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Article

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"On Water" C(sp^3)-H Functionalization/C-O/C-N Bonds Formations: Synthesis of Functionalized Oxazolidines and Imidazolidines

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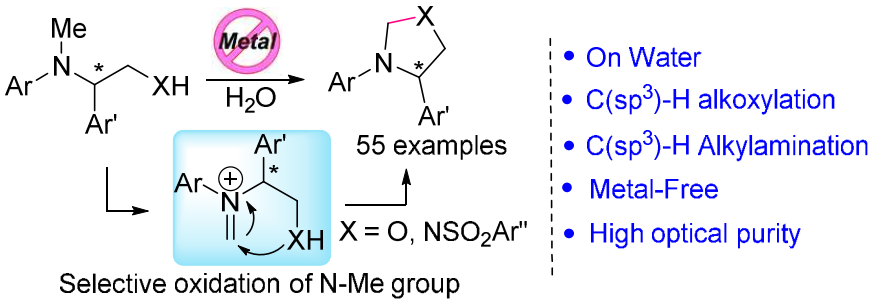


On water oxidative C(sp^3)-H functionalization/C-O/C-N bonds formations using tetrabutylammonium iodide (TBAI) as the catalyst and *tert*-butyl hydroperoxide in water (T-Hydro) as the oxidant affords a potential route for the construction of functionalized oxazolidines and imidazolidines. The reaction is simple, regioselective and effective at moderate temperature with broad substrate scope. In case of optically active substrates, the oxidative cyclization can be accomplished with high optical purities.

INTRODUCTION

Water is highly abundant, inexpensive, nontoxic and nonflammable. Thus, its use as a solvent for organic synthesis is attractive.[1,2] Oxazolidines[3,4] and imidazolidines[5,6] are privileged structural scaffolds that exist in many bioactive compounds (Figure 1). They are also widely employed as auxiliaries, catalysts and ligands for transition-metal-catalysts.[7,8] Generally, they are prepared by the condensation of aldehydes with the 1,2-amino alcohols[7c] and 1,2-diamines,[5a] respectively. The alternative methods for the synthesis of oxazolidines and imidazolidines include the cycloaddition[3a,5b,9] conjugate addition[3b] and aza-Wacker reaction.[3d,10] The selective functionalization of C-H bonds is an efficient strategy for the conversion of prefunctionalized simple substrates into complex molecules with structural diversity.[11] Among them, the direct oxidative functionalization of C-H bond next to nitrogen is attractive from both

the synthetic and enzymatic aspects.[12,13] More recently, Rh-complex has been shown to catalyze the oxidative coupling of *N,N*-dimethylaniline with MeOH,[14] while Ru-complex is employed for the amination of benzylic C-H bond adjacent to nitrogen in the presence of visible light.[5d] Herein, we present a simple and efficient on water metal-free regioselective oxidative cross-coupling of C(sp^3)-H bonds adjacent to nitrogen with alkyl O-H and N-H bonds to furnish oxazolidines and imidazolidines from 1,2-amino alcohols and 1,2-diamines bearing *N,N*-arylalkyl and *N,N*-alkylsulfonyl substituents using TBAI in the presence of T-Hydro[14] at moderate temperature under air. This reaction also allows the transformation of optically active substrates into the corresponding oxazolidines and imidazolidines without the loss of the optical purity that are broadly useful as chiral auxiliaries and chiral ligands for asymmetric synthesis.[7,8] The broad substrate scope, free from the contamination of metal-salts, simplified product isolation and use of water as the solvent are the significant practical features.

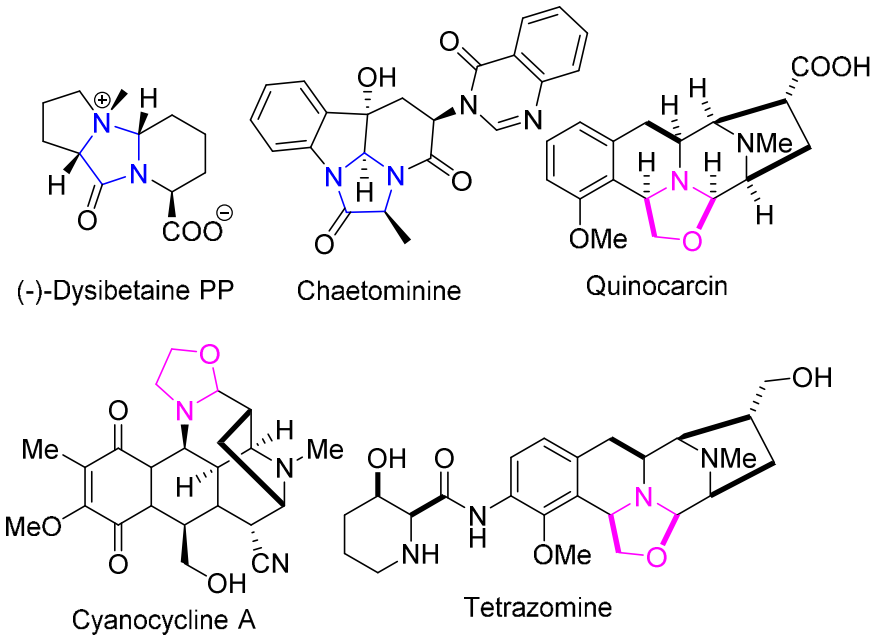


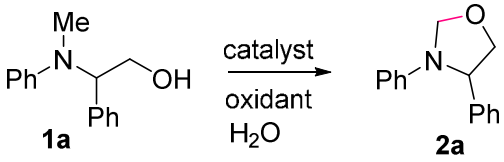
Figure 1. Examples of bioactive oxazolidine and imidazolidine containing natural products.

RESULTS AND DISCUSSION

First, the optimization of the reaction conditions was performed using amino alcohol **1a** as a model substrate in the presence of iodides and oxidants on water (Table 1). Gratifyingly, the reaction occurred

to produce oxazolidine **2a** in 55% when **1a** was stirred with 15 mol % of TBAI and 2 equiv of T-Hydro at room temperature under air (entry 1). The use of 30% H_2O_2 and di-*tert*-butyl peroxide (DTBP) in place of T-hydro led to inferior results (entries 2-3). However, increasing the reaction temperature (60 °C) furnished the target product in 100% conversion and selectivity (entries 4-5). Similar results were observed using N_2 atmosphere (entry 5). In a set of iodides screened, TBAI, NaI, KI and I_2, the former furnished the best results (entries 6-8). Decreasing the amount of TBAI (10 mol %) or T-Hydro (1.5 equiv) led to the formation of **2a** in < 65% yield (entry 9). A control experiment confirmed that the target heterocycle was not observed in the absence of TBAI (entry 10).

Table 1. Optimization of the Reaction Conditions



entry	catalyst (15 mol %)	oxidant (2 equiv)	temp (°C)	yield (%)[a,b]
1	TBAI	T-Hydro	rt	55
2	TBAI	30% H_2O_2	rt	5
3	TBAI	DTBP	rt	0
4	TBAI	T-Hydro	40	80
5	TBAI	T-Hydro	60	95(100)[c,d]
6	NaI	T-Hydro	60	0
7	KI	T-Hydro	60	0
8	I_2	T-Hydro	60	30
9	TBAI	T-Hydro	60	61[e],65[f]
10	-	T-Hydro	60	0

[a]Amino alcohol **1a** (0.5 mmol), catalyst (15 mol %), oxidant (1 mmol), H_2O (1 mL), 24 h. [b]Isolated yield. [c]Determined by 400 MHz ^1H NMR. [d]Under N_2 atmosphere. [e]TBAI (10 mol %) used. [f]T-Hydro (0.75 mmol) used.

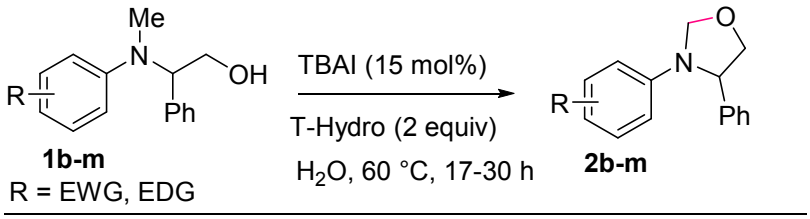
Having the optimal conditions, the reaction of amino alcohols **1b-m** having substitution in *N*-aryl ring was investigated (Scheme 1). The substrate **1b** bearing substitution at 2-position with methyl group showed no product **2b** formation, which may be due to the steric hindrance of the methyl functionality. However, the reaction of **1c-e** containing substitution at 3-position with ethyl, methyl and trifluoromethyl groups gave **2c-e** in 55-86% yields. Similarly, the substrates **1f-i** having substitution at 4-position with bromo, chloro, isopropyl and methyl groups produced the corresponding oxazolidines **2f-i** in 77-88% yields. In contrast, **1j** with strong electron withdrawing nitro group failed to produce **2j**, which may be due to the delocalization of nitrogen lone pair in *N*-aryl ring towards the nitro group and not available for the single electron transfer (SET) reduction of iodine to I^- (Scheme 10, step ii). However, the reaction of **1k** and **1l** bearing 3,4-dimethyl and 3,5-dichloro functionalities furnished **2k** and **2l** in 85 and 70% yields, respectively, whereas **1m** containing 4-azobenzene substituent afforded **2m** in good yield.

Next, the reaction of the substrates bearing substitution at 2-aryl ring was explored (Scheme 2). The substrate **1n** bearing 2-chloro substituent underwent reaction to provide **2n** in 75% yield. The reaction of **1o-q** having substitution at 3-position with methoxy, methyl and nitro functionalities furnished the target oxazolidines **2o-q** in 65-87% yields, whereas **1r-u** containing substitution at 4-position with acetoxy, bromo, chloro and fluoro groups afforded the corresponding substituted oxazolidines **2r-u** in 78-85% yields.

The reaction of the substrates bearing substitution in both aryl rings was further examined (Scheme 3). Substrate **1v** having substitution at 3-position with methyl and ethyl groups underwent reaction to

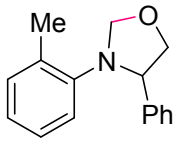
give **2v** in 86% yield. The reaction of **1w-x** having substitution at 4-position with chloro, fluoro, methyl and acetoxy functionalities afforded **2w** and **2x** in 82% and 84% yields, respectively. The reaction condition is also compatible for the cyclization of tetrahydroisoquinoline derivative **1y**, affording the tricyclic oxazolidine **2y** in good yield (Scheme 4). Under these conditions, *N*-ethyl substrate **1z** showed no cyclization and the formation of **2z** was not observed.

Scheme 1. Reaction of *N*-Aryl Substituted Substrates

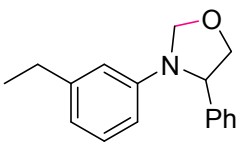


1b-m
R = EWG, EDG

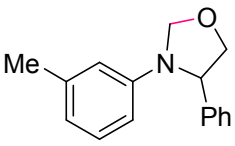
2b-m



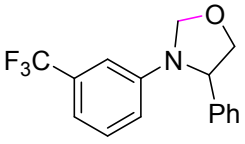
2b, 30 h, 0 %



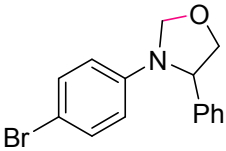
2c, 20 h, 86%



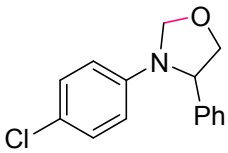
2d, 24 h, 84%



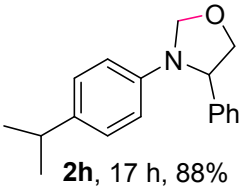
2e, 30 h, 55%



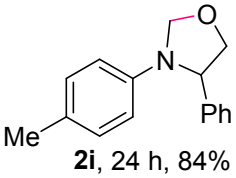
2f, 24 h, 77%



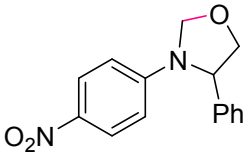
2g, 24 h, 81%



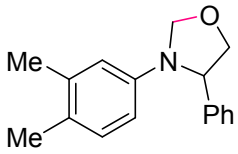
2h, 17 h, 88%



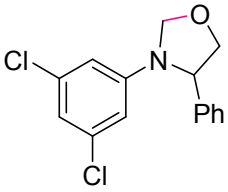
2i, 24 h, 84%



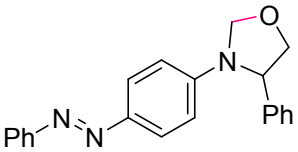
2j, 30 h, 0%



2k, 20 h, 85%



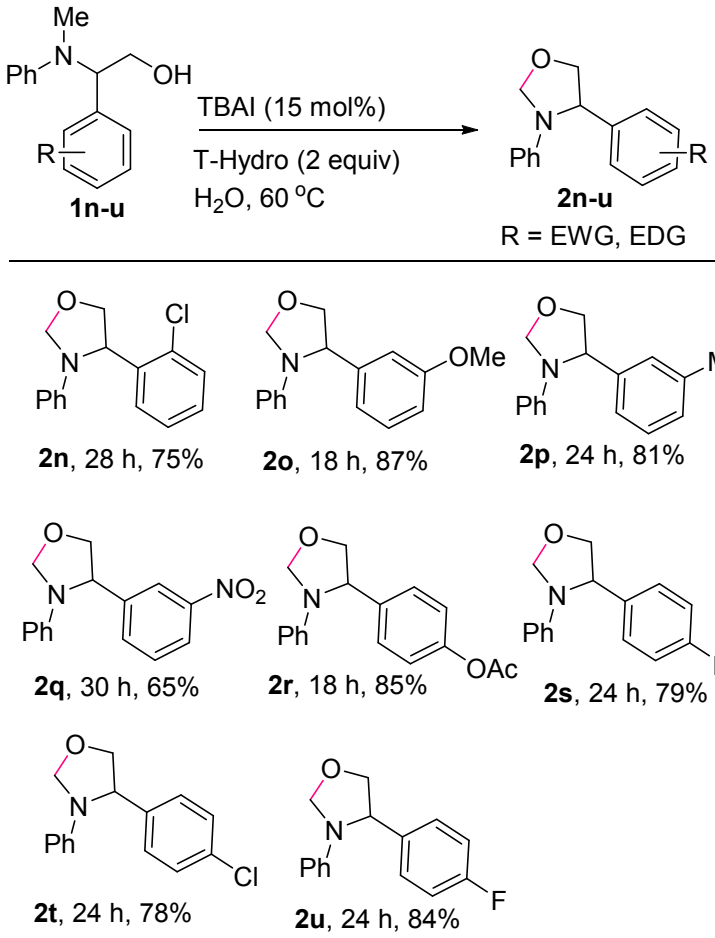
2l, 26 h, 70%



2m, 30 h, 47%

[a]Substrate **1b-m** (0.5 mmol), TBAI (15 mol %), T-Hydro (1 mmol), H_2O (1 mL), 60 °C. [b]Isolated yield.

Scheme 2. Reaction of 2-Aryl Substituted Substrates

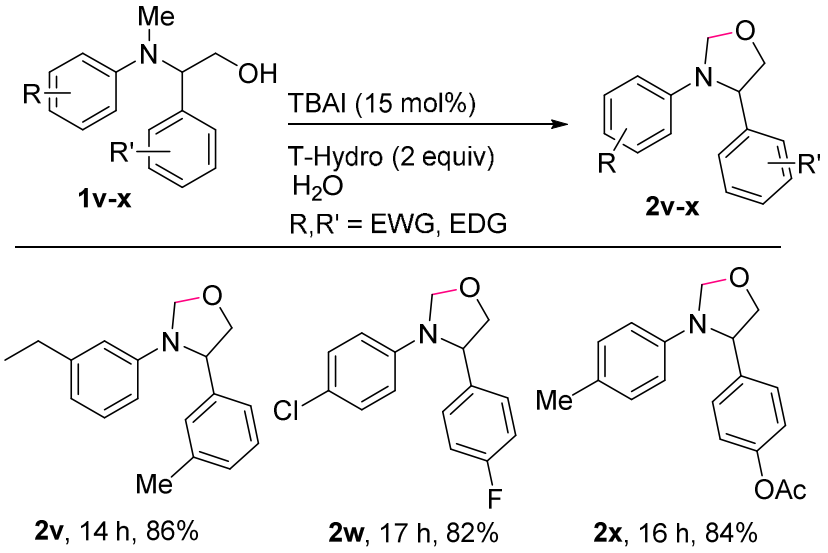


[a]Substrate **1n-u** (0.5 mmol), TBAI (15 mol %), T-Hydro (1 mmol), H_2O (1 mL), 60 °C. [b]Isolated yield.

Having these results, we further studied the reaction of analogue diamines to produce imidazolidines (Scheme 5). The best results observed in the presence of a few drops (200 µl) of 1,2-dichloroethane (DCE), which assists the solid substrate to be gummy and float on the surface of water. The substrate **3a** underwent reaction to give imidazolidine **4a** in 81% yield. Next, the reaction of the substrates bearing substitution in *N*-aryl ring was performed. As above, the reaction of **3b** containing 2-methyl group showed no cyclization to furnish **4b**. However, the substrates **3c-d** having substitution at 3-position with methyl and ethyl functionalities afforded imidazolidines **4c-d** in 82% yield. Similarly, the substrates **3e-**

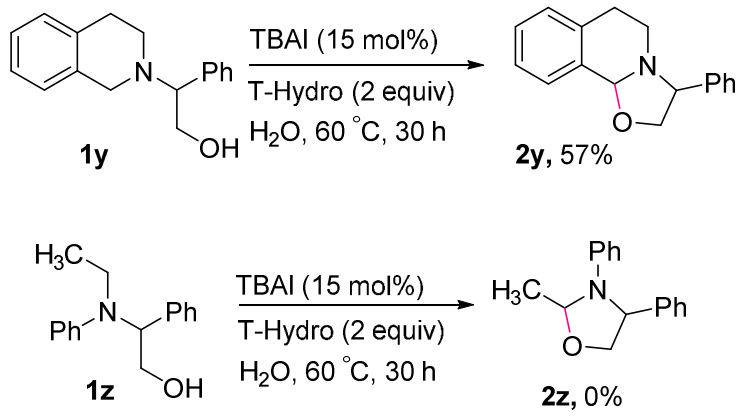
g bearing substitution at 4-position with chloro, isopropyl and methyl groups underwent cyclization to afford the corresponding imidazolidines **4e-g** in 75-84% yields, whereas **3h** with *N*-fluorene furnished **4h** in 61% yield. In addition, the substrate **3i** having *N*-phenylsulfonyl substituent underwent reaction to furnish imidazoline **4i** in 75% yield.

Scheme 3. Reaction of N- and 2-Aryl Substituted Substrates



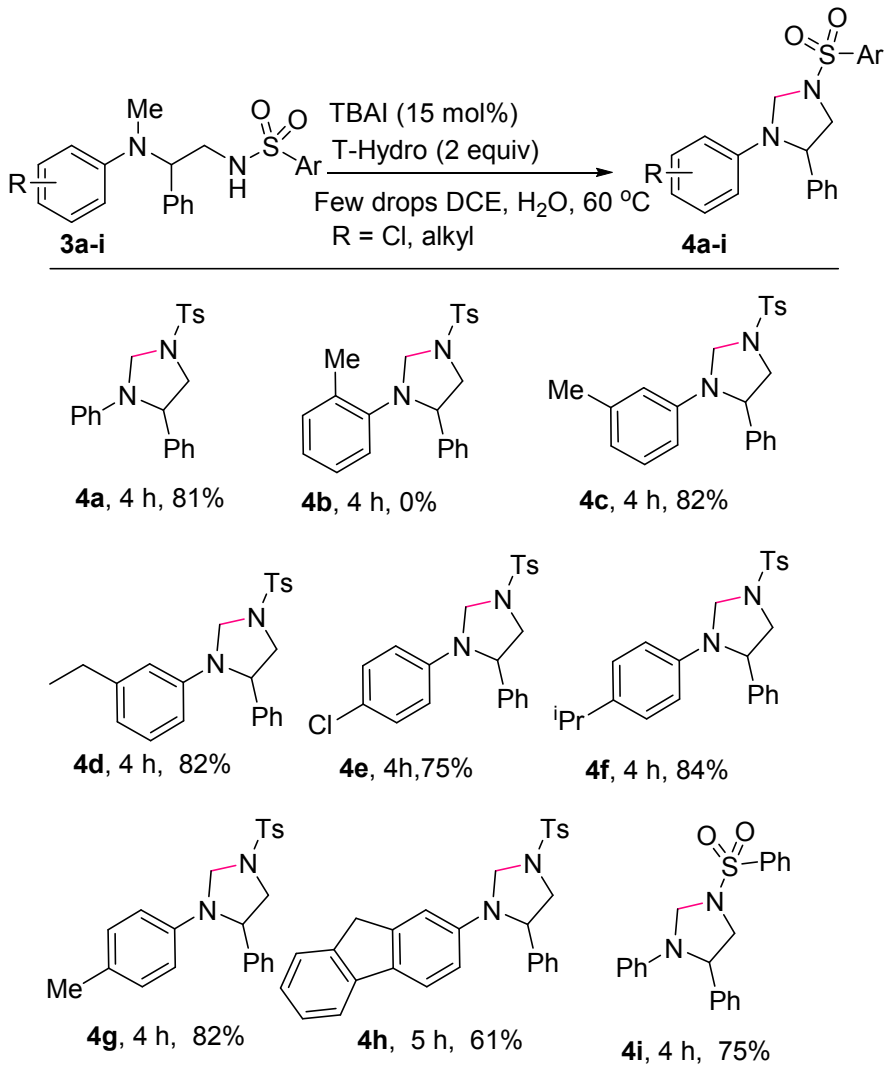
[a]Substrate **1v-x** (0.5 mmol), TBAI (15 mol %), T-Hydro (1 mmol), H_2O (1 mL), 60 °C. [b]Isolated yield.

Scheme 4. Reaction of Tetrahydroisoquinoline and *N*-Ethyl Substrates



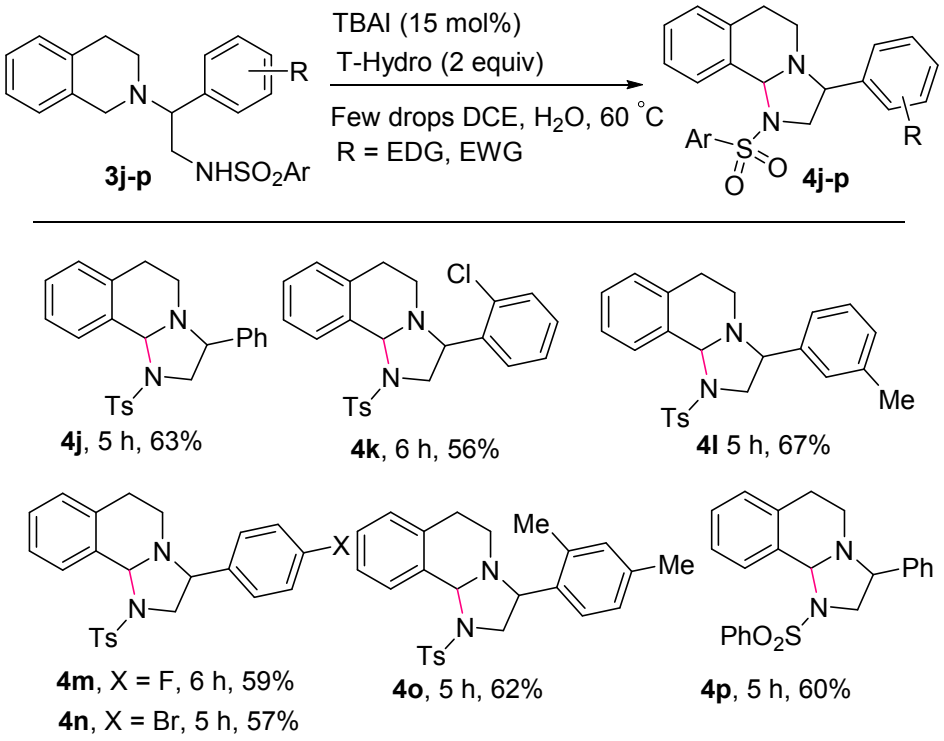
Next, the reaction of tetrahydroisoquinoline derivatives was investigated (Scheme 6). The substrate **3j** underwent reaction to provide imidazolidine **4j** in 63% yield. Similarly, the reaction of **3k** and **3l** bearing 2-chloro and 3-methyl groups in 2-aryl ring afforded imidazolidines **4k** and **4l** in 56 and 67% yields, respectively, whereas **3m-n** bearing at 4-position with bromo and fluoro groups produced imidazolidines **4m-n** in 57-59% yields. In addition, the substrate **3o** with 2,4-dimethyl groups underwent reaction to furnish **4o** in 62% yield, while **3p** with *N*-phenylsulfonyl instead of *N*-tosyl functionality cyclized to afford **4p** in 60% yield.

Scheme 5. Reaction of *N*-Aryl Substituted Substrates



[a]Substrate **3a-i** (0.5 mmol), TBAI (15 mol %), T-Hydro (1 mmol), DCE (few drops), H_2O (1 mL), 60 °C. [b]Isolated yield.

Scheme 6. Reaction of Tetrahydroisoquinoline Derivatives

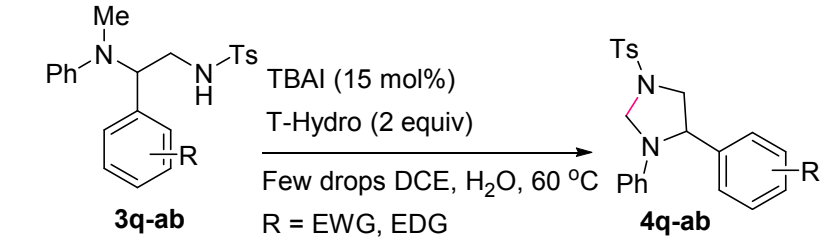


[a]Substrate **3j-p** (0.5 mmol), TBAI (15 mol %), T-Hydro (1 mmol), DCE (few drops), water (1 mL), 60 °C. [b]Isolated yield.

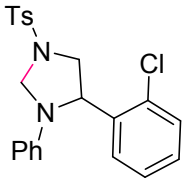
Finally, the reaction of the substrates with substitution in 2-aryl ring was pursued (Scheme 7). The substrates **3q-r** bearing substitution at 2-position with chloro and methyl groups produced imidazolidines **4q** and **4r** in 76 and 73% yields, respectively. The reaction of **3s-t** having substitution at 3-position with bromo and chloro functionalities furnished imidazolidines **4s-t** in 78-80% yields.

Similarly, the substrates **3u-z** containing substitution at 4-position with acetoxy, chloro, bromo, fluoro, methyl and chlormethyl groups underwent reaction to afford the corresponding imidazolidines **4u-z** in 73-81% yields. In addition, **3aa** with 2,4-dimethyl groups cross-coupled to give **4aa** in 72% yield, whereas **3ab** having naphthyl functionality furnished imidazolidine **4ab** in 71% yield.

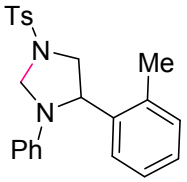
Scheme 7. Reaction of 2-Aryl Substituted Substrates



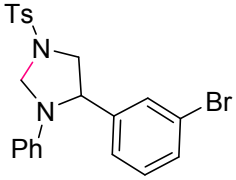
3q-ab **4q-ab**



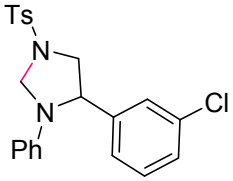
4q, 4 h, 76%



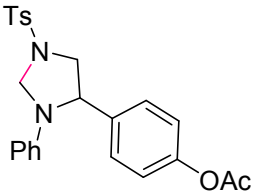
4r, 4 h, 73%



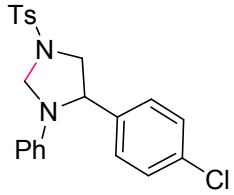
4s, 4 h, 78%



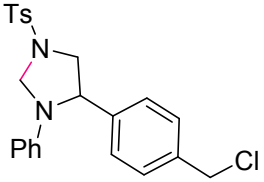
4t, 4 h, 80%



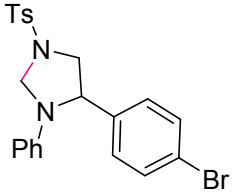
4u, 3 h, 77%



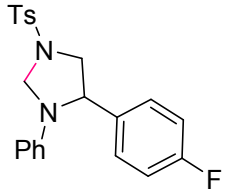
4v, 4 h, 80%



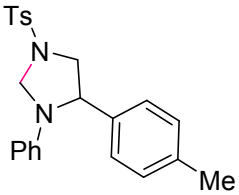
4w, 5 h, 73%



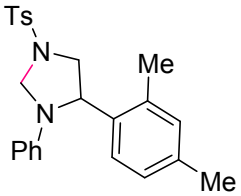
4x, 4 h, 79%



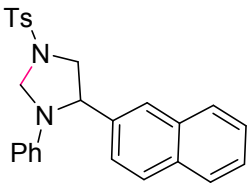
4y 4 h, 79%



4z, 4 h, 81%



4aa, 4 h, 72%

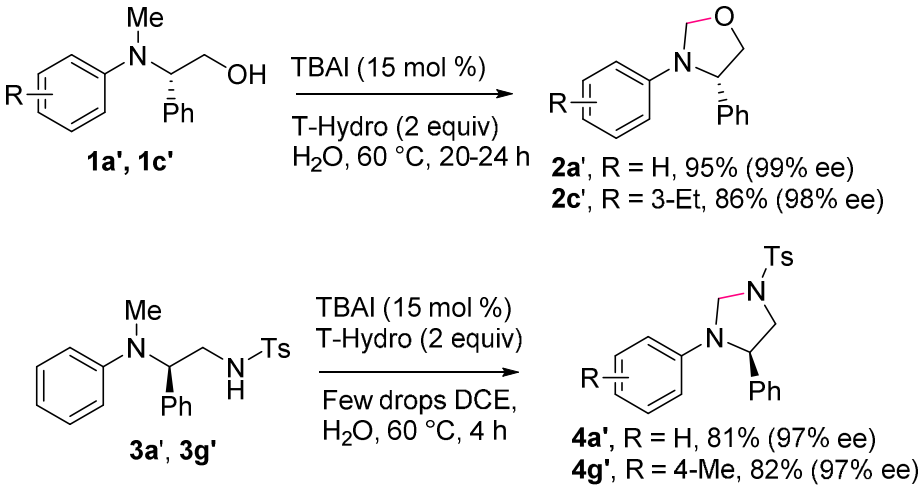


4ab, 3 h, 71%

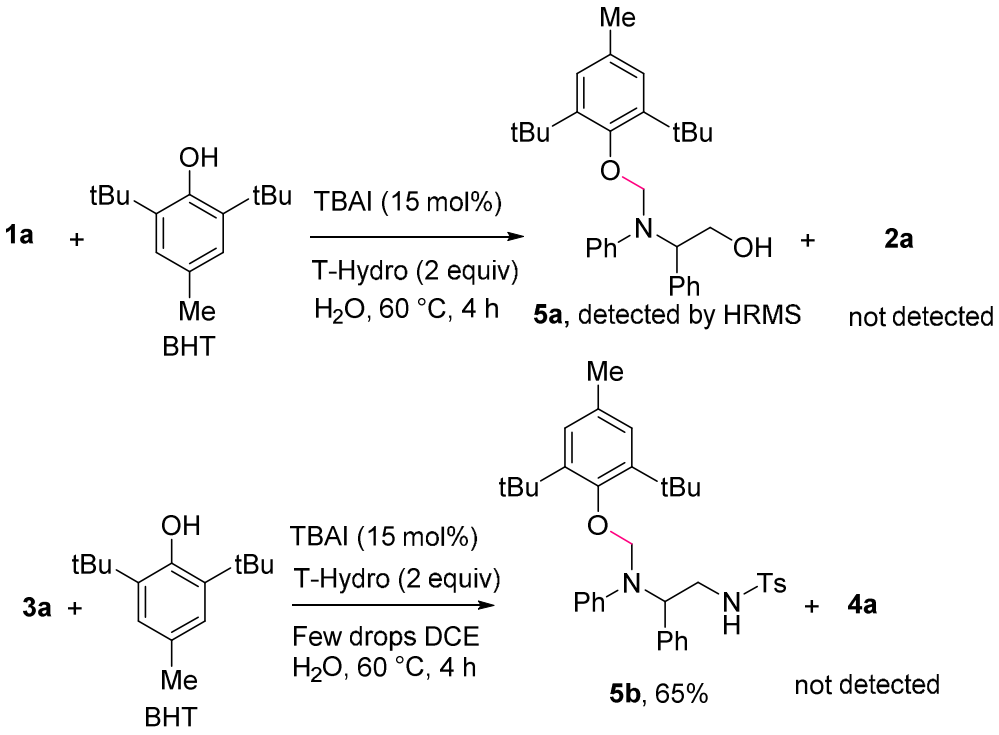
[a]Substrate **3q-ab** (0.5 mmol), TBAI (15 mol %), T-Hydro (1 mmol), DCE (few drops), water (1 mL), 60 °C. [b]Isolated yield.

In case of optically active substrates, the reaction proceeded with high optical purities (Scheme 8). The reaction of **1a**', **1c**' **3a'** and **3g'** was performed as the representative examples. The substrates **1a'** and **1c'** underwent reaction to produce **2a**' and 2**c**' in 99% and 98% ee, respectively, while the reaction of **3a'** and **3g'** produced the target heterocycles **4a'** and **4g'** in 97% ee. These results suggest that the reaction provides potential route to construct oxazolidines and imidazolidines with high optical purities.

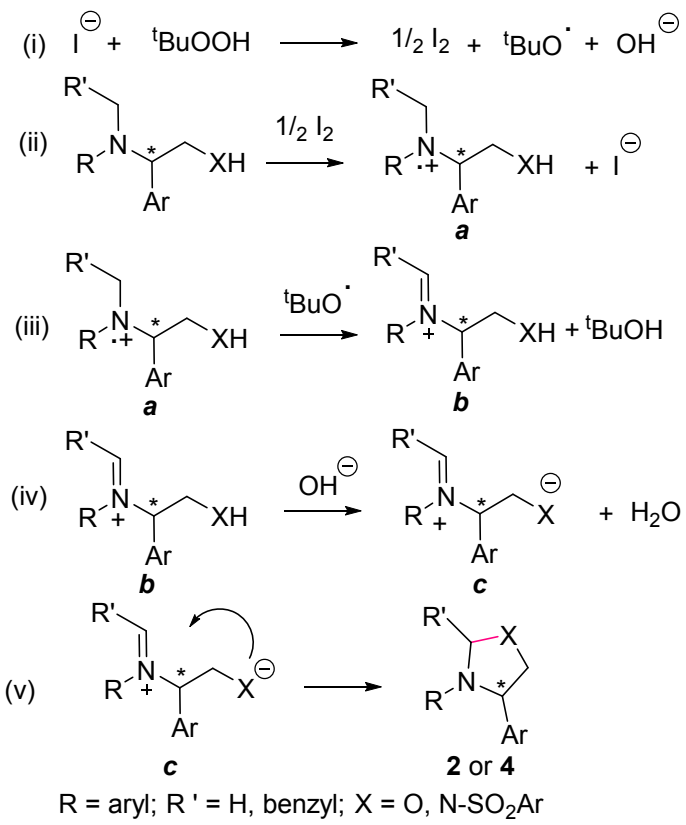
Scheme 8. Reaction of Optically Active Substrates



Scheme 9. Radical Scavenger Experiments



Scheme 10. Proposed Reaction Pathway



To get insight into the catalytic pathway, the reaction of **1a** and **3a** was performed with BHT (Scheme 9).[15] HRMS analysis of the reaction mixtures revealed the formation of BHT adducts **5a** and **5b** as the sole products, which suggests that the reaction involves a radical intermediate (see the supporting information). Thus, the oxidation of TBAI by T-Hydro may give iodine, *tert*-butoxyl radical and hydroxyl ion (Scheme 10, step i). Single electron transfer (SET) reduction of iodine may regenerate the catalyst with the formation of the radical cation ***a*** (Scheme 10, step ii).[16] Homolysis of methyl C-H bond is induced by *tert*-butoxyl radical may give the iminium ***b***, which may convert into the target heterocycles **2** and **4** via the intermediate ***c*** (Scheme 10, steps iv and v). The tertiary benzylic C-H bond is intact, which may be due to its steric hindrance towards the *tert*-butoxyl radical compared to that of the methyl C-H bond. In these reactions, TBAI and TBHP are dissolved in water, while the substrates **1** and **3** are floated on the surface of the water, and the mixture is stirred. After completion, the products **2** and **4** are separated out as colorless solid or liquid on the surface of water, which can be easily isolated. The reaction may take place in the interface of oil-water droplets.[1,2]

CONCLUSION

We described the oxidative cross-coupling of *N*-alkyl C-H bond with alkyl O-H and N-H bonds for the construction of the functionalized oxazolidines and imidazolidines using TBAI in the presence of T-Hydro at moderate temperature. The use of water as the solvent, metal-free condition, regioselectivity, simplified product isolation and broad substrate scope are the salient features. Optically active substrates can be converted enantiospecifically to the corresponding oxoazolidines and imidazolidines.

EXPERIMENTAL SECTION

General Information. T-Hydro, DTBP (98%), I_2, 30% aq. H_2O_2, BHT (>99%), TBAI (99%), NaI (99%) and KI (99%) were purchased from commercial source and used as received. All reactions were performed in pure water (>5 MΩ cm @ 25 °C, total organic content < 30 ppb). The reactions were monitored by analytical TLC on silica gel G/GF 254 plates. The column chromatography was

performed with 60-120 mesh silica gel. NMR (^{1}H and ^{13}C) spectra were recorded on 600 and 400 MHz spectrometers using $CDCl_3$ as a solvent and TMS as an internal standard. The data are accounted as follows: chemical shifts (δ ppm) (multiplicity, coupling constant (Hz), integration). The abbreviations for multiplicity are as follows: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet and dd = doublet of doublets. Melting points were determined with melting point apparatus and are uncorrected. Optical rotation were determined using polarimeter with a 50 mm path length cell at 589 nm at 23 °C. FT-IR spectra recorded on a IR spectrometer. HRMS were analyzed with Q-TOF instrument. HPLC analysis was carried out with Daicel Chiralcel OD and OJ column.

General Procedure for the Synthesis of Oxazolidines 2a-y. To a mixture of the substituted 1,2-aminoalcohols **1a-y** (0.5 mmol), TBAI (15 mol %) and water (1 mL) was added T-Hydro (1.0 mmol) at room temperature under air. The substrate **1a-y** was floated on the surface of the water as an oil and the resultant mixture was stirred at 60 °C for the appropriate time. The progress of the reaction was monitored by TLC using hexane and ethyl acetate as an eluent. After completion, the reaction mixture was cooled to room temperature, treated with saturated $Na_2S_2O_3$ (500 μL) and ethyl acetate (5 mL). The organic layer was seperated, dried (Na_2SO_4) and evaporated to give a residue that was purified on a silica gel column chromatography using hexane and ethyl acetate as an eluent.

General Procedure for the Synthesis of Imidazolidines 4a-ab. To a mixture of substituted 1,2-diamines **3a-ab** (0.5 mml) and 1,2-dichloroethane (three drops, ~200 μl), water (1 mL), TBAI (15 mol %) and T-Hydro (1.0 mmol) were added at room temperature under air. The substrate **3a-ab** with DCE was floated on the surface of the water, and the resultant mixture was stirred at 60 °C for the appropriate time. Monitoring of the reaction, work-up and purification were performed as described for **2a-y**.

3,4-Diphenyloxazolidine 2a′. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.61; pale yellow liquid; yield 95% (107 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.37-7.34 (m, 4H), 7.30-7.28 (m, 1H), 7.19 (t, J = 8.4 Hz, 2H), 6.75 (t, J = 7.2 Hz, 1H), 6.50 (d, J = 8.4 Hz, 2H) 5.33 (d, J = 1.8 Hz, 1H),

5.04 (d, J = 1.8 Hz, 1H), 4.71 (dd, J = 6.6, 4.2 Hz, 1H), 4.41 (t, J = 7.8 Hz, 1H), 3.99 (dd, J = 8.4, 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.2, 141.6, 129.4, 129.0, 127.7, 126.4, 117.9, 112.9, 83.0, 75.9, 61.9; FT-IR (neat) 3061, 3028, 2928, 2864, 1600, 1508, 1495, 1346, 1166, 1090, 749, 692 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{15}NO$ 226.1226, found 226.1225; $[\alpha]_D^{20}$ = +159.0 (c= 0.2, $CHCl_3$); HPLC analysis: 99% ee [Daicel Chiralcel OD column, hexane/*i*PrOH = 90:10, flow rate: 1 mL/min, λ = 254 nm, t_R = 4.94 min (minor), 8.36 min (major)].

3-(3-Ethylphenyl)-4-phenyloxazolidine 2c′. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.62; pale yellow liquid; yield 86% (109 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37-7.32 (m, 4H), 7.29-7.27 (m, 1H), 7.09 (t, J = 7.6 Hz, 1H), 6.62 (d, J = 7.6 Hz, 1H), 6.33-6.30 (m, 2H), 5.33 (d, J = 2 Hz, 1H), 5.03 (d, J = 2 Hz, 1H), 4.70 (dd, J = 6.8, 4.4 Hz, 1H), 3.39 (t, J = 7.6 Hz, 1H), 3.98 (dd, J = 8.4, 4.4 Hz, 1H), 2.56 (q, J = 7.6 Hz, 2H), 1.17 (t, J = 7.6 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.6, 145.3, 141.8, 129.3, 129.0, 127.7, 126.4, 117.6, 112.5, 110.5, 83.0, 75.9, 61.9, 29.3, 15.7; FT-IR (neat) 3030, 2963, 2929, 2869, 1603, 1493, 1453, 1356, 1166, 1090, 759, 697 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{17}H_{19}NO$ 254.1539, found 254.1539; $[\alpha]_D^{20}$ = +28.0 (c= 0.2, $CHCl_3$); HPLC analysis: 98% ee [Daicel Chiralcel OJ column, hexane/*i*PrOH = 85:15, flow rate: 1 mL /min, λ = 254 nm, t_R = 6.31 min (minor), 13.53 min (major)].

4-Phenyl-3-(*m*-tolyl)oxazolidine 2d. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.62; pale yellow liquid; yield 84% (100 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.36-7.33 (m, 4H), 7.29-7.27 (m, 1H), 7.06 (t, J = 7.8 Hz, 1H), 6.58 (d, J = 7.2 Hz, 1H), 6.32 (s, 1H), 6.30 (d, J = 8.4 Hz, 1H), 5.32 (d, J = 2.4 Hz, 1H), 5.02 (d, J = 1.8 Hz, 1H), 4.70 (dd, J = 6.6, 4.2 Hz, 1H), 4.38 (t, J = 8.4 Hz, 1H), 3.98 (dd, J = 7.8, 4.2 Hz, 1H), 2.26 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.3, 141.8, 139.2, 129.3, 129.0, 127.7, 126.4, 118.8, 113.6, 110.2, 83.0, 75.9, 61.8, 22.0; FT-IR (neat) 3063, 3032, 2921, 2863, 1605, 1493, 1453, 1356, 1170, 1089, 945, 840 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{16}H_{17}NO$ 240.1383, found 240.1383.

4-Phenyl-3-(3-(trifluoromethyl)phenyl)oxazolidine 2e. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.60; colorless liquid; yield 55% (81 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.37-7.32 (m, 4H), 7.31-7.28 (m, 1H), 7.23 (t, *J* = 8.4 Hz, 1H), 6.97 (d, *J* = 7.8 Hz, 1H), 6.66 (s, 1H), 6.59 (dd, *J* = 8.4, 2.4 Hz, 1H), 5.33 (d, *J* = 2.4 Hz, 1H), 5.05 (d, *J* = 2.4 Hz, 1H), 4.72 (dd, *J* = 6.6, 4.2 Hz, 1H), 4.43 (dd, *J* = 8.4, 7.2 Hz, 1H), 4.00 (dd, *J* = 8.4, 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.1, 140.7, 131.8 (q, J_{C-F} = 31.5 Hz), 129.8, 128.1, 126.3, 124.7 (q, J_{C-F} = 93.0 Hz), 115.9, 114.3 (q, J_{C-F} = 4.5 Hz), 109.1 (q, J_{C-F} = 3.0 Hz), 82.7, 76.0, 61.8; FT-IR (neat) 3061, 3031, 2923, 2868, 1615, 1508, 1493, 1459, 1372, 1166, 1121, 782, 697 cm^{-1}; HRMS (APCI) m/z $[M+H]^+$ calcd for $C_{16}H_{14}F_3NO$ 294.1100, found 294.1097.

3-(4-Bromophenyl)-4-phenyloxazolidine 2f. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.59; pale yellow liquid; yield 77% (117 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.36-7.27 (m, 5H), 7.24 (d, *J* = 8.4 Hz, 2H), 6.34 (d, *J* = 8.4 Hz, 2H), 5.27 (d, *J* = 2.4 Hz, 1H), 4.98 (d, *J* = 2.4 Hz, 1H), 4.66 (dd, *J* = 7.2, 4.8 Hz, 1H), 4.41 (t, *J* = 6.0 Hz, 1H), 3.97 (dd, *J* = 8.4, 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.0, 140.9, 132.1, 129.1, 128.0, 126.3, 144.5, 109.9, 82.8, 76.0, 61.9; FT-IR (neat) 3061, 3028, 2923, 2853, 1595, 1505, 1489, 1360, 1165, 1089, 944, 807, 757 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{14}BrNO$ 304.0332, found 304.0328.

3-(4-Chlorophenyl)-4-phenyloxazolidine 2g. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.59; pale yellow liquid; yield 81% (105 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.36-7.27 (m, 5H), 7.11 (d, *J* = 9.0 Hz, 2H), 6.38 (d, *J* = 9.0 Hz, 2H), 5.28 (d, *J* = 2.4 Hz, 1H), 4.99 (d, *J* = 2.4 Hz, 1H), 4.66 (dd, *J* = 6.6, 4.2 Hz, 1H), 4.41 (dd, *J* = 8.4, 6.6 Hz, 1H), 3.96 (dd, *J* = 8.4, 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 143.7, 141.0, 129.3, 129.1, 128.0, 126.3, 122.8, 114.0, 82.9, 76.0, 62.0; FT-IR (neat) 3063, 3028, 2924, 2854, 1601, 1504, 1493, 1358, 1166, 1095, 810 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{14}ClNO$ 260.0837, found 260.0836.

3-(4-Isopropylphenyl)-4-phenyloxazolidine 2h. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.63; pale yellow liquid; yield 88% (117 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.37-7.32 (m, 4H), 7.28 (d, *J* = 6.6, 1H), 7.05 (d, *J* = 7.8 Hz, 2H), 6.44 (d, *J* = 7.8 Hz, 2H), 5.31 (d, *J* = 2.4 Hz, 1H), 4.99 (d, *J* = 1.8 Hz, 1H), 4.66 (dd, *J* = 7.2, 4.8 Hz, 1H), 4.37 (t, *J* = 7.2 Hz, 1H), 3.95 (dd, *J* = 8.4, 4.8 Hz, 1H), 2.81-2.76 (m, 1H), 1.18 (d, *J* = 7.2 Hz, 6H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 143.6, 142.0, 138.4, 129.0, 127.7, 127.3, 126.5, 113.0, 83.3, 75.9, 62.3, 33.3, 24.4; FT-IR (neat) 3064, 3028, 2959, 2926, 2867, 1618, 1520, 1346, 1157, 1090, 816, 757, 704 cm^{-1}; HRMS (APCI) m/z $[M+H]^+$ calcd for $C_{18}H_{21}NO$ 268.1696, found 268.1697.

4-Phenyl-3-(*p*-tolyl)oxazolidine 2i. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.62; colorless liquid; yield 84% (100 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.37-7.33 (m, 4H), 7.29-7.26 (m, 1H), 7.00 (d, *J* = 8.4 Hz, 2H), 6.42 (d, *J* = 8.4 Hz, 2H), 5.32 (d, *J* = 2.4 Hz, 1H), 5.00 (d, *J* = 2.4 Hz, 1H), 4.66 (dd, *J* = 6.6, 4.8 Hz, 1H), 4.40 (t, *J* = 8.4 Hz, 1H), 3.96 (dd, *J* = 8.4, 4.8 Hz, 1H), 2.23 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 143.2, 141.7, 129.9, 129.0, 127.7, 127.1, 126.4, 113.1, 83.3, 75.9, 62.1, 20.5; FT-IR (neat) 3063, 3027, 2918, 2861, 1621, 1522, 1452, 1340, 1170, 1090, 804 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{16}H_{17}NO$ 240.1383, found 240.1385.

3-(3,4-Dimethylphenyl)-4-phenyloxazolidine 2k. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.63; brown liquid; yield 85% (108 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.36-7.32 (m, 4H), 7.27-7.25 (m, 1H), 6.92 (d, *J* = 7.8 Hz, 1H), 6.31 (d, *J* = 1.8 Hz, 1H), 6.24 (dd, *J* = 7.8, 2.4 Hz, 1H), 5.30 (d, *J* = 1.8 Hz, 1H), 4.98 (d, *J* = 2.4 Hz, 1H), 4.65 (dd, *J* = 6.6, 4.8 Hz, 1H), 4.37 (t, *J* = 7.8 Hz, 1H), 3.94 (dd, *J* = 8.4, 4.2 Hz, 1H), 2.16 (s, 3H), 2.13 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 143.7, 141.9, 137.6, 130.5, 129.0, 127.6, 126.4, 126.0, 114.6, 110.6, 83.4, 75.9, 62.0, 20.4, 18.9; FT-IR (neat) 3060, 3025, 2921, 2859, 1617, 1513, 1452, 1354, 1274, 1089, 800, 717, 700 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{17}H_{19}NO$ 254.1539, found 254.1539.

3-(3,5-Dichlorophenyl)-4-phenyloxazolidine 2l. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.59; pale yellow liquid; yield 70% (103 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.36 (t, *J* = 7.2 Hz, 2H), 7.32-7.28 (m, 3H), 6.70 (t, *J* = 1.8 Hz, 1H), 6.31 (d, *J* = 1.2 Hz, 2H), 5.24 (d, *J* = 2.4 Hz, 1H), 4.97 (d, *J* = 2.4 Hz, 1H), 4.66 (dd, *J* = 6.6 Hz, 4.2 Hz, 1H), 4.39 (dd, *J* = 8.4, 6.6 Hz, 1H), 3.98 (dd, *J* = 8.4, 3.6 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 146.3, 140.3, 135.7, 129.3, 128.2, 126.2, 117.6, 111.1, 82.4, 75.9, 61.6; FT-IR (neat) 3084, 3029, 2923, 2853, 1592, 1557, 1463, 1344, 1167, 1093, 820, 708 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{13}Cl_2NO$ 294.0447, found 294.0448.

(*E*)-4-Phenyl-3-(4-(phenyldiazenyl)phenyl)oxazolidine 2m. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.56; orange liquid; yield 47% (77 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.82 (d, *J* = 9.0 Hz, 4H), 7.46 (t, *J* = 7.2 Hz, 2H), 7.39-7.29 (m, 6H), 6.54 (d, *J* = 9.0 Hz, 2H), 5.38 (d, *J* = 2.4 Hz, 1H), 5.14 (d, *J* = 2.4 Hz, 1H), 4.84 (dd, *J* = 6.6, 4.2 Hz, 1H), 4.45 (dd, *J* = 8.4, 6.6 Hz, 1H), 4.04 (dd, *J* = 8.4, 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 153.3, 147.0, 145.0, 140.8, 129.9, 129.2, 129.1, 128.1, 126.4, 125.2, 122.5, 112.7, 82.4, 76.0, 61.7; FT-IR (neat) 3061, 3025, 2959, 2922, 2851, 1602, 1515, 1497, 1389, 1373, 1140, 1088, 821, 766 cm^{-1}; HRMS (APCI) m/z $[M+H]^+$ calcd for $C_{21}H_{19}N_3O$ 330.1601, found 330.1601.

4-(2-Chlorophenyl)-3-phenyloxazolidine 2n. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.58; pale yellow liquid; yield 75% (97 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.43 (d, *J* = 7.2 Hz, 1H), 7.34 (d, *J* = 6.0 Hz, 1H), 7.25-7.20 (m, 4H), 6.78 (t, *J* = 7.2 Hz, 1H), 6.44 (d, *J* = 7.8 Hz, 2H), 5.35 (s, 1H), 5.11 (d, *J* = 4.2 Hz, 1H), 5.01 (s, 1H), 4.47 (t, *J* = 7.8 Hz, 1H), 4.06 (dd, *J* = 8.4 Hz, 2.4 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.7, 138.6, 132.4, 129.8, 129.6, 128.9, 127.9, 127.4, 118.1, 112.9, 82.6, 74.5, 59.2; FT-IR (neat) 3064, 3036, 2924, 2857, 1600, 1508, 1497, 1348, 1171, 1092, 744, 691 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{14}ClNO$ 260.0837, found 260.0836.

4-(3-Methoxyphenyl)-3-phenyloxazolidine 2o. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.41; colorless liquid; yield 87% (111 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.27 (t, *J* = 7.8 Hz, 1H),

7.18 (t, *J* = 8.4 Hz, 2H), 6.96 (d, *J* =7.8 Hz, 1H), 6.91 (s, 1H), 6.83 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.75 (t, *J* = 7.2 Hz, 1H), 6.50 (d, *J* = 8.4 Hz, 2H), 5.32 (d, *J* = 2.4 Hz, 1H), 5.02 (d, *J* = 2.4 Hz, 1H), 4.66 (dd, *J* = 6.6, 4.2 Hz, 1H), 4.39 (t, *J* = 8.4 Hz, 1H), 3.98 (dd, *J* = 8.4, 4.2 Hz, 1H), 3.79 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 160.3, 145.3, 143.5, 130.1, 129.4, 118.7, 117.9, 113.0, 112.9, 112.1, 83.0, 75.8, 61.9, 55.4; FT-IR (neat) 3040, 2997, 2935, 2866, 2835, 1600, 1508, 1495, 1346, 1284, 1146, 1091, 749, 692 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{16}H_{17}NO_2$ 256.1332, found 256.1337.

3-Phenyl-4-(*m*-tolyl)oxazolidine 2p. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.62; pale yellow liquid; yield 81% (97 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.24 (t, *J* = 7.2 Hz, 1H), 7.20-7.15 (m, 4H), 7.10 (d, *J* = 7.2 Hz, 1H), 6.75 (t, *J* = 7.2 Hz, 1H), 6.50 (d, *J* = 7.8 Hz, 2H), 5.33 (d, *J* = 2.4 Hz, 1H), 5.02 (d, *J* = 2.4 Hz, 1H), 4.66 (dd, *J* = 6.6, 4.2 Hz, 1H), 4.39 (dd, *J* = 8.4, 7.2 Hz, 1H), 3.97 (dd, *J* = 8.4, 4.2 Hz, 1H), 2.35 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.3, 141.7, 138.7, 129.4, 128.9, 128.5, 127.0, 123.5, 117.8, 112.9, 83.0, 76.0, 62.0, 21.7; FT-IR (neat) 3051, 3025, 2922, 2853, 1600, 1506, 1384, 1343, 1277, 1087, 1033, 788 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{16}H_{17}NO$ 240.1383, found 240.1386.

4-(3-Nitrophenyl)-3-phenyloxazolidine 2q. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.53; yellow liquid; yield 65% (88 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (t, *J* = 1.8 Hz, 1H), 8.16 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.72 (d, *J* = 7.8 Hz, 1H), 7.53 (t, *J* = 7.8 Hz, 1H), 7.21 (dd, *J* = 9.0, 7.2 Hz, 2H), 6.79 (t, *J* = 7.2 Hz, 1H), 6.46 (d, *J* = 7.8 Hz, 2H), 5.38 (d, *J* = 2.4 Hz, 1H), 5.01 (d, *J* = 2.4 Hz, 1H), 4.79 (dd, *J* = 6.6, 3.6 Hz, 1H), 4.42 (dd, *J* = 8.4, 6.6 Hz, 1H), 4.00 (dd, *J* = 8.4, 3.6 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 148.9, 144.6, 144.4, 132.6, 130.1, 129.7, 123.0, 121.5, 118.6, 113.0, 83.1, 75.5, 61.4; FT-IR (neat) 3031, 2964, 2928, 2867, 1603, 1494, 1454, 1355, 1172, 1091, 948, 848, 782 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{14}N_2O_3$ 271.1077, found: 271.1077.

4-(3-Phenyloxazolidin-4-yl)phenyl acetate 2r. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.50; pale yellow liquid; yield 85% (120 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.37 (d, *J* = 8.4 Hz,

2H), 7.18 (t, *J* = 7.2 Hz, 2H), 7.07 (d, *J* = 8.4 Hz, 2H), 6.75 (t, *J* = 7.2 Hz, 1H), 6.47 (d, *J* = 9.0 Hz, 2H), 5.31 (d, *J* = 2.4 Hz, 1H), 5.00 (d, *J* = 2.4 Hz, 1H), 4.69 (dd, *J* = 7.2, 4.2 Hz, 1H), 4.37 (dd, *J* = 8.4, 6.6 Hz, 1H), 3.97 (dd, *J* = 8.4, 4.2 Hz, 1H), 2.29 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 169.7, 150.2, 145.1, 139.2, 129.5, 127.4, 122.1, 118.1, 113.0, 83.0, 75.8, 61.4, 21.4; FT-IR (neat) 3065, 3033, 2956, 2854, 1764, 1600, 1507, 1368, 1215, 1196, 1089, 749, 692 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{17}H_{17}NO_3$ 284.1281, found 284.1287.

4-(4-Bromophenyl)-3-phenyloxazolidine 2s. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.58; pale yellow liquid; yield 79% (120 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.8 Hz, 2H), 7.25 (d, *J* = 8.4 Hz, 2H), 7.19 (t, *J* = 7.8 Hz, 2H), 6.77 (t, *J* = 7.2 Hz, 1H), 6.46 (d, *J* = 7.8 Hz, 2H), 5.31 (s, 1H), 5.00 (s, 1H), 4.65 (t, *J* = 6.0 Hz, 1H), 4.38 (t, *J* = 7.8 Hz, 1H), 3.95 (dd, *J* = 8.4 Hz, 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.9, 140.8, 132.1, 129.5, 128.1, 121.5, 118.1, 112.9, 82.9, 75.7, 61.3; FT-IR (neat) 3041, 2986, 2866, 2828, 1599, 1507, 1487, 1345, 1170, 1010, 823, 749, 692 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{14}BrNO$ 304.0332, found 304.0331.

4-(4-Chlorophenyl)-3-phenyloxazolidine 2t. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.58; pale yellow liquid; yield 78% (101 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.33-7.29 (m, 4H), 7.18 (t, *J* = 7.8 Hz, 2H), 6.76 (t, *J* = 7.2, Hz 1H), 6.46 (d, *J* = 8.4 Hz, 2H), 5.31 (s, 1H), 5.00 (s, 1H), 4.66 (t, *J* = 5.4 Hz, 1H), 4.38 (t, *J* = 7.8 Hz, 1H), 3.94 (dd, *J* = 8.4 Hz, 3.6 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.9, 140.3, 133.5, 129.5, 129.2, 127.8, 118.1, 112.9, 82.9, 75.7, 61.3; FT-IR (neat) 3063, 3040, 2924, 2854, 1600, 1508, 1495, 1346, 1167, 1090, 828, 747, 691 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{14}ClNO$ 260.0837, found 260.0840.

4-(4-Fluorophenyl)-3-phenyloxazolidine 2u. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f= 0.57; pale yellow liquid; yield 84% (102 mg); ^{1}H NMR (600 MHz, $CDCl_3$) 7.33 (t, *J* = 7.2 Hz, 2H), 7.20 (t, *J* = 7.2 Hz, 2H), 7.04 (t, *J* = 8.4 Hz, 2H), 6.77 (t, *J* = 7.2 Hz, 1H), 6.48 (d, *J* = 7.8 Hz, 2H), 5.33 (s, 1H), 5.01 (s, 1H), 4.68 (d, *J* = 3.6 Hz, 1H), 4.38 (t, *J* = 7.8 Hz, 1H), 3.96 (dd, *J* = 7.2, 3.0 Hz, 1H);

^{13}C NMR (150 MHz, $CDCl_3$) δ 163.2 (d, J_{C-F} = 244.5 Hz), 145.0, 137.4, 129.5, 128.0 (d, J_{C-F} = 7.5 Hz), 118.0, 115.9 (d, J_{C-F} = 21.0 Hz), 112.9, 82.9, 75.9, 61.2; FT-IR (neat) 3064, 3040, 2929, 2865, 1600, 1508, 1497, 1351, 1222, 1154, 1090, 836, 749, 692 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{15}H_{14}FNO$ 244.1132, found 244.1132.

3-(3-Ethylphenyl)-4-(*m*-tolyl)oxazolidine 2v. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f= 0.63; colorless liquid; yield 86% (115 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.24 (t, *J* = 7.2 Hz, 1H), 7.19-7.16 (m, 2H), 7.11-7.09 (m, 2H), 6.62 (d, *J* = 7.2 Hz, 1H), 6.35-6.32 (m, 2H), 5.33 (s, 1H), 5.02 (s, 1H), 4.66 (t, *J* = 5.4 Hz, 1H), 4.37 (t, *J* = 7.8 Hz, 1H), 3.98 (dd, *J* = 7.8, 3.6 Hz, 1H), 2.57 (q, *J* = 7.2 Hz, 2H), 2.35 (s, 3H), 1.19 (t, *J* = 7.8 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.6, 145.5, 141.9, 138.6, 129.3, 128.8, 128.5, 127.0, 123.5, 117.5, 112.5, 110.5, 83.0, 75.9, 62.0, 29.3, 21.7, 15.7; FT-IR (neat) 3030, 2963, 2928, 2868, 1604, 1494, 1454, 1355, 1172, 1092, 948, 783, 695 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{18}H_{21}NO$ 268.1696, found 268.1709.

3-(4-Chlorophenyl)-4-(4-fluorophenyl)oxazolidine 2w. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f= 0.57; colorless liquid; yield 82% (114 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.30 (dd, *J* = 8.4, 5.4 Hz, 2H), 7.12 (d, *J* = 8.4 Hz, 2H), 7.03 (t, *J* = 8.4 Hz 2H), 6.36 (d, *J* = 9.0 Hz, 2H), 5.28 (d, *J* = 2.4 Hz, 1H), 4.96 (d, *J* = 1.8 Hz, 1H), 4.63 (dd, *J* = 6.6, 4.2 Hz, 1H), 4.39 (dd, *J* = 8.4, 7.2 Hz, 1H), 3.93 (dd, *J* = 8.4, 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) 163.3 (d, J_{C-F} = 244.5 Hz), 143.5, 136.8, 129.3, 127.9 (d, J_{C-F} = 7.5 Hz), 123.0, 116.1 (d, J_{C-F} = 22.5 Hz), 114.0, 82.9, 75.9, 61.3; FT-IR (neat) 3045, 2987, 2926, 2867, 1604, 1509, 1493, 1357, 1168, 1095, 809, 767 cm^{-1}; HRMS (APCI) m/z $[M+H]^+$ calcd for $C_{15}H_{13}ClFNO$ 278.0742, found 278.0738.

4-(3-(*p*-Tolyl)oxazolidin-4-yl)phenyl acetate 2x. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f= 0.51; colorless liquid; yield 84% (125 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.37 (d, *J* = 8.4 Hz, 2H), 7.06 (d, *J* = 8.4 Hz, 2H), 6.99 (d, *J* = 8.4 Hz, 2H), 6.40 (d, *J* = 8.4 Hz, 2H), 5.30 (d, *J* = 2.4 Hz, 1H), 4.97 (d, *J* = 1.8 Hz, 1H), 4.65 (dd, *J* = 7.2, 5.4 Hz, 1H), 4.37 (dd, *J* = 8.4, 7.2 Hz, 1H), 3.95

(dd, J = 8.4, 4.2 Hz, 1H), 2.29 (s, 3H), 2.23 (s, 3H) ^{13}C NMR (150 MHz, $CDCl_3$) δ 169.7, 150.1, 143.1, 139.3, 130.0, 127.4, 122.1, 113.1, 83.3, 75.8, 61.6, 21.4, 20.5; FT-IR (neat) 3032, 3007, 2983, 2921, 2862, 1764, 1619, 1523, 1505, 1368, 1215, 1197, 1162, 1089, 803 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{18}H_{19}NO_3$ 298.1438, found 298.1437.

3-Phenyl-2,3,6,10b-tetrahydro-5*H*-oxazolo[2,3-*a*]isoquinoline 2y. Analytical TLC on silica gel, 1:19 ethyl acetate/hexane R_f = 0.48; colorless liquid; yield 57% (72 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (d, J = 7.6 Hz, 2H), 7.42-7.40 (m, 1H), 7.37 (t, J = 7.6 Hz, 2H), 7.30-7.26 (m, 3H), 7.19-7.17 (m, 1H), 5.45 (s, 1H), 4.47 (t, J = 8.0 Hz, 1H), 4.32 (t, J = 10.2 Hz, 1H), 3.89 (dd, 8, 6.4, 1H), 3.08-2.98 (m, 3H), 2.85-2.80 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 141.9, 135.7, 132.9, 128.8, 128.7, 128.3, 128.2, 127.4, 126.8, 126.6, 90.3, 71.4, 68.8, 46.9, 29.4; FT-IR (neat) 3062, 3027, 2924, 2852, 1604, 1494, 1395, 1384, 1126, 1029, 937, 746, 700; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{17}H_{17}NO$ 252.1383, found 252.1384.

3,4-Diphenyl-1-tosylimidazolidine 4a′. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.55; colorless solid; yield 81% (153 mg); mp 126-127 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 (d, J = 8.4 Hz, 2H), 7.26-7.22 (m, 5H), 7.13-7.10 (m, 4H), 6.72 (t, J = 7.2 Hz, 1H), 6.37 (d, J = 8.4 Hz, 2H), 5.03 (d, J = 6.0 Hz, 1H), 4.76 (d, J = 6.0 Hz, 1H), 4.53 (t, J = 5.4 Hz, 1H), 3.92 (dd, J = 10.2, 7.2 Hz, 1H), 3.46 (dd, J = 10.8, 5.4 Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.0, 144.5, 140.6, 132.9, 130.1, 129.3, 129.1, 128.0, 127.9, 126.1, 118.4, 113.2, 66.2, 61.7, 55.7, 21.8; FT-IR (KBr) 3059, 3025, 2953, 2923, 2850, 1599, 1506, 1384, 1348, 1163, 1090, 1029, 814, 749 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{22}H_{22}N_2O_2S$ 379.1475, found 379.1473; $[\alpha]_D^{20}$ = -26.0 (c= 0.2, $CHCl_3$); HPLC analysis: 97% ee [Daicel Chiralcel OD column, hexane/*i*PrOH = 85:15, flow rate: 1 mL/min, λ = 215 nm, t_R = 9.49 min (major), 17.30 min (minor)].

4-Phenyl-3-(*m*-tolyl)-1-tosylimidazolidine 4c. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f= 0.56; colorless solid; yield 82% (161 mg); mp 133-134 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 (d, J

= 8.4 Hz, 2H), 7.25-7.22 (m, 4H), 7.11 (d, *J* = 6.0 Hz, 2H), 6.98 (t, *J* = 7.8 Hz, 1H), 6.56 (d, *J* = 7.8 Hz, 1H), 6.22 (s, 1H), 6.16 (d, *J* = 7.8 Hz, 2H), 5.06 (d, *J* = 5.4 Hz, 1H), 4.74 (d, *J* = 6.0 Hz, 1H), 4.54 (dd, *J* = 7.2, 4.8 Hz, 1H), 3.89 (dd, *J* = 10.2, 7.8 Hz, 1H), 3.45 (dd, *J* = 10.2, 4.8 Hz, 1H), 2.40 (s, 3H), 2.22 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.0, 144.4, 140.7, 139.1, 133.0, 130.0, 129.1, 129.0, 128.0, 127.8, 126.1, 119.4, 113.9, 110.5, 66.3, 61.6, 55.7, 21.9, 21.8; FT-IR (KBr) 3064, 3025, 2961, 2921, 2850, 1604, 1493, 1384, 1350, 1163, 1091, 1030, 813, 764 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{23}H_{24}N_2O_2S$ 393.1631, found 393.1630.

3-(3-Ethylphenyl)-4-phenyl-1-tosylimidazolidine 4d. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.56; pale yellow liquid; yield 82% (166 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.69 (d, *J* = 8.4 Hz, 2H), 7.25-7.21 (m, 5H), 7.11 (d, *J* = 6.0 Hz, 2H), 7.01 (t, *J* = 7.8 Hz, 1H), 6.59 (d, *J* = 7.2 Hz, 1H), 6.23 (s, 1H), 6.18 (dd, *J* = 7.8, 1.8 Hz, 1H), 5.04 (d, *J* = 6.0 Hz, 1H), 4.75 (d, *J* = 5.4 Hz, 1H), 4.54 (dd, *J* = 7.2, 4.8 Hz, 1H), 3.91 (dd, *J* = 10.8, 7.8 Hz, 1H), 3.46 (dd, *J* = 10.2, 4.8 Hz, 1H), 2.52 (q, *J* = 7.8 Hz, 2H), 2.40 (s, 3H), 1.12 (t, *J* = 7.8 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.5, 145.1, 144.4, 140.8, 133.0, 130.0, 129.2, 129.0, 128.0, 127.8, 126.1, 118.2, 112.9, 110.8, 66.3, 61.8, 55.7, 29.3, 21.8, 15.7; FT-IR (neat) 3059, 3025, 2925, 2848, 1599, 1504, 1354, 1163, 1091, 816, 746 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{24}H_{26}N_2O_2S$ 407.1788, found 407.1791.

3-(4-Chlorophenyl)-4-phenyl-1-tosylimidazolidine 4e. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.54; pale yellow liquid; yield 75% (155 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.4 Hz, 2H), 7.25-7.23 (m, 5H), 7.09 (d, *J* = 7.2 Hz, 2H), 7.05 (d, *J* = 9.0 Hz, 2H), 6.27 (d, *J* = 9.0 Hz, 2H), 4.98 (d, *J* = 6.0 Hz, 1H), 4.76 (d, *J* = 6.6 Hz, 1H), 4.45 (t, *J* = 6.6 Hz, 1H), 3.97 (dd, *J* = 10.8, 7.8 Hz, 1H), 3.43 (dd, *J* = 10.8, 5.4 Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.6, 140.0, 133.1, 130.1, 129.2, 129.1, 128.1, 128.0, 126.0, 123.4, 114.3, 66.3, 61.8, 55.8, 21.8; FT-IR (neat) 3067, 3025, 2925, 2889, 2820, 1601, 1507, 1346, 1162, 1024, 785, 748 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{22}H_{21}ClN_2O_2S$ 413.1085, found 413.1079.

3-(4-Isopropylphenyl)-4-phenyl-1-tosylimidazolidine 4f. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.56; colorless solid; yield 84% (176 mg); mp 140-141 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.71 (d, *J* = 7.8 Hz, 2H), 7.26-7.23 (m, 5H), 7.15 (d, *J* = 6.6 Hz, 2H), 7.01 (d, *J* = 8.4 Hz, 2H), 6.37 (d, *J* = 8.4 Hz, 2H), 5.07 (d, *J* = 6.0 Hz, 1H), 4.72 (d, *J* = 6.0 Hz, 1H), 4.53 (t, *J* = 6.6 Hz, 1H), 3.91 (t, *J* = 8.4 Hz, 1H), 3.46 (dd, *J* = 10.8, 5.4 Hz, 1H), 2.80-2.76 (m, 1H), 2.41 (s, 3H), 1.19 (d, *J* = 6.0 Hz, 6H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.4, 143.2, 140.8, 138.9, 132.9, 130.0, 129.0, 127.8, 127.1, 126.1, 113.3, 66.5, 62.0, 55.7, 33.2, 24.3, 21.7; FT-IR (KBr) 3064, 3028, 2957, 2928, 2867, 1615, 1519, 1454, 1351, 1164, 1095, 814, 700 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{25}H_{28}N_2O_2S$ 421.1944, found 421.1945.

4-Phenyl-3-(*p*-tolyl)-1-tosylimidazolidine 4g′. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.55; colorless solid; yield 82% (161 mg); mp 141-142 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.69 (d, *J* = 8.4 Hz, 2H), 7.24-7.20 (m, 5H), 7.10 (d, *J* = 6.0 Hz, 2H), 6.93 (d, *J* = 8.4 Hz, 2H), 6.31 (d, *J* = 8.4 Hz, 2H), 5.03 (d, *J* = 6.0 Hz, 1H), 4.70 (d, *J* = 6.0 Hz, 1H), 4.51 (t, *J* = 6.6 Hz, 1H), 3.91 (dd, *J* = 10.2, 7.2 Hz, 1H), 3.42 (dd, *J* = 10.2, 5.4 Hz, 1H), 2.40 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.4, 142.9, 140.7, 133.0, 130.0, 129.8, 129.0, 128.0, 127.8, 126.1, 113.5, 66.6, 61.9, 55.7, 21.8, 20.5; FT-IR (KBr) 3059, 3028, 2923, 2860, 1619, 1521, 1384, 1350, 1163, 1094, 1030, 804, 760 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{23}H_{24}N_2O_2S$ 393.1631, found 393.1633; $[\alpha]_D^{20}$ = -8.0 (c= 0.2, $CHCl_3$); HPLC analysis: 97% ee [Daicel Chiralcel OD column, hexane/*i*PrOH = 85:15, flow rate: 1 mL/min, λ = 215 nm, t_R = 8.25 min (major), 10.57 min (minor)].

3-(9*H*-Fluoren-2-yl)-4-phenyl-1-tosylimidazolidine 4h. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.52; colorless solid; yield 61% (142 mg); mp 232-233 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.70 (d, *J* = 7.8 Hz, 2H), 7.59 (d, *J* = 7.8 Hz, 1H), 7.50 (d, *J* = 8.4 Hz, 1H), 7.44 (d, *J* = 7.8 Hz, 1H), 7.30-7.22 (m, 6H), 7.19-7.13 (m, 3H), 6.58 (s, 1H), 6.38 (d, *J* = 8.4 Hz, 1H), 5.10 (d, *J* = 5.4 Hz, 1H), 4.84 (d, *J* = 6.0 Hz, 1H), 4.59 (t, *J* = 6.0 Hz, 1H), 3.95 (t, *J* = 8.4 Hz, 1H), 3.74 (s, 2H), 3.48

(dd, J = 10.8, 5.4 Hz, 1H), 2.38 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.1, 144.5, 144.4, 142.4, 142.0, 140.6, 133.1, 132.8, 130.1, 129.1, 128.0, 127.9, 126.9, 126.1, 125.5, 124.9, 120.6, 118.9, 112.2, 110.0, 66.5, 61.8, 55.8, 37.2, 21.8; FT-IR (KBr) 3062, 3027, 2956, 2923, 2853, 1616, 1492, 1457, 1384, 1349, 1162, 1092, 1027, 812, 764 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{29}H_{26}N_2O_2S$ 467.1788, found 467.1790.

3,4-Diphenyl-1-(phenylsulfonyl)imidazolidine 4i. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.54; colorless solid; yield 75% (137 mg); mp 125-126 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.81 (d, J = 7.8 Hz, 2H), 7.57 (t, J = 7.2 Hz, 1H), 7.45 (t, J = 7.2 Hz, 2H), 7.24-7.20 (m, 3H), 7.12-7.10 (m, 4H), 6.72 (t, J = 7.2 Hz, 1H), 6.38 (d, J = 7.8 Hz, 2H), 5.05 (d, J = 6.0 Hz, 1H), 4.78 (d, J = 6.0 Hz, 1H), 4.51 (t, J = 6.6 Hz, 1H), 3.92 (dd, J = 10.8, 7.8 Hz, 1H), 3.46 (dd, J = 10.2, 5.4 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.9, 140.5, 136.0, 133.5, 129.4, 129.3, 129.1, 128.0, 127.9, 126.0, 118.5, 113.3, 66.2, 61.7, 55.7; FT-IR (KBr) 3062, 3028, 2928, 2857, 1600, 1506, 1446, 1351, 1166, 1095, 1030, 749 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{21}H_{20}N_2O_2S$ 365.1318, found 365.1319.

3-Phenyl-1-tosyl-1,2,3,5,6,10b-hexahydroimidazo[2,1-*a*]isoquinoline 4j. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.50; colorless solid; yield 63% (127 mg); mp 137-138 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.97 (d, J = 7.8 Hz, 1H), 7.85 (d, J = 7.8 Hz, 2H), 7.41 (d, J = 7.8 Hz, 2H), 7.34 (t, J = 7.8 Hz, 1H), 7.26-7.20 (m, 4H), 7.07 (d, J = 7.8 Hz, 1H), 6.88 (d, J = 7.2 Hz, 2H), 5.94 (s, 1H), 4.10 (t, J = 8.4 Hz, 1H), 3.70 (t, J = 8.4 Hz, 1H), 3.18 (t, J = 9.6 Hz, 1H), 3.16-3.08 (m, 1H), 2.94-2.88 (m, 1H), 2.75-2.72 (m, 1H), 2.53 (s, 3H), 2.40 (d, J = 16.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.0, 138.8, 134.9, 134.1, 129.9, 129.2, 128.8, 128.6, 128.3, 127.7, 127.6, 127.2, 76.5, 60.9, 55.7, 41.5, 21.9, 21.4; FT-IR (KBr) 3056, 3028, 2950, 2924, 2861, 1598, 1453, 1344, 1157, 1090, 1005, 817, 759, 665 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{24}H_{24}N_2O_2S$ 405.1631, found 405.1633.

3-(2-Chlorophenyl)-1-tosyl-1,2,3,5,6,10b-hexahydroimidazo[2,1-*a*]isoquinoline 4k. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.49; colorless solid; yield 56% (123 mg); mp 145-146 °C; ^{1}H

NMR (600 MHz, $CDCl_3$) δ 7.91 (d, *J* = 7.8 Hz, 1H), 7.76 (d, *J* = 7.8 Hz, 2H), 7.35-7.31 (m, 3H), 7.30-7.24 (m, 2H), 7.13 (t, *J* = 7.8 Hz, 1H), 7.08 (d, *J* = 7.2 Hz, 1H), 6.99 (t, *J* = 7.8 Hz, 1H), 6.62 (d, *J* = 7.8 Hz, 1H), 6.01 (s, 1H), 4.59 (t, *J* = 7.8 Hz, 1H), 3.87 (t, *J* = 9.0 Hz, 1H), 3.17-3.13 (m, 2H), 2.99-2.92 (m, 1H), 2.78-2.75 (m, 1H), 2.50 (s, 3H), 2.41 (d, *J* = 16.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 143.9, 137.4, 134.6, 134.5, 134.4, 134.0, 129.9, 129.5, 128.9, 128.7, 128.4, 127.9, 127.8, 127.2, 127.1, 76.4, 56.8, 53.8, 41.9, 21.8, 21.5; FT-IR (KBr) 3061, 3022, 2953, 2923, 2850, 1597, 1453, 1347, 1159, 1090, 999, 814, 752, 664 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{24}H_{23}ClN_2O_2S$ 439.1242, found 439.1243.

3-(*m*-Tolyl)-1-tosyl-1,2,3,5,6,10b-hexahydroimidazo[2,1-*a*]isoquinoline 4l. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.51; colorless solid; yield 67% (140 mg); mp 151-152 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.95 (d, *J* = 7.8 Hz, 1H), 7.86 (d, *J* = 7.8 Hz, 2H), 7.41 (d, *J* = 7.8 Hz, 2H), 7.33 (t, *J* = 7.2 Hz, 1H) 7.25 (d, *J* = 7.2 Hz, 1H), 7.11-7.04 (m, 3H), 6.70 (d, *J* = 7.2 Hz, 1H), 6.60 (s, 1H), 5.94 (s, 1H), 4.06 (t, *J* = 8.4 Hz, 1H), 3.68 (t, *J* = 8.4 Hz, 1H), 3.16 (t, *J* = 9.6 Hz, 1H), 3.12-3.07 (m, 1H), 2.94-2.88 (m, 1H), 2.75-2.72 (m, 1H), 2.53 (s, 3H), 2.39 (d, *J* = 16.8 Hz, 1H), 2.23 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.0, 138.9, 138.5, 134.9, 134.2, 134.1, 129.9, 129.2, 129.1, 128.7, 128.6, 128.5, 128.1, 127.7, 127.2, 125.0, 76.6, 60.8, 55.7, 41.5, 21.9, 21.5, 21.4; FT-IR (KBr) 3056, 3025, 2959, 2923, 2851, 1598, 1492, 1453, 1345, 1159, 1091, 1004, 815, 751, 666 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{25}H_{26}N_2O_2S$ 419.1788, found 419.1789.

3-(4-Fluorophenyl)-1-tosyl-1,2,3,5,6,10b-hexahydroimidazo[2,1-*a*]isoquinoline 4m. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.48; colorless solid; yield 59% (124 mg); mp 150-151 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.95 (d, *J* = 7.8 Hz, 1H), 7.84 (d, *J* = 7.2 Hz, 2H), 7.41 (d, *J* = 7.8 Hz, 2H), 7.33 (t, *J* = 7.8 Hz, 1H), 7.25 (d, *J* = 7.2 Hz, 1H), 7.07 (d, *J* = 7.8 Hz, 1H), 6.89 (t, *J* = 7.2 Hz, 2H), 6.82-6.80 (m, 2H), 5.93 (s, 1H), 4.08 (t, *J* = 7.2 Hz, 1H), 3.68 (t, *J* = 7.8 Hz, 1H), 3.13-3.08 (m, 2H), 2.92-2.86 (m, 1H), 2.72-2.68 (m, 1H), 2.53 (s, 3H), 2.41 (d, *J* = 16.8 Hz, 1H); ^{13}C NMR (150 MHz,

$CDCl_3$) δ 163.5 (d, J_{C-F} = 244.5 Hz), 144.1, 134.8, 134.6, 134.2, 134.0, 129.9, 129.2 (d, J_{C-F} = 9.0 Hz), 128.6, 128.5, 127.8, 127.3, 115.7 (d, J_{C-F} = 21.0 Hz), 76.5, 60.2, 55.6, 41.5, 21.9, 21.4; FT-IR (KBr) 3062, 3024, 2928, 2901, 1601, 1509, 1453, 1344, 1224, 1159, 1013, 838, 816, 754, 673 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{24}H_{23}FN_2O_2S$ 423.1537, found 423.1538.

3-(4-Bromophenyl)-1-tosyl-1,2,3,5,6,10b-hexahydroimidazo[2,1-*a*]isoquinoline 4n. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.48; colorless solid; yield 57% (137 mg); mp 148-149 °C; ^1H NMR (600 MHz, $CDCl_3$) δ 7.93 (d, *J* = 7.8 Hz, 1H), 7.82 (d, *J* = 7.8 Hz, 2H), 7.40 (d, *J* = 7.8 Hz, 2H), 7.34-7.31 (m, 3H), 7.25 (d, *J* = 7.8 Hz, 1H), 7.06 (d, *J* = 7.8 Hz, 1H), 6.72 (d, *J* = 8.4 Hz, 2H), 5.93 (s, 1H), 4.06 (t, *J* = 7.8 Hz, 1H), 3.68 (t, *J* = 9.6 Hz, 1H), 3.12-3.09 (m, 2H), 2.89-2.86 (m, 1H), 2.71-2.68 (m, 1H), 2.53 (s, 3H), 2.41 (d, *J* = 16.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.2, 138.1, 134.7, 134.1, 131.9, 129.9, 129.3, 129.2, 128.6, 128.5, 127.9, 127.3, 122.0, 76.5, 60.3, 55.4, 41.6, 21.9, 21.4; FT-IR (KBr) 3054, 3021, 2923, 2852, 1597, 1486, 1345, 1158, 1010, 818, 749, 667 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{24}H_{23}BrN_2O_2S$ 483.0736, found: 483.0737.

3-(2,4-Dimethylphenyl)-1-tosyl-1,2,3,5,6,10b-hexahydroimidazo[2,1-*a*]isoquinoline 4o. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.54; colorless solid; yield 62% (134 mg); mp 161-162 °C; ^1H NMR (600 MHz, $CDCl_3$) δ 8.00 (d, *J* = 7.8 Hz, 1H), 7.83 (d, *J* = 7.8 Hz, 2H), 7.39-7.35 (m, 3H), 7.28 (d, *J* = 7.2 Hz, 1H), 7.09 (d, *J* = 7.8 Hz, 1H), 6.92 (s, 1H), 6.84 (d, *J* = 8.4 Hz, 1H), 6.61 (d, *J* = 7.8 Hz, 1H), 5.96 (s, 1H), 4.35 (t, *J* = 7.8 Hz, 1H), 3.75 (dd, *J* = 10.8, 8.4 Hz, 1H), 3.15-3.06 (m, 2H), 2.87-2.79 (m, 2H), 2.54 (s, 3H), 2.41 (d, *J* = 13.8, 1H), 2.29 (s, 3H), 2.15 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.0, 137.1, 136.3, 135.0, 134.2, 133.8, 131.3, 129.9, 129.2, 128.6, 128.5, 127.7, 127.3, 127.2, 126.5, 76.2, 56.5, 54.5, 41.6, 21.9, 21.8, 21.1, 19.4; FT-IR (KBr) 3062, 3021, 2922, 2853, 1598, 1452, 1347, 1159, 1010, 816, 748, 664 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{26}H_{28}N_2O_2S$ 433.1944, found 433.1941.

3-Phenyl-1-(phenylsulfonyl)-1,2,3,5,6,10b-hexahydroimidazo[2,1-*a*]isoquinoline 4p. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.50; colorless solid; yield 60% (117 mg); mp 140-141 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.97-7.95 (m, 3H), 7.24 (t, *J* = 7.2 Hz, 1H), 7.61 (t, *J* = 7.2 Hz, 2H), 7.34 (t, *J* = 7.2 Hz, 1H), 7.26-7.20 (m, 4H), 7.07 (d, *J* = 7.2 Hz, 1H), 6.86 (d, *J* = 7.2 Hz, 2H), 5.96 (s, 1H), 4.11 (t, *J* = 7.8 Hz, 1H), 3.71 (t, *J* = 7.8 Hz, 1H), 3.17 (t, *J* = 9.0 Hz, 1H), 3.12-3.08 (m, 1H), 2.93-2.88 (m, 1H), 2.75-2.71 (m, 1H), 2.40 (d, *J* = 16.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 138.7, 137.0, 134.8, 134.1, 133.3, 129.3, 129.2, 128.8, 128.6, 128.5, 128.3, 127.8, 127.6, 127.3, 76.6, 60.8, 55.7, 41.5, 21.4; FT-IR (KBr) 3061, 3027, 2953, 2923, 1606, 1446, 1349, 1161, 1011, 754, 721, 603 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for C23 $H_{22}N_2O_2S$ 391.1475, found 391.1486.

4-(2-Chlorophenyl)-3-phenyl-1-tosylimidazolidine 4q. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.54; colorless solid; yield 76% (157 mg); mp 120-121 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.67 (d, *J* = 7.8 Hz, 2H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.20-7.16 (m, 3H), 7.13 (t, *J* = 7.2 Hz, 2H), 7.03 (t, *J* = 7.8 Hz, 1H), 7.00 (d, *J* = 9.0 Hz, 1H), 6.74 (t, *J* = 7.2 Hz, 1H), 6.28 (d, *J* = 8.4 Hz, 2H), 5.09 (d, *J* = 6.0 Hz, 1H), 4.84 (dd, *J* = 7.8, 4.2 Hz, 1H), 4.74 (d, *J* = 6.0 Hz, 1H), 4.00 (dd, *J* = 10.8, 7.2 Hz, 1H), 3.54 (dd, *J* = 10.8, 4.8 Hz, 1H), 2.38 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.5, 144.4, 137.6, 132.9, 132.3, 130.1, 129.9, 129.4, 129.0, 128.0, 127.5, 127.4, 118.6, 112.9, 66.0, 59.0, 54.1, 21.7; FT-IR (KBr) 3061, 2956, 2923, 2848, 1600, 1506, 1384, 1352, 1164, 1092, 1032, 814, 750, 664 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{22}H_{21}ClN_2O_2S$ 413.1085, found 413.1083.

3-Phenyl-4-(*o*-tolyl)-1-tosylimidazolidine 4r. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.56; colorless solid; yield 73% (143 mg); mp 144-145 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.70 (dd, *J* = 8.4, 1.8 Hz, 2H), 7.23 (d, *J* = 8.4 Hz, 2H), 7.17-7.11 (m, 4H), 7.00-6.96 (m, 2H), 6.73 (t, *J* = 7.2 Hz, 1H), 6.29 (d, *J* = 8.4 Hz, 2H), 5.07 (d, *J* = 6.0 Hz, 1H), 4.62 (d, *J* = 6.0 Hz, 1H), 4.61 (t, *J* = 7.2 Hz, 1H), 4.01 (t, *J* = 9.6 Hz, 1H), 3.39 (dd, *J* = 10.2, 5.4 Hz, 1H), 2.40 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.9, 144.5, 137.9, 134.2, 133.0, 130.9, 130.0, 129.2, 127.9, 127.5, 126.8, 125.4, 118.3,

113.0, 66.2, 58.8, 54.3, 21.7, 19.4; FT-IR (KBr) 3061, 3025, 2925, 2856, 1599, 1506, 1384, 1350, 1164, 1094, 1032, 814, 750 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{23}H_{24}N_2O_2S$ 393.1631, found 393.1631.

4-(3-Bromophenyl)-3-phenyl-1-tosylimidazolidine 4s. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.53; colorless solid; yield 78% (178 mg); mp 130-131 °C; ^1H NMR (600 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.4 Hz, 2H), 7.37 (d, *J* = 7.8 Hz, 1H), 7.24-7.23 (m, 3H), 7.15-7.11 (m, 3H), 7.07 (d, *J* = 7.8 Hz, 1H), 6.76 (t, *J* = 7.2 Hz, 1H), 6.37 (d, *J* = 8.4 Hz, 2H), 5.05 (d, *J* = 6.0 Hz, 1H), 4.75 (d, *J* = 6.0 Hz, 1H), 4.49 (t, *J* = 6.0 Hz, 1H), 3.90 (t, *J* = 9.6 Hz, 1H), 3.47 (dd, *J* = 10.8, 4.8 Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.7, 144.6, 143.2, 132.9, 131.1, 130.7, 130.1, 129.4, 129.1, 127.9, 124.8, 123.2, 118.8, 113.2, 66.2, 61.2, 55.5, 21.8; FT-IR (KBr) 3070, 3022, 2920, 2817, 1600, 1507, 1385, 1346, 1161, 1088, 1024, 847, 747, 665 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{22}H_{21}BrN_2O_2S$ 457.0580, found 457.0584.

4-(3-Chlorophenyl)-3-phenyl-1-tosylimidazolidine 4t. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.54; colorless solid; yield 80% (165 mg); mp 140-141 °C; ^1H NMR (600 MHz, $CDCl_3$) δ 7.69 (d, *J* = 7.8 Hz, 2H), 7.24-7.17 (m, 4H), 7.16-7.13 (m, 2H), 7.07 (s, 1H), 7.04 (d, *J* = 7.2 Hz, 1H), 6.77 (t, *J* = 7.2 Hz, 1H), 6.38 (d, *J* = 8.4 Hz, 2H), 5.07 (d, *J* = 6.0 Hz, 1H), 4.75 (d, *J* = 6.0 Hz, 1H), 4.51 (t, *J* = 6.6 Hz, 1H), 3.93 (t, *J* = 10.2 Hz, 1H), 3.48 (dd, *J* = 10.8, 4.8 Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.7, 144.6, 142.9, 134.9, 132.9, 130.3, 130.0, 129.3, 128.0, 127.9, 126.1, 124.3, 118.7, 113.2, 66.1, 61.2, 55.4, 21.7; FT-IR (KBr) 3061, 3028, 2925, 2889, 2820, 1601, 1508, 1346, 1162, 1027, 849, 758, 667 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{22}H_{21}ClN_2O_2S$ 413.1085, found 413.1084.

4-(3-Phenyl-1-tosylimidazolidin-4-yl)phenyl acetate 4u. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.41; pale yellow liquid; yield 77% (168 mg); ^1H NMR (600 MHz, $CDCl_3$) δ 7.67 (d, *J* = 7.2 Hz, 2H), 7.24 (d, *J* = 7.8 Hz, 2H), 7.13-7.11 (m, 4H), 6.97 (d, *J* = 7.2 Hz, 2H), 6.74 (t, *J* = 6.6

Hz, 1H), 6.37 (d, *J* = 7.2 Hz, 2H), 5.02 (d, *J* = 5.4 Hz, 1H), 4.74 (d, *J* = 4.8 Hz, 1H), 4.54 (t, *J* = 4.8 Hz, 1H), 3.91 (t, *J* = 8.4 Hz, 1H), 3.44 (dd, *J* = 10.8, 5.4 Hz, 1H), 2.39 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 169.5, 150.2, 144.9, 144.6, 138.0, 132.9, 130.1, 129.4, 128.0, 127.1, 122.2, 118.6, 113.3, 66.2, 61.2, 55.6, 21.7, 21.3; FT-IR (neat) 3028, 2923, 2854, 1758, 1600, 1504, 1351, 1197, 1163, 1015, 912, 752, 666, 599 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{24}H_{24}N_2O_4S$ 437.1530, found 437.1532.

4-(4-Chlorophenyl)-3-phenyl-1-tosylimidazolidine 4v. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.53; colorless solid; yield 80% (165 mg); mp 170-171 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.66 (d, *J* = 7.8 Hz, 2H), 7.23-7.19 (m, 4H), 7.13 (t, *J* = 7.8 Hz, 2H), 7.03 (d, *J* = 8.4 Hz, 2H), 6.75 (t, *J* = 7.2 Hz, 1H), 6.35 (d, *J* = 8.4 Hz, 2H), 5.03 (d, *J* = 6.0 Hz, 1H), 4.73 (d, *J* = 6.0 Hz, 1H), 4.53 (dd, *J* = 7.2, 4.8 Hz, 1H), 3.90 (dd, *J* = 10.2, 7.2 Hz, 1H), 3.46 (dd, *J* = 10.8, 4.8 Hz, 1H), 2.41 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.7, 144.6, 139.2, 133.6, 133.1, 130.1, 129.4, 129.2, 128.0, 127.5, 118.7, 113.2, 66.1, 61.1, 55.6, 21.8; FT-IR (KBr) 3065, 3035, 2922, 2852, 1599, 1506, 1350, 1163, 1091, 813, 778, 664 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{22}H_{21}ClN_2O_2S$ 413.1085, found 413.1085.

4-(4-(Chloromethyl)phenyl)-3-phenyl-1-tosylimidazolidine 4w. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.46; colorless solid; yield 73% (156 mg); mp 173-174 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.67 (d, *J* = 7.2 Hz, 2H), 7.27-7.23 (m, 4H), 7.13-7.10 (m, 4H), 6.74 (t, *J* = 6.6 Hz, 1H), 6.36 (d, *J* = 7.2 Hz, 2H), 5.03 (d, *J* = 4.8 Hz, 1H), 4.74 (d, *J* = 5.4 Hz, 1H), 4.54 (s, 3H), 3.91 (t, *J* = 7.8 Hz, 1H), 3.45 (dd, *J* = 10.8, 4.8 Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.8, 144.5, 141.0, 137.1, 133.0, 130.1, 129.4, 128.0, 126.5, 118.6, 113.2, 66.2, 61.4, 55.6, 46.0, 21.8; FT-IR (KBr) 3053, 2965, 2921, 2822, 1600, 1508, 1386, 1347, 1161, 1092, 1028, 816, 744, 667 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{23}H_{23}ClN_2O_2S$ 427.1242, found 427.1240.

4-(4-Bromophenyl)-3-phenyl-1-tosylimidazolidine 4x. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.53; colorless solid; yield 79% (180 mg); mp 179-180 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.66 (d, *J* = 7.8 Hz, 2H), 7.35 (d, *J* = 8.4 Hz, 2H), 7.23 (d, *J* = 7.8 Hz, 2H), 7.13 (t, *J* = 7.8 Hz, 2H), 6.97 (d, *J* = 7.8 Hz, 2H), 6.75 (t, *J* = 7.2 Hz, 1H), 6.36 (d, *J* = 7.8 Hz, 2H), 5.04 (d, *J* = 6.0 Hz, 1H), 4.73 (d, *J* = 5.4 Hz, 1H), 4.52 (t, *J* = 4.8 Hz, 1H), 3.91 (dd, *J* = 10.8, 7.8 Hz, 1H), 3.47 (dd, *J* = 10.2, 4.8 Hz, 1H), 2.41 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 144.6, 142.0, 139.7, 133.1, 132.1, 130.0, 129.4, 127.9, 127.8, 121.6, 118.7, 113.2, 66.1, 61.1, 55.5, 21.8; FT-IR (KBr) 3075, 3019, 2897, 2812, 1600, 1504, 1381, 1343, 1158, 1029, 816, 748, 667 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{22}H_{21}BrN_2O_2S$ 457.0580, found 457.0583.

4-(4-Fluorophenyl)-3-phenyl-1-tosylimidazolidine 4y. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.51; colorless solid; yield 79% (156 mg); mp 181-182 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.69 (d, *J* = 7.2 Hz, 2H), 7.24 (d, *J* = 7.8 Hz, 2H), 7.13 (t, *J* = 7.2 Hz, 2H), 7.08 (t, *J* = 7.2 Hz, 2H), 6.93 (t, *J* = 7.8 Hz, 2H), 6.75 (t, *J* = 7.2 Hz, 1H), 6.37 (d, *J* = 7.8 Hz, 2H), 5.05 (d, *J* = 5.4 Hz, 1H), 4.73 (d, *J* = 5.4 Hz, 1H), 4.54 (t, *J* = 6.6 Hz, 1H), 3.89 (t, *J* = 9.6 Hz, 1H), 3.45 (dd, *J* = 10.2, 4.2 Hz, 1H), 2.41 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 163.1 (d, J_{C-F} = 244.5 Hz), 144.7, 144.6, 136.3, 133.0, 130.0, 129.3, 128.0, 127.7 (d, J_{C-F} = 9.0 Hz), 118.6, 116.0 (d, J_{C-F} = 22.5), 113.2, 66.1, 61.0, 55.7, 21.7; FT-IR (KBr) 3058, 2923, 2892, 2821, 1601, 1508, 1384, 1346, 1161, 1028, 829, 750, 666 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{22}H_{21}FN_2O_2S$ 397.1381, found 397.1384.

3-Phenyl-4-(*p*-tolyl)-1-tosylimidazolidine 4z. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.56; colorless solid; yield 81% (159 mg); mp 147-148 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.4 Hz, 2H), 7.23 (d, *J* = 8.4 Hz, 2H), 7.11 (t, *J* = 7.2 Hz, 2H), 7.05 (d, *J* = 7.8 Hz, 2H), 7.00 (d, *J* = 7.8 Hz, 2H), 6.72 (t, *J* = 7.2 Hz, 1H), 6.37 (d, *J* = 7.8 Hz, 2H), 5.01 (d, *J* = 6.0 Hz, 1H), 4.75 (d, *J* = 6.0 Hz, 1H), 4.49 (t, *J* = 6.0 Hz, 1H), 3.90 (dd, *J* = 10.2, 7.2 Hz, 1H), 3.43 (dd, *J* = 10.2, 4.8 Hz, 1H), 2.40 (s,

3H), 2.30 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.0, 144.4, 137.5, 133.0, 130.0, 129.7, 129.3, 128.0, 126.0, 118.4, 113.2, 66.2, 61.4, 55.9, 21.8, 21.3; FT-IR (KBr) 3060, 3029, 2922, 2855, 1599, 1506, 1350, 1163, 1093, 814, 749, 664 cm^{-1} HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{23}H_{24}N_2O_2S$ 393.1631, found 393.1633.

4-(2,4-Dimethylphenyl)-3-phenyl-1-tosylimidazolidine 4aa. Analytical TLC on silica gel, 1:9 ethyl acetate/ hexane R_f = 0.56; colorless solid; yield 72% (146 mg); mp 162-163 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.67 (d, *J* = 7.8 Hz, 2H), 7.21 (d, *J* = 8.4 Hz, 2H), 7.10 (t, *J* = 8.4 Hz, 2H), 6.97 (s, 1H), 6.84 (d, *J* = 7.8 Hz, 1H), 6.79 (d, *J* = 7.8 Hz, 1H), 6.71 (t, *J* = 7.2 Hz, 1H), 6.27 (d, *J* = 8.4 Hz, 2H), 5.02 (d, *J* = 6.0 Hz, 1H), 4.82 (d, *J* = 6.0 Hz, 1H), 4.56 (t, *J* = 6.6 Hz, 1H), 3.97 (dd, *J* = 10.2, 7.2 Hz, 1H), 3.34 (dd, *J* = 10.8, 6.0 Hz, 1H), 2.39 (s, 3H), 2.29 (s, 3H), 2.26 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.0, 144.5, 137.2, 134.9, 134.1, 133.1, 131.7, 130.0, 129.3, 128.0, 127.5, 125.5, 118.2, 113.0, 66.2, 58.8, 54.5, 21.7, 21.2, 19.4; FT-IR (KBr) 3065, 3041, 2921, 2855, 1600, 1506, 1384, 1350, 1164, 1092, 1032, 814, 748, 664 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{24}H_{26}N_2O_2S$ 407.1788, found 407.1782.

4-(Naphthalen-2-yl)-3-phenyl-1-tosylimidazolidine 4ab. Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.56; colorless solid; yield 71% (152 mg); mp 166-167 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.80-7.79 (m, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.69-7.65 (m, 3H), 7.51 (s, 1H), 7.46-7.45 (m, 2H), 7.23 (d, *J* = 8.4 Hz, 1H), 7.15 (d, *J* = 7.8 Hz, 2H), 7.11 (t, *J* = 7.8 Hz, 2H), 6.72 (t, *J* = 7.2 Hz, 1H), 6.44 (d, *J* = 7.8 Hz, 2H), 5.12 (d, *J* = 6.0 Hz, 1H), 4.83 (d, *J* = 6.0 Hz, 1H), 4.71 (t, *J* = 5.4 Hz, 1H), 4.02 (dd, *J* = 10.2, 7.8 Hz, 1H), 3.58 (dd, *J* = 10.8, 5.4 Hz, 1H), 2.31 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 145.0, 144.5, 138.0, 133.4, 133.4, 133.1, 129.9, 129.3, 129.1, 128.0, 127.9, 127.8, 126.5, 126.2, 125.0, 123.9, 118.5, 113.2, 66.2, 61.8, 55.6, 21.7; FT-IR (KBr) 3058, 3047, 2928, 2810, 1599, 1506, 1343, 1158, 1030, 815, 745, 666 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{26}H_{24}N_2O_2S$ 429.1631, found 429.1628.

***N*-(2-(((2,6-Di-*tert*-butyl-4-methylphenoxy)methyl)(phenyl)amino)-2-phenylethyl)-4-methylbenzenesulfonamide 5b.** Analytical TLC on silica gel, 1:9 ethyl acetate/hexane R_f = 0.58; colorless liquid; yield 65% (194 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.71 (d, *J* = 8.4 Hz, 2H), 7.26-7.20 (m, 5 H), 7.17 (t, *J* = 7.8 Hz, 2H), 7.05 (d, *J* = 6.6 Hz, 2H), 6.87 (s, 2H), 6.71 (t, *J* = 7.2 Hz, 1H), 6.61 (d, *J* = 7.8 Hz, 2H), 5.17 (s, 1H), 5.10 (t, *J* = 7.2 Hz, 1H), 4.48 (d, *J* = 15.0 Hz, 1H), 4.10 (d, *J* = 15.6 Hz, 1H), 3.93 (dd, *J* = 14.4, 6.6 Hz, 1H), 3.59 (dd, *J* = 14.4, 8.4 Hz, 1H), 2.51 (s, 3H), 2.40 (s, 3H), 1.30 (s, 18 H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 153.6, 150.1, 143.5, 138.5, 137.1, 136.2, 130.0, 129.4, 128.5, 127.7, 127.5, 126.3, 125.2, 117.4, 113.7, 60.7, 53.0, 48.2, 34.4, 32.4, 30.3, 21.7; FT-IR (neat) 3061, 3028, 2956, 2917, 2870, 1597, 1503, 1433, 1339, 1159, 1090, 926, 748 cm^{-1}; HRMS (ESI) m/z $[M+H]^+$ calcd for $C_{37}H_{46}N_2O_3S$ 599.3302, found 599.3303.

ASSOCIATED CONTENT

Supporting information having NMR (^{1}H and ^{13}C) spectra, HRMS of the reaction mixture of **5a-b** and HPLC of **2a'**, **2c' 4a'** and **4g'** is available.

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