Tetrahedron 67 (2011) 9609–9617

Contents lists available at SciVerse ScienceDirect

Tetrahedron

journal homepage: www.elsevier.com/locate/tet

Diasteroselective synthesis of oxazolidines and imidazolidines via the Lewis acid catalyzed C–C cleavage of aziridines

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ARTICLE INFO

Article history:
Received 22 July 2011
Received in revised form 14 September 2011
Accepted 20 September 2011
Available online 25 September 2011

Keywords:
Aziridines
Cycloadditions
Oxazolines
Imidazolidines
Azomethine ylides

ABSTRACT

In this work, *cis*-2,5-disubstitutedoxazolidines were efficiently constructed via a regioselective C–C bond cleavage of *N*-tosylaziridine 2,2-dicaboxylates and a subsequent [3+2] cycloaddition with aromatic aldehydes in the presence of $Zn(OTf)_2$. The reactions were highly diastereoselective to form oxazolidines in cis configurations. *trans*-2,5-Disubstituted imidazolidines were also diastereoselectively synthesized in the similar manner using imines as substrates and AgOTf as catalyst. Based on the detailed investigation of the substrate diversity for both reactions, including the electronic effects and the steric effects of the substituted groups on the aziridines, aldehydes, and imines, a stepwise mechanism was postulated for the diastereoselective formation of *cis*-2,5-disubstitutedoxazolidines and *trans*-2,5-disubstituted imidazolines.

1. Introduction

The chemistry of aziridines has been broadly explored due to their utilities in organic synthesis and pharmaceutical industry, such as the production of Tamiflu and Oseltamivir.[1,2] There are two possible pathways for the ring-opening of aziridine: (1) C–N bond cleavage and (2) C–C bond cleavage.[1] The C–N bond cleavage has been very well documented over the years, which proceeds by nucleophilic attack at carbon of aziridine ring in an analogous manner to similar reactions of epoxide.[1,3] Some *N*-tosylaziridines undergo the C–N bond cleavage to generate azwitterionintermediate, which can be trapped by various dipolarphiles, such as alkenes, nitriles, ketones, and alkynes to furnish the corresponding heterocycles.[4] A few examples of the C–C bond cleavage of aziridines have been reported.[1] This process can be promoted by Lewis acids,[5] radiation,[6] or heat[7] to form azomethine ylides, which are common 1,3-dipoles especially useful for the preparation of five-membered nitrogen heterocycles.[8] In these cases, it is necessary for one or two carbons of aziridine ring to bear an electron-withdrawing group.

Inspired by our previous works on aziridines[9] and selective ring-opening of *N*-phenylaziridine 2,2-dicarboxylates,[10] cyclopropane 1,1-dicarboxylates[11] and oxirane 2,2-diketones,[12] we were interested in developing a diastereoselective [3+2] cycloaddition of *N*-tosylaziridine 2,2-dicarboxylates[13] with aldehydes[14] and imines via selective C–C bond cleavage of aziridines in the presence of Lewis acid (Scheme 1). Herein, we would like to report the detailed results of this effort.

2. Results and discussion

The reaction between *N*-tosylaziridine dicarboxylate (**1a**) and benzaldehyde (**2a**) in 1,2-dichloroethane (DCE) was examined as the model reaction. Fortunately, in the presence of $Zn(OTf)_2$, *cis*-dimethyl 2,5-diphenyl-3-tosyloxazolidine-4,4-dicarboxylate (*cis*-**3a**) was isolated in yield of 35% (Table 1, entry 1). When the reaction was performed in the presence of $Zn(OTf)_2$ and 4 Å molecular sieve, the yield increased to 59% (Table 1, entry 2). Delighted by this result, we optimized the reaction condition for this transformation by screening various catalysts, solvents, reaction time, and reaction temperature. Raising the reaction temperature and shortening the reaction time could give higher yields (Table 1, entries 3–7). Altering $Zn(OTf)_2$ to AgOTf, $Cu(OTf)_2$, or $Yb(OTf)_3$ led to a significant decrease in yields (Table 1, entries 8–10). In the case of $In(OTf)_3$, only trace amount of product was detected by thin layer chromatograph (Table 1, entry 11). Other solvents, such as chloroform, acetonitrile, tetrahydrofuran (THF), and toluene were also screened, but they gave lower yields as compared with DCE (Table 1, entries 12–15). Increasing the ratio of **1a** to **2a**, or decreasing the catalyst amount would decrease the yield (Table 1, entries 16–17). Thus, a suitable reaction condition was established (Table 1, entry 6).

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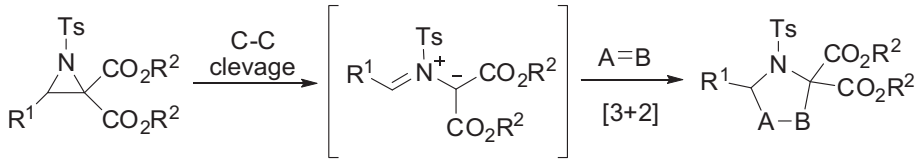
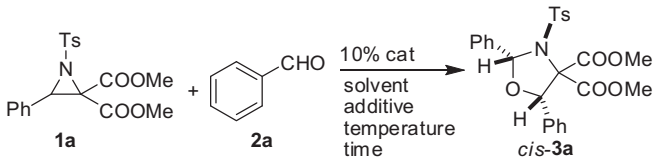
doi:10.1016/j.tet.2011.09.085



Scheme 1. Detailed description for this work.

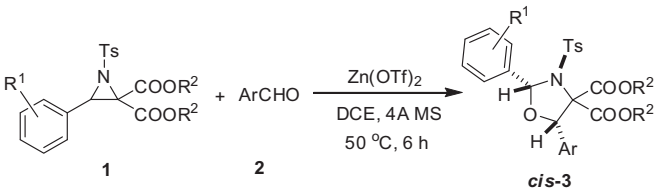
Table 1
Optimization of the reaction conditions for the formation of *cis*-**3a**[a]



Entry	Cat.	Solvent	Temp (°C)	Time (h)	Yield[b] (%)
1[c]	$Zn(OTf)_2$	DCE	25	12	35
2	$Zn(OTf)_2$	DCE	25	12	59
3	$Zn(OTf)_2$	DCE	50	2	58
4	$Zn(OTf)_2$	DCE	80	2	53
5	$Zn(OTf)_2$	DCE	50	4	62
6	$Zn(OTf)_2$	DCE	50	6	65
7	$Zn(OTf)_2$	DCE	50	8	64
8	AgOTf	DCE	50	6	35
9	$Cu(OTf)_2$	DCE	50	6	33
10	$Yb(OTf)_3$	DCE	50	6	17
11	$In(OTf)_3$	DCE	50	6	Trace
12	$Zn(OTf)_2$	$CHCl_3$	50	6	55
13	$Zn(OTf)_2$	MeCN	50	6	42
14	$Zn(OTf)_2$	THF	50	6	46
15	$Zn(OTf)_2$	Toluene	50	6	53
16[d]	$Zn(OTf)_2$	DCE	50	6	63
17[e]	$Zn(OTf)_2$	DCE	50	6	46

[a] Reaction conditions: **1a** (1 mmol), **2a** (1.1 mmol), catalyst (10 mol %), 4 Å MS (0.2 g), solvent (4 mL).
[b] Isolated yield.
[c] Without 4 Å molecular sieve.
[d] Compounds **1a**/**2a**=1.2:1.
[e] Catalyst (5 mol %).

Table 2
Substrate scope for the formation of *cis*-**3**[a]



Entry	**1** (R^1, R^2)	**2** (Ar)	Yield[b] (%)
1	**1a** (H, Me)	**2a** (C_6H_5)	*cis*-**3a** (65)
2	**1a**	**2b** (2-BrC_6H_4)	**3b** (*cis*/*trans*=1:1) (51)
3	**1a**	**2c** (4-BrC_6H_4)	*cis*-**3c** (62)
4	**1a**	**2d** (2-$MeOC_6H_4$)	*cis*-**3d** (81)
5	**1a**	**2e** (3-$MeOC_6H_4$)	*cis*-**3e** (77)
6	**1a**	**2f** (4-$MeOC_6H_4$)	*cis*-**3f** (84)
7	**1a**	**2g** (4-MeC_6H_4)	*cis*-**3g** (85)
8	**1a**	**2h** (4-$NO_2C_6H_4$)	*cis*-**3h** (52)
9	**1b** (2-Br, Me)	**2g**	*cis*-**3i** (87)
10	**1b**	**2f**	*cis*-**3j** (74)
11	**1c** (3-Br, Me)	**2g**	*cis*-**3k** (97)
12	**1c**	**2f**	*cis*-**3l** (97)
13	**1c**	**2c**	*cis*-**3m** (94)
14	**1d** (4-Me, Me)	**2f**	*cis*-**3n** (84)
15	**1e** (H, Et)	**2a**	*cis*-**3o** (69)
16	**1e**	**2g**	*cis*-**3p** (74)
17	**1e**	**2f**	*cis*-**3q** (72)
18	**1a**	**2i** (1-Naphthalenyl)	*cis*-**3r** (73)
19	**1a**	**2j** (*trans*-PhCH=CH)	*cis*-**3s** (78)
20	**1c**	**2k** (2-Furanyl)	*cis*-**3t** (63)

[a] Reaction conditions: **1** (1 mmol), **2** (1.1 mmol), $Zn(OTf)_2$ (10 mol %), DCE (4 mL), 4 Å MS (0.2 g) , 50 °C, 6 h.
[b] Isolated yield.

With the optimized reaction conditions in hand, we investigated the substrate scope for this transformation (Table 2). Several substituted benzaldehydes **2a**–**h** were examined and they gave yields between 51% and 85% (Table 2, entries 1–8). It was noteworthy that a satisfied yield was approached when an electron-donating group occupied the *para* position of benzaldehyde, such as 4-methoxybenzaldehyde (**2f**) and 4-methylbenzaldehyde (**2g**) (Table 2, entries 6 and 7). When the *para* position of benzaldehyde beards a strong electron-withdrawing group, such as 4-nitrobenzaldehyde (**2h**), the yield was decreased (Table 2, entry 8).

Substituent effect on the phenyl group of aziridines **1** was also explored (Table 2, entries 9–14). The electron-withdrawing substituent was found to be feasible for the formation of oxazolidine heterocycle. For instance, when **1c** reacted with **2g**, **2f**, and **2c**, the desired products *cis*-**3k**, *cis*-**3l**, and *cis*-**3m** were obtained in 97%, 97%, and 94% yields, respectively (Table 2, entries 11–13). Diethyl 3-phenyl-1-tosylaziridine-2,2-dicarboxylate (**1e**) also worked for the reaction (Table 2, entries 15–17). 1-Naphthaldehyde (**2i**) afforded the corresponding oxazolidine in 73% yield (Table 2, entry 18), while *trans*-cinnamaldehyde (**2j**) produced an oxazolidine ring with styryl functional group in yield of 78% (Table 2, entry 19). Heterocyclic aldehyde **2k** was also examined and *cis*-**3t** (63% yield) was obtained (Table 2, entry 20).

The two aryls on 2 and 5 positions of *N*-tosyloxazolidines **3a**–**s** were in cis configurations, which were established by the X-ray analyses of *cis*-**3f** (Fig. 1)[15] and *cis*-**3s**,[16] the NOE effects of *cis*-**3f** and *cis*-**3d** (Fig. 2), and the comparative analysis of chemical shifts of C2–H and C5–H of *N*-tosyloxazolidines **3a**–**s**. The exceptional case was the equivalent formation of *cis*-**3b** and *trans*-**3b** when *o*-bromobenzaldehyde (**2b**) was used as substrate (Table 2, entry 2). The NOE technique indicated the cis pair of C2–H and C5–H of *cis*-**3b** in the spectrum and left the trans pair of *trans*-**3b** in blank (see Supplementary data).

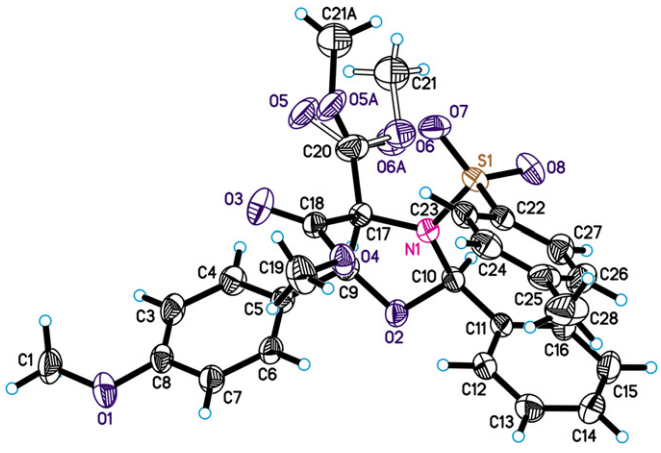


Fig. 1. X-ray crystal structure of *cis*-**3f**.

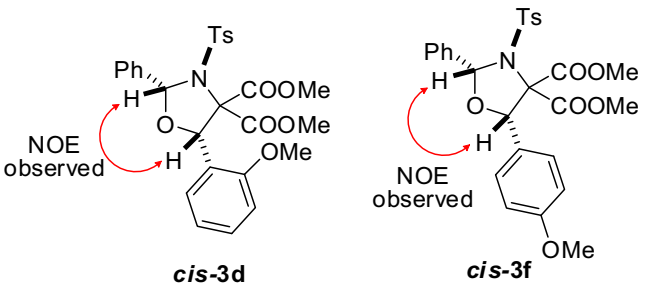
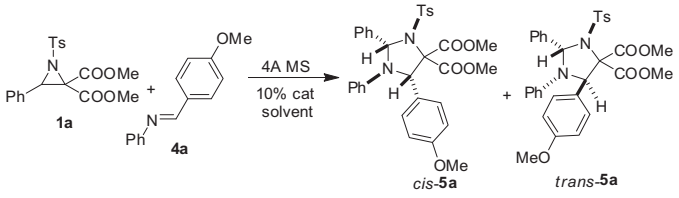


Fig. 2. NOE effects of C2–H and C5–H in *cis*-**3f** and *cis*-**3d**.

These results promoted us to investigate the reaction of aziridines with imines (Table 3). In the presence of $Zn(OTf)_2$ and 4 Å molecular sieve, **1a** reacted with **4a** in DCE at 50 °C for 12 h to afford *cis*-**5a** and *trans*-**5a** in isolated yields of 27% and 39%, respectively (Table 3, entry 1). Their structures were established by single crystal analysis (Figs. 3 and 4).[17] Using $Cu(OTf)_2$ or $In(OTf)_3$ as catalyst, *trans*-**5a** could be isolated in major (Table 3, entries 2 and 3), whereas $Yb(OTf)_3$ only gave trace amount of *cis*-**5a** (Table 3, entry 4). It was noticeable that AgOTf could effectively inhibit the formation of *cis*-**5a** and give *trans*-**5a** in 84% yield (Table 3, entry 5). Changing the solvent to DCM, chloroform, acetonitrile, toluene, or THF led to a decrease in yield (Table 3, entries 6–10). Lengthening the reaction time to 14 h gave similar yield (Table 3, entry 11), while shortening the reaction time (Table 3, entry 12) or lowering the reaction temperature (Table 3, entry 13) could reduce the yield of *trans*-**5a**. Thus, the suitable condition for the formation of *trans*-**5a** was established (Table 3, entry 5). However, efforts to obtain *cis*-**5a** in exclusive yield were failed.

Table 3
Optimization of the reaction conditions for the formation of *trans*-**5a**[a]



Entry	Cat.	Solvent	Temp (°C)	Time (h)	Yield[b] (%)	
					cis-**5a**	*trans*-**5a**
1	$Zn(OTf)_2$	DCE	50	12	27	39
2	$Cu(OTf)_2$	DCE	50	12	8	56
3	$In(OTf)_3$	DCE	50	12	6	69
4	$Yb(OTf)_3$	DCE	50	12	Trace	77
5	AgOTf	DCE	50	12	N.D	84
6	AgOTf	DCM	30	12	N.D	58
7	AgOTf	$CHCl_3$	50	12	N.D	47
8	AgOTf	MeCN	50	12	N.D	65
9	AgOTf	Toluene	50	12	N.D	54
10	AgOTf	THF	50	12	Trace	33
11	AgOTf	DCE	50	14	N.D	84
12	AgOTf	DCE	50	10	N.D	78
13	AgOTf	DCE	25	12	N.D	63

[a] Reaction conditions: **1a** (1 mmol), **4a** (1.1 mmol), catalyst (10 mol %), solvent (4 mL), 4 Å MS (0.2 g).
[b] Isolated yield.

We then tested for the substrate diversity. A variety of imines **4a–g** derived from aromatic aldehydes and aromatic amines could tolerate this transformation. Substitute effect on R^4 group in **4a–e** was not apparent (Table 4, entries 1–9). Significant substitute effect on R^3 group was observed for **4f**, which was derived from *p*-bromoaniline and benzaldehyde (Table 4, entries 10 and 11). However, the reaction between **1d** and **4f** gave excellent yield (Table 4, entry

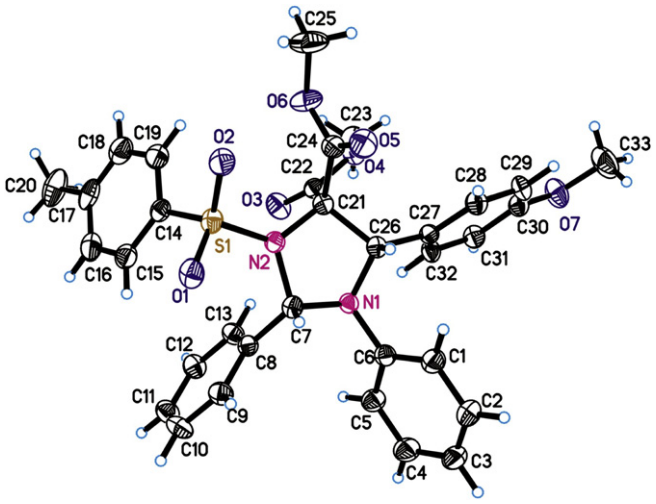


Fig. 3. X-ray crystal structure of *cis*-**5a**.

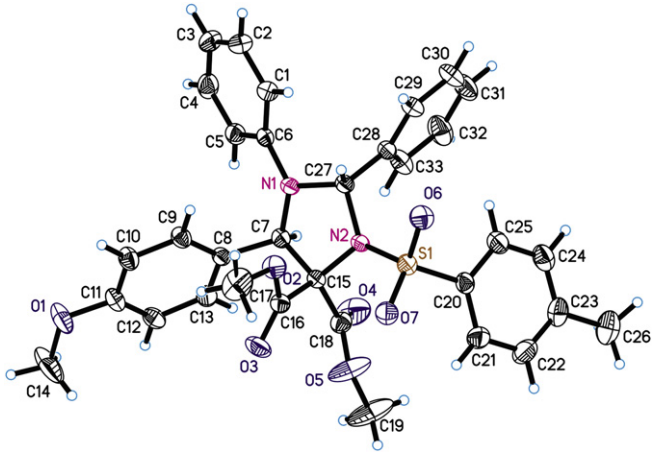
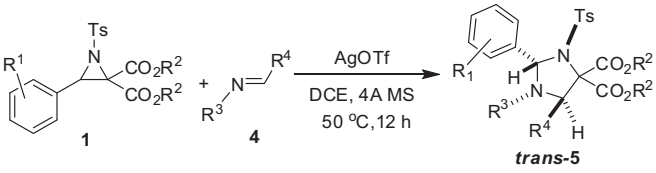


Fig. 4. X-ray crystal structure of *trans*-**5a**.

Table 4
Substrate scope for the formation of *trans*-**5**[a]



Entry	**1** (R^1, R^2)	**4** (R^3, R^4)	Yield[b] (%)
1	**1a** (H, Me)	**4a** (C_6H_5, 4-MeOC_6H_4)	*trans*-**5a** (84)
2	**1a**	**4b** (C_6H_5, 3-MeOC_6H_4)	*trans*-**5b** (81)
3	**1a**	**4c** (C_6H_5, 4-BrC_6H_4)	*trans*-**5c** (78)
4	**1a**	**4d** (C_6H_5, 2-ClC_6H_4)	*trans*-**5d** (82)
5	**1a**	**4e** (C_6H_5, C_6H_5)	*trans*-**5e** (80)
6	**1d** (4-Me, Me)	**4a**	*trans*-**5f** (90)
7	**1d**	**4e**	*trans*-**5g** (82)
8	**1e** (H, Et)	**4a**	*trans*-**5h** (78)
9	**1e**	**4c**	*trans*-**5i** (79)
10	**1a**	**4f** (4-BrC_6H_4, C_6H_5)	*trans*-**5j** (19)
11	**1c** (3-Br, Me)	**4f**	*trans*-**5k** (41)
12	**1d**	**4f**	*trans*-**5l** (92)
13	**1a**	**4g** (C_6H_5, 1-naphthalenyl)	*trans*-**5m** (66)

[a] Reaction conditions: **1** (1 mmol), **4** (1.1 mmol), AgOTf (10 mol %), DCE (4 mL), 4 Å MS (0.2 g), 50 °C, 12 h.
[b] Isolated yield.

12). 1-Naphthaldehyde derived imine **4g** was also examined and moderate yield (66%) was obtained (Table 4, entry 13).

The two aryls at 2,5-positions of imidazolidines were in trans-configurations as established by the crystal structures of *cis*-**5a** and *trans*-**5a** and the comparative analysis of the chemical shifts of C2–H and C5–H of all imidazolidines (Fig. 5). From the crystal structures of *trans*-**5a** and *cis*-**5a**, it could be clearly seen that the C2–H in *cis*-**5a** was highly shielded by C1–Ph, while the C2–H in *trans*-**5a** was fitly deshielded by C1–Ph. Chemical shifts of C2–H and C5–H of **5b**, **5c**, and **5e**–**l** well matched to those in ^{1}H NMR of *trans*-**5a**. In the case of **5d** and **5m**, we also employed the NOE technique to determine their trans-configurations (Fig. 6). It was clear that the pair of C2–H and C5–H in *cis*-**5a** was correlated, while no such effect was observed for *trans*-**5a** in their NOE spectra. Similarly, no NOE was shown for **5d** and **5m**. Thus, **5d** and **5m** were assigned in trans-configurations. Thus, 13 *N*-tosylimidazolidines were constructed in trans-configurations.

resonance structure **B**. When the aldehyde is used as the trapping reagent for the subsequent [3+2] cycloaddition, *cis*-**3a** is obtained via the transition state **C**, which has a relatively lower potential energy than **D** because of the smaller 1,3-diaxial repulsion in **C**. When *trans*-imine is applied, *trans*-**5a** is prepared via the transition state **E**, which has smaller potential energy than **F** because of the steric hindrance for the same reason. Thus, oxazolidines were constructed in cis, while imidazolidines were constructed in trans.[18]

3. Conclusions

In conclusion, we developed a diastereoselective synthesis of *cis*-2,5-disubstitutedoxazolidines and *trans*-2,5-disubstituted imidazolines via the reaction of *N*-tosylaziridine 2,2-dicaboxylates with aldehydes and imines, respectively. The reaction involves a regioselective cleavage of the C–C bond of the aziridine ring and

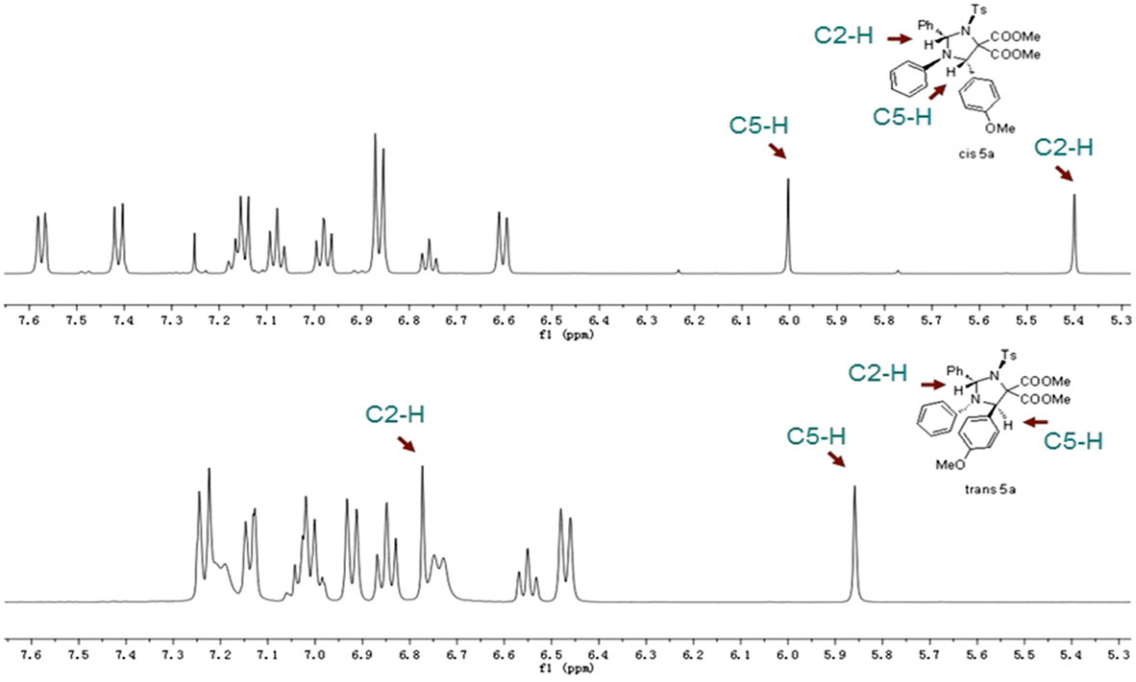


Fig. 5. Chemical shifts of C2–H and C5–H in *cis*-**5a** and *trans*-**5a**.

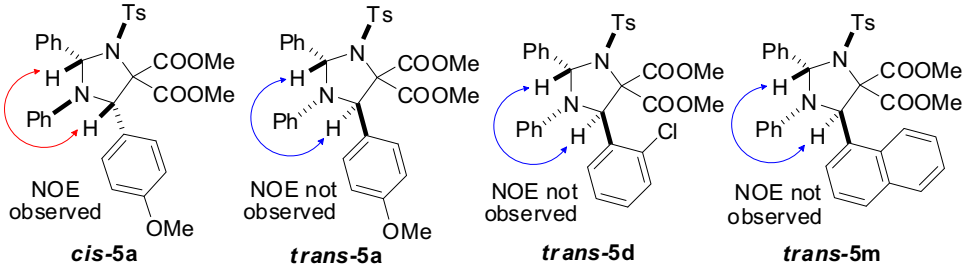
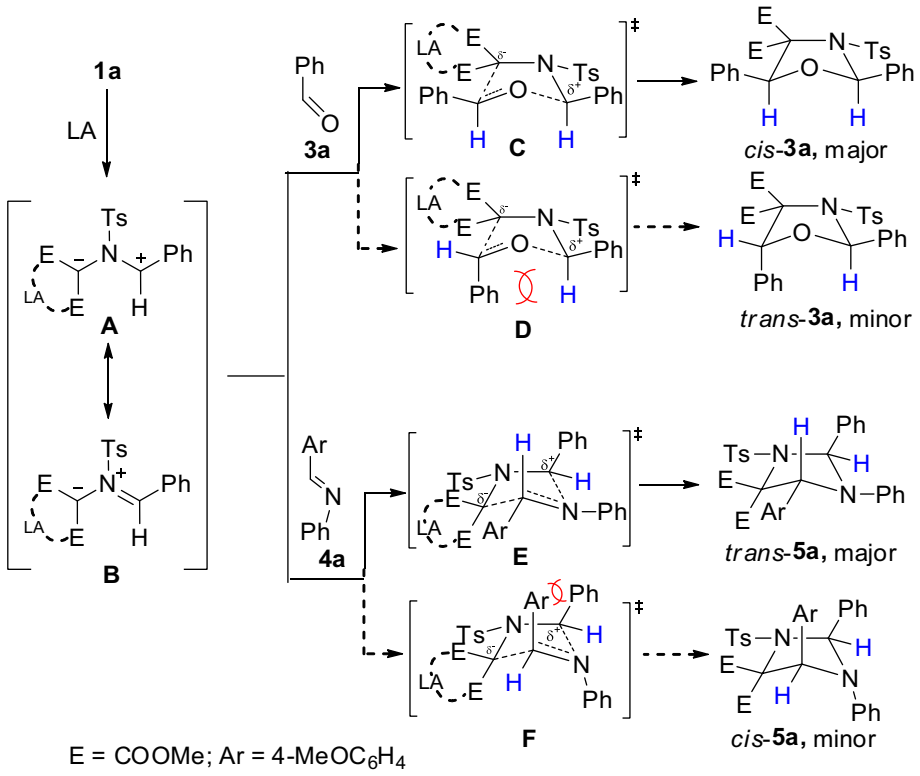


Fig. 6. NOE effect of C2–H and C5–H in *cis*-**5a**, *trans*-**5a**, *trans*-**5d**, and *trans*-**5m**.

On the basis of these results, we postulated the mechanism for the diastereoselective formation of *cis*-2,5-oxazolidines and *trans*-2,5-imidazolidines (Scheme 2). Catalyzed by Lewis acid, the aziridine ring is opened to form azomethine ylide **A** via a regioselective cleavage of the C–C bond. **A** possesses a more stable

a diastereoselective [3+2] cycloaddition. $Zn(OTf)_2$ was proved to be an effective Lewis acid catalyst for the formation of *cis*-2,5-oxazolines, while AgOTf was selected for the formation of *trans*-2,5-imidazolidines. Further studies on enantioselective synthesis of these heterocyclic compounds are ongoing in our laboratory.



Scheme 2. Possible mechanism for the diastereoselective formation of **3a** and **5a**.

4. Experimental section

4.1. General

^{1}H NMR spectra were recorded on 300 MHz or 400 MHz or 500 MHz spectrometer in $CDCl_3$ or DMSO-d_6 solution and the chemical shifts were reported relative to internal standard TMS (0 ppm). The following abbreviations are used to describe peak patterns where appropriate: br=broad, s=singlet, d=doublet, t=triplet, q=quartet, m=multiplet. Coupling constants are reported in hertz (Hz). ^{13}C NMR were recorded on 100 MHz or 125 MHz and referenced to the internal solvent signals (center peak is 77.00 ppm in $CDCl_3$ or 39.90 ppm in DMSO-d_6). Infrared spectra were obtained on an FTIR spectrometer. HRMS data were obtained using ESI ionization. Melting points were measured with micro melting point apparatus. All solvents were purified and dried according to standard methods prior to use.

4.2. General procedure for the synthesis of 3

The mixture of **1**[19] (1 mmol), **2** (1.1 mmol), 4 Å MS (200 mg), and $Zn(OTf)_2$ (0.1 mmol) in DCE (4 mL) was stirred at 50 °C for 6 h. After evaporation, the crude product was purified by silica gel column chromatography with hexane–EtOAc to afford **3**.

*4.2.1. Dimethyl 2,5-diphenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3a**).* White solid; 65% yield; mp 167–168 °C; IR (film): 3583, 2952, 1748, 1598, 1347, 1156, 734, 698 cm^{-1}; ^{1}H NMR (400 MHz, CD_3SOCD_3) δ 7.49 (d, J=7.4 Hz, 2H), 7.42–7.36 (m, 4H), 7.29–7.22 (m, 4H), 7.07–7.02 (m, 4H), 6.23 (s, 1H), 5.84 (s, 1H), 3.88 (s, 3H), 3.18 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, CD_3SOCD_3) δ 167.2, 166.2, 143.5, 137.6, 134.4, 134.4, 130.4, 129.9, 129.5, 129.0, 128.6, 128.3, 127.7, 126.8, 92.6, 86.7, 76.5, 54.0, 52.6, 21.3; HRMS (ESI) m/z calcd for $C_{26}H_{25}NO_7S$: $[M+Na]^+$ 518.1255; found: 518.1243.

*4.2.2. Dimethyl 5-(2-bromophenyl)-2-phenyl-3-tosyloxazolidine-4,4-dicarboxylate (**3b**) (cis/trans=1:1).* White solid; 51% yield; mp 215–216 °C; IR (film): 3582, 2951, 2258, 1755, 1598, 1435, 1348, 1239, 1157, 1091, 1076, 736, 594 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.57 (d, J=7.9 Hz, 0.5H), 7.49 (d, J=7.4 Hz, 1H), 7.42–7.40 (m, 1.5H), 7.33–7.27 (m, 4H), 7.19–7.16 (m, 2H), 7.14–7.11 (m, 2H), 6.90 (t, J=8.8 Hz, 2H), 6.28 (s, *trans*-H, 0.5H), 6.26 (s, *cis*-H, 0.5H), 6.24 (s, *trans*-H, 0.5H), 5.83 (s, *cis*-H, 0.5H), 4.027 and 4.022 (s×2, 3H), 3.245 and 3.243 (s×2, 3H), 2.301 and 2.296 (s×2, 3H); ^{13}C NMR (100 MHz, CD_3SOCD_3) δ 167.5, 167.2, 166.3, 166.2, 143.5, 143.4, 137.6, 137.3, 134.7, 134.4, 134.3, 133.7, 133.0, 131.5, 130.5, 130.4, 129.9, 129.5, 129.0, 128.8, 128.6, 128.3, 128.3, 128.2, 128.0, 127.7, 126.8, 122.9, 92.6, 92.4, 86.7, 85.8, 76.5, 76.3, 54.0, 53.9, 52.8, 52.6, 21.3; HRMS (ESI) m/z calcd for $C_{26}H_{24}BrNO_7S$: $[M+Na]^+$ 596.0349; found: 596.0330.

*4.2.3. Dimethyl 5-(4-bromophenyl)-2-phenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3c**).* White solid; 62% yield; mp 132–133 °C; IR (film): 3582, 2952, 2258, 1755, 1597, 1348, 1157, 1074, 734, 596 cm^{-1}; ^{1}H NMR (400 MHz, CD_3SOCD_3) δ 7.61 (d, J=8.4 Hz, 2H), 7.47 (d, J=7.7 Hz, 2H), 7.38 (t, J=7.3 Hz, 1H), 7.26–7.22 (m, 4H), 7.07–7.01 (m, 4H), 6.22 (s, 1H), 5.84 (s, 1H), 3.88 (s, 3H), 3.27 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (100 MHz, CD_3SOCD_3) δ 167.0, 166.1, 143.5, 137.5, 134.2, 133.8, 131.6, 130.5, 129.9, 129.0, 128.3, 127.7, 122.8, 92.7, 85.9, 76.2, 54.0, 52.7, 21.3; HRMS (ESI) m/z calcd for $C_{26}H_{24}BrNO_7S$: $[M+Na]^+$ 596.0349; found: 596.0337.

*4.2.4. Dimethyl 5-(2-methoxyphenyl)-2-phenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3d**).* White solid; 81% yield; mp 203–204 °C; IR (film): 3582, 2950, 2257, 1743, 1594, 1496, 1346, 1157, 739, 597 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.43 (d, J=7.4 Hz, 2H), 7.32–7.25 (m, 3H),

7.14–7.11 (m, 4H), 6.90–6.85 (m, 4H), 6.24 (s, 1H), 6.13 (s, 1H), 4.02 (s, 3H), 3.81 (s, 3H), 3.21 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.7, 167.0, 156.9, 142.7, 137.4, 133.9, 129.8, 128.2, 127.9, 125.6, 123.6, 120.3, 109.8, 92.5, 83.1, 76.4, 55.4, 53.3, 52.2, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{27}H_{27}NO_8S$: $[M+Na]^+$ 548.1361; found: 548.1345.

*4.2.5. Dimethyl 5-(3-methoxyphenyl)-2-phenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3e**).* White solid; 77% yield; mp 115–116 °C; IR (film): 3582, 2952, 2258, 1755, 1599, 1460, 1347, 1157, 734, 594 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.48 (d, *J*=7.1 Hz, 2H), 7.30 (t, *J*=7.4 Hz, 1H), 7.26–7.23 (m, 1H), 7.17 (t, *J*=7.6 Hz, 2H), 7.11 (d, *J*=8.2 Hz, 2H), 6.92–6.85 (m, 5H), 6.25 (s, 1H), 5.80 (s, 1H), 4.02 (s, 3H), 3.76 (s, 3H), 3.29 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.8, 166.6, 159.5, 142.9, 137.4, 135.7, 133.9, 129.9, 129.8, 129.4, 128.3, 128.0, 127.9, 118.8, 114.4, 112.0, 93.0, 87.3, 76.9, 55.2, 53.8, 52.5, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{27}H_{27}NO_8S$: $[M+Na]^+$ 548.1361; found: 548.1328.

*4.2.6. Dimethyl 5-(4-methoxyphenyl)-2-phenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3f**).* White solid; 84% yield; mp 155–156 °C; IR (film): 3582, 2953, 1759, 1614, 1516, 1347, 1252, 1157, 737, 602 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.48 (d, *J*=7.1 Hz, 2H), 7.30 (t, *J*=7.4 Hz, 1H), 7.24 (d, *J*=8.7 Hz, 2H), 7.16 (t, *J*=7.6 Hz, 2H), 7.11 (d, *J*=8.3 Hz, 2H), 6.91 (d, *J*=8.2 Hz, 2H), 6.86 (d, *J*=8.8 Hz, 2H), 6.24 (s, 1H), 5.77 (s, 1H), 4.01 (s, 3H), 3.78 (s, 3H), 3.31 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.9, 166.7, 160.1, 142.9, 137.4, 133.9, 129.9, 129.8, 128.3, 128.0, 127.9, 127.8, 126.2, 113.6, 92.8, 87.4, 76.8, 55.2, 53.8, 52.5, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{27}H_{27}NO_8S$: $[M+Na]^+$ 548.1361; found: 548.1345.

*4.2.7. Dimethyl 2-phenyl-5-(p-tolyl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3g**).* White solid; 85% yield; mp 168–169 °C; IR (film): 3582, 2952, 1746, 1598, 1347, 1157, 734, 601 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.48 (d, *J*=7.4 Hz, 2H), 7.30 (t, *J*=7.3 Hz, 1H), 7.20–7.10 (m, 8H), 6.91 (d, *J*=7.9 Hz, 2H), 6.24 (s, 1H), 5.79 (s, 1H), 4.02 (s, 3H), 3.27 (s, 3H), 2.33 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.8, 166.7, 142.9, 138.9, 137.4, 133.9, 131.2, 129.9, 129.8, 128.9, 128.3, 128.0, 127.9, 126.3, 92.9, 87.5, 76.9, 53.8, 52.4, 21.4, 21.2; HRMS (ESI) *m*/*z* calcd for $C_{27}H_{27}NO_7S$: $[M+Na]^+$ 532.1411; found: 532.1385.

*4.2.8. Dimethyl 5-(4-nitrophenyl)-2-phenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3h**).* White solid; 52% yield; mp 165–166 °C; IR (film): 3581, 2982, 2250, 1737, 1689, 1543, 1255, 1091, 729, 698 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.21 (d, *J*=8.7 Hz, 2H), 7.52 (d, *J*=8.7 Hz, 2H), 7.45 (d, *J*=7.3 Hz, 2H), 7.35 (t, *J*=7.4 Hz, 1H), 7.21 (t, *J*=7.6 Hz, 2H), 7.11 (d, *J*=8.2 Hz, 2H), 6.93 (d, *J*=8.2 Hz, 2H), 6.27 (s, 1H), 5.92 (s, 1H), 4.04 (s, 3H), 3.30 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.4, 166.2, 148.1, 143.2, 141.4, 137.1, 133.5, 130.2, 129.6, 128.4, 128.1, 128.0, 127.4, 123.4, 93.2, 85.9, 76.6, 54.2, 52.5, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{26}H_{24}N_2O_9S$: $[M+Na]^+$ 563.1106; found: 563.1089.

*4.2.9. Dimethyl 2-(2-bromophenyl)-5-(p-tolyl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3i**).* White solid; 87% yield; mp 147–148 °C; IR (film): 3582, 2952, 1747, 1597, 1435, 1349, 1159, 732, 672 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.58 (dd, J_1=7.8 Hz, J_2=1.6 Hz, 1H), 7.51 (d, *J*=8 Hz, 1H), 7.29 (d, *J*=8.3 Hz, 2H), 7.16–7.09 (m, 5H), 6.97 (d, *J*=8.2 Hz, 2H), 6.93 (t, *J*=7.6 Hz, 1H), 6.78 (s, 1H), 5.84 (s, 1H), 4.01 (s, 3H), 3.28 (s, 3H), 2.32 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.5, 166.9, 143.3, 139.0, 137.1, 133.2, 132.4, 132.0, 131.0, 128.9, 128.6, 128.1, 127.2, 126.3, 124.7, 91.2, 87.8, 76.8, 53.8, 52.5, 21.4, 21.2; HRMS (ESI) *m*/*z* calcd for $C_{27}H_{26}BrNO_7S$: $[M+Na]^+$ 610.0517; found: 610.0520.

*4.2.10. Dimethyl 2-(2-bromophenyl)-5-(4-methoxyphenyl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3j**).* White solid; 74% yield; mp 163–164 °C; IR (film): 3582, 2953, 1755, 1614, 1515, 1348, 1158, 732, 672 cm^{-1}; ^{1}H NMR (400 MHz, CD_3SOCD_3) δ 7.62–7.57 (m, 2H), 7.27 (t, *J*=7.3 Hz, 1H), 7.20–7.12 (m, 6H), 7.07 (t, *J*=7.5 Hz, 1H), 6.94 (d, *J*=8.7 Hz, 2H), 6.62 (s, 1H), 5.86 (s, 1H), 3.86 (s, 3H), 3.75 (s, 3H), 3.27 (s, 3H), 2.32 (s, 3H); ^{13}C NMR (100 MHz, CD_3SOCD_3) δ 166.9, 166.5, 160.2, 144.0, 137.1, 133.2, 132.8, 132.1, 132.0, 129.3, 128.4, 128.0, 127.8, 125.9, 124.2, 114.0, 90.9, 87.1, 76.3, 55.6, 54.0, 52.9, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{27}H_{26}BrNO_8S$: $[M+Na]^+$ 626.0466; found: 626.0470.

*4.2.11. Dimethyl 2-(3-bromophenyl)-5-(p-tolyl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3k**).* White solid; 97% yield; mp 83–84 °C; IR (film): 3582, 2952, 1755, 1597, 1435, 1349, 1158, 732, 646 cm^{-1}; ^{1}H NMR (400 MHz, CD_3SOCD_3) δ 7.57–7.53 (m, 3H), 7.27 (t, *J*=7.7 Hz, 1H), 7.21 (d, *J*=7.9 Hz, 2H), 7.13–7.08 (m, 6H), 6.21 (s, 1H), 5.78 (s, 1H), 3.87 (s, 3H), 3.25 (s, 3H), 2.34 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (100 MHz, CD_3SOCD_3) δ 166.9, 166.2, 143.9, 139.0, 137.2, 136.7, 133.3, 132.4, 131.0, 130.4, 129.2, 129.2, 127.6, 126.7, 121.9, 91.5, 87.0, 76.3, 53.9, 52.7, 21.4, 21.2; HRMS (ESI) *m*/*z* calcd for $C_{27}H_{26}BrNO_7S$: $[M+Na]^+$ 610.0517; found: 610.0509.

*4.2.12. Dimethyl 2-(3-bromophenyl)-5-(4-methoxyphenyl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3l**).* White solid; 97% yield; mp 128–129 °C; IR (film): 3582, 2953, 1760, 1614, 1515, 1348, 1158, 732, 648 cm^{-1}; ^{1}H NMR (400 MHz, CD_3SOCD_3) δ 7.57–7.53 (m, 3H), 7.27 (t, *J*=8.3 Hz, 1H), 7.17 (d, *J*=8.7 Hz, 2H), 7.13–7.08 (m, 4H), 6.96 (d, *J*=8.7 Hz, 2H), 6.20 (s, 1H), 5.77 (s, 1H), 3.87 (s, 3H), 3.75 (s, 3H), 3.28 (s, 3H), 2.34 (s, 3H); ^{13}C NMR (100 MHz, CD_3SOCD_3) δ 167.0, 166.3, 160.2, 143.9, 137.2, 136.7, 133.3, 132.4, 130.4, 129.2, 128.2, 127.6, 125.8, 121.9, 114.1, 91.4, 86.9, 76.3, 55.6, 53.9, 52.8, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{27}H_{26}BrNO_8S$: $[M+Na]^+$ 626.0466; found: 626.0475.

*4.2.13. Dimethyl 2-(3-bromophenyl)-5-(4-bromophenyl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3m**).* White solid; 94% yield; mp 85–86 °C; IR (film): 3583, 2952, 1743, 1597, 1490, 1349, 1158, 731, 668 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.49 (d, *J*=8.4 Hz, 3H), 7.42 (t, *J*=8.9 Hz, 2H), 7.21–7.17 (m, 4H), 7.11 (t, *J*=7.8 Hz, 1H), 6.99 (d, *J*=8.2 Hz, 2H), 6.16 (s, 1H), 5.76 (s, 1H), 4.02 (s, 3H), 3.34 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.5, 166.3, 143.7, 136.8, 135.8, 133.1, 133.0, 132.6, 131.5, 129.5, 128.6, 128.6, 128.0, 127.9, 123.3, 122.3, 92.1, 86.8, 76.6, 54.0, 52.6, 21.5; HRMS (ESI) *m*/*z* calcd for $C_{26}H_{23}Br_2NO_7S$: $[M+Na]^+$ 673.9465; found: 673.9453.

*4.2.14. Dimethyl 5-(4-methoxyphenyl)-2-(p-tolyl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3n**).* White solid; 84% yield; mp 138–139 °C; IR (film): 3582, 2952, 1755, 1614, 1515, 1345, 1156, 731, 673 cm^{-1}; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.34 (d, *J*=7.7 Hz, 2H), 7.24 (d, *J*=8.4 Hz, 2H), 7.14 (d, *J*=8.0 Hz, 2H), 6.94 (d, *J*=7.7 Hz, 2H), 6.91 (d, *J*=8.0 Hz, 2H), 6.85 (d, *J*=8.5 Hz, 2H), 6.18 (s, 1H), 5.74 (s, 1H), 4.00 (s, 3H), 3.78 (s, 3H), 3.31 (s, 3H), 2.31 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.9, 166.8, 160.1, 142.7, 140.0, 137.5, 130.9, 129.6, 128.5, 128.2, 128.1, 127.8, 126.3, 113.6, 92.7, 87.2, 76.9, 55.2, 53.7, 52.5, 21.4, 21.3; HRMS (ESI) *m*/*z* calcd for $C_{28}H_{29}NO_8S$: $[M+Na]^+$ 562.1517; found: 562.1507.

*4.2.15. Diethyl 2,5-diphenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3o**).* White solid; 69% yield; mp 142–143 °C; IR (film): 2980, 1753, 1734, 1594, 1346, 1155, 749, 695 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (d, *J*=7.1 Hz, 2H), 7.35–7.26 (m, 6H), 7.17–7.13 (m, 4H), 6.90 (d, *J*=8.2 Hz, 2H), 6.24 (s, 1H), 5.83 (s, 1H), 4.57–4.41 (m, 2H), 3.96–3.88 (m, 1H), 3.54–3.46 (m, 1H), 2.29 (s, 3H), 1.46 (t, *J*=7.2 Hz, 3H), 0.80 (t, *J*=7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.3, 166.2, 142.8, 137.6, 134.5, 134.0, 130.0, 129.8, 128.9, 128.3, 128.1, 128.1, 127.9, 126.5, 92.8, 87.3, 76.9, 63.0, 61.9, 21.4, 13.9, 13.2; HRMS (ESI) *m*/*z* calcd for $C_{28}H_{29}NO_7S$: $[M+H]^+$ 524.1737; found: 524.1742.

*4.2.16. Diethyl 2-phenyl-5-(p-tolyl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3p**).* White solid; 74% yield; mp 126–127 °C;

IR (film): 3583, 2983, 1747, 1598, 1462, 1348, 1158, 735, 601 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 7.48 (d, J=7.2 Hz, 2H), 7.28 (t, J=7.4 Hz, 1H), 7.21 (d, J=8 Hz, 2H), 7.17–7.12 (m, 6H), 6.89 (d, J=8.2 Hz, 2H), 6.22 (s, 1H), 5.79 (s, 1H), 4.55–4.42 (m, 2H), 3.96–3.90 (m, 1H), 3.57–3.50 (m, 1H), 2.33 (s, 3H), 2.29 (s, 3H), 1.46 (t, J=7.2 Hz, 3H), 0.82 (t, J=7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.3, 166.2, 142.7, 138.8, 137.6, 134.0, 131.5, 129.8, 128.8, 128.3, 128.0, 127.9, 126.4, 92.8, 87.4, 76.8, 63.0, 61.9, 21.4, 21.2, 14.0, 13.2; HRMS (ESI) m/z calcd for $C_{29}H_{31}NO_7S$: $[M+Na]^+$ 560.1724; found: 560.1724.

*4.2.17. Diethyl 5-(4-methoxyphenyl)-2-phenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3q**).* White solid; 72% yield; mp 124–125 °C; IR (film): 3582, 2983, 1735, 1615, 1515, 1347, 1157, 736, 668, 601 cm^{-1}; ^1H NMR (400 MHz, CD_3SOCD_3) δ 7.48 (d, J=7.2 Hz, 2H), 7.35 (t, J=7.4 Hz, 1H), 7.23–7.19 (m, 4H), 7.05 (s, 4H), 6.94 (d, J=8.7 Hz, 2H), 6.18 (s, 1H), 5.76 (s, 1H), 4.38–4.28 (m, 2H), 3.84–3.80 (m, 1H), 3.74 (s, 3H), 3.59–3.55 (m, 1H), 2.29 (s, 3H), 1.33 (t, J=7.1 Hz, 3H), 0.81 (t, J=7.1 Hz, 3H); ^{13}C NMR (100 MHz, CD_3SOCD_3) δ 166.7, 165.8, 160.2, 143.3, 137.8, 134.5, 130.3, 129.9, 128.9, 128.3, 128.2, 127.7, 126.4, 114.0, 92.5, 86.7, 76.3, 62.8, 62.0, 55.6, 21.3, 14.1, 13.5; HRMS (ESI) m/z calcd for $C_{29}H_{31}NO_8S$: $[M+Na]^+$ 576.1674; found: 576.1664.

*4.2.18. Dimethyl 5-(aphthalene-1-yl)-2-phenyl-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3r**).* White solid; 73% yield; mp 179–180 °C; IR (film): 3582, 2951, 1755, 1598, 1515, 1346, 1157, 734, 586 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 7.88–7.82 (m, 3H), 7.61 (d, J=7.2 Hz, 1H), 7.53–7.51 (m, 4H), 7.42 (t, J=7.6 Hz, 1H), 7.31–7.28 (m, 1H), 7.19–7.15 (m, 4H), 6.91 (d, J=8.2 Hz, 2H), 6.64 (s, 1H), 6.37 (s, 1H), 4.03 (s, 3H), 2.94 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 168.6, 167.0, 142.9, 137.3, 133.8, 133.3, 131.0, 130.9, 129.9, 129.8, 129.5, 128.9, 128.3, 128.0, 126.8, 125.8, 125.0, 124.1, 122.5, 92.9, 84.7, 77.4, 54.0, 52.2, 21.4; HRMS (ESI) m/z calcd for $C_{30}H_{27}NO_7S$: $[M+Na]^+$ 568.1411; found: 568.1393.

*4.2.19. Dimethyl 2-phenyl-5-((E)-styryl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3s**).* White solid; 78% yield; mp 109–110 °C; IR (film): 3467, 2953, 1751, 1598, 1495, 1344, 1155, 969, 753, 673 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.37–7.25 (m, 8H), 7.16–7.13 (m, 4H), 6.92 (d, J=8.2 Hz, 2H), 6.71 (d, J=15.9 Hz, 1H), 6.23 (dd, J_1=15.9 Hz, J_2=6.9 Hz, 1H), 6.16 (s, 1H), 5.34 (d, J=6.9 Hz, 1H), 3.98 (s, 3H), 3.77 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.4, 166.9, 142.9, 137.3, 135.7, 134.2, 133.9, 129.8, 129.5, 128.6, 128.4, 128.3, 128.0, 127.9, 126.8, 121.4, 93.1, 86.5, 76.0, 53.9, 52.8, 21.4; HRMS (ESI) m/z calcd for $C_{28}H_{27}NO_7S$: $[M+Na]^+$ 544.1411; found: 544.1411.

*4.2.20. Dimethyl 2-(3-bromophenyl)-5-(furan-2-yl)-3-tosyloxazolidine-4,4-dicarboxylate (cis-**3t**).* White solid; 63% yield; mp 145–146 °C; IR (film): 3582, 2953, 2256, 1760, 1598, 1436, 1347, 1158, 908, 734 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.46–7.43 (m, 2H), 7.40–7.36 (m, 2H), 7.27 (d, J=8.2 Hz, 2H), 7.06 (t, J=7.8 Hz, 1H), 6.99 (d, J=8.2 Hz, 2H), 6.41 (d, J=3.2 Hz, 1H), 6.37–6.35 (m, 1H), 6.14 (s, 1H), 5.84 (s, 1H), 4.00 (s, 3H), 3.57 (s, 3H), 2.34 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 166.4, 146.8, 143.7, 143.6, 136.8, 135.9, 133.0, 132.6, 129.4, 128.5, 128.5, 128.1, 122.2, 110.6, 110.2, 92.1, 81.8, 75.4, 54.0, 53.3, 21.5; HRMS (ESI) m/z calcd for $C_{24}H_{22}BrNO_8S$: $[M+Na]^+$ 586.0142; found: 586.0129.

4.3. Typical procedure for the synthesis of *cis*-5a and *trans*-5a

The mixture of **1a** (1 mmol), **4a** (1.1 mmol), 4 Å MS (200 mg), and $Zn(OTf)_2$ (0.1 mmol) in DCE (4 mL) was stirred at 50 °C for 12 h. After evaporation, the crude product was purified by silica gel column chromatography with hexane–EtOAc to afford *cis*-**5a** (27% yield) and *trans*-**5a** (39% yield).

*4.3.1. Dimethyl 5-(4-methoxyphenyl)-1,2-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (cis-**5a**).* White solid; 27% yield; mp 176–177 °C; IR (film): 3582, 2952, 1759, 1599, 1513, 1348, 1250, 1157, 911, 732 cm^{-1}; ^1H NMR (500 MHz, $CDCl_3$) δ 7.57 (d, J=7.3 Hz, 2H), 7.41 (d, J=8.7 Hz, 2H), 7.18–7.14 (m, 3H), 7.08 (t, J=7.6 Hz, 2H), 6.98 (t, J=7.5 Hz, 2H), 6.86 (d, J=8.6 Hz, 4H), 6.76 (t, J=7.4 Hz, 1H), 6.60 (d, J=8.0 Hz, 2H), 6.00 (s, 1H), 5.40 (s, 1H), 4.00 (s, 3H), 3.79 (s, 3H), 3.38 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 169.0, 165.9, 159.6, 145.1, 142.5, 138.0, 136.4, 130.8, 129.7, 129.1, 128.6, 128.3, 128.0, 127.9, 121.3, 118.0, 113.7, 80.5, 78.0, 72.6, 55.2, 53.7, 52.6, 21.3; HRMS (ESI) m/z calcd for $C_{33}H_{32}N_2O_7S$: $[M+Na]^+$ 623.1822; found: 623.1840.

*4.3.2. Dimethyl 5-(4-methoxyphenyl)-1,2-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5a**).* White solid; 39% yield; mp 183–184 °C; IR (film): 3425, 2954, 1716, 1639, 1408, 1274, 1120, 1018, 875, 729 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.24–7.19 (m, 4H), 7.15–7.13 (m, 2H), 7.04–6.98 (m, 3H), 6.92 (d, J=8.2 Hz, 2H), 6.85 (t, J=8.1 Hz, 2H), 6.77 (s, 1H), 6.74 (d, J=7.9 Hz, 2H), 6.55 (t, J=7.3 Hz, 1H), 6.47 (d, J=8.1 Hz, 2H), 5.86 (s, 1H), 3.86 (s, 3H), 3.72 (s, 3H), 3.55 (s, 3H), 2.26 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1, 166.7, 159.6, 142.9, 142.2, 137.8, 137.7, 129.6, 129.0, 128.4, 128.2, 128.0, 127.8, 125.9, 120.5, 120.2, 113.5, 80.8, 77.7, 69.6, 55.0, 53.2, 52.7, 21.3; HRMS (ESI) m/z calcd for $C_{33}H_{32}N_2O_7S$: $[M+Na]^+$ 623.1822; found: 623.1816.

4.4. General procedure for the synthesis of *trans*-5

The mixture of **1** (1 mmol), **4** (1.1 mmol), 4 Å MS (200 mg), and AgOTf (0.1 mmol) in DCE (4 mL) was stirred at 50 °C for 12 h. After evaporation, the crude product was purified by silica gel column chromatography with hexane–EtOAc to afford *trans*-**5**. Using this procedure, *trans*-**5a** was obtained in 84% yield.

*4.4.1. Dimethyl 5-(3-methoxyphenyl)-1,2-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5b**).* White solid; 81% yield; mp 179–180 °C; IR (film): 3582, 2952, 1755, 1600, 1497, 1350, 1271, 1160, 1092, 910, 732, 697 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.24 (d, J=8.5 Hz, 2H), 7.16–7.14 (m, 3H), 7.05–6.99 (m, 3H), 6.93 (d, J=8.2 Hz, 2H), 6.87–6.83 (m, 4H), 6.76–6.75 (m, 2H), 6.55 (t, J=7.4 Hz, 1H), 6.48 (d, J=8.0 Hz, 2H), 5.90 (s, 1H), 3.87 (s, 3H), 3.67 (s, 3H), 3.54 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 166.5, 159.3, 143.0, 142.2, 137.6, 137.6, 136.0, 129.0, 128.4, 128.3, 128.0, 127.8, 127.8, 120.3, 120.2, 114.0, 80.8, 77.7, 69.9, 55.0, 53.4, 52.7, 21.3; HRMS (ESI) m/z calcd for $C_{33}H_{32}N_2O_7S$: $[M+H]^+$ 601.2003; found: 601.1994.

*4.4.2. Dimethyl 5-(4-bromophenyl)-1,2-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5c**).* White solid; 78% yield; mp 191–192 °C; IR (film): 3034, 2951, 1750, 1598, 1349, 1160, 731, 673 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.36–7.34 (m, 2H), 7.25–7.22 (m, 4H), 7.12–7.10 (m, 2H), 7.05–6.98 (m, 3H), 6.93 (d, J=8.3 Hz, 2H), 6.87 (t, J=8.0 Hz, 2H), 6.76 (s, 1H), 6.58 (t, J=7.4 Hz, 1H), 6.45 (d, J=7.9 Hz, 2H), 5.88 (s, 1H), 3.86 (s, 3H), 3.55 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 166.5, 143.1, 141.8, 137.4, 133.6, 131.3, 130.1, 128.9, 128.5, 128.4, 128.1, 127.9, 127.8, 122.6, 120.7, 120.5, 80.9, 77.5, 69.2, 53.4, 52.8, 21.3; HRMS (ESI) m/z $C_{32}H_{29}BrN_2O_6S$: $[M+Na]^+$ 671.0822; found: 671.0792.

*4.4.3. Dimethyl 5-(2-chlorophenyl)-1,2-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5d**).* White solid; 82% yield; mp 228–229 °C; IR (film): 3582, 2951, 1760, 1599, 1350, 1160, 1092, 732, 697 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.35 (d, J=7.8 Hz, 1H), 7.27–7.25 (m, 4H), 7.17–7.13 (m, 2H), 7.05–7.01 (m, 4H), 6.94 (d, J=8.1 Hz, 2H), 6.83 (t, J=7.8 Hz, 2H), 6.64 (s, 1H), 6.52 (t, J=7.4 Hz, 1H), 6.38 (d, J=2.4 Hz, 2H), 6.35 (s, 1H), 3.89 (s, 3H), 3.59 (s, 3H),

2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.7, 166.2, 143.1, 141.8, 137.2, 137.1, 134.3, 132.7, 129.7, 129.6, 129.4, 129.3, 128.4, 128.1, 128.1, 127.8, 126.6, 120.2, 119.6, 79.9, 76.8, 66.2, 53.7, 52.9, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{32}H_{29}ClN_2O_6S$: $[M+H]^+$ 605.1508; found: 605.1509.

*4.4.4. Dimethyl 1,2,5-triphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5e**).* White solid; 80% yield; mp 85–86 °C; IR (film): 3033, 2951, 1755, 1599, 1499, 1350, 1160, 1092, 732, 699 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26–7.15 (m, 9H), 7.05–7.01 (m, 3H), 6.94 (d, *J*=7.9 Hz, 2H), 6.84 (t, *J*=7.5 Hz, 2H), 6.77 (s, 1H), 6.55 (t, *J*=7.3 Hz, 1H), 6.46 (d, *J*=7.8 Hz, 2H), 5.94 (s, 1H), 3.86 (s, 3H), 3.49 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1, 166.5, 143.0, 142.1, 137.7, 137.6, 134.4, 129.1, 128.6, 128.4, 128.3, 128.1, 128.0, 127.8, 120.2, 80.8, 77.8, 70.0, 53.3, 52.6, 21.3; HRMS (ESI) *m*/*z* calcd for $C_{32}H_{30}N_2O_6S$: $[M+H]^+$ 571.1897; found: 571.1893.

*4.4.5. Dimethyl 5-(4-methoxyphenyl)-1-phenyl-2-(p-tolyl)-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5f**).* White solid; 90% yield; mp 213–214 °C; IR (film): 3582, 2952, 1755, 1599, 1513, 1348, 1250, 1160, 910, 814, 732, 675 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.27–7.18 (m, 4H), 7.01 (d, *J*=8.0 Hz, 2H), 6.93 (d, *J*=8.2 Hz, 2H), 6.86 (t, *J*=8.0 Hz, 2H), 6.80 (d, *J*=7.9 Hz, 2H), 6.75–6.72 (m, 3H), 6.55 (t, *J*=7.4 Hz, 1H), 6.46 (d, *J*=7.9 Hz, 2H), 5.87 (s, 1H), 3.86 (s, 3H), 3.72 (s, 3H), 3.54 (s, 3H), 2.29 (s, 3H), 2.16 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 168.2, 166.7, 159.5, 142.8, 142.2, 138.0, 137.7, 134.7, 129.5, 129.0, 128.5, 128.3, 127.9, 126.1, 120.2, 120.0, 113.5, 80.5, 77.7, 69.6, 55.0, 53.3, 52.7, 21.3, 21.0; HRMS (ESI) *m*/*z* calcd for $C_{34}H_{34}N_2O_7S$: $[M+H]^+$ 615.2159; found: 615.2146.

*4.4.6. Dimethyl 1,5-diphenyl-2-(p-tolyl)-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5g**).* White solid; 82% yield; mp 190–191 °C; IR (film): 3582, 2951, 1755, 1599, 1501, 1350, 1269, 1160, 910, 812, 731, 674 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29–7.22 (m, 7H), 7.03 (d, *J*=7.3 Hz, 2H), 6.95 (d, *J*=8.0 Hz, 2H), 6.87–6.80 (m, 4H), 6.71 (s, 1H), 6.54 (t, *J*=7.3 Hz, 1H), 6.45 (d, *J*=7.8 Hz, 2H), 5.96 (s, 1H), 3.86 (m, 3H), 3.47 (s, 3H), 2.30 (s, 3H), 2.17 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 166.5, 142.8, 142.2, 138.1, 137.7, 134.7, 134.6, 129.0, 128.5, 128.4, 128.1, 128.0, 127.9, 120.0, 80.5, 77.8, 70.0, 53.3, 52.6, 21.3, 21.0; HRMS (ESI) *m*/*z* calcd for $C_{33}H_{32}N_2O_6S$: $[M+H]^+$ 585.2054; found: 585.2048.

*4.4.7. Diethyl 5-(4-methoxyphenyl)-1,2-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5h**).* White solid; 78% yield; mp 160–161 °C; IR (film): 2982, 1748, 1598, 1512, 1349, 1250, 1160, 696, 679 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 (d, *J*=8.2 Hz, 2H), 7.25–7.21 (m, 2H), 7.14–7.12 (m, 2H), 7.03–6.96 (m, 3H), 6.93 (d, *J*=8.2 Hz, 2H), 6.85 (t, *J*=8.1 Hz, 2H), 6.73 (d, *J*=8 Hz, 2H), 6.71 (s, 1H), 6.55 (t, *J*=7.3 Hz, 1H), 6.45 (d, *J*=8.0 Hz, 2H), 5.85 (s, 1H), 4.37 (q, *J*=7.1 Hz, 2H), 4.05–3.89 (m, 2H), 3.72 (s, 3H), 2.27 (s, 3H), 1.32 (t, *J*=7.1 Hz, 3H), 1.13 (t, *J*=7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.7, 166.1, 159.6, 142.8, 142.2, 137.7, 129.7, 129.0, 128.4, 128.2, 128.0, 127.9, 127.7, 126.2, 120.3, 120.1, 113.3, 80.6, 77.9, 69.5, 62.6, 62.1, 55.0, 21.3, 13.9, 13.6; HRMS (ESI) *m*/*z* calcd for $C_{35}H_{36}N_2O_7S$: $[M+H]^+$ 629.2316; found: 629.2286.

*4.4.8. Diethyl 5-(4-bromophenyl)-1,2-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5i**).* White solid; 79% yield; mp 196–197 °C; IR (film): 2982, 1748, 1598, 1499, 1350, 1160, 732, 673 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35–7.32 (m, 4H), 7.20 (d, *J*=6.9 Hz, 2H), 7.10 (d, *J*=7.6 Hz, 2H), 7.03–6.93 (m, 5H), 6.86 (t, *J*=8.0 Hz, 2H), 6.69 (s, 1H), 6.58 (t, *J*=7.4 Hz, 1H), 6.43 (d, *J*=8.1 Hz, 2H), 5.87 (s, 1H), 4.38 (q, *J*=7.1 Hz, 2H), 4.05–3.88 (m, 2H), 2.27 (s, 3H), 1.31 (t, *J*=7.1 Hz, 3H), 1.12 (t, *J*=7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.6, 165.9, 143.0, 141.9, 137.6, 137.4, 133.9, 131.1, 130.3, 128.9, 128.4, 128.3, 128.1, 128.0, 127.8, 122.5, 120.6, 120.3, 80.6, 77.8, 69.2, 62.8, 62.3, 21.3, 13.9, 13.5; HRMS (ESI) *m*/*z* calcd for $C_{34}H_{33}BrN_2O_6S$: $[M+H]^+$ 677.1315; found: 677.1303.

*4.4.9. Dimethyl 1-(4-bromophenyl)-2,5-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5j**).* White solid; 19% yield; mp 246–247 °C; IR (film): 3583, 2950, 1748, 1591, 1351, 1160, 1092, 734, 665 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26–7.20 (m, 7H), 7.15 (d, *J*=7.0 Hz, 2H), 7.10–7.03 (m, 3H), 6.94 (d, *J*=8.7 Hz, 4H), 6.74 (s, 1H), 6.32 (d, *J*=8.7 Hz, 2H), 5.90 (s, 1H), 3.86 (s, 3H), 3.49 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.9, 166.5, 143.1, 141.2, 137.5, 137.3, 133.9, 130.9, 129.1, 128.8, 128.6, 128.5, 128.2, 128.1, 127.8, 121.5, 112.8, 80.6, 77.7, 70.1, 53.4, 52.7, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{32}H_{29}BrN_2O_6S$: $[M+H]^+$ 649.1002; found: 649.0981.

*4.4.10. Dimethyl 2-(3-bromophenyl)-1-(4-bromophenyl)-5-phenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5k**).* White solid; 41% yield; mp 161–162 °C; IR (film): 3582, 2951, 1755, 1592, 1493, 1161, 1091, 731, 667 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 (d, *J*=8.3 Hz, 2H), 7.26–7.15 (m, 7H), 7.07 (d, *J*=7.7 Hz, 1H), 7.00–6.97 (m, 4H), 6.90 (t, *J*=7.8 Hz, 1H), 6.62 (s, 1H), 6.33 (d, *J*=8.8 Hz, 2H), 5.81 (s, 1H), 3.94 (s, 3H), 3.48 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.9, 166.2, 143.6, 140.9, 139.3, 137.0, 133.6, 131.8, 131.6, 131.2, 129.4, 128.9, 128.6, 128.3, 127.8, 122.4, 121.8, 113.4, 79.7, 77.9, 70.2, 53.6, 52.8, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{32}H_{28}Br_2N_2O_6S$: $[M+H]^+$ 727.0108; found: 727.0103.

*4.4.11. Dimethyl 1-(4-bromophenyl)-5-phenyl-2-(p-tolyl)-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5l**).* White solid; 92% yield; mp 205–206 °C; IR (film): 3582, 2951, 1755, 1591, 1493, 1349, 1160, 909, 813, 733, 667 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.24 (m, 7H), 7.02 (d, *J*=8.0 Hz, 2H), 6.94 (d, *J*=8.6 Hz, 4H), 6.83 (d, *J*=7.8 Hz, 2H), 6.68 (s, 1H), 6.31 (d, *J*=8.8 Hz, 2H), 5.90 (s, 1H), 3.86 (s, 3H), 3.47 (s, 3H), 2.29 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 166.4, 143.0, 141.3, 138.5, 137.6, 134.3, 134.1, 130.9, 129.0, 128.7, 128.4, 128.2, 127.9, 121.3, 112.5, 80.4, 77.7, 70.1, 53.4, 52.7, 21.3, 21.0; HRMS (ESI) *m*/*z* calcd for $C_{33}H_{31}BrN_2O_6S$: $[M+H]^+$ 663.1159; found: 663.1148.

*4.4.12. Dimethyl 5-(naphthalen-1-yl)-1,2-diphenyl-3-tosylimidazolidine-4,4-dicarboxylate (trans-**5m**).* White solid; 66% yield; mp 122–123 °C; IR (film): 3582, 2951, 1746, 1598, 1501, 1351, 1160, 909, 730, 666 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26 (d, *J*=8.5 Hz, 1H), 7.88 (d, *J*=8.0 Hz, 1H), 7.75–7.73 (m, 1H), 7.60 (t, *J*=7.2 Hz, 1H), 7.53–7.50 (m, 3H), 7.34–7.32 (m, 2H), 7.24–7.21 (m, 2H), 7.13–7.07 (m, 5H), 6.96 (s, 1H), 6.76 (t, *J*=8.0 Hz, 2H), 6.56 (s, 1H), 6.47 (t, *J*=7.3 Hz, 1H), 6.32 (d, *J*=8.1 Hz, 2H), 3.76 (s, 3H), 3.21 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 165.6, 143.4, 142.0, 137.5, 137.2, 133.7, 131.8, 130.8, 129.3, 129.1, 129.0, 128.8, 128.6, 128.3, 128.2, 127.9, 126.4, 125.6, 125.0, 122.0, 119.4, 118.3, 79.3, 77.9, 65.2, 53.7, 52.7, 21.4; HRMS (ESI) *m*/*z* calcd for $C_{36}H_{32}N_2O_6S$: $[M+H]^+$ 621.2054; found: 621.2043.

Acknowledgements

We thank the National Nature Science Foundation of China (No. 21032005 and 20872128) for financial support.

Supplementary data

Supplementary data associated with this article can be found, in the online version, at doi:10.1016/j.tet.2011.09.085. These data include MOL files and InChIKeys of the most important compounds described in this article.

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