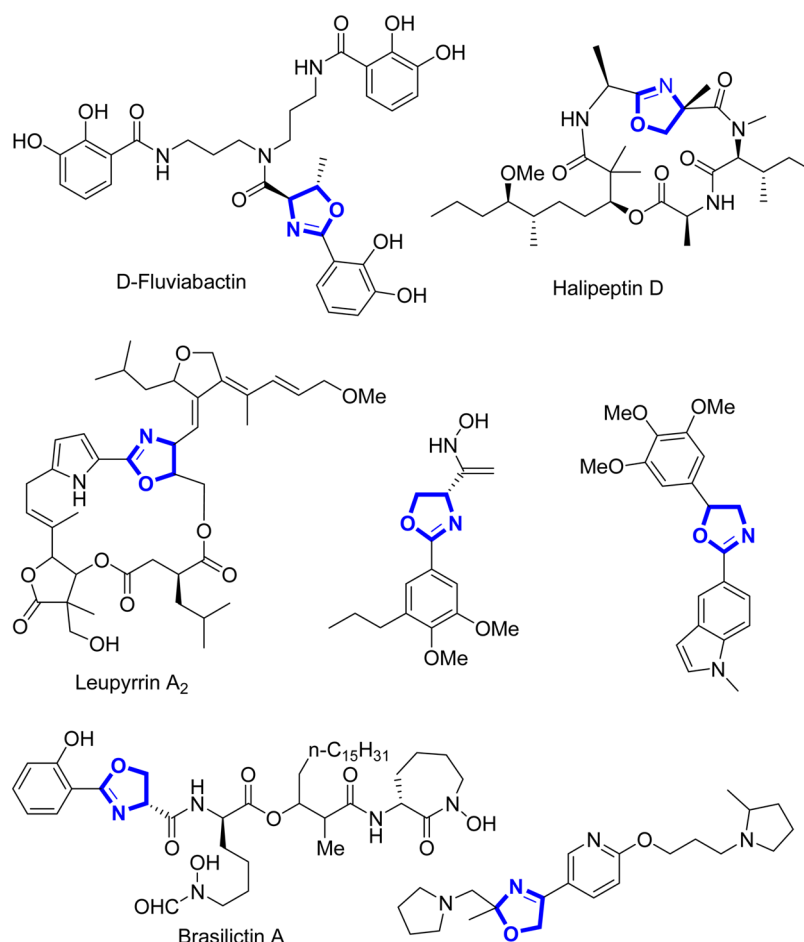
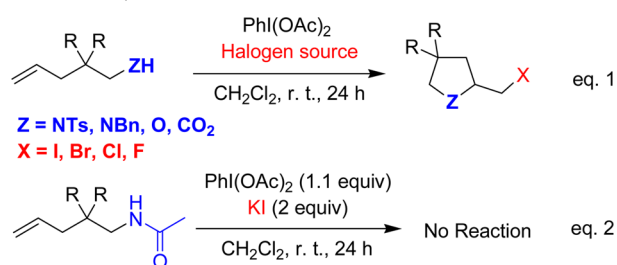


Figure 1. Examples of bioactive 2-oxazoline-containing compounds.

### Scheme 1. PIDA-Promoted Intramolecular Haloheterocyclization Reactions



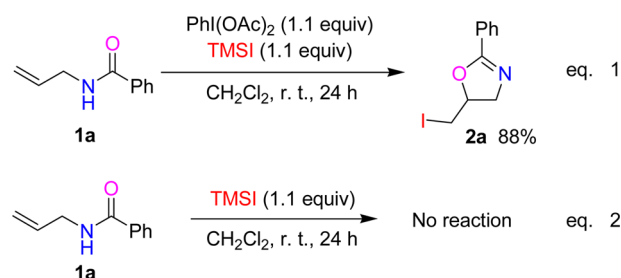
*N*-alkyl and *N*-sulfonamide substrates, and *N*-carboxamide substrates failed to give desired products (Scheme 1, eq 2).

We reasoned that this might be due to the low reactivity of the *N*-carboxamide nitrogen atom, and direct reaction should be possible if the reactivity of the nitrogen atom could be increased. Halotrimethylsilanes have been successfully used as both reaction promoters and halogen sources in organic reactions such as Prins reactions.<sup>22</sup> Enlightened by these literature results, an intramolecular iodoxygenation reaction was proposed using *N*-allyl carboxamide **1a** as the model substrate and TMSI as halogen source. Compound **1a** was chosen based on the assumption that successful intramolecular oxygenation of the substrate would lead to the formation of oxazoline structures that could be used as important structures in both organic chemistry and medicinal chemistry. TMSI was used based on the assumption that the reactivity of the oxygen

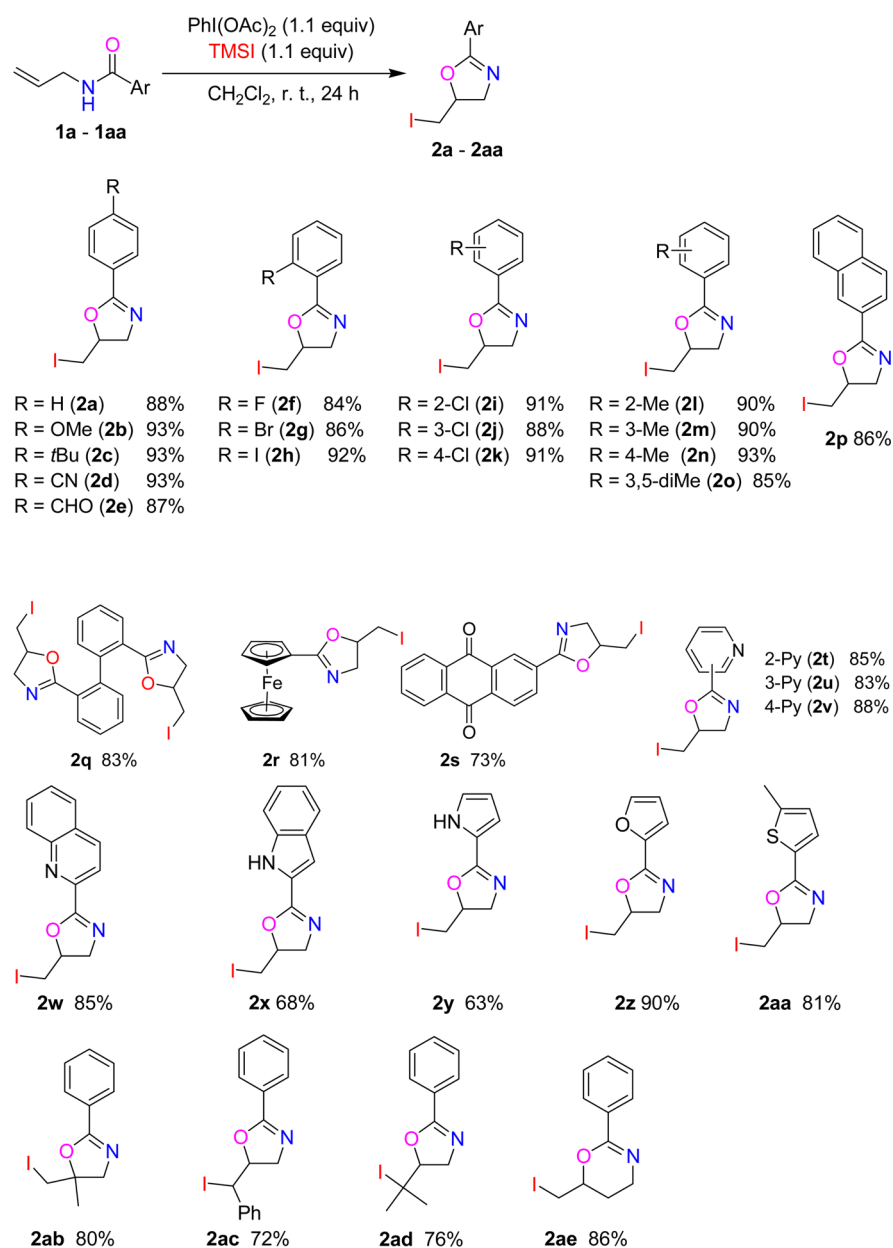
atom of the carboxamide functional group might be increased after the formation of an *N*-TMS intermediate.<sup>23</sup>

The model reaction was carried out in dry dichloromethane with 1.1 equiv of PIDA as the reaction promoter and 1.1 equiv of TMSI as the iodine source. To our delight, the desired 5-iodomethyl-2-phenyloxazoline **2a** was isolated in 88% yield after 24 h (Scheme 2, eq 1). The reaction did not take place in the absence of PIDA (Scheme 2, eq 2).

### Scheme 2. Proposed Intramolecular Halooxygenation of *N*-Allylbenzamide



Other carboxamide substrates **1b–1ae** were then subjected to the same reaction to test the scope of the reaction, and the results were summarized in Scheme 3. As these results showed, 5-iodomethyl-2-aryloxazolines could be obtained in good to excellent isolated yields. Electronic effects of the substituents on the aryl groups showed less effect on the reactions, and

Scheme 3. Synthesis of 5-Iodomethyl-2-oxazolines<sup>a</sup>

<sup>a</sup>Reaction conditions: substrate (0.5 mmol), PIDA (0.55 mmol), TMSI (0.55 mmol),  $\text{CH}_2\text{Cl}_2$  (2 mL), rt, 24 h; 1.1 mmol of PIDA and 1.1 mmol of TMSI were used for the preparation of **2q**.

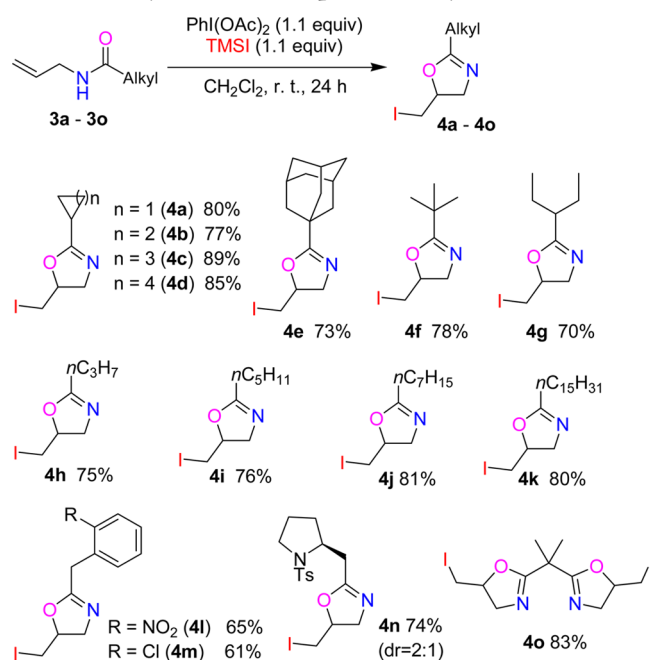
substrates with either electron-donating or electron-withdrawing groups on aromatic rings could all be cyclized in good isolated yields (**2a–2o**). Different substituents including Me, MeO, *t*Bu, –X, –CHO and –CN could be tolerated during the reactions, and the corresponding 5-iodomethyl-2-aryloxazolines could be obtained in 85–93% isolated yields (**2b–2o**). Substrate **1p** bearing 2-naphthyl group worked well in the current reaction system, and the corresponding 2-(2'-naphthyl)oxazoline **2p** was obtained in high yield. Furthermore, the current protocol was also applicable to the synthesis of bis(oxazoline) compound **2q**. Oxazoline structures bearing heterocycles have been used as important functional groups in antibiotic, antidiabetic and antihypertensive agents.<sup>3c,24</sup> To this end, structurally diversified heterocyclic oxazoline compounds were also prepared using the same method. As shown in Scheme 3, heterocyclic oxazoline compounds **2r–2aa** could be

obtained in good isolated yields. Ferrocene and anthraquinone ring could be tolerated during the reaction (**2r** and **2s**). *N*-Allylcarboxamides bearing nitrogen-containing heteroaryl groups such as pyridinecarboxamides (**1t–1v**), 2-quinolinecarboxamide (**1w**), 2-indolecarboxamide (**1x**) and 2-pyrrolinecarboxamide (**1y**) could all be cyclized under the optimal conditions, giving products **2t–2y** in satisfactory isolated yields. Similarly, the furan- and thiophene-containing oxazoline products **2z** and **2aa** could also be obtained in 90% and 81% isolated yields, respectively. Substituents on C=C double bonds showed some impact on the reactions, but the products could still be isolated in acceptable yields. Preparation of 5,6-dihydro-4*H*-1,3-oxazine **2ae** was also possible using *N*-homoallylbenzamide as the starting material. No product was obtained when *N*-allyl *p*-nitrobenzamide was subjected to the

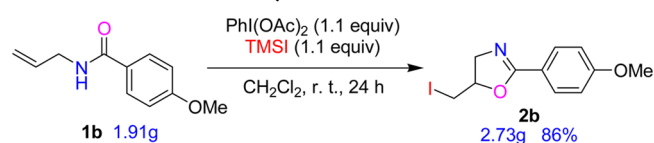
reaction possibly due to the low reactivity of the substrate caused by the nitro group.

Further, cyclization of *N*-allyl amides of aliphatic carboxylic acids were also tested. As Scheme 4 showed, intramolecular cyclization of aliphatic *N*-allylcarboxamides **3a–3o** proceeded readily, giving the corresponding 5-iodomethyl-2-oxazoline products **4a–4o** in good isolated yields. Steric effects of the amides showed less impact on the reactions (**4a–4g**), and

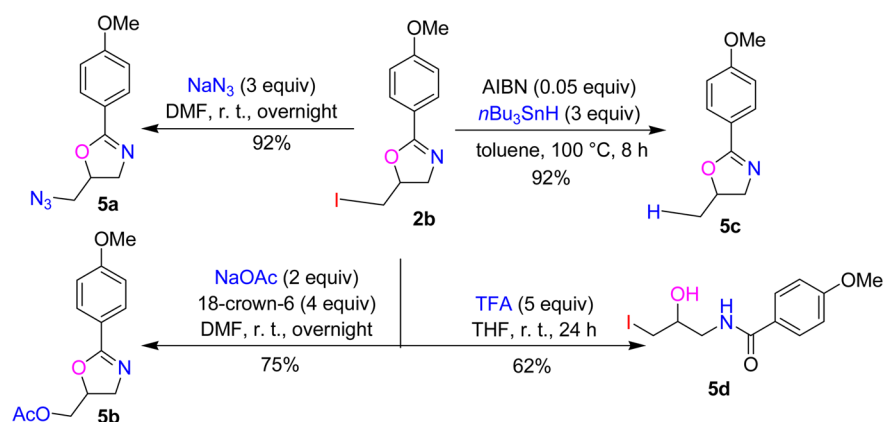
**Scheme 4. Cyclization of Aliphatic *N*-Allylcarboxamides<sup>a</sup>**



**Scheme 5. Gram-Scale Synthesis of Oxazoline **2b****



**Scheme 6. Derivatization of Oxazoline **2b****



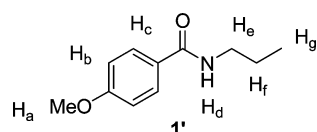
substrates with bulky adamantyl or *t*-butyl groups could also be cyclized in satisfactory isolated yields (**4e** and **4f**). Increasing the length of the mainchain of the carboxamide also showed less impact on the reactions (**4h–4k**), and reaction of palmitic acid derivative **3k** gave cyclization product **4k** in 80% isolated yield. Different phenylacetamide substrates also produced the desired cyclization products **4l–4m** in acceptable isolated yields. Chiral substrate **3n** gave acceptable isolated yields but low diastereoselectivity. Finally, diallylmalonamide **3o** produced the corresponding bis(oxazoline) product **4o** in good isolated yield.

To test the scalability of the current protocol, cyclization of *N*-allylbenzamide **1b** was carried out on gram scale, and the product **2b** was obtained in 86% yield after recrystallization (Scheme 5). The structure of **2b** was further confirmed by X-ray diffraction experiment.<sup>25</sup>

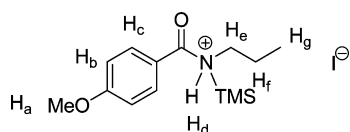
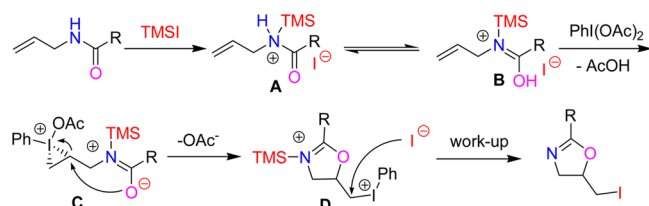
To test the synthetic utility of current reaction, functional group transformations of **2b** were also pursued, and the C–I bond in **2b** provided an easy access to a variety of useful functional groups (Scheme 6). For example, iodine atom in **2b** could be replaced by different nucleophiles such as azide (**5a**) and acetate (**5b**) under mild conditions. Radical deiodination could be realized via tributylstannane reduction. When oxazoline **2b** was treated with AIBN/*n*Bu<sub>3</sub>SnH at 100 °C for 8 h, compound **5c** could be obtained in almost quantitative isolated yield. Furthermore, the oxazoline moiety in **2b** could also be easily hydrolyzed upon treatment with CF<sub>3</sub>CO<sub>2</sub>H in THF at room temperature, leading to vicinal amino alcohol **5d** in satisfactory yield.

To get mechanistic insights into the reaction, NMR experiments were also carried out to study the possible interaction between the substrates and TMSI (Table 1). *N*-Propyl *p*-methoxybenzamide (**1'**) was used to get a clean NMR spectrum. When **1'** was allowed to mix with TMSI, significant downfield shift was observed for the amide proton. Different extents of downfield shifts were also observed for protons adjacent to the amide functional group. This result indicated that there existed a strong interaction between amide and TMSI. As the largest downfield shift was observed for amide NH and adjacent protons, it was reasonable to believe that the interaction between TMSI and amide happened on the nitrogen atom (Figure 2).<sup>23</sup>

On the basis of the NMR study and previous literature reports, a preliminary reaction pathway was proposed as shown in Scheme 7. Interaction of the substrate with TMSI produced

**Table 1.** Chemical Shift Changes for *N*-Propyl 4-Methoxybenzamide upon Addition of TMSI<sup>a</sup>

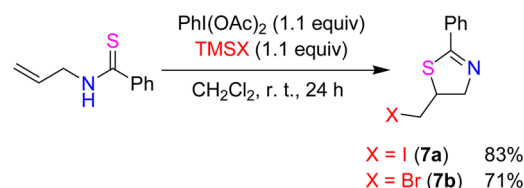
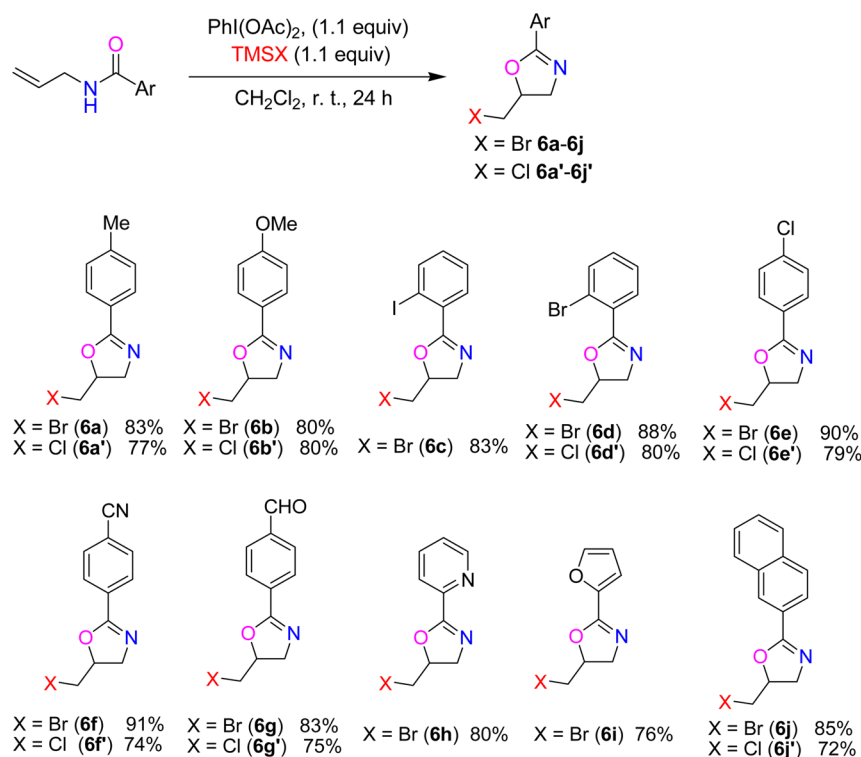
chemical shift (ppm)	H <sub>a</sub>	H <sub>b</sub>	H <sub>c</sub>	H <sub>d</sub>	H <sub>e</sub>	H <sub>f</sub>	H <sub>g</sub>
substrate	3.82	6.87	7.73	6.35	3.37	1.59	0.93
substrate + TMSI	3.84	6.93	8.09	9.53	3.56	1.77	0.95

<sup>a</sup>The experiments were carried out in CDCl<sub>3</sub>.**Figure 2.** Interaction between TMSI and 1'.**Scheme 7. Plausible Mechanism for Intramolecular Halooxygenation Reaction**

silylated intermediate **A**<sup>23</sup> which could be further tautomerized to intermediate **B**. In the meanwhile, the C=C double bond in substrate was activated by PhI(OAc)<sub>2</sub><sup>26</sup> and intramolecular nucleophilic attack of oxygen atom on the iodinium three-membered ring produced the intermediate **D**, which finally gave halooxygenation product after workup.

After the synthesis of 5-iodomethyl-2-oxazolines, the syntheses of 5-bromo- and 5-chloromethyl-2-oxazolines were also studied using the same method. As shown in **Scheme 8**, different 5-bromo- and 5-chloromethyl-2-oxazolines could be obtained in good isolated yield using TMSBr or TMSCl as the respective bromine or chlorine source.

In addition to 2-oxazolines, the sulfur analogues, the 2-thiazolines, are also important substructures in bioactive compounds.<sup>27</sup> To this end, the current method was also extended to the cyclization of carbothioamide substrate. When *N*-allylbenzothioamide was allowed to react under optimized reaction conditions, 5-iodo- and 5-bromomethyl-2-thiazolines were also obtained in good isolated yields (**Scheme 9**).

**Scheme 9. Synthesis of 5-Iodo- and 5-Bromomethyl-2-thiazolines****Scheme 8. Synthesis of 5-Bromo- and 5-Chloromethyl-2-oxazolines<sup>a</sup>**<sup>a</sup>Reaction conditions: substrate (0.5 mmol), PIDA (0.55 mmol), TMSBr/TMSCl (0.55 mmol), CH<sub>2</sub>Cl<sub>2</sub> (2 mL), rt, 24 h.

## CONCLUSION

In summary, we have reported a practical method for modular preparation of 5-halomethyloxazolines and 5-halomethylthiazolines. Using 1.1 equiv of (diacetoxyiodo)benzene as the reaction promoter and 1.1 equiv of TMSX (X = I, Br, and Cl) as the halogen sources, 5-halomethyl-2-oxazoline/thiazoline products could be obtained in good to excellent isolated yields. The method has several features: (1) the easy availability of the starting materials and environmentally benign procedure; (2) the very mild reaction conditions without special precautions; and (3) the wide scope of the substrates and good isolated yields. We envisage that the current method will have widespread application in organic and medicinal chemistry.

## EXPERIMENTAL SECTION

**General Experimental Information.** Reagents were used as received without further purification unless otherwise indicated. Solvents were dried and distilled prior to use. Reactions were monitored with thin layer chromatography using silica gel GF<sub>254</sub> plates. Organic solutions were concentrated in vacuo with a rotavapor. Flash column chromatography was performed using silica gel (200–300 meshes). Petroleum ether used had a boiling point range of 60–90 °C. Melting points were measured on a digital melting point apparatus without correction of the thermometer. Nuclear magnetic resonance spectra were recorded at ambient temperature (unless otherwise stated) at 400 MHz (100 MHz for <sup>13</sup>C) in CDCl<sub>3</sub>. Chemical shifts were reported in ppm ( $\delta$ ) using TMS as internal standard, and spin–spin coupling constants (*J*) were given in Hz. Infrared (IR) spectra were recorded with KBr pellet, and wavenumbers were given in cm<sup>-1</sup>. High resolution mass spectrometry (HRMS) analyses were carried out on an FTICR HR-ESI-MS. Substrates used were prepared by coupling of carboxylic acids and allylamine described by Borhan et al.<sup>28</sup>

**General Procedure for Synthesis of 5-Halomethyl-2-oxazolines.** In a 10 mL sealed tube were added *N*-allylcarboxamides (0.5 mmol), PhI(OAc)<sub>2</sub> (0.55 mmol), and TMSX (0.55 mmol) in dry CH<sub>2</sub>Cl<sub>2</sub> (2 mL). The reaction mixture was stirred at room temperature for 24 h. CH<sub>2</sub>Cl<sub>2</sub> (10 mL) was then added, and the mixture was washed with aqueous Na<sub>2</sub>S<sub>2</sub>O<sub>3</sub>. The combined organic layer was dried (MgSO<sub>4</sub>) and concentrated to give crude residue, which was purified by flash column chromatography to give the corresponding products.

**5-(Iodomethyl)-2-phenyl-4,5-dihydrooxazole (2a, Known Compound, CAS: 200573-05-3).** Compound 2a was obtained as an oil in 88% yield (126 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 5:1). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.86–7.84 (m, 2H), 7.41–7.37 (m, 1H), 7.34–7.30 (m, 2H), 4.73–4.66 (m, 1H), 4.05 (dd, *J* = 15.2, 9.6 Hz, 1H), 3.70 (dd, *J* = 15.2, 6.6 Hz, 1H), 3.27 (dd, *J* = 10.3, 4.9 Hz, 1H), 3.21 (dd, *J* = 10.3, 7.0 Hz, 1H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  = 163.5, 131.6, 128.4, 128.2, 127.4, 78.3, 60.7, 7.9. Spectral data are in good agreement with literature values.<sup>16,17</sup>

**5-(Iodomethyl)-2-(4-methoxyphenyl)-4,5-dihydrooxazole (2b, New Compound).** Compound 2b was obtained as a white solid in 93% yield (147 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). mp = 104–106 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.80–7.78 (m, 2H), 6.84–6.80 (m, 2H), 4.70–4.68 (m, 1H), 4.06 (dd, *J* = 14.9, 9.6 Hz, 1H), 3.75 (s, 3H), 3.68 (dd, *J* = 14.9, 6.3 Hz, 1H), 3.26–3.20 (m, 2H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  = 163.3, 162.2, 129.9, 119.9, 113.8, 78.2, 60.7, 55.4, 8.0. IR 3007, 2960, 2928, 1711, 1648, 1607, 1508, 1458, 1071, 966, 738 cm<sup>-1</sup>. HRMS–ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>11</sub>H<sub>12</sub>INO<sub>2</sub>, 317.9991, found 317.9984.

**Crystal Data for 2b.** C<sub>11</sub>H<sub>12</sub>INO<sub>2</sub>, *M* = 317.12, orthorhombic, *a* = 15.334(3) Å, *b* = 6.3606(13) Å, *c* = 23.307(5) Å,  $\alpha$  = 90.00°,  $\beta$  = 90.00°,  $\gamma$  = 90.00°, *V* = 2273.2(8) Å<sup>3</sup>, *T* = 113(2) K, space group *Pbca*, *Z* = 8,  $\mu$ (Mo *K* $\alpha$ ) = 2.797 mm<sup>-1</sup>, 16784 reflections measured, 2721 independent reflections (*R*<sub>int</sub> = 0.0599). The final *R*<sub>1</sub> values were 0.0382 (*I* > 2 $\sigma$ (*I*)). The final *wR*(*F*<sup>2</sup>) values were 0.0857 (*I* > 2 $\sigma$ (*I*)). The final *R*<sub>1</sub> values were 0.0474 (all data). The final *wR*(*F*<sup>2</sup>) values were 0.0911 (all data). The goodness of fit on *F*<sup>2</sup> was 1.101.

**2-(4-*tert*-Butylphenyl)-5-(iodomethyl)-4,5-dihydrooxazole (2c, New Compound).** Compound 2c was obtained as a white solid in 93% yield (159 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). mp = 71–73 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.79–7.77 (m, 2H), 7.36–7.34 (m, 2H), 4.74–4.67 (m, 1H), 4.08 (dd, *J* = 15.1, 9.5 Hz, 1H), 3.71 (dd, *J* = 15.1, 6.5 Hz, 1H), 3.36–3.09 (m, 2H), 1.24 (s, 9H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  = 163.6, 155.1, 128.1, 125.4, 124.5, 78.2, 60.6, 35.0, 31.2, 7.9. IR 3049, 2945, 2861, 1719, 1648, 1573, 1460, 1263, 1073, 910, 812, 619 cm<sup>-1</sup>. HRMS–ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>14</sub>H<sub>18</sub>INO, 344.0511, found 344.0511.

**4-(5-(Iodomethyl)-4,5-dihydrooxazol-2-yl)benzotrile (2d, New Compound).** Compound 2d was obtained as a white solid in 93% yield (144 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). mp = 97–98 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 8.05–8.02(m, 2H), 7.73–7.71 (m, 2H), 4.85–4.83 (m, 1H), 4.22 (dd, *J* = 9.6, 3.8 Hz, 1H), 3.98–3.84 (m, 1H), 3.44–3.35 (m, 2H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  = 162.0, 132.2, 131.6, 128.8, 118.2, 114.9, 78.7, 61.0, 7.5. IR 3097, 2947, 2868, 2227, 1691, 1614, 1566, 1453, 1292, 1063, 965, 902, 619, 446 cm<sup>-1</sup>. HRMS–ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>11</sub>H<sub>9</sub>IN<sub>2</sub>O, 312.9838, found 312.9827.

**4-(5-(Iodomethyl)-4,5-dihydrooxazol-2-yl)benzaldehyde (2e, New Compound).** Compound 2e was obtained as a white solid in 87% yield (136 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). mp = 62–63 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 10.00 (s, 1H), 8.04–8.02 (m, 2H), 7.87–7.85 (m, 2H), 4.86–4.67 (m, 1H), 4.18–4.12 (m, 1H), 3.80–3.75 (m, 1H), 3.36–3.20 (m, 2H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  = 191.7, 162.6, 138.1, 132.7, 129.6, 128.8, 78.5, 61.0, 7.6. IR 3047, 2930, 2851, 2734, 1934, 1696, 1647, 1572, 1506, 1449, 1262, 1065, 966, 901, 839, 615, 480 cm<sup>-1</sup>. HRMS–ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>11</sub>H<sub>10</sub>INO<sub>2</sub>, 315.9834, found 315.9832.

**2-(2-Fluorophenyl)-5-(iodomethyl)-4,5-dihydrooxazole (2f, New Compound).** Compound 2f was obtained as an oil in 84% yield (128 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.78 (m, 1H), 7.36 (m, 1H), 7.17–6.82 (m, 2H), 4.72–4.65 (m, 1H), 4.13 (dd, *J* = 15.2, 9.9 Hz, 1H), 3.76 (dd, *J* = 15.2, 6.3 Hz, 1H), 3.30–3.22(m, 2H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  = 162.5, 159.9 (d, *J* = 11.7 Hz), 133.1 (d, *J* = 8.8 Hz), 131.0, 124.0 (d, *J* = 3.7 Hz), 116.7 (d, *J* = 21.8 Hz), 115.7 (d, *J* = 10.3 Hz), 77.7, 61.1, 7.8. <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>)  $\delta$  = –109.2. IR 3040, 2934, 2964, 1726, 1655, 1620, 1597, 1496, 1177, 905, 816, 669 cm<sup>-1</sup>. HRMS–ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>10</sub>H<sub>9</sub>FINO, 305.9791, found 305.9784.

**2-(2-Bromophenyl)-5-(iodomethyl)-4,5-dihydrooxazole (2g, New Compound).** Compound 2g was obtained as an oil in 86% yield (157 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.64 (d, *J* = 7.6 Hz, 1H), 7.56 (d, *J* = 7.6 Hz, 1H), 7.27 (t, *J* = 7.5 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 4.81–4.67 (m, 1H), 4.13 (dd, *J* = 15.2, 9.6 Hz, 1H), 3.78 (dd, *J* = 15.2, 6.5 Hz, 1H), 3.37–3.20 (m, 2H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  = 162.7, 134.0, 131.9, 131.5, 129.2, 127.2, 121.9, 78.5, 61.0, 7.7. IR 3060, 2937, 2867, 1733, 1659, 1590, 1427, 1086, 958, 733 cm<sup>-1</sup>. HRMS–ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>10</sub>H<sub>9</sub>BrINO, 365.8990, found 365.8980.

**5-(Iodomethyl)-2-(2-iodophenyl)-4,5-dihydrooxazole (2h, New Compound).** Compound 2h was obtained as an oil in 92% yield (189 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.95 (d, *J* = 7.7 Hz, 1H), 7.66 (d, *J* = 7.7 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.6 Hz, 1H), 4.87–4.83 (m, 1H), 4.23 (dd, *J* = 15.2, 9.6 Hz, 1H), 3.87 (dd, *J* = 15.2, 6.6 Hz, 1H), 3.43 (dd, *J* = 10.2, 4.9 Hz, 1H), 3.41–3.35 (m, 1H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  = 163.7, 140.6, 133.0, 131.9, 130.9, 127.9, 94.7, 78.7, 61.0, 7.8. IR 3055, 2937, 2865, 1730, 1660, 1584, 1467, 1328, 1083, 959, 764 cm<sup>-1</sup>. HRMS–ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>10</sub>H<sub>9</sub>I<sub>2</sub>NO, 413.8852, found 413.8839.

**2-(2-Chlorophenyl)-5-(iodomethyl)-4,5-dihydrooxazole (2i, New Compound).** Compound 2i was obtained as an oil in 91% yield (146 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  = 7.70 (d, *J* = 7.9 Hz,

1H), 7.37 (d,  $J = 7.9$  Hz, 1H), 7.29–7.27 (m, 1H), 7.22 (t,  $J = 7.2$  Hz, 1H), 4.79–4.64 (m, 1H), 4.14 (dd,  $J = 15.2, 9.8$  Hz, 1H), 3.79 (dd,  $J = 15.2, 6.2$  Hz, 1H), 3.36–3.22 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 161.9, 133.5, 131.8, 131.4, 130.8, 127.0, 126.6, 78.2, 61.1, 7.8$ . IR 3048, 2945, 2861, 1719, 1648, 1537, 1511, 1334, 1019, 910, 812, 677  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_9\text{ClINO}$ , 321.9496, found 321.9495.

**2-(3-Chlorophenyl)-5-(iodomethyl)-4,5-dihydrooxazole (2j, New Compound).** Compound **2j** was obtained as an oil in 88% yield (141 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.84$ –7.83 (m, 1H), 7.74 (d,  $J = 7.8$  Hz, 1H), 7.37 (d,  $J = 8.0$  Hz, 1H), 7.27 (t,  $J = 7.8$  Hz, 1H), 4.83–4.63 (m, 1H), 4.10 (dd,  $J = 15.2, 9.6$  Hz, 1H), 3.72 (dd,  $J = 15.2, 6.7$  Hz, 1H), 3.38–3.22 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 162.4, 134.4, 131.6, 129.8, 129.2, 128.3, 126.3, 78.5, 60.8, 7.7$ . IR 3063, 2941, 2867, 1731, 1656, 1595, 1477, 1435, 1095, 1037, 961, 766, 677  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_9\text{ClINO}$ , 321.9496, found 321.9492.

**2-(4-Chlorophenyl)-5-(iodomethyl)-4,5-dihydrooxazole (2k, New Compound).** Compound **2k** was obtained as an oil in 91% yield (146 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.79$ –7.77 (m, 2H), 7.32–7.30 (m, 2H), 4.74–4.71 (m, 1H), 4.09 (dd,  $J = 14.7, 10.3$  Hz, 1H), 3.71 (dd,  $J = 14.7, 5.9$  Hz, 1H), 3.36–3.12 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 162.7, 137.8, 129.6, 128.7, 125.9, 78.5, 60.7, 7.7$ . IR 3064, 2932, 2866, 1726, 1652, 1602, 1488, 1263, 1176, 756, 622  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_9\text{ClINO}$ , 321.9496, found 321.9487.

**5-(Iodomethyl)-2-(o-tolyl)-4,5-dihydrooxazole (2l, New Compound).** Compound **2l** was obtained as an oil in 90% yield (135 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.72$  (d,  $J = 7.5$  Hz, 1H), 7.25 (t,  $J = 7.5$  Hz, 1H), 7.18–7.07 (m, 2H), 4.67–4.60 (m, 1H), 4.10 (dd,  $J = 15.2, 9.6$  Hz, 1H), 3.74 (dd,  $J = 15.2, 6.6$  Hz, 1H), 3.35–3.20 (m, 2H), 2.51 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.9, 138.9, 131.3, 130.8, 129.9, 126.8, 125.7, 77.4, 61.1, 22.0, 8.2$ . IR 3060, 2929, 2866, 1722, 1646, 1574, 1490, 1325, 1041, 901, 773, 730, 676, 612  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{12}\text{INO}$ , 302.0042, found 302.0038.

**5-(Iodomethyl)-2-(m-tolyl)-4,5-dihydrooxazole (2m, New Compound).** Compound **2m** was obtained as an oil in 90% yield (135 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.80$ –7.43 (m, 2H), 7.28–7.10 (m, 2H), 4.73–4.67 (m, 1H), 4.07 (dd,  $J = 15.1, 9.5$  Hz, 1H), 3.70 (dd,  $J = 15.1, 6.6$  Hz, 1H), 3.29–3.20 (m, 2H), 2.30 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.7, 138.2, 132.4, 128.8, 128.3, 127.3, 125.3, 78.2, 60.7, 21.4, 7.9$ . IR 3024, 2930, 2866, 1720, 1652, 1591, 1485, 1330, 1189, 1070, 964, 799, 710, 615, 465  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{12}\text{INO}$ , 302.0042, found 302.0033.

**5-(Iodomethyl)-2-(p-tolyl)-4,5-dihydrooxazole (2n, New Compound).** Compound **2n** was obtained as a white solid in 93% yield (140 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). mp = 94–96  $^\circ\text{C}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.76$ –7.74 (m, 2H), 7.15–7.13 (m, 2H), 4.73–4.70 (m, 1H), 4.08 (dd,  $J = 15.1, 9.6$  Hz, 1H), 3.71 (dd,  $J = 15.1, 6.4$  Hz, 1H), 3.29–3.23 (m, 2H), 2.31 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.6, 142.0, 129.1, 128.2, 124.7, 78.2, 60.7, 21.6, 7.9$ . IR 3027, 2957, 2863, 1648, 1570, 1508, 1413, 1258, 1071, 966, 824, 789, 726  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{12}\text{INO}$ , 302.0042, found 302.0039.

**2-(3,5-Dimethylphenyl)-5-(iodomethyl)-4,5-dihydrooxazole (2o, New Compound).** Compound **2o** was obtained as an oil in 85% yield (134 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.47$  (s, 2H), 7.02 (s, 1H), 4.71–4.64 (m, 1H), 4.05 (dd,  $J = 15.1, 9.6$  Hz, 1H), 3.68 (dd,  $J = 15.1, 6.5$  Hz, 1H), 3.27 (dd,  $J = 9.6, 4.5$  Hz, 1H), 3.24–3.16 (m, 1H), 2.25 (s, 6H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.9, 138.0, 133.3, 127.2, 126.0, 78.2, 60.7, 21.2, 7.9$ . IR 3007, 2924, 2864, 1770, 1651, 1602, 1531, 1453, 1209, 1097, 808, 679  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{12}\text{H}_{14}\text{INO}$ , 316.0198, found 316.0195.

**5-(Iodomethyl)-2-(naphthalen-2-yl)-4,5-dihydrooxazole (2p, New Compound).** Compound **2p** was obtained as a white solid in 86% yield (145 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). mp = 100–101  $^\circ\text{C}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 8.32$  (s, 1H), 7.91 (dd,  $J = 8.2, 1.4$  Hz, 1H), 7.84–7.77 (m, 1H), 7.73 (t,  $J = 8.2$  Hz, 2H), 7.49–7.33 (m, 2H), 4.76–4.62 (m, 1H), 4.09 (dd,  $J = 15.2, 9.5$  Hz, 1H), 3.72 (dd,  $J = 15.2, 6.6$  Hz, 1H), 3.29–3.19 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.6, 134.7, 132.6, 128.9, 128.8, 128.2, 127.8, 127.6, 126.6, 124.8, 124.7, 78.4, 60.9, 7.9$ . IR 3053, 2940, 2863, 1796, 1649, 1509, 1462, 1359, 1127, 1020, 954, 869, 752, 613, 476  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{14}\text{H}_{12}\text{INO}$ , 338.0042, found 338.0037.

**2,2'-Bis[5-(iodomethyl)-4,5-dihydrooxazol-2-yl]biphenyl (2q, New Compound).** Compound **2q** was obtained as an oil in 83% yield (237 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 1:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.80$ –7.69 (m, 2H), 7.57–7.19 (m, 6H), 4.59–4.42 (m, 2H), 4.00–3.90 (m, 2H), 3.73–3.42 (m, 2H), 3.23–2.81 (m, 4H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 164.2, 141.3, 130.6, 130.2, 129.3, 127.3, 78.9, 60.6, 7.0$ . IR 3057, 2934, 2866, 1722, 1654, 1457, 1330, 1178, 1076, 960, 761, 615, 459  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{20}\text{H}_{18}\text{I}_2\text{N}_2\text{O}_2$ , 572.9536, found 572.9534.

**5-(Iodomethyl)-4,5-dihydrooxazol-5-ylferrocene (2r, New Compound).** Compound **2r** was obtained as a white solid in 81% yield (160 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). mp = 96–98  $^\circ\text{C}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 4.71$ –4.67 (m, 2H), 4.66–4.58 (m, 1H), 4.30–4.27 (m, 2H), 4.21–4.13 (m, 5H), 3.95 (dd,  $J = 14.7, 9.4$  Hz, 1H), 3.60 (dd,  $J = 14.7, 6.6$  Hz, 1H), 3.31 (dd,  $J = 10.3, 4.8$  Hz, 1H), 3.25 (dd,  $J = 10.3, 6.9$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 166.4, 77.8, 70.5, 70.4, 69.7, 69.0, 68.9, 60.5, 7.9$ . IR 3326, 3099, 2926, 2855, 1652, 1576, 1473, 1263, 1155, 1021, 827, 729, 649, 510  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{14}\text{H}_{14}\text{FeINO}$ , 395.9548, found 395.9544.

**2-(5-(Iodomethyl)-4,5-dihydrooxazol-2-yl)anthracene-9,10-dione (2s, New Compound).** Compound **2s** was obtained as a white solid in 73% yield (152 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). mp = 107–108  $^\circ\text{C}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 8.74$  (s, 1H), 8.35–8.18 (m, 4H), 7.83–7.68 (m, 2H), 4.91–4.71 (m, 1H), 4.20 (dd,  $J = 15.6, 9.6$  Hz, 1H), 3.82 (dd,  $J = 15.6, 6.8$  Hz, 1H), 3.37 (dd,  $J = 10.4, 4.6$  Hz, 1H), 3.32 (dd,  $J = 10.4, 7.0$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 182.5, 182.3, 162.1, 135.1, 134.4, 134.3, 133.5, 133.4, 133.4, 132.7, 127.5, 127.4, 127.3, 127.1, 78.7, 61.1, 7.5$ . IR 3068, 2956, 2864, 1729, 1671, 1591, 1486, 1293, 1020, 931, 802, 692, 464  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{18}\text{H}_{12}\text{INO}_3$ , 417.9940, found 417.9927.

**5-(Iodomethyl)-2-(pyridin-2-yl)-4,5-dihydrooxazole (2t, New Compound).** Compound **2t** was obtained as an oil in 85% yield (122 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 1:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 8.63$ –8.62 (m, 1H), 7.96–7.94 (m, 1H), 7.72–7.68 (m, 1H), 7.33–7.30 (m, 1H), 4.86–4.75 (m, 1H), 4.17 (dd,  $J = 15.6, 9.6$  Hz, 1H), 3.79 (dd,  $J = 15.6, 7.0$  Hz, 1H), 3.38 (dd,  $J = 10.1, 4.1$  Hz, 1H), 3.29 (dd,  $J = 10.1, 7.7$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 162.6, 149.7, 146.3, 136.7, 125.9, 123.9, 79.0, 61.0, 7.8$ . IR 3060, 2926, 2857, 1733, 1647, 1578, 1526, 1463, 1089, 926, 699, 622  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_9\text{H}_9\text{IN}_2\text{O}$ , 288.9838, found 288.9830.

**5-(Iodomethyl)-2-(pyridin-3-yl)-4,5-dihydrooxazole (2u, New Compound).** Compound **2u** was obtained as an oil in 83% yield (119 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 1:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 9.06$ –9.05 (m, 1H), 8.64–8.63 (m, 1H), 8.13 (d,  $J = 8.0$  Hz, 1H), 7.29 (dd,  $J = 8.0, 4.9$  Hz, 1H), 4.83–4.66 (m, 1H), 4.12 (dd,  $J = 15.4, 9.6$  Hz, 1H), 3.74 (dd,  $J = 15.4, 6.7$  Hz, 1H), 3.37–3.19 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 161.5, 152.1, 149.3, 136.0, 123.6, 123.3, 78.4, 60.8, 7.7$ . IR 3045, 2937, 2869, 1729, 1655, 1592, 1478, 1192, 1079, 823, 704  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_9\text{H}_9\text{IN}_2\text{O}$ , 288.9838, found 288.9843.

**5-(Iodomethyl)-2-(pyridin-4-yl)-4,5-dihydrooxazole (2v, New Compound).** Compound **2v** was obtained as a white solid in 88% yield (126 mg) after flash chromatography (Silica gel, petroleum

ether:ethyl acetate = 1:1). mp = 103–104 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 8.63–8.62 (m, 2H), 7.68–7.67 (m, 2H), 4.77–4.70 (m, 1H), 4.14–4.11 (m, 1H), 3.73 (dd,  $J$  = 15.6, 6.8 Hz, 1H), 3.35–3.17 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 161.7, 150.3, 134.7, 121.8, 78.5, 60.9, 7.7. IR 3033, 2933, 1710, 1652, 1598, 1497, 1452, 1082, 872, 690, 618  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_9\text{H}_9\text{IN}_2\text{O}$ , 288.9838, found 288.9829.

**5-(Iodomethyl)-2-(quinolin-2-yl)-4,5-dihydrooxazole (2w, New Compound).** Compound 2w was obtained as a white solid in 85% yield (143 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). mp = 135–136 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 8.18 (d,  $J$  = 8.6 Hz, 1H), 8.13 (d,  $J$  = 8.6 Hz, 1H), 8.05–8.03 (m, 1H), 7.73 (d,  $J$  = 8.1 Hz, 1H), 7.67–7.65 (m, 1H), 7.49 (t,  $J$  = 7.5 Hz, 1H), 4.94–4.81 (m, 1H), 4.22 (dd,  $J$  = 15.2, 9.6 Hz, 1H), 3.90–3.75 (m, 1H), 3.43 (dd,  $J$  = 10.1, 3.9 Hz, 1H), 3.30 (dd,  $J$  = 10.1, 8.1 Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.0, 147.6, 146.5, 136.8, 130.3, 130.1, 128.7, 128.0, 127.5, 120.6, 79.11, 61.1, 7.7. IR 3064, 2953, 2909, 1722, 1645, 1598, 1369, 1077, 966, 842, 763, 628, 595, 446  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{13}\text{H}_{11}\text{IN}_2\text{O}$ , 338.9994, found 338.9990.

**2-(1H-Indol-3-yl)-5-(iodomethyl)-4,5-dihydrooxazole (2x, New Compound).** Compound 2x was obtained as an oil in 68% yield (111 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 1:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 9.60 (s, 1H), 8.20–8.18 (m, 1H), 7.73 (s, 1H), 7.37–7.35 (m, 1H), 7.25–7.21 (m, 2H), 4.86–4.70 (m, 1H), 4.18 (dd,  $J$  = 14.5, 9.6 Hz, 1H), 3.81 (dd,  $J$  = 14.5, 6.4 Hz, 1H), 3.42–3.28 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 161.6, 136.2, 128.6, 125.4, 123.1, 121.6, 121.3, 111.7, 104.5, 77.5, 59.9, 7.9. IR 3061, 2933, 2868, 1644, 1533, 1443, 1373, 1162, 1080, 964, 881, 750, 638, 454  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{12}\text{H}_{11}\text{IN}_2\text{O}$ , 326.9994, found 326.9988.

**5-(Iodomethyl)-2-(1H-pyrrol-2-yl)-4,5-dihydrooxazole (2y, New Compound).** Compound 2y was obtained as a white solid in 63% yield (87 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 1:1). mp = 123–124 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 11.27 (s, 1H), 6.86 (s, 1H), 6.69 (d,  $J$  = 2.9 Hz, 1H), 6.16 (s, 1H), 4.75–4.68 (m, 1H), 4.08 (dd,  $J$  = 14.4, 9.3 Hz, 1H), 3.71 (dd,  $J$  = 14.4, 6.5 Hz, 1H), 3.30 (dd,  $J$  = 10.2, 4.6 Hz, 1H), 3.22 (dd,  $J$  = 10.2, 7.4 Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 158.9, 122.8, 119.5, 113.4, 109.6, 78.2, 59.8, 7.5. IR 3151, 3079, 2965, 2876, 1664, 1544, 1426, 1344, 1174, 959, 873, 762, 611, 476  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_8\text{H}_9\text{IN}_2\text{O}$ , 276.9838, found 276.9830.

**2-(Furan-2-yl)-5-(iodomethyl)-4,5-dihydrooxazole (2z, New Compound).** Compound 2z was obtained as an oil in 90% yield (124 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.48–7.47 (m, 1H), 6.90–6.89 (m, 1H), 6.42 (dd,  $J$  = 3.4, 1.7 Hz, 1H), 4.75–4.71 (m, 1H), 4.10 (dd,  $J$  = 15.2, 9.4 Hz, 1H), 3.73 (dd,  $J$  = 15.2, 6.7 Hz, 1H), 3.30 (dd,  $J$  = 10.3, 4.7 Hz, 1H), 3.23 (dd,  $J$  = 10.3, 7.3 Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 155.8, 145.5, 142.6, 114.7, 111.6, 78.6, 60.6, 7.2. IR 3326, 3104, 2931, 2877, 1726, 1669, 1562, 1478, 1173, 1005, 937, 777, 616, 468  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_8\text{H}_8\text{INO}_2$ , 277.9678, found 277.9670.

**5-(Iodomethyl)-2-(5-methylthiophen-2-yl)-4,5-dihydrooxazole (2aa, New Compound).** Compound 2aa was obtained as an oil in 81% yield (124 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.32 (s, 1H), 6.66 (s, 1H), 4.80–4.56 (m, 1H), 4.05 (dd,  $J$  = 14.9, 9.7 Hz, 1H), 3.68 (dd,  $J$  = 14.9, 6.3 Hz, 1H), 3.29 (dd,  $J$  = 9.4, 4.3 Hz, 1H), 3.24–3.20 (m, 1H), 2.43 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 159.3, 145.5, 130.8, 127.4, 126.1, 78.7, 60.7, 15.7, 7.5. IR 3069, 2967, 2864, 1708, 1649, 1541, 1472, 1064, 1020, 965, 810, 691, 615  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_9\text{H}_{10}\text{INOS}$ , 307.9606, found 307.9598.

**5-(Iodomethyl)-5-methyl-2-phenyl-4,5-dihydrooxazole (2ab, New Compound).** Compound 2ab was obtained as an oil in 80% yield (120 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 5:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.86 (d,  $J$  = 7.4 Hz, 2H), 7.42 (t,  $J$  = 7.3 Hz, 1H), 7.33 (t,  $J$  = 7.4 Hz, 2H), 3.95 (d,  $J$  = 15.0 Hz, 1H), 3.77 (d,  $J$  = 15.0 Hz, 1H), 3.43–3.30 (m, 2H), 1.68 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.0, 131.6, 128.5,

128.3, 127.9, 83.9, 65.7, 25.5, 14.2. IR 3060, 2972, 2929, 2864, 1649, 1579, 1449, 1348, 693  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{12}\text{INO}$ , 302.0042, found 302.0041.

**5-(Iodo(phenyl)methyl)-2-phenyl-4,5-dihydrooxazole (2ac, New Compound).** Compound 2ac was obtained as a white solid in 72% yield (130 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 10:1). mp = 114–116 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.83 (d,  $J$  = 7.6 Hz, 2H), 7.40–7.20 (m, 8H), 5.25 (d,  $J$  = 9.2 Hz, 1H), 4.27 (dd,  $J$  = 14.6, 8.9 Hz, 1H), 4.10–3.90 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 155.6, 138.3, 132.9, 131.0, 129.2, 128.7, 128.2, 127.3, 127.2, 82.0, 53.2, 24.7. IR 3032, 2904, 1657, 1338, 1256, 696  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{16}\text{H}_{14}\text{INO}$ , 364.0198, found 364.0191.

**5-(2-Iodopropan-2-yl)-2-phenyl-4,5-dihydrooxazole (2ad, New Compound).** Compound 2ad was obtained as an oil in 76% yield (130 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 10:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.80 (d,  $J$  = 7.4 Hz, 2H), 7.40–7.20 (m, 3H), 4.20 (dd,  $J$  = 8.5, 7.4 Hz, 1H), 3.95–3.90 (m, 2H), 1.50 (s, 3H), 1.45 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 155.2, 133.5, 130.7, 128.1, 127.1, 77.3, 52.3, 30.8, 28.9, 23.1. IR 2980, 1654, 1451, 1247, 1070, 696  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{12}\text{H}_{14}\text{INO}$ , 316.0198, found 316.0197.

**6-(Iodomethyl)-2-phenyl-5,6-dihydro-4H-1,3-oxazine (2ae, Known Compound).** Compound 2ae was obtained as an oil in 86% yield (130 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 5:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.93–7.92 (m, 2H), 7.39–7.26 (m, 3H), 4.30–4.17 (m, 1H), 3.71–3.55 (m, 2H), 3.38–3.25 (m, 2H), 2.13–2.11 (m, 1H), 1.83–1.67 (m, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 155.5, 133.4, 130.7, 128.2, 127.2, 73.8, 42.8, 27.4, 7.6. Spectral data are in good agreement with literature values.<sup>16</sup>

**2-Cyclopropyl-5-(iodomethyl)-4,5-dihydrooxazole (4a, New Compound).** Compound 4a was obtained as an oil in 80% yield (100 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.52–4.45 (m, 1H), 3.85 (dd,  $J$  = 14.4, 9.5 Hz, 1H), 3.46 (dd,  $J$  = 14.4, 6.4 Hz, 1H), 3.21–3.11 (m, 2H), 1.59–1.54 (m, 1H), 0.94–0.86 (m, 2H), 0.79 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 168.4, 77.6, 60.1, 8.7, 8.1, 6.9, 6.8. IR 3115, 3008, 2930, 2853, 1726, 1625, 1260, 1085, 947, 742, 667  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_7\text{H}_{10}\text{INO}$ , 251.9885, found 251.9876.

**2-Cyclobutyl-5-(iodomethyl)-4,5-dihydrooxazole (4b, New Compound).** Compound 4b was obtained as an oil in 77% yield (102 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.61–4.55 (m, 1H), 3.95 (dd,  $J$  = 14.5, 9.8 Hz, 1H), 3.58 (dd,  $J$  = 14.5, 6.4 Hz, 1H), 3.27 (d,  $J$  = 5.5 Hz, 2H), 3.20–3.07 (m, 1H), 2.38–2.15 (m, 4H), 2.10–1.81 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 169.7, 77.6, 60.2, 32.9, 25.8, 25.8, 18.7, 8.5. IR 3105, 2942, 2869, 1730, 1625, 1564, 1447, 1080, 997, 842, 742, 670  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_8\text{H}_{12}\text{INO}$ , 266.0042, found 266.0029.

**2-Cyclopentyl-5-(iodomethyl)-4,5-dihydrooxazole (4c, New Compound).** Compound 4c was obtained as an oil in 89% yield (124 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.51–4.47 (m, 1H), 3.86 (dd,  $J$  = 14.5, 9.5 Hz, 1H), 3.49 (dd,  $J$  = 14.5, 6.3 Hz, 1H), 3.19 (d,  $J$  = 5.6 Hz, 2H), 2.68–2.64 (m, 1H), 1.90–1.80 (m, 2H), 1.78–1.68 (m, 4H), 1.62–1.45 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 170.7, 77.5, 60.1, 38.2, 30.3, 30.2, 25.7, 8.5. IR 3327, 2953, 2868, 1731, 1305, 1087, 928, 807, 648  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_9\text{H}_{14}\text{INO}$ , 280.0198, found 280.0185.

**2-Cyclohexyl-5-(iodomethyl)-4,5-dihydrooxazole (4d, New Compound).** Compound 4d was obtained as an oil in 85% yield (124 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.57–4.34 (m, 1H), 3.86 (dd,  $J$  = 14.2, 9.8 Hz, 1H), 3.49 (dd,  $J$  = 14.2, 6.2 Hz, 1H), 3.19–3.17 (m, 2H), 2.22 (t,  $J$  = 11.1 Hz, 1H), 1.87 (s, 2H), 1.72–1.69 (m, 2H), 1.60 (d,  $J$  = 7.8 Hz, 1H), 1.46–1.27 (m, 2H), 1.30–1.12 (m, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 170.7, 77.2, 60.0, 37.4, 29.8, 29.7, 25.8, 25.6, 25.6, 8.5. IR 3319, 3083, 2929, 2855, 1732, 1626,



1535, 1451, 1207, 1130, 1028, 931, 657, 506  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{10}\text{H}_{16}\text{INO}$ , 294.0355, found 294.0348.

**5-(Iodomethyl)-2-adamantyl-4,5-dihydrooxazole (4e, New Compound).** Compound **4e** was obtained as an oil in 73% yield (126 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.47–4.40 (m, 1H), 3.86–3.82 (m, 1H), 3.62–3.39 (m, 1H), 3.17 (t,  $J$  = 4.9 Hz, 2H), 1.92–1.90 (m, 3H), 1.82 (s, 6H), 1.65 (s, 6H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 173.2, 76.9, 59.8, 39.4, 36.5, 35.3, 27.8, 8.6. IR 3226, 2906, 2852, 2668, 1726, 1227, 1181, 1059, 973, 733, 649, 475  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{14}\text{H}_{20}\text{INO}$ , 346.0668, found 346.0665.

**2-tert-Butyl-5-(iodomethyl)-4,5-dihydrooxazole (4f, New Compound).** Compound **4f** was obtained as an oil in 78% yield (104 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.52–4.46 (m, 1H), 3.86 (dd,  $J$  = 14.3, 9.7 Hz, 1H), 3.50 (dd,  $J$  = 14.3, 6.1 Hz, 1H), 3.19 (d,  $J$  = 5.3 Hz, 2H), 1.16 (s, 9H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 173.7, 77.4, 60.0, 33.3, 27.7, 8.4. IR 3330, 2967, 1726, 1641, 1285, 1158, 1039, 993, 812, 772, 648, 586  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_8\text{H}_{14}\text{INO}$ , 268.0198, found 268.0194.

**5-(Iodomethyl)-2-(pentan-3-yl)-4,5-dihydrooxazole (4g, New Compound).** Compound **4g** was obtained as an oil in 70% yield (98 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.58–4.42 (m, 1H), 3.88 (dd,  $J$  = 14.6, 9.5 Hz, 1H), 3.53 (dd,  $J$  = 14.6, 6.6 Hz, 1H), 3.21 (dd,  $J$  = 10.2, 4.8 Hz, 1H), 3.15 (dd,  $J$  = 10.2, 7.0 Hz, 1H), 2.24–2.12 (m, 1H), 1.61–1.41 (m, 4H), 0.87–0.83 (m, 6H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 169.9, 77.4, 59.7, 42.5, 25.1, 25.0, 11.8, 11.7, 8.0. IR 3323, 3088, 2963, 2875, 1734, 1629, 1264, 1138, 1087, 977, 804, 739, 651  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_9\text{H}_{16}\text{INO}$ , 282.0355, found 282.0349.

**5-(Iodomethyl)-2-propyl-4,5-dihydrooxazole (4h, New Compound).** Compound **4h** was obtained as an oil in 75% yield (95 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.53–4.49 (m, 1H), 3.87 (dd,  $J$  = 14.2, 10.0 Hz, 1H), 3.49 (dd,  $J$  = 14.2, 6.4 Hz, 1H), 3.26–3.11 (m, 2H), 2.19 (t,  $J$  = 7.3 Hz, 2H), 1.69–1.50 (m, 2H), 0.91 (t,  $J$  = 7.3 Hz, 3H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 167.5, 77.6, 60.2, 30.0, 19.3, 13.8, 8.2. IR 3323, 3096, 2959, 2874, 1737, 1635, 1259, 1174, 1093, 804, 746, 669, 499  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_7\text{H}_{12}\text{INO}$ , 254.0042, found 254.0035.

**5-(Iodomethyl)-2-pentyl-4,5-dihydrooxazole (4i, New Compound).** Compound **4i** was obtained as an oil 76% yield (107 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.57–4.42 (m, 1H), 3.87 (dd,  $J$  = 14.5, 9.6 Hz, 1H), 3.49 (dd,  $J$  = 14.5, 6.6 Hz, 1H), 3.25–3.12 (m, 2H), 2.20 (t,  $J$  = 7.6 Hz, 2H), 1.63–1.51 (m, 2H), 1.37–1.19 (m, 4H), 0.83 (t,  $J$  = 7.0 Hz, 3H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 167.8, 77.7, 60.1, 31.3, 28.0, 25.5, 22.3, 13.9, 8.0. IR 3297, 3091, 2932, 2864, 1738, 1635, 1166, 1020, 855, 730, 495  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_9\text{H}_{16}\text{INO}$ , 282.0355, found 282.0341.

**2-Heptyl-5-(iodomethyl)-4,5-dihydrooxazole (4j, New Compound).** Compound **4j** was obtained as an oil in 81% yield (125 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.53–4.49 (m, 1H), 3.87 (dd,  $J$  = 14.5, 9.6 Hz, 1H), 3.49 (dd,  $J$  = 14.5, 6.5 Hz, 1H), 3.26–3.07 (m, 2H), 2.20 (t,  $J$  = 7.6 Hz, 2H), 1.65–1.53 (m, 2H), 1.31–1.17 (m, 8H), 0.81 (m, 3H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 167.7, 77.6, 60.2, 31.6, 29.1, 28.9, 28.1, 25.8, 22.6, 14.1, 8.1. IR 3323, 3085, 2927, 2857, 1740, 1259, 1084, 927, 804, 724, 649, 423  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{11}\text{H}_{20}\text{INO}$ , 310.0668, found 310.0657.

**5-(Iodomethyl)-2-pentadecyl-4,5-dihydrooxazole (4k, New Compound).** Compound **4k** was obtained as a white solid in 80% yield (168 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). mp = 51–52 °C; <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.54–4.47 (m, 1H), 3.87 (dd,  $J$  = 14.5, 9.6 Hz, 1H), 3.49 (dd,  $J$  = 14.5, 6.5 Hz, 1H), 3.26–3.12 (m, 2H), 2.28–2.11 (m, 2H), 1.63–1.45 (m, 2H), 1.24–1.20 (m, 24H), 0.81 (m, 3H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 167.7, 77.6, 60.2, 33.9, 31.9, 29.8, 29.7, 29.6, 29.5, 29.4, 29.3, 29.2, 28.1, 25.8, 25.6, 24.9, 22.7, 14.1, 8.1. IR 3323, 2920, 2855,

1738, 1671, 1636, 1571, 1464, 1162, 1086, 871, 724, 664, 480  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{19}\text{H}_{36}\text{INO}$ , 422.1920, found 422.1916.

**5-(Iodomethyl)-2-(2-nitrobenzyl)-4,5-dihydrooxazole (4l, New Compound).** Compound **4l** was obtained as an oil in 65% yield (112 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 8.01–7.99 (m, 1H), 7.54–7.51 (m, 1H), 7.42–7.32 (m, 2H), 4.66–4.47 (m, 1H), 3.92 (d,  $J$  = 4.5 Hz, 2H), 3.85–3.76 (m, 1H), 3.47 (dd,  $J$  = 14.6, 6.5 Hz, 1H), 3.21 (dd,  $J$  = 14.6, 4.8 Hz, 1H), 3.15 (dd,  $J$  = 10.3, 7.0 Hz, 1H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 164.6, 148.8, 133.5, 132.8, 130.2, 128.5, 125.3, 78.6, 60.2, 32.9, 7.6. IR 3312, 3067, 2926, 2864, 1742, 1648, 1525, 1348, 1076, 994, 861, 789, 718, 672, 545  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{11}\text{H}_{11}\text{IN}_2\text{O}_3$ , 346.9893, found 346.9891.

**2-(2-Chlorobenzyl)-5-(iodomethyl)-4,5-dihydrooxazole (4m, New Compound).** Compound **4m** was obtained as an oil in 61% yield (102 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 1:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.33–7.25 (m, 2H), 7.18–7.12 (m, 2H), 4.58–4.54 (m, 1H), 3.89 (dd,  $J$  = 14.7, 9.5 Hz, 1H), 3.67 (s, 2H), 3.53 (dd,  $J$  = 14.7, 6.5 Hz, 1H), 3.19 (dd,  $J$  = 10.3, 4.7 Hz, 1H), 3.15 (dd,  $J$  = 10.3, 7.0 Hz, 1H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 164.9, 134.3, 132.9, 131.1, 129.6, 128.6, 126.9, 78.2, 60.4, 32.5, 7.7. IR 3320, 3063, 2926, 2859, 1740, 1645, 1532, 1475, 1326, 1254, 1048, 927, 869, 753, 687, 445  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{11}\text{H}_{11}\text{ClINO}$ , 335.9652, found 335.9640.

**5-(Iodomethyl)-2-((S)-1-tosylpyrrolidin-2-yl)-4,5-dihydrooxazole (Diastereomeric Mixtures, dr = 2:1).** Colorless oil. Major diastereomer: (**4n**, new compound). Compound **4n** was obtained as an oil in 74% yield (161 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 1:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.66 (d,  $J$  = 8.7 Hz, 2H), 7.25 (d,  $J$  = 8.1 Hz, 2H), 4.44–4.33 (m, 1H), 4.28 (dd,  $J$  = 8.3, 3.6 Hz, 1H), 3.92–3.85 (m, 1H), 3.50–3.44 (m, 3H), 3.24–3.14 (m, 3H), 2.36 (s, 3H), 2.08–1.96 (m, 3H), 1.72–1.55 (m, 1H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 172.8, 166.4, 143.6, 129.6, 127.6, 77.9, 60.0, 56.4, 48.9, 31.0, 24.46, 21.5, 8.8. IR 3322, 2945, 2879, 1748, 1654, 1529, 1451, 1341, 1159, 1001, 917, 730, 666, 589, 493  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{15}\text{H}_{19}\text{I}_2\text{N}_2\text{O}_3$ , 435.0239, found 435.0228.

**2,2'-(Propane-2,2-diyl)bis(5-(iodomethyl)-4,5-dihydrooxazole) (4o, New Compound).** Compound **4o** was obtained as an oil in 83% yield (191 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 4.66–4.48 (m, 2H), 3.91 (dd,  $J$  = 14.7, 9.5 Hz, 2H), 3.61–3.53 (m, 2H), 3.27–3.13 (m, 4H), 1.47 (s, 6H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 168.4, 78.4, 60.1, 38.8, 24.1, 7.9. IR 3322, 2938, 2868, 1734, 1532, 1263, 1133, 1078, 803, 705, 549  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{11}\text{H}_{16}\text{I}_2\text{N}_2\text{O}_2$ , 462.9379, found 462.9371.

**General Procedure for Nucleophilic Substitution of 5-Iodomethyl-2-oxazolines.** 5-(Iodomethyl)-2-(4-methoxyphenyl)-4,5-dihydrooxazole (**2b**) was dissolved in 2 mL of DMF, the nucleophile of interest was added, and the reaction mixture was stirred for a given time. Then 30 mL of  $\text{CH}_2\text{Cl}_2$  was added, and the reaction mixture was washed with water, dried over  $\text{MgSO}_4$ , and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography to give the corresponding product.

**5-(Azidomethyl)-2-(4-methoxyphenyl)-4,5-dihydrooxazole (5a, New Compound).** Compound **5a** was obtained as an oil in 92% yield (107 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.92–7.90 (m, 2H), 6.94–6.92 (m, 2H), 4.93–4.82 (m, 1H), 4.13 (dd,  $J$  = 14.7, 9.8 Hz, 1H), 3.85 (s, 3H), 3.79 (dd,  $J$  = 14.7, 6.8 Hz, 1H), 3.51–3.37 (m, 2H). <sup>13</sup>C NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.5, 162.2, 129.9, 119.7, 113.7, 78.1, 57.7, 55.3, 54.0. IR 3065, 2937, 2870, 2102, 1721, 1651, 1609, 1513, 1458, 1028, 843, 677  $\text{cm}^{-1}$ . HRMS-ESI ( $m/z$ ) [ $M + H$ ]<sup>+</sup> calcd for  $\text{C}_{11}\text{H}_{12}\text{N}_4\text{O}_2$ , 233.1039, found 233.1038.

**[2-(4-Methoxyphenyl)-4,5-dihydrooxazol-5-yl]methyl acetate (5b, New Compound).** Compound **5b** was obtained as an oil in 75% yield (93 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). <sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.82–7.80

(m, 2H), 6.85–6.83 (m, 2H), 4.81–4.80 (m, 1H), 4.23 (d,  $J = 11.9$  Hz, 1H), 4.14–4.00 (m, 2H), 3.77 (s, 3H), 3.71 (dd,  $J = 14.6, 7.3$  Hz, 1H), 2.01 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 170.8, 163.8, 162.1, 129.9, 119.9, 113.7, 76.8, 65.2, 56.9, 55.3, 20.8$ . IR 3065, 2943, 2875, 1742, 1653, 1609, 1513, 1548, 1255, 1070, 845, 678  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{13}\text{H}_{15}\text{NO}_4$ , 250.1079, found 250.1079.

**2-(4-Methoxyphenyl)-5-methyl-4,5-dihydrooxazole (5c, New Compound).** To a 100 mL flask was added tributyltinhydride (1.5 mmol), AIBN (0.025 mmol), **2b** (0.5 mmol) and 20 mL of toluene. The resulting solution was refluxed at 100 °C for 8 h. The residue obtained by concentration was purified by flash column chromatography to give the **5c** as an oil (88 mg, 92% yield) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.81$ –7.78 (m, 2H), 6.83–6.81 (m, 2H), 4.75–4.70 (m, 1H), 4.02 (dd,  $J = 14.2, 9.3$  Hz, 1H), 3.74 (s, 3H), 3.53–3.48 (m, 1H), 1.32 (d,  $J = 6.2$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.6, 161.9, 129.8, 120.5, 113.6, 76.0, 61.5, 55.3, 21.1$ . IR 3066, 2966, 2867, 1710, 1645, 1579, 1511, 1457, 1256, 841, 742  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{13}\text{NO}_2$ , 192.1025, found 192.1022.

**N-2-Hydroxy-3-iodopropyl 4-methoxybenzamide (5d, New Compound).** To a solution of **2b** (0.5 mmol) in THF was added  $\text{CF}_3\text{COOH}$  (5.0 equiv). The reaction mixture was stirred overnight at room temperature. After completion of the reaction, the mixture was quenched with saturated aqueous  $\text{NaHCO}_3$  and extracted with  $\text{CH}_2\text{Cl}_2$  (10 mL) for three times. The combined organic layer was dried ( $\text{MgSO}_4$ ) and concentrated to give crude residue which was purified by flash column chromatography to give compound **5d** as a white solid in 62% yield (104 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). mp = 110–112 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.70$ –7.68 (m, 2H), 6.86–6.84 (m, 2H), 6.58 (brs, 1H), 4.13 (brs, 1H), 3.88–3.80 (m, 1H), 3.78 (s, 3H), 3.76–3.72 (m, 1H), 3.58–3.41 (m, 1H), 3.19 (d,  $J = 6.0$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 168.8, 162.6, 128.9, 125.7, 113.9, 71.1, 55.4, 45.3, 10.1$ . IR 3314, 3064, 2925, 1712, 1621, 1548, 1433, 1089, 847, 677  $\text{cm}^{-1}$ . IR 3057, 2934, 2866, 1722, 1652, 1475, 1330, 1178, 960, 761, 615, 459  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{14}\text{INO}_3$ , 336.0097, found 336.0086.

**5-(Bromomethyl)-2-(p-tolyl)-4,5-dihydrooxazole (6a, New Compound).** Compound **6a** was obtained as a white solid in 83% yield (105 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). mp = 86–88 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.76$ –7.74 (m, 2H), 7.15–7.13 (m, 2H), 4.87–4.80 (m, 1H), 4.09 (dd,  $J = 15.1, 9.6$  Hz, 1H), 3.82 (dd,  $J = 15.1, 6.6$  Hz, 1H), 3.47 (dd,  $J = 10.6, 5.0$  Hz, 1H), 3.41 (dd,  $J = 10.6, 6.3$  Hz, 1H), 2.31 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.7, 141.9, 129.1, 128.1, 124.4, 77.7, 59.2, 33.8, 21.6$ . IR 3030, 2959, 2867, 1718, 1649, 1614, 1340, 1018, 900, 831, 726  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{12}\text{BrNO}$ , 254.0181, found 254.0179.

**5-(Bromomethyl)-2-(4-methoxyphenyl)-4,5-dihydrooxazole (6b, New Compound).** Compound **6b** was obtained as a white solid in 80% yield (108 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). mp = 82–84 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.91$ –7.89 (m, 2H), 6.94–6.93 (m, 2H), 4.98–4.86 (m, 1H), 4.23–4.14 (m, 1H), 3.90 (dd,  $J = 15.0, 6.6$  Hz, 1H), 3.86 (s, 3H), 3.56 (dd,  $J = 10.6, 5.0$  Hz, 1H), 3.51 (dd,  $J = 10.6, 6.3$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.5, 162.2, 129.9, 128.9, 119.7, 113.7, 77.8, 59.0, 55.3, 33.7$ . IR 3013, 2927, 1713, 1648, 1607, 1510, 1260, 1104, 1026, 971, 843, 800, 740  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{12}\text{BrNO}_2$ , 270.0130, found 270.0125.

**5-(Bromomethyl)-2-(2-iodophenyl)-4,5-dihydrooxazole (6c, New Compound).** Compound **6c** was obtained as an oil in 83% yield (151 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.87$  (d,  $J = 7.9$  Hz, 1H), 7.57 (dd,  $J = 7.9, 1.3$  Hz, 1H), 7.31 (t,  $J = 7.3$  Hz, 1H), 7.04 (td,  $J = 7.9, 1.3$  Hz, 1H), 4.90–4.87 (m, 1H), 4.15 (dd,  $J = 15.2, 9.7$  Hz, 1H), 3.89 (dd,  $J = 15.2, 6.6$  Hz, 1H), 3.57–3.40 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 163.7, 140.6, 132.9, 131.8, 130.8, 127.9, 94.6, 78.2, 59.8, 33.6$ . IR 3053, 2931, 2867, 1732, 1657, 1584, 1089, 970, 762

$\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_9\text{BrINO}$ , 365.8990, found 365.8986.

**5-(Bromomethyl)-2-(2-bromophenyl)-4,5-dihydrooxazole (6d, New Compound).** Compound **6d** was obtained as an oil in 88% yield (139 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.63$  (dd,  $J = 7.6, 1.7$  Hz, 1H), 7.56 (dd,  $J = 7.9, 1.0$  Hz, 1H), 7.27 (td,  $J = 7.6, 1.0$  Hz, 1H), 7.21 (td,  $J = 7.9, 1.7$  Hz, 1H), 4.90–4.84 (m, 1H), 4.14 (dd,  $J = 15.2, 9.7$  Hz, 1H), 3.97–3.82 (m, 1H), 3.59–3.35 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 162.8, 133.9, 131.9, 131.4, 129.1, 127.2, 121.8, 78.0, 59.8, 33.2$ . IR 3053, 2933, 2868, 1734, 1655, 1472, 1246, 970, 837, 761  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_9\text{Br}_2\text{NO}$ , 317.9129, found 317.9121.

**5-(Bromomethyl)-2-(4-chlorophenyl)-4,5-dihydrooxazole (6e, New Compound).** Compound **6e** was obtained as a white solid in 90% yield (123 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). mp = 65–66 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.79$ –7.77 (m, 2H), 7.31–7.29 (m, 2H), 4.88–4.81 (m, 1H), 4.09 (dd,  $J = 15.2, 9.7$  Hz, 1H), 3.91–3.76 (m, 1H), 3.46 (dd,  $J = 9.9, 4.3$  Hz, 1H), 3.42 (dd,  $J = 9.9, 5.3$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 162.7, 137.7, 129.6, 128.7, 125.8, 78.0, 59.3, 33.6$ . IR 3070, 3011, 2951, 2873, 1722, 1647, 1488, 1262, 1075, 908, 845, 668  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_9\text{BrClNO}$ , 273.9634, found 273.9629.

**4-[5-(Bromomethyl)-4,5-dihydrooxazol-2-yl]benzonitrile (6f, New Compound).** Compound **6f** was obtained as a white solid in 91% yield (120 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1). mp = 95–97 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.97$ –7.96 (m, 2H), 7.64–7.62 (m, 2H), 4.95–4.88 (m, 1H), 4.15 (dd,  $J = 15.6, 9.8$  Hz, 1H), 3.88 (dd,  $J = 15.6, 6.8$  Hz, 1H), 3.49 (d,  $J = 5.3$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 162.0, 132.1, 131.4, 128.7, 118.2, 114.8, 78.2, 59.4, 33.6$ . IR 3088, 3009, 2949, 2231, 1947, 1653, 1508, 1452, 1260, 1019, 908, 849, 665  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_9\text{BrN}_2\text{O}$ , 264.9977, found 264.9966.

**4-(5-(Bromomethyl)-4,5-dihydrooxazol-2-yl)benzaldehyde (6g, New Compound).** Compound **6g** was obtained as an oil in 83% yield (111 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 9.97$  (s, 1H), 8.01–7.99 (m, 2H), 7.84–7.82 (m, 2H), 4.94–4.87 (m, 1H), 4.14 (dd,  $J = 15.5, 9.7$  Hz, 1H), 3.87 (dd,  $J = 15.5, 6.8$  Hz, 1H), 3.46 (d,  $J = 10.8$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 191.6, 162.6, 138.1, 132.5, 129.5, 128.8, 78.1, 59.4, 33.7$ . IR 2931, 2854, 1712, 1650, 1611, 1265, 843, 672  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{10}\text{BrNO}_2$ , 267.9973, found 267.9970.

**5-(Bromomethyl)-2-(pyridin-2-yl)-4,5-dihydrooxazole (6h, New Compound).** Compound **6h** was obtained as an oil in 80% yield (96 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 1:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 8.65$ –8.64 (m, 1H), 7.97 (d,  $J = 7.9$  Hz, 1H), 7.72 (t,  $J = 7.7$  Hz, 1H), 7.38–7.27 (m, 1H), 5.06–4.82 (m, 1H), 4.19 (dd,  $J = 15.5, 9.7$  Hz, 1H), 3.94 (dd,  $J = 15.5, 6.9$  Hz, 1H), 3.56 (dd,  $J = 10.6, 4.2$  Hz, 1H), 3.50 (dd,  $J = 10.3, 6.9$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 162.7, 149.7, 146.5, 136.7, 125.7, 123.9, 78.3, 59.4, 33.5$ . IR 3045, 2991, 2929, 1735, 1660, 1620, 1584, 1292, 1139, 753  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_9\text{H}_9\text{BrN}_2\text{O}$ , 240.9977, found 240.9975.

**5-(Bromomethyl)-2-(furan-2-yl)-4,5-dihydrooxazole (6i, New Compound).** Compound **6i** was obtained as an oil in 76% yield (87 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta = 7.48$  (s, 1H), 6.90 (d,  $J = 3.3$  Hz, 1H), 6.42 (d,  $J = 0.8$  Hz, 1H), 4.87–4.83 (m, 1H), 4.11 (dd,  $J = 15.2, 9.5$  Hz, 1H), 3.84 (dd,  $J = 15.2, 6.7$  Hz, 1H), 3.47 (dd,  $J = 10.7, 4.9$  Hz, 1H), 3.43 (dd,  $J = 10.7, 6.4$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta = 155.9, 145.4, 142.4, 114.9, 111.5, 78.0, 59.2, 33.2$ . IR 3047, 2929, 2856, 1726, 1669, 1625, 1474, 1396, 1175, 764  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_8\text{H}_8\text{BrNO}_2$ , 229.9817, found 229.9814.

**5-(Bromomethyl)-2-(naphthalen-2-yl)-4,5-dihydrooxazole (6j, New Compound).** Compound **6j** was obtained as a white solid in 85% yield (122 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). mp = 116–117 °C;  $^1\text{H}$  NMR (400 MHz,

$\text{CDCl}_3$ )  $\delta$  = 8.34 (s, 1H), 7.93 (dd,  $J$  = 8.6, 1.5 Hz, 1H), 7.83–7.78 (m, 1H), 7.78–7.72 (m, 2H), 7.50–7.28 (m, 2H), 4.88–4.83 (m, 1H), 4.12 (dd,  $J$  = 15.2, 9.6 Hz, 1H), 3.85 (dd,  $J$  = 15.2, 6.7 Hz, 1H), 3.48 (dd,  $J$  = 10.6, 5.0 Hz, 1H), 3.43 (dd,  $J$  = 10.6, 6.2 Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.7, 134.9, 132.6, 128.9, 128.8, 128.2, 127.8, 127.7, 126.6, 124.7, 124.6, 78.0, 59.4, 33.8. IR 3057, 3011, 2957, 2872, 1715, 1649, 1575, 1464, 1296, 1194, 1055, 963, 757  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{14}\text{H}_{12}\text{BrNO}$ , 290.0181, found 290.0178.

**5-(Chloromethyl)-2-(*p*-tolyl)-4,5-dihydrooxazole (6a', New Compound).** Compound 6a' was obtained as a white solid in 77% yield (92 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 4:1). mp = 65–67 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.84–7.82 (m, 2H), 7.22–7.20 (m, 2H), 4.91–4.85 (m, 1H), 4.15 (dd,  $J$  = 15.0, 9.7 Hz, 1H), 3.91 (dd,  $J$  = 15.0, 6.7 Hz, 1H), 3.66 (dd,  $J$  = 5.4, 1.6 Hz, 2H), 2.38 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.8, 141.9, 129.1, 128.1, 124.6, 78.0, 58.2, 45.5, 21.5. IR 3014, 2960, 2927, 1721, 1651, 1612, 1570, 1339, 1262, 1066, 904, 829, 748  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{12}\text{ClNO}$ , 210.0686, found 210.0685.

**5-(Chloromethyl)-2-(4-methoxyphenyl)-4,5-dihydrooxazole (6b', New Compound).** Compound 6b' was obtained as an oil in 80% yield (90 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.82–7.80 (m, 2H), 6.85–6.83 (m, 2H), 4.84–4.80 (m, 1H), 4.08 (dd,  $J$  = 14.9, 9.6 Hz, 1H), 3.83 (dd,  $J$  = 14.9, 6.6 Hz, 1H), 3.77 (s, 3H), 3.60 (dd,  $J$  = 5.4, 3.5 Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.5, 162.2, 129.9, 119.6, 113.7, 78.0, 58.1, 55.3, 45.5. IR 3010, 2940, 2873, 1718, 1650, 1610, 1259, 1174, 979, 904, 844, 677  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{12}\text{ClNO}_2$ , 226.0635, found 226.0632.

**2-(2-Bromophenyl)-5-(chloromethyl)-4,5-dihydrooxazole (6d', New Compound).** Compound 6d' was obtained as an oil in 80% yield (109 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.63 (dd,  $J$  = 7.7, 1.7 Hz, 1H), 7.57 (dd,  $J$  = 7.7, 0.9 Hz, 1H), 7.33–7.16 (m, 2H), 4.90–4.84 (m, 1H), 4.14 (dd,  $J$  = 15.1, 9.8 Hz, 1H), 3.92 (dd,  $J$  = 15.1, 6.6 Hz, 1H), 3.64 (d,  $J$  = 3.0 Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.0, 133.9, 131.9, 131.4, 129.0, 127.1, 121.8, 78.3, 58.5, 45.3. IR 3057, 2944, 2872, 1737, 1658, 1592, 1471, 1095, 842, 758  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_9\text{BrClNO}$ , 273.9634, found 273.9633.

**5-(Chloromethyl)-2-(4-chlorophenyl)-4,5-dihydrooxazole (6e', New Compound).** Compound 6e' was obtained as an oil in 79% yield (90 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.84–7.81 (m, 2H), 7.34–7.32 (m, 2H), 4.94–4.87 (m, 1H), 4.15 (dd,  $J$  = 15.1, 9.6 Hz, 1H), 3.89 (dd,  $J$  = 15.1, 6.8 Hz, 1H), 3.63 (d,  $J$  = 5.2 Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.2, 138.0, 129.9, 128.9, 125.4, 78.5, 57.9, 45.3. IR 3052, 2949, 2873, 1723, 1649, 1599, 1540, 1264, 1094, 842, 731  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_9\text{Cl}_2\text{NO}$ , 230.0139, found 230.0140.

**4-[5-(Chloromethyl)-4,5-dihydrooxazol-2-yl]benzoxonitrile (6f', New Compound).** Compound 6f' was obtained as a white solid in 74% yield (81 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). mp = 97–98 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.97–7.95 (m, 2H), 7.64–7.62 (m, 2H), 4.94–4.90 (m, 1H), 4.14 (dd,  $J$  = 15.5, 9.8 Hz, 1H), 3.91 (dd,  $J$  = 15.5, 6.9 Hz, 1H), 3.70–3.57 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 162.1, 132.1, 131.3, 128.7, 118.2, 114.8, 78.6, 58.3, 45.4. IR 3088, 2590, 2875, 2231, 1736, 1653, 1505, 1417, 1070, 850, 668  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_9\text{ClN}_2\text{O}$ , 221.0482, found 221.0476.

**4-[5-(Chloromethyl)-4,5-dihydrooxazol-2-yl]benzaldehyde (6g', New Compound).** Compound 6g' was obtained as an oil in 75% yield (84 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 3:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 10.00 (s, 1H), 8.11–8.09 (m, 2H), 7.94–7.91 (m, 2H), 5.03–4.96 (m, 1H), 4.24 (dd,  $J$  = 15.4, 9.8 Hz, 1H), 3.95 (dd,  $J$  = 15.4, 6.9 Hz, 1H), 3.58 (dd,  $J$  = 5.1, 1.8 Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 191.6, 162.9, 138.2, 132.3, 129.6, 128.9, 78.6, 58.2, 45.4. IR 3052, 2956, 2853, 1720, 1650, 1535, 1266, 1108, 852, 761, 695  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{11}\text{H}_{10}\text{ClNO}_2$ , 224.0478, found 224.0477.

**5-(Chloromethyl)-2-(naphthalen-2-yl)-4,5-dihydrooxazole (6j', New Compound).** Compound 6j' was obtained as a white solid in 72% yield (88 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 2:1). mp = 99–100 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 8.35 (s, 1H), 7.94–7.92 (m, 1H), 7.82–7.80 (m, 1H), 7.79–7.73 (m, 2H), 7.47–7.38 (m, 2H), 4.89–4.86 (m, 1H), 4.13 (dd,  $J$  = 15.1, 9.7 Hz, 1H), 3.90 (dd,  $J$  = 15.1, 6.7 Hz, 1H), 3.62 (d,  $J$  = 5.4 Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 163.9, 134.8, 132.6, 128.9, 128.8, 128.2, 127.8, 127.6, 126.6, 124.6, 124.5, 78.3, 58.3, 45.5. IR 3058, 2957, 2875, 1728, 1649, 1568, 1461, 1231, 1056, 972, 828, 761  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{14}\text{H}_{12}\text{ClNO}$ , 246.0686, found 246.0686.

**5-(Iodomethyl)-2-phenyl-4,5-dihydrothiazole (7a, Known Compound, CAS: 906451-57-8).** Compound 7a was obtained as an oil in 83% yield (126 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 5:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.72–7.70 (m, 2H), 7.40–7.30 (m, 2H), 6.99 (t,  $J$  = 7.8 Hz, 1H), 4.50 (dd,  $J$  = 16.1, 2.0 Hz, 1H), 4.17 (dd,  $J$  = 16.1, 7.9 Hz, 1H), 4.10–4.09 (m, 1H), 3.25 (dd,  $J$  = 9.8, 4.7 Hz, 1H), 3.11 (t,  $J$  = 10.0 Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 166.7, 131.5, 130.3, 128.6, 128.4, 69.9, 51.6, 10.1. Spectral data are in good agreement with literature values.<sup>16</sup>

**5-(Bromomethyl)-2-phenyl-4,5-dihydrothiazole (7b, New Compound).** Compound 7b was obtained as an oil in 71% yield (90 mg) after flash chromatography (Silica gel, petroleum ether:ethyl acetate = 5:1).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  = 7.75–7.69 (m, 1H), 7.62–7.57 (m, 1H), 7.38–7.29 (m, 2H), 7.03–6.96 (m, 1H), 4.61 (dd,  $J$  = 16.4, 2.4 Hz, 1H), 4.27–4.17 (m, 1H), 4.11–4.04 (m, 1H), 3.39 (dd,  $J$  = 10.1, 4.9 Hz, 1H), 3.26 (t,  $J$  = 10.1 Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  = 166.5, 131.5, 130.3, 128.6, 128.4, 68.5, 51.2, 34.9. IR 3057, 2945, 2717, 1722, 1605, 1491, 1240, 1003, 944, 766, 688  $\text{cm}^{-1}$ . HRMS–ESI ( $m/z$ ) [ $M + H$ ] $^+$  calcd for  $\text{C}_{10}\text{H}_{10}\text{BrNS}$ , 255.9796, found 255.9796.

## ■ ASSOCIATED CONTENT

### Supporting Information

The Supporting Information is available free of charge on the ACS Publications website at DOI: 10.1021/acs.joc.5b01832.

Copies of NMR, IR and HRMS spectra for the obtained compounds, X-ray structure for compound 2b. (PDF)  
Crystal information file for compound 2b. (CIF)

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### Notes

The authors declare no competing financial interest.

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