HETEROCYCLES, Vol. 92, No. 3, 2016, pp. 528 - 543. © 2016 The Japan Institute of Heterocyclic Chemistry
Received, 18th November, 2015, Accepted, 18th January, 2016, Published online, 5th February, 2016
DOI: 10.3987/COM-15-13372

RING-OPENING REACTIONS OF AZIRIDINES WITH CARBOXYLIC ACIDS CATALYZED BY DBU

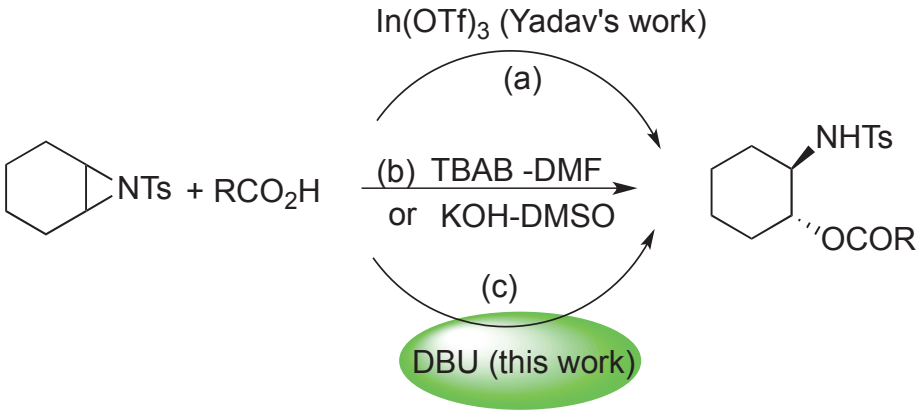
Yanqin Guo,[a] Yepeng Xie,[a] Qin Yang,[a,b] Songsong Xu,[a] Zhihong Deng,[a] Xuechun Mao,[a] and Yiyuan Peng*[a,b]

[a]Key Laboratory of Small Functional Organic Molecule, Ministry of Education and Key Laboratory of Green Chemistry, Jiangxi Province, Nanchang, Jiangxi 330022, China
[b]College of Chemistry & Chemical Engineering, Jiangxi Normal University, Nanchang, Jiangxi 330022, China
E-mail: yypeng@jxnu.edu.cn; yiyuanpeng@yahoo.com

Abstract – An efficient ring-opening of aziridines with various carboxylic acids catalyzed by an organocatalyst—DBU afforded the corresponding products in good to excellent yield under mild reaction conditions.

Aziridines have attracted increasing attention as versatile building blocks for the synthesis of many nitrogen-containing biologically interesting molecules,[1] such as amino acids,[1b,1h] heterocycles,[2] and alkaloids.[3] Considerable progress has been achieved in the nucleophilic ring-opening reactions of aziridines.[4-6] They are known to react with various nucleophiles such as thiols, amines, and anhydrides. However, most of these reactions require a strong base or Lewis acid.[5] Recently, organocatalysts have been successfully employed in such transformation. For instance, phosphine,[4a,b] tertiary amine,[4c-4e] DABCO,[4f] DMSO,[4g] *N*-heterocyclic carbene[6a] and pyridine-*N*-oxide[6b] had been used as a catalyst or promoter to facilitate the ring-opening reactions of aziridines. Among the nucleophiles, only four references regarded the carboxylic acid used as the nucleophile for the ring-opening reactions of aziridines. Yadav reported that aziridines could react smoothly with carboxylic acids in the presence of indium triflate to afford the corresponding aminoacetates and benzoates in high yields (Scheme 1a).[7] Wu reported DABCO as an efficient organocatalyst in the ring-opening reactions of aziridines with amines or thiols and adding one example of *p*-methoxybenzoic acid that could react with aziridine under reflux condition.[4f] Recently, Wei described ring opening reactions of *N*-tosylaziridines with carboxylic acids in DMF catalyzed by TBAB or by KOH in DMSO (Scheme 1b).[8]

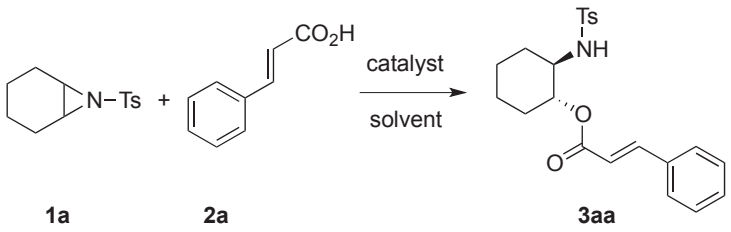
Inspired by the recent advance of organocatalysts, we envisioned that the strong σ-donating property of DBU may catalyze the reactions between aziridines and carboxylic acids. In this paper, as part of our ongoing study on green and metal-free ring-opening processes,[4e,6b] we would like to disclose our preliminary results for the ring-opening reactions of aziridines with carboxylic acids catalyzed by DBU (Scheme 1c).



Scheme 1. (a) Indium triflate-catalyzed, (b) TBAB or KOH catalyzed, (c) DBU catalyzed ring opening of aziridines with carboxylic acids

First, the reaction of aziridine **1a** and 3-phenylacrylic acid **2a** were selected as the model for condition optimizing. The results are listed in Table 1. Initially, the reaction was promoted by 40 mol% DBU in THF at 25 ºC, and no product was obtained even after 48 h (entry 1). To our delight, when the temperature rising up to 50 ºC, the DBU catalytic reaction gave an excellent yield of 93% (entry 2). The *anti*-stereochemistry of the product **3aa** was confirmed by the coupling constant for two cyclic methine hydrogens at the *trans*-positions.[9] Wu reported that DABCO could catalyze the reaction of *p*-methoxybenzoic acid with aziridine **1a** giving the corresponding product **3al** in a yield of 73%.[4f] However, when DBU was replaced by DABCO in our reaction model, the reaction afforded low yields (entries 3 and 4). Other base catalysts such as DABCO, pyridine (Py), Et_3N, *i*-$PrNH_2$, *t*-BuOK and K_2CO_3 were then screened for this reaction, however, none of them was more efficient than DBU (entries 5-11). Various solvents, such as DMSO, toluene, MeOH, and CH_2Cl_2, were also investigated, only low yields were obtained (entries 12–15). In addition, a significant drop in yield was observed when 30 mol% of DBU was used (entry 16). And control experiment indicated that the reaction could not take place without adding DBU (entry 17).

Table 1. Reaction parameters optimization[a,b,c]



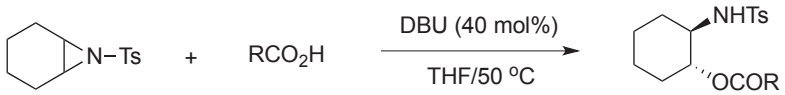
1a **2a** **3aa**

Entry	Catalyst	Solvent	Time (h)	Yield (%)	Entry	Catalyst	Solvent	Time (h)	Yield (%)
1	DBU	THF	48	trace	10	K_2CO_3	THF	24	NR
2	**DBU**	**THF**	**24**	**93**	11	*t*-BuOK	THF	24	trace
3	DABCO	THF	24	50	12	DBU	DMSO	24	66
4	DABCO	MeCN	24	trace	13	DBU	toluene	24	69
5	Py	THF	24	trace	14	DBU	MeOH	24	trace
6	Et_3N	THF	24	trace	15	DBU	CH_2Cl_2	24	trace
7	*i*-$PrNH_2$	THF	24	trace	16[e]	DBU	THF	24	72
8	DMAP	THF	24	77	17	-	THF	24	trace
9	PyN-O[d]	THF	24	trace					

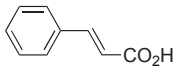
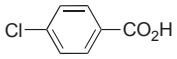
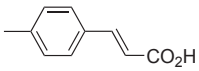
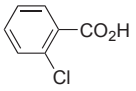
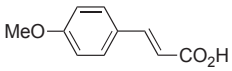
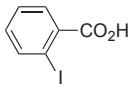
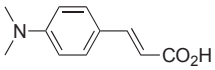
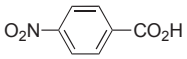
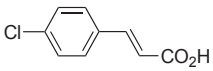
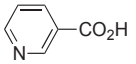
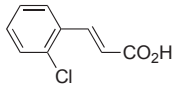
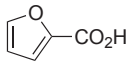
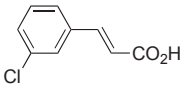
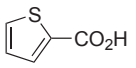
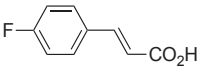
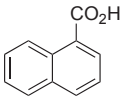
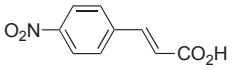
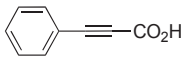
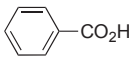
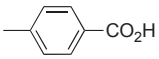
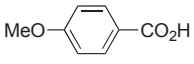
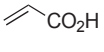
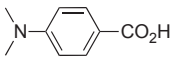
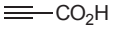
[a]All the reactions were performed in 0.2 mmol scale, standard conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), catalyst (0.08 mmol, 40 mol%), solvent (2 mL), 50 ºC, under air. [b]Isolated yield. [c]The reaction was carried out at 25 ºC. [d]PyN-O is pyridine-*N*-oxide. [e]DBU (0.06 mmol, 30 mol%).

With this promising result in hand, we started to explore the generality of this DBU catalyzed ring opening reaction of aziridines with carboxylic acids under the conditions highlighted above (40 mol% of DBU, in THF, at 50 °C). To assess the impact of the structural and functional motifs on the reaction of **1a**, a range of acids **2** was tested, and the results are summarized in Table 2. The results in Table 2 indicated that aromatic acids and aromatic substituted olefinic acids reacted with **1a** leading to the corresponding products **3** in good to excellent yields (entries 1-21). Moreover, it was found that substrates with strong electron-withdrawing groups on the phenyl ring were less reactive to some extent than those with the electron-donating groups. For example, the reaction of 4-NO_2 substituted cinnamic or benzoic acid **2i** or **2q** with **1a** gave rise to the desired product **3ai** and **3aq** in 79% and 77% yield, respectively (entries 9 and 17). While for the Me-, MeO-, -NMe_2, or halo-substituted substrates **2**, the corresponding yields were all higher than 85% (entries 2-7 and 11-16). It is noteworthy that the heterocyclic substrate **2r-2t** could also be well tolerated in the reaction, leading to the desired product **3ar-3at** in high yields (entries 18-20). However, alkynyl acid such as 3-phenylpropiolic acid reacted with **1a** only gave 40% yield (entry 22). Not only aryl- but also alkylcarboxylic acids gave good yields (entries 23 and 24). Unfortunately, when propenoic or propiolic acid was used, only trace amount of product was detected even the reaction was performed at reflux condition (entries 25 and 26).

Table 2. Ring-opening reactions of aziridine **1a** with various carboxylic acids **2** catalyzed by DBU[a]



1a **2** **3**

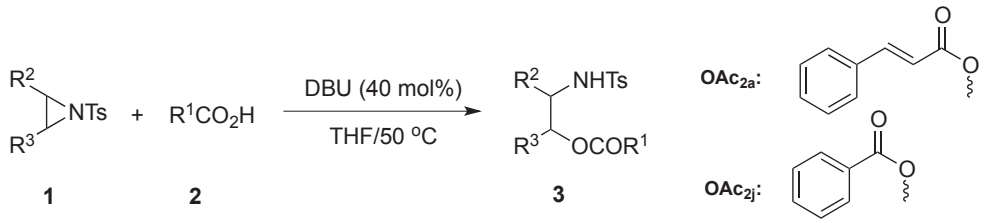
Entry	RCO_2H	Product	Yield (%)[b]	Entry	RCO_2H	Product	Yield (%)[b]
1		**3aa**	93	14		**3an**	92
2		**3ab**	91	15		**3ao**	93
3		**3ac**	99	16		**3ap**	89
4		**3ad**	99	17		**3aq**	77
5		**3ae**	94	18		**3ar**	88
6		**3af**	99	19		**3as**	91
7		**3ag**	95	20		**3at**	93
8		**3ah**	85	21		**3au**	97
9		**3ai**	79	22		**3av**	40
10		**3aj**	84	23	AcOH	**3aw**	61
11		**3ak**	99	24	$C_{11}H_{23}CO_2H$	**3ax**	75
12		**3al**	92	25		**3ay**	trace
13		**3am**	94	26		**3az**	trace

[a]Standard conditions: **1a** (0.2 mmol), **2** (0.24 mmol), DBU (0.08 mmol, 40 mol%), THF (2 mL), 50 ºC, 24-36 h, under air. [b]Isolated yield.

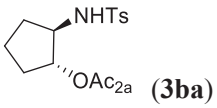
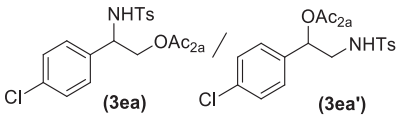
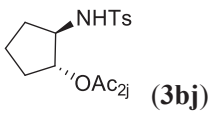
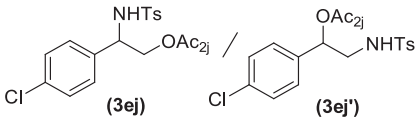
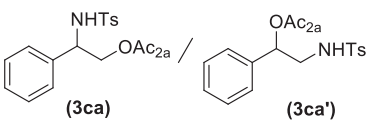
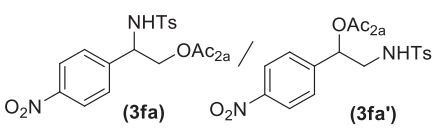
In a second set of experiments, the scope with respect to aziridines was investigated. As shown in Table 3, moderate to excellent yields were obtained for all aziridines. All the expected products were generated under our standard experimental conditions, whatever the nature of the substrate was. In the case of unsymmetrically substituted aziridine **1g**, completely regioselectivity with the attack of nucleophile on the less substituted aziridine carbon was observed (entries 11 and 12). When electronic effect participates, such as substrates **1c-f**, the regioselective attack of the acid on the benzyl substituted aziridine carbon was also observed (entries 3-10). But, it was reasonable that regioselectivity was not as specific as the alkyl aziridine when aryl aziridine was employed as the substrate.

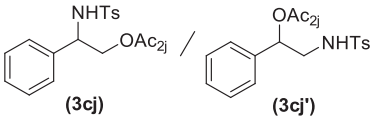
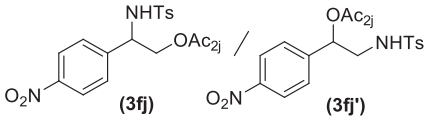
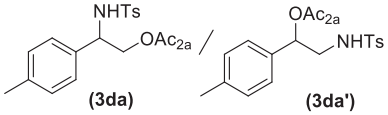
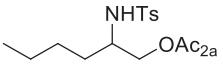
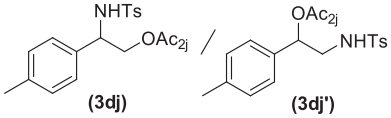
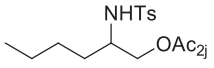
In conclusion, an organocatalytic approach for the synthesis of *β*-amino esters with excellent yields has been described, which DBU is an efficient organocatalyst for the reactions of aziridines with carboxylic acids. The advantages of this method include high yield, good substrate generality, metal-free conditions, and experimentally operational ease.

Table 3. Ring-opening reactions of various aziridines **1** with carboxylic acids **2**[a]



1 **2** **3**

Entry	Product	Yield (%)[b]	Entry	Product	Yield (%)[b]
1	 (**3ba**)	87	7	 (**3ea**) (**3ea'**) (**3ea/3ea'**=80/20)	72
2	 (**3bj**)	85	8	 (**3ej**) (**3ej'**) (**3ej/3ej'**=84/16)	83
3	 (**3ca**) (**3ca'**) (**3ca/3ca'**=80/20)[c]	89	9	 (**3fa**) (**3fa'**) (**3fa/3fa'**=55/45)	27

4	 (**3cj/3cj'**=70/30)	67	10	 (**3fj/3fj'**=53/47)	23
5	 (**3da/3da'**=70/30)	90	11	 **(3ga)**	71
6	 (**3dj/3dj'**=70/30)	81	12	 **(3gj)**	66

[a]Standard conditions: **1a** (0.2 mmol), **2** (0.24 mmol), catalyst (0.08 mmol, 40 mol%), THF (2 mL), 50 ºC, 24-36 h, under air. [b]Isolated yield. [c]The ratio was determined by NMR.

EXPERIMENTAL

General procedure for ring-opening reactions of aziridines with various carboxylic acids

A mixture of aziridine **1** (0.20 mmol), carboxylic acid **2** (0.24 mmol), and DBU (0.08 mmol, 40 mol%) in THF (2.0 mL) were added subsequently. The mixture was stirred at 50 °C for 24-36 h. After completion of the reaction as indicated by TLC, evaporation of the solvent followed by purification on silica gel provided the corresponding product **3**.

2-((4-Methylphenyl)sulfonamido)cyclohexyl cinnamate (**3aa**) This compound was obtained as a white solid; Yield: 74.2 mg (93%); mp 93–94 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.0 Hz, 2H), 7.49–7.39 (m, 6H), 7.12 (d, *J* = 7.6 Hz, 2H), 5.99 (d, *J* = 16.0 Hz, 1H), 5.41 (d, *J* = 7.6 Hz, 1H), 4.71 (td, *J* = 10.0, 4.0 Hz, 1H), 3.33–3.25 (m, 1H), 2.17–2.15 (m, 4H), 2.00 (d, *J* = 11.6 Hz, 1H), 1.71–1.69 (m, 2H), 1.40–1.26 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 167.1, 144.9, 142.7, 138.4, 134.1, 130.4, 129.5, 128.9, 128.0, 126.8, 117.4, 74.1, 57.3, 34.1, 31.2, 24.2, 23.7, 21.1; IR (KBr) (cm^{-1}) v 3430, 3309, 3061, 2944, 2863, 1723, 1636, 1598, 1448, 1366, 1329, 1163, 1025; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{25}NO_4SNa$: 422.1402, found: 422.1423.

2-((4-Methylphenyl)sulfonamido)cyclohexyl (*E*)-3-(*p*-tolyl)acrylate (**3ab**) This compound was obtained as a white solid; Yield: 75.2 mg (91%); mp 134–136 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70 (d, *J* = 8.0 Hz, 2H), 7.44 (d, *J* = 15.6 Hz, 1H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.12 (d, *J* = 8.4 Hz, 2H), 5.93 (d, *J* = 15.6 Hz, 1H), 5.27–5.24 (m, 1H), 4.69 (td, *J* = 10.0, 4.4 Hz, 1H), 3.31–3.23 (m, 1H), 2.38 (s, 3H), 2.18 (d, *J* = 13.2 Hz, 1H), 2.13 (s, 3H), 2.00–1.96 (m, 1H), 1.71–1.68 (m, 2H),

1.42–1.25 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 167.3, 145.0, 142.8, 140.9, 138.5, 131.5, 129.6, 129.6, 128.1, 126.9, 116.5, 74.0, 57.4, 34.1, 31.3, 24.3, 23.8, 21.5, 21.2; IR (KBr) (cm^{-1}) v 3451, 3299, 3031, 2949, 2920, 2856, 1684, 1631, 1605, 1511, 1426, 1358, 1334, 1182, 1020; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{27}NO_4SNa$: 436.1558, found: 436.1543.

2-((4-Methylphenyl)sulfonamido)cyclohexyl (*E*)-3-(4-methoxyphenyl)acrylate (3ac) This compound was obtained as a white solid; Yield: 84.9 mg (99%); mp 133–134 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 (d, *J* = 8.4 Hz, 2H), 7.44–7.38 (m, 3H), 7.12 (d, *J* = 8.0 Hz, 2H), 6.91 (d, *J* = 8.8 Hz, 2H), 5.85 (d, *J* = 15.6 Hz, 1H), 5.28–5.22 (m, 1H), 4.69 (td, *J* = 10.4, 4.4 Hz, 1H), 3.85 (s, 3H), 3.30–3.22 (m, 1H), 2.20–2.14 (m, 4H), 1.99–1.96 (m, 1H), 1.72–1.69 (m, 2H), 1.43–1.27 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 167.4, 161.6, 144.6, 142.7, 138.7, 129.8, 129.5, 127.1, 126.9, 115.2, 114.4, 74.0, 57.3, 55.4, 34.0, 31.3, 24.3, 23.8, 21.2; IR (KBr) (cm^{-1}) v 3442, 3293, 2929, 2856, 1703, 1514, 1457, 1325, 1170, 1159, 1028; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{27}NO_5SNa$: 452.1508, found: 452.1478.

2-((4-Methylphenyl)sulfonamido)cyclohexyl (*E*)-3-(4-(dimethylamino)phenyl)acrylate (3ad) This compound was obtained as a brown solid; Yield: 87.5 mg (99%); mp 146–148 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 (d, *J* = 8.0 Hz, 2H), 7.39 (d, *J* = 16.0 Hz, 1H), 7.33 (d, *J* = 8.8 Hz, 2H), 7.12 (d, *J* = 8.0 Hz, 2H), 6.66 (d, *J* = 8.8 Hz, 2H), 5.74 (d, *J* = 15.6 Hz, 1H), 5.36–5.30 (m, 1H), 4.68 (td, *J* = 10.0, 4.0 Hz, 1H), 3.26–3.18 (m, 1H), 3.02 (s, 6H), 2.21 (d, *J* = 13.6 Hz, 1H), 2.16 (s, 3H), 1.96 (d, *J* = 12.8 Hz, 1H), 1.75–1.60 (m, 2H), 1.40–1.26 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 168.3, 151.9, 145.7, 142.8, 138.2, 129.9, 129.5, 126.9, 121.9, 111.7, 111.6, 73.6, 57.6, 40.2, 34.3, 31.4, 24.3, 23.9, 21.4; IR (KBr) (cm^{-1}) v 3431, 3322, 3046, 2926, 2859, 2810, 1698, 1627, 1601, 1527, 1446, 1364, 1326, 1159, 1036; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{24}H_{30}N_2O_4SNa$: 465.1824; found: 465.1836.

2-((4-Methylphenyl)sulfonamido)cyclohexyl (*E*)-3-(4-chlorophenyl)acrylate (3ae) This compound was obtained as a white solid; Yield: 81.4 mg (94%); mp 124–125 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.0 Hz, 2H), 7.46–7.34 (m, 5H), 7.14 (d, *J* = 7.6 Hz, 2H), 6.00 (d, *J* = 16.0 Hz, 1H), 5.37 (d, *J* = 8.0 Hz, 1H), 4.71 (td, *J* = 10.0, 4.0 Hz, 1H), 3.34–3.26 (m, 1H), 2.16 (s, 3H), 2.11 (d, *J* = 12.8 Hz, 1H), 2.00 (d, *J* = 10.8 Hz, 1H), 1.72–1.67 (m, 2H), 1.38–1.27 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.8, 143.4, 142.7, 138.7, 136.3, 132.8, 129.5, 129.3, 129.2, 126.9, 118.3, 74.4, 57.1, 33.8, 31.2, 24.3, 23.7, 21.3; IR (KBr) (cm^{-1}) v 3427, 3278, 3065, 2940, 2862, 1688, 1636, 1592, 1491, 1325, 1160, 1092, 1024, 1011; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{24}NO_4SClNa$: 456.1012; found: 456.0994.

2-((4-Methylphenyl)sulfonamido)cyclohexyl (*E*)-3-(2-chlorophenyl)acrylate (3af) This compound was obtained as a white solid; Yield: 85.7 mg (99%); mp 146–148 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82 (d, *J* = 16.0 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 2H), 7.51 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.44 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.36–7.27 (m, 2H), 7.13 (d, *J* = 8.0 Hz, 2H), 5.97 (d, *J* = 16.0 Hz, 1H), 4.99–4.96 (m, 1H), 4.71

(td, *J* = 10.4, 4.4 Hz, 1H), 3.34–3.26 (m, 1H), 2.20 (d, *J* = 13.6 Hz, 1H), 2.07 (s, 3H), 1.99 (d, *J* = 12.8 Hz, 1H), 1.74–1.66 (m, 2H), 1.47–1.27 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.5, 142.7, 140.5, 138.7, 135.0, 132.6, 131.1, 130.3, 129.5, 127.6, 127.1, 126.9, 120.2, 74.3, 57.4, 34.1, 31.2, 24.2, 23.8, 21.0; IR (KBr) (cm^{-1}) v 3450, 3271, 3067, 2943, 2864, 1706, 1630, 1590, 1450, 1325, 1188, 1086, 1027; HRMS (ESI): *m/z* $[M+Na]^+$calcd for $C_{22}H_{24}NO_4SClNa$: 456.1012; found: 456.1031.

2-((4-Methylphenyl)sulfonamido)cyclohexyl (*E*)-3-(3-chlorophenyl)acrylate (**3ag**) This compound was obtained as a pale yellow solid; Yield: 82.3 mg (95%); mp 55–56 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 7.6 Hz, 2H), 7.42–7.33 (m, 5H), 7.15 (d, *J* = 7.6 Hz, 2H), 6.00 (d, *J* = 16.0 Hz, 1H), 5.36 (d, *J* = 7.6 Hz, 1H), 4.73–4.68 (m, 1H), 3.23–3.23 (m, 1H), 2.17–2.12 (m, 4H), 2.00 (d, *J* = 11.2 Hz, 1H), 1.71–1.67 (m, 2H), 1.40–1.28 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.6, 143.2, 142.8, 138.6, 136.1, 134.9, 130.2, 130.2, 129.5, 127.6, 126.9, 126.4, 119.2, 74.4, 57.1, 33.9, 31.2, 24.3, 23.7, 21.3; IR (KBr) (cm^{-1}) v 3500, 3274, 3064, 2940, 2861, 1707, 1639, 1597, 1565, 1452, 1325, 1160, 1093, 1030; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{24}NO_4SClNa$: 456.1012; found: 456.1027.

2-((4-Methylphenyl)sulfonamido)cyclohexyl (*E*)-3-(4-fluorophenyl)acrylate (**3ah**) This compound was obtained as a pale yellow solid; Yield: 70.9 mg (85%); mp 82–84 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77–7.70 (m, 2H), 7.48–7.43 (m, 3H), 7.15–7.00 (m, 4H), 6.04–5.93 (m, 1H), 5.44–5.36 (m, 1H), 4.78–4.68 (m, 1H), 3.35–3.26 (m, 1H), 2.21–2.10 (m, 4H), 2.03–1.99 (m, 1H), 1.78–1.68 (m, 2H), 1.39–1.28 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.9, 165.2, 162.7, 143.6, 142.6, 138.8, 130.6, 130.0, 129.9, 129.5, 126.9, 117.6, 116.1, 115.9, 74.3, 57.1, 33.8, 31.2, 24.3, 23.7, 21.2; IR (KBr) (cm^{-1}) v 3450, 3324, 3070, 2948, 2862, 1687, 1635, 1600, 1509, 1415, 1331, 1239, 1179, 1093, 1019, 1002; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{24}NO_4SFNa$: 440.1308; found: 440.1331.

2-((4-Methylphenyl)sulfonamido)cyclohexyl (*E*)-3-(4-nitrophenyl)acrylate (**3ai**) This compound was obtained as a pale yellow solid; Yield: 70.2 mg (79%); mp 160–161 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.25 (d, *J* = 7.6 Hz, 2H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.63–7.56 (m, 3H), 7.18 (d, *J* = 7.6 Hz, 2H), 6.22 (d, *J* = 16.0 Hz, 1H), 5.16–5.14 (m, 1H), 4.77–4.68 (m, 1H), 3.37–3.28 (m, 1H), 2.21 (s, 3H), 2.04–2.02 (m, 2H), 1.76–1.67 (m, 2H), 1.44–1.29 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.1, 148.5, 142.8, 141.9, 140.5, 138.7, 129.5, 128.7, 126.9, 124.1, 122.0, 74.8, 57.0, 33.5, 31.2, 24.3, 23.7, 21.3; IR (KBr) (cm^{-1}) v 3441, 3284, 2939, 2862, 1712, 1640, 1599, 1520, 1344, 1061, 1091, 1032; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{24}N_2O_6SNa$: 467.1253; found: 467.1268.

2-((4-Methylphenyl)sulfonamido)cyclohexyl benzoate (**3aj**)[10] This compound was obtained as a white solid; Yield: 62.7 mg (84%); mp 170–172 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77 (d, *J* = 8.0 Hz, 2H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.54 (t, *J* = 6.8 Hz, 1H), 7.36 (t, *J* = 7.6 Hz, 2H), 6.91 (d, *J* = 8.0 Hz, 2H), 5.20 (d, *J* = 7.2 Hz, 1H), 4.82 (td, *J* = 10.4, 4.4 Hz, 1H), 3.36–3.28 (m, 1H), 2.18 (s, 4H), 2.04–2.01 (m, 1H),

1.73–1.70 (m, 2H), 1.51–1.30 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.8, 142.7, 138.1, 133.0, 129.7, 129.4, 128.1, 126.6, 74.6, 57.2, 34.0, 31.2, 24.2, 23.8, 21.4; IR (KBr) (cm^{-1}) v 3440, 3329, 2927, 2862, 1707, 1599, 1450, 1323, 1158, 1015; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{20}H_{23}NO_4SNa$: 396.1245; found: 396.1259.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 4-methylbenzoate (**3ak**)[7] This compound was obtained as a white solid; Yield: 76.6 mg (99%); mp 147–149 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.64 (d, *J* = 8.4 Hz, 2H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.15 (d, *J* = 8.0 Hz, 2H), 6.91 (d, *J* = 8.0 Hz, 2H), 5.13 (d, *J* = 6.4 Hz, 1H), 4.80 (td, *J* = 10.4, 4.4 Hz, 1H), 3.33–3.25 (m, 1H), 2.42 (s, 3H), 2.23–2.18 (m, 4H), 2.00 (d, *J* = 13.6 Hz, 1H), 1.76–1.69 (m, 2H), 1.51–1.30 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.9, 143.7, 142.6, 138.0, 129.8, 129.4, 128.8, 126.9, 126.5, 74.4, 57.4, 34.2, 31.3, 24.2, 23.8, 21.7, 21.4; IR (KBr) (cm^{-1}) v 3410, 3323, 3052, 3035, 2930, 2919, 2855, 1708, 1613, 1443, 1324, 1272, 1157, 1087, 1027, 1020; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{21}H_{25}NO_4SNa$: 410.1402; found: 410.1413.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 4-methoxybenzoate (**3al**)[10] This compound was obtained as a white solid; Yield: 74.2 mg (92%); mp 132–134 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.8 Hz, 2H), 7.59 (d, *J* = 8.0 Hz, 2H), 6.94 (d, *J* = 8.0 Hz, 2H), 6.83 (d, *J* = 8.8 Hz, 2H), 5.29 (d, *J* = 6.8 Hz, 1H), 4.78 (td, *J* = 10.4, 4.4 Hz, 1H), 3.87 (s, 3H), 3.33–3.25 (m, 1H), 2.20–2.17 (m, 4H), 2.01 (d, *J* = 13.2 Hz, 1H), 1.74–1.68 (m, 2H), 1.49–1.26 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.6, 163.4, 142.6, 138.1, 131.8, 129.4, 126.6, 122.1, 113.3, 74.3, 57.3, 55.5, 34.0, 31.3, 24.2, 23.8, 21.4; IR (KBr) (cm^{-1}) v 3399, 3331, 3083, 2932, 2863, 1706, 1607, 1441, 1326, 1261, 1158, 1080, 1033, 1016; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{21}H_{25}NO_5SNa$: 426.1351; found: 426.1355.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 4-(dimethylamino)benzoate (**3am**) This compound was obtained as a pale yellow solid; Yield: 78.2 mg (94%); mp 148–150 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.61–7.57 (m, 4H), 6.92 (d, *J* = 8.0 Hz, 2H), 6.56 (d, *J* = 9.2 Hz, 2H), 5.33 (d, *J* = 6.4 Hz, 1H), 4.75 (td, *J* = 10.4, 4.4 Hz, 1H), 3.26–3.05 (m, 1H), 3.05 (s, 6H), 2.26–2.19 (m, 4H), 1.98 (d, *J* = 13.6 Hz, 1H), 1.77–1.63 (m, 2H), 1.46– 1.28 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 167.5, 153.4, 142.4, 137.8, 131.5, 129.4, 126.6, 116.3, 110.4, 73.7, 57.7, 40.1, 34.3, 31.4, 24.2, 23.9, 21.4; IR (KBr) (cm^{-1}) v 3422, 3303, 3066, 2935, 2863, 1679, 1609, 1534, 1443, 1374, 1330, 1284, 1181, 1088, 1026; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{28}N_2O_4SNa$: 439.1667; found: 439.1647.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 4-chlorobenzoate (**3an**)[10] This compound was obtained as a white solid; Yield: 74.9 mg (92%); mp 145–146 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70 (d, *J* = 8.4 Hz, 2H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 6.95 (d, *J* = 8.0 Hz, 2H), 5.18–5.15 (m, 1H), 4.81 (td, *J* = 10.4, 4.0 Hz, 1H), 3.38–3.30 (m, 1H), 2.22 (s, 3H), 2.13 (d, *J* = 12.8 Hz, 1H), 2.02 (d, *J* = 14.0 Hz, 1H), 1.75–1.68 (m, 2H), 1.50–1.29 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 165.8, 142.8, 139.4, 138.2,

131.1, 129.4, 128.4, 128.2, 126.5, 74.9, 57.2, 34.0, 31.3, 24.3, 23.8, 21.4; IR (KBr) (cm^{-1}) v 3435, 3271, 2940, 1712, 1595, 1467, 1400, 1327, 1280, 1154, 1093, 1014; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{20}H_{22}NO_4SClNa$: 430.0856; found: 430.0864.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 2-chlorobenzoate (**3ao**)[10] This compound was obtained as a white solid; Yield: 75.7 mg (93%); mp 138–140 °C; ^1H NMR (400 MHz, $CDCl_3$): δ 7.68 (dd, *J* = 16.0, 8.0 Hz, 3H), 7.40 (d, *J* = 3.6 Hz, 2H), 7.28–7.23 (m, 1H), 7.01 (d, *J* = 8.0 Hz, 2H), 5.28 (d, *J* = 7.6 Hz, 1H), 4.85 (td, *J* = 10.0, 4.4 Hz, 1H), 3.39–3.31 (m, 1H), 2.23 (s, 3H), 2.10–2.03 (m, 2H), 1.74–1.64 (m, 2H), 1.52–1.29 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 165.3, 142.8, 138.2, 134.0, 132.7, 131.9, 131.0, 129.4, 129.3, 126.6, 126.5, 75.3, 56.8, 33.4, 31.0, 24.2, 23.7, 21.5; IR (KBr) (cm^{-1}) v 3442, 3261, 2942, 2862, 1733, 1590, 1472, 1440, 1324, 1254, 1158, 1117, 1084, 1052, 1013; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{20}H_{22}NO_4SClNa$: 430.0856; found: 430.0833.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 2-iodobenzoate (**3ap**) This compound was obtained as a white solid; Yield: 88.8 mg (89%); mp 165–167 °C; ^1H NMR (400 MHz, $CDCl_3$): δ 7.97 (d, *J* = 8.0 Hz, 1H), 7.67–7.64 (m, 3H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.14 (td, *J* = 7.6, 1.2 Hz, 1H), 7.00 (d, *J* = 8.0 Hz, 2H), 5.12 (d, *J* = 7.2 Hz, 1H), 4.84 (td, *J* = 10.0, 4.4 Hz, 1H), 3.40–3.32 (m, 1H), 2.23 (s, 3H), 2.12–2.09 (m, 2H), 1.76–1.66 (m, 2H), 1.54–1.27 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.0, 142.8, 141.3, 138.2, 133.8, 132.8, 131.5, 129.5, 127.8, 126.6, 94.7, 75.6, 56.8, 33.6, 31.2, 24.3, 23.7, 21.6; IR (KBr) (cm^{-1}) v 3435, 3264, 2938, 2861, 1728, 1582, 1452, 1323, 1254, 1160, 1086, 1045, 1016; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{20}H_{22}NO_4SINa$: 522.0212; found: 522.0177.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 4-nitrobenzoate (**3aq**)[8a] This compound was obtained as a white solid; Yield: 64.4 mg (77%); mp 151–153 °C; ^1H NMR (400 MHz, $CDCl_3$): δ 8.20 (d, *J* = 8.4 Hz, 2H), 8.01 (d, *J* = 8.8 Hz, 2H), 7.63 (d, *J* = 8.0 Hz, 2H), 7.03 (d, *J* = 7.6 Hz, 2H), 5.17–5.06 (m, 1H), 4.85 (td, *J* = 10.0, 4.4 Hz, 1H), 3.46–3.37 (m, 1H), 2.24 (s, 3H), 2.10–2.00 (m, 2H), 1.77–1.68 (m, 2H), 1.54–1.29 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 164.6, 150.4, 143.0, 138.4, 135.3, 130.9, 129.5, 126.6, 123.2, 75.9, 56.7, 33.3, 31.1, 24.3, 23.7, 21.4; IR (KBr) (cm^{-1}) v 3429, 3305, 2952, 2860, 1724, 1608, 1600, 1527, 1436, 1328, 1272, 1163, 1088, 1011; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{20}H_{22}N_2O_6SNa$: 441.1096; found: 441.1116.

2-((4-Methylphenyl)sulfonamido)cyclohexyl nicotinate (**3ar**) This compound was obtained as a white solid; Yield: 65.8 mg (88%); mp 151–153 °C; ^1H NMR (400 MHz, $CDCl_3$): δ 8.94 (s, 1H), 8.75 (d, *J* = 3.6 Hz, 1H), 8.10 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.35–7.30 (m, 1H), 6.99 (d, *J* = 8.0 Hz, 2H), 5.57–5.54 (m, 1H), 4.87 (td, *J* = 10.4, 4.4 Hz, 1H), 3.42–3.34 (m, 1H), 2.22 (s, 3H), 2.07 (t, *J* = 16.4 Hz, 2H), 1.77–1.69 (m, 2H), 1.50–1.29 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 165.1, 153.1, 150.7, 142.9, 138.4, 137.3, 129.4, 126.5, 125.7, 123.2, 75.3, 56.8, 33.6, 31.1, 24.3, 23.7, 21.5; IR (KBr) (cm^{-1}) v

3431, 3095, 2942, 2868, 1730, 1595, 1474, 1430, 1315, 1276, 1157, 1093, 1027, 1014; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{19}H_{22}N_2O_4SNa$: 397.1198; found: 397.1222.

2-((4-Methylphenyl)sulfonamido)cyclohexyl furan-2-carboxylate (3as)[10] This compound was obtained as a white solid; Yield: 66.1 mg (91%); mp 124–127 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.65 (d, *J* = 8.0 Hz, 2H), 7.53 (s, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.92 (d, *J* = 3.2 Hz, 1H), 6.47–6.46 (m, 1H), 5.25 (d, *J* = 7.6 Hz, 1H), 4.78 (td, *J* = 10.8, 4.4 Hz, 1H), 3.35–3.27 (m, 1H), 2.29 (s, 3H), 2.13 (d, *J* = 12.8 Hz, 1H), 2.01 (d, *J* = 13.2 Hz, 1H), 1.72–1.67 (m, 2H), 1.50–1.26 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 158.8, 146.4, 144.1, 142.7, 138.1, 129.3, 126.7, 118.4, 111.8, 74.7, 57.1, 33.9, 31.2, 24.2, 23.7, 21.5; IR (KBr) (cm^{-1}) v 3424, 3318, 2936, 2859, 1716, 1472, 1398, 1321, 1296, 1235, 1158, 1085, 1012; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{18}H_{21}NO_5SNa$: 386.1038; found: 386.1012.

2-((4-Methylphenyl)sulfonamido)cyclohexyl thiophene-2-carboxylate (3at) This compound was obtained as a white solid; Yield: 70.5 mg (93%); mp 179–181 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.62 (d, *J* = 8.0 Hz, 2H), 7.54–7.52 (m, 2H), 7.05–7.02 (m, 1H), 6.99 (d, *J* = 8.0 Hz, 2H), 5.25 (d, *J* = 7.2 Hz, 1H), 4.77 (td, *J* = 10.0, 4.8 Hz, 1H), 3.34–3.25 (m, 1H), 2.24 (s, 3H), 2.19–2.15 (m, 1H), 2.03–1.99 (m, 1H), 1.75–1.67 (m, 2H), 1.52–1.29 (m, 4H); ^{13}C NMR (100MHz, $CDCl_3$): δ 162.5, 142.7, 138.0, 133.8, 133.5, 132.7, 129.4, 127.6, 126.6, 74.9, 57.1, 33.9, 31.2, 24.1, 23.7, 21.5; IR (KBr) (cm^{-1}) v 3434, 3272, 3096, 3059, 2924, 2854, 1685, 1597, 1523, 1455, 1416, 1364, 1287, 1267, 1163, 1107, 1094, 1039, 1006; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{18}H_{21}NO_4S_2Na$: 402.0810; found: 402.0790.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 1-naphthoate (3au)[10] This compound was obtained as a white solid; Yield: 82.1 mg (97%); mp 125–126 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.85 (d, *J* = 8.4 Hz, 1H), 7.96 (dd, *J* = 18.0, 8.0 Hz, 2H), 7.86 (d, *J* = 8.0 Hz, 1H), 7.59–7.50 (m, 4H), 7.37 (t, *J* = 7.6 Hz, 1H), 6.67 (d, *J* = 8.0 Hz, 2H), 5.45 (d, *J* = 7.2 Hz, 1H), 4.93 (td, *J* = 10.4, 4.8 Hz, 1H), 3.44–3.36 (m, 1H), 2.12–2.09 (m, 2H), 1.97 (s, 3H), 1.73–1.64 (m, 2H), 1.55–1.29 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 167.4, 142.5, 138.2, 133.7, 133.5, 131.5, 130.7, 129.2, 128.5, 127.8, 126.5, 126.3, 126.2, 125.9, 124.4, 74.6, 57.2, 33.9, 31.3, 24.3, 23.8, 21.2; IR (KBr) (cm^{-1}) v 3422, 3303, 3066, 2935, 2863, 1679, 1609, 1534, 1443, 1374, 1330, 1284, 1181, 1088, 1026; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{24}H_{25}NO_4SNa$: 446,1402; found: 446.1413.

2-((4-Methylphenyl)sulfonamido)cyclohexyl 3-phenylpropiolate (3av) This compound was obtained as a white solid; Yield: 31.8 mg (40%); mp 149–150 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77 (d, *J* = 8.4 Hz, 2H), 7.57 (d, *J* = 7.2 Hz, 2H), 7.48 (t, *J* = 7.2 Hz, 1H), 7.40 (t, *J* = 7.6 Hz, 2H), 7.21 (d, *J* = 8.4 Hz, 2H), 4.89 (d, *J* = 6.8 Hz, 1H), 4.73 (td, *J* = 10.0, 4.4 Hz, 1H), 3.33–3.25 (m, 1H), 2.20–2.17 (m, 4H), 2.02–1.99 (m, 1H), 1.73–1.68 (m, 2H), 1.46–1.27 (m, 4H); ^{13}C NMR (100 MHz, CDCl3): δ 153.9, 143.0, 138.3, 133.0, 130.8, 129.6, 128.6, 127.0, 119.6, 87.0, 80.5, 75.8, 56.6, 33.6, 30.8, 24.0, 23.6, 21.2; IR

(KBr) (cm^{-1}) v 3386, 3251, 3064, 2939, 2859, 2224, 1706, 1596, 1468, 1360, 1325, 1161, 1023; HRMS (ESI): *m/z* $[M+K]^+$ calcd for $C_{22}H_{23}NO_4SK$: 436.0985, found: 436.1009.

2-((4-Methylphenyl)sulfonamido)cyclohexyl acetate (**3aw**)[10] This compound was obtained as a white solid; Yield: 37.9 mg (61%); mp 123–125 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.75 (d, *J* = 8.0 Hz, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 5.08 (d, *J* = 7.6 Hz, 1H), 4.56 (td, *J* = 10.0, 4.4 Hz, 1H), 3.22–3.15 (m, 1H), 2.42 (s, 3H), 2.05–1.90 (m, 2H), 1.78 (s, 3H), 1.70–1.63 (m, 2H), 1.37–1.24 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.5, 143.1, 138.6, 129.6, 126.9, 74.1, 56.9, 33.4, 31.1, 24.2, 23.7, 21.5, 21.0; IR (KBr) (cm^{-1}) v 3406, 3245, 2942, 2865, 1715, 1597, 1494, 1454, 1373, 1336, 1259, 1160, 1090, 1039; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{15}H_{21}NO_4SNa$: 334.1089; found: 334.1055.

2-((4-Methylphenyl)sulfonamido)cyclohexyl dodecanoate (**3ax**) This compound was obtained as a white solid; Yield: 67.7 mg (75%); mp 38–39 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.75 (d, *J* = 8.0 Hz, 2H), 7.28 (d, *J* = 7.2 Hz, 2H), 5.22 (d, *J* = 7.6 Hz, 1H), 4.60–4.55 (m, 1H), 3.26–3.27 (m, 1H), 2.41 (s, 3H), 2.04–1.91 (m, 5H), 1.69–1.61 (m, 2H), 1.49–1.46 (m, 3H), 1.26 (s, 21H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 174.1, 142.8, 138.9, 129.5, 126.9, 73.8, 56.8, 34.2, 33.2, 31.9, 31.0, 29.6, 29.5, 29.3, 29.3, 29.1, 24.7, 24.2, 23.6, 22.6, 21.4, 14.1; IR (KBr) (cm^{-1}) v 3305, 2923, 2853, 1731, 1455, 1383, 1326, 1304, 1206, 1161, 1090, 1020; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{25}H_{41}NO_4SNa$: 474.2654; found: 474.2650.

2-((4-Methylphenyl)sulfonamido)cyclopentyl cinnamate (**3ba**)[7] This compound was obtained as a white solid; Yield: 67.0 mg (87%); mp 125–126 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77 (d, *J* = 8.0 Hz, 2H), 7.55–7.39 (m, 6H), 7.21 (d, *J* = 7.6 Hz, 2H), 6.21 (d, *J* = 16.0 Hz, 1H), 5.70 (d, *J* = 5.2 Hz, 1H), 5.03–4.98 (m, 1H), 3.62–3.56 (m, 1H), 2.24 (s, 3H), 2.10–2.03 (m, 2H), 1.74–1.52 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.9, 145.1, 143.2, 137.5, 134.2, 130.5, 129.6, 129.0, 128.1, 127.2, 117.6, 79.6, 59.9, 31.3, 29.5, 21.4, 20.8; IR (KBr) (cm^{-1}) v 3270, 3059, 2866, 1702, 1630, 1576, 1493, 1449, 1326, 1152, 1088, 1074, 1018, 991; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{21}H_{23}NO_4SNa$: 408.1245; found: 408.1248.

2-((4-Methylphenyl)sulfonamido)cyclopentyl benzoate (**3bj**) This compound was obtained as a white solid; Yield: 61.0 mg (85%); mp 147–148 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85 (d, *J* = 8.4 Hz, 2H), 7.70 (d, *J* = 8.0 Hz, 2H), 7.56 (t, *J* = 7.6 Hz, 1H), 7.41 (t, *J* = 8.0 Hz, 2H), 7.08 (d, *J* = 8.0 Hz, 2H), 5.32–5.28 (m, 1H), 5.11–5.06 (m, 1H), 3.63–3.57 (m, 1H), 2.23–2.08 (m, 5H), 1.74–1.59 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.6, 143.2, 137.0, 133.2, 129.6, 128.3, 127.1, 80.0, 77.4, 77.1, 76.7, 60.1, 31.6, 29.5, 21.4, 20; IR (KBr) (cm^{-1}) v 3321, 2961, 2927, 2870, 1712, 1599, 1450, 1358, 1322, 1274, 1151, 1113, 1091, 1070, 1029; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{19}H_{21}NO_4SNa$: 382.1089; found: 382.1074.

2-((4-Methylphenyl)sulfonamido)-2-phenylethyl cinnamate (**3ca**) / **2-((4-methylphenyl)-**

sulfonamido)-1-phenylethyl cinnamate (**3ca'**) These compounds were obtained as mixture white solid; Yield: 74.9 mg (89%, **3ca/3ca'** = 80/20); mp 106–108 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.73 (d, *J* = 8.0 Hz, 0.4H), 7.64–7.21 (m, 13H), 7.06 (d, *J* = 7.6 Hz, 1.6H), 6.36 (d, *J* = 16.0 Hz, 0.2H), 6.21 (d, *J* = 16.0 Hz, 0.8H), 6.09–6.08 (m, 0.8H), 5.88–5.85 (m, 0.2H), 5.55–5.52 (m, 0.2H), 4.74–4.69 (m, 0.8H), 4.36–4.24 (m, 1.6H), 3.43–3.38 (m, 0.4H), 2.33 (s, 0.6H), 2.20 (s, 2.4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.6, 165.9, 145.7, 145.6, 143.4, 143.1, 137.8, 137.5, 137.3, 134.2, 130.5, 129.7, 129.4, 128.9, 128.7, 128.6, 128.2, 128.0, 127.1, 126.9, 126.4, 117.5, 117.2, 74.3, 66.6, 57.2, 47.9, 21.4, 21.3; IR (KBr) (cm^{-1}) v 3446, 3258, 3063, 3030, 2922, 1719, 1698, 1638, 1495, 1450, 1331, 1283, 1205, 1161, 1091, 1021; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{24}H_{23}NO_4SNa$: 444.1245; found: 444.1256.

2-((4-Methylphenyl)sulfonamido)-2-phenylethyl benzoate (**3cj**) / **2-((4-methylphenyl)-sulfonamido)-1-phenylethyl benzoate** (**3cj'**) These compounds were obtained as mixture white solid; Yield: 52.9 mg (67%, **3cj/3cj'** = 70/30); mp 160–162 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.00 (d, *J* = 7.2 Hz, 0.6H), 7.87 (d, *J* = 7.2 Hz, 1.4H), 7.70 (d, *J* = 8.4 Hz, 0.6H), 7.59–7.22 (m, 10H), 7.02 (d, *J* = 8.0 Hz, 1.4H), 5.97–5.94 (m, 0.3H), 5.47–5.44 (m, 0.7H), 4.91–4.86 (m, 0.3H), 4.77–4.73 (m, 0.7H), 4.49–4.35 (m, 1.4H), 3.54–3.47 (m, 0.6H), 2.38 (s, 0.9H), 2.27 (s, 2.1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.4, 165.6, 143.6, 143.2, 137.3, 137.2, 133.4, 133.4, 129.8, 129.8, 129.7, 129.5, 129.2, 128.9, 128.7, 128.5, 128.4, 128.2, 127.0, 127.0, 126.9, 126.4, 74.6, 67.0, 57.2, 47.9, 21.6, 21.5; IR (KBr) (cm^{-1}) v 3437, 3343, 3062, 2924, 1709, 1600, 1495, 1434, 1322, 1278, 1157, 1128, 1090, 1026; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{21}NO_4SNa$: 418.1089; found: 418.1070.

2-((4-Methylphenyl)sulfonamido)-2-(*p*-tolyl)ethyl cinnamate (**3da**) / **2-((4-methylphenyl)-sulfonamido)-1-(*p*-tolyl)ethyl cinnamate** (**3da'**)[7] These compounds were obtained as mixture white solid; Yield: 78.3 mg (90%, **3da/3da'** = 70/30); mp 128–130 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.73 (d, *J* = 8.0 Hz, 0.6H), 7.63–7.08 (m, 12H), 7.02 (d, *J* = 8.0 Hz, 1.4H), 6.34 (d, *J* = 16.0 Hz, 0.3H), 6.21 (d, *J* = 16.0 Hz, 0.7H), 5.88–5.80 (m, 1H), 5.39–5.31 (m, 0.3H), 4.68–4.64 (m, 0.7H), 4.36–4.23 (m, 1.4H), 3.46–3.33 (m, 0.6H), 2.34 (s, 0.9H), 2.30 (s, 0.9H), 2.27 (s, 2.1H), 2.22 (s, 2.1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.8, 166.1, 145.7, 145.6, 143.5, 143.1, 138.5, 137.8, 137.6, 137.0, 134.4, 134.2, 134.2, 134.1, 130.6, 130.5, 129.8, 129.4, 129.3, 128.9, 128.9, 128.2, 127.1, 127.1, 126.9, 126.4, 117.4, 117.1, 74.3, 66.7, 56.9, 47.9, 21.5, 21.4, 21.2, 21.1; IR (KBr) (cm^{-1}) v 3312, 3061, 3027, 2955, 2922, 1698, 1634, 1598, 1578, 1516, 1496, 1450, 1384, 1330, 1285, 1156, 1118, 1089, 1017, 982; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{25}H_{25}NO_4SNa$: 458.1402; found: 458.1411.

2-((4-Methylphenyl)sulfonamido)-2-(*p*-tolyl)ethyl benzoate (**3dj**) / **2-((4-methylphenyl)-sulfonamido)-1-(*p*-tolyl)ethyl benzoate** (**3dj'**)[7] These compounds were obtained as mixture pale yellow solid; Yield: 66.3 mg (81%, **3dj/3dj'** = 70/30); mp 151–154 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.97 (d, *J*

= 7.2 Hz, 0.6H), 7.88–7.85 (m, 1.4H), 7.70 (d, *J* = 8.0 Hz, 0.6H), 7.59–6.98 (m, 10.4H), 5.95–5.91 (m, 0.3H), 5.87 (d, *J* = 6.8 Hz, 0.7H), 5.31 (t, *J* = 6.8 Hz, 0.3H), 4.73–4.68 (m, 0.7H), 4.45–4.33 (m, 1.4H), 3.53–3.38 (m, 0.6H), 2.35 (s, 0.9H), 2.29 (s, 0.9H), 2.27 (s, 2.1H), 2.25 (s, 2.1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.4, 165.7, 143.4, 143.1, 138.5, 137.8, 137.4, 137.1, 134.4, 134.2, 133.2, 129.8, 129.5, 129.4, 129.3, 128.4, 128.3, 127.0, 126.8, 126.4, 74.7, 67.1, 56.9, 47.9, 21.5, 21.4, 21.2, 21.1; IR (KBr) (cm^{-1}) v 3332, 3030, 2956, 2921, 1712, 1599, 1515, 1494, 1449, 1384, 1321, 1270, 1152, 1122, 1090, 1019, 996; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{23}H_{23}NO_4SNa$: 432.1245; found: 432.1264.

2-(4-Chlorophenyl)-2-((4-methylphenyl)sulfonamido)ethyl cinnamate (**3ea**) / **1-(4-chlorophenyl)-2-((4-methylphenyl)sulfonamido)ethyl cinnamate** (**3ea'**)[7] These compounds were obtained as mixture white solid; Yield: 65.5 mg (72%, **3ea/3ea'** = 80/20); mp 160–163 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70 (d, *J* = 8.0 Hz, 0.4H), 7.66–7.14 (m, 12H), 7.11 (d, *J* = 8.0 Hz, 1.6H), 6.36 (d, *J* = 16.0 Hz, 0.2H), 6.22 (d, *J* = 16.0 Hz, 0.8H), 5.95–5.88 (m, 0.8H), 5.82 (t, *J* = 6.0 Hz, 0.2H), 5.38–5.31 (m, 0.2H), 4.70–4.64 (m, 0.8H), 4.34–4.21 (m, 1.6H), 3.43–3.34 (m, 0.4H), 2.37 (s, 0.6H), 2.25 (s, 2.4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.6, 145.9, 143.4, 137.4, 135.9, 134.1, 134.0, 130.6, 129.8, 129.5, 128.9, 128.8, 128.4, 128.2, 127.8, 127.1, 127.0, 116.8, 66.3, 56.6, 21.3; IR (KBr) (cm^{-1}) v 3442, 3271, 2924, 1717, 1707, 1636, 1599, 1494, 1450, 1319, 1170, 1156, 1091, 1014, 980; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{24}H_{22}NO_4SClNa$: 478.0856; found: 478.0861.

2-(4-Chlorophenyl)-2-((4-methylphenyl)sulfonamido)ethyl benzoate (**3ej**) / **1-(4-chlorophenyl)-2-((4-methylphenyl)sulfonamido)ethyl benzoate** (**3ej'**)[7] These compounds were obtained as mixture white solid; Yield: 71.2 mg (83%, **3ej/3ej'** = 84/16); mp 155–156 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.98 (d, *J* = 7.2 Hz, 0.32H), 7.86 (d, *J* = 7.2 Hz, 1.68H), 7.68 (d, *J* = 8.4 Hz, 0.32H), 7.59–7.18 (m, 9H), 7.02 (d, *J* = 7.2 Hz, 1.68H), 5.95–5.92 (m, 0.16H), 5.72 (d, *J* = 6.8 Hz, 0.84H), 5.10 (t, *J* = 6.4 Hz, 0.16H), 4.74–4.69 (m, 0.84H), 4.44–4.32 (m, 1.68H), 3.49–3.44 (m, 0.32H), 2.39 (s, 0.48H), 2.28 (s, 2.52H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.3, 143.5, 137.0, 135.8, 135.6, 134.0, 133.5, 133.4, 129.8, 129.8, 129.7, 129.5, 129.1, 129.0, 128.8, 128.5, 128.4, 128.3, 127.8, 126.9, 74.1, 66.7, 56.6, 47.7, 21.6, 21.5; IR (KBr) (cm^{-1}) v 3429, 3272, 2955, 2923, 1721, 1697, 1599, 1491, 1441, 1385, 1330, 1269, 1156, 1123, 1092, 1071, 1027, 1015, 989; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{20}NO_4SClNa$: 452.0699; found: 452.0672.

2-((4-Methylphenyl)sulfonamido)-2-(4-nitrophenyl)ethyl cinnamate (**3fa**) / **2-((4-methylphenyl)-sulfonamido)-1-(4-nitrophenyl)ethyl cinnamate** (**3fa'**) These compounds were obtained as mixture pale yellow solid; Yield: 25.2 mg (27%, **3fa/3fa'** = 55/45); mp 139–142 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.16–8.09 (m, 2.0H), 7.70–7.24 (m, 10.9H), 7.13 (d, *J* = 8.0 Hz, 1.1H), 6.41 (d, *J* = 16.0 Hz, 0.45H), 6.21 (d, *J* = 16.0 Hz, 0.55H), 6.15–6.02 (m, 0.55H), 5.94 (t, *J* = 5.6 Hz, 0.45H), 5.47–5.34 (m, 0.45H),

4.80–4.77 (m, 0.55H), 4.37–4.22(m, 1.1H), 3.63–3.44 (m, 0.9H), 2.37 (s, 1.35H), 2.24 (s, 1.65H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.6, 165.6, 147.8, 147.6, 146.7, 146.4, 144.7, 144.4, 143.9, 136.9, 136.8, 133.8, 130.9, 129.9, 129.7, 129.0, 129.0, 128.3, 128.3, 128.0, 127.3, 127.0, 127.0, 123.9, 123.8, 116.5, 116.3, 73.5, 65.9, 56.8, 47.5, 21.5, 21.4; IR (KBr) (cm^{-1}) v 3447, 3273, 2923, 2853, 1709, 1636, 1600, 1520, 1450, 1347, 1332, 1204, 1164, 1090, 1018, 982; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{24}H_{22}N_2O_6SNa$: 489.1096; found: 489.1107.

2-((4-Methylphenyl)sulfonamido)-2-(4-nitrophenyl)ethyl benzoate (**3fj**) / **2-((4-methylphenyl)-sulfonamido)-1-(4-nitrophenyl)ethyl benzoate** (**3fj'**) These compounds were obtained as mixture pale yellow solid; Yield: 20.2 mg (23%, **3fj/3fj'** = 67/33); mp 139–142 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.16–8.10 (m, 2.0H), 8.01 (d, *J* = 7.6 Hz, 0.66H), 7.84 (d, *J* = 7.6 Hz, 1.34H), 7.68–7.38 (m, 7.0H), 7.21 (d, *J* = 8.0 Hz, 0.66H), 7.03 (d, *J* = 8.0 Hz, 1.34H), 6.11–6.05 (m, 1H), 5.45–5.30 (m, 0.33H), 4.85–4.82 (m, 0.67H), 4.47–4.37 (m, 1.34H), 3.52–3.44 (m, 0.66H), 2.38 (s, 0.99H), 2.27 (s, 2.01H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.3, 165.3, 147.9, 147.6, 144.7, 144.3, 143.9, 143.8, 136.7, 133.8, 133.6, 129.8, 129.8, 129.7, 129.6, 128.9, 128.8, 128.6, 128.5, 128.0, 127.3, 126.9, 124.0, 123.9, 73.9, 66.4, 56.8, 47.5, 21.5, 21.5; IR (KBr) (cm^{-1}) v 3436, 3276, 3066, 2924, 2853, 1714, 1600, 1520, 1451, 1439, 1348, 1271, 1158, 1090, 1027; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{20}N_2O_6SNa$: 463.0940; found: 463.0941.

2-((4-Methylphenyl)sulfonamido)hexyl cinnamate (**3ga**) This compound was obtained as a white solid; Yield: 56.9 mg (71%); mp 105–106 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78 (d, *J* = 8.0 Hz, 2H), 7.60 (d, *J* = 16.0 Hz, 1H), 7.48–7.46 (m, 2H), 7.38–7.37 (m, 3H), 7.23 (d, *J* = 8.0 Hz, 2H), 6.23 (d, *J* = 16.0 Hz, 1H), 5.43 (d, *J* = 8.4 Hz, 1H), 4.15–4.00 (m, 2H), 3.58–3.50 (m, 1H), 2.29 (s, 3H), 1.54–1.47 (m, 2H), 1.26–1.20 (m, 4H), 0.81 (t, *J* = 6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.7, 145.3, 143.2, 138.4, 134.3, 130.4, 129.6, 128.9, 128.1, 127.0, 117.4, 65.8, 53.2, 32.2, 27.5, 22.3, 21.3, 13.7; IR (KBr) (cm^{-1}) v 3320, 2956, 2853, 1704, 1634, 1579, 1499, 1453, 1430, 1389, 1317, 1286, 1187, 1159, 1142, 1093, 1008, 983; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{22}H_{27}NO_4SNa$: 424.1558; found: 424.1580.

2-((4-Methylphenyl)sulfonamido)hexyl benzoate (**3gj**) This compound was obtained as a white solid; Yield: 49.5 mg (66%); mp 104–106 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.91 (d, *J* = 7.6 Hz, 2H), 7.74 (d, *J* = 8.0 Hz, 2H), 7.55 (t, *J* = 7.6 Hz, 1H), 7.40 (t, *J* = 8.0 Hz, 2H), 7.16 (d, *J* = 8.0 Hz, 2H), 5.19 (d, *J* = 8.0, 1H), 4.27–4.11 (m, 2H), 3.64–3.56 (m, 1H), 2.32 (s, 3H), 1.60–1.51 (m, 2H), 1.30–1.20 (m, 4H), 0.80 (t, *J* = 6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 166.4, 143.3, 137.9, 133.2, 129.7, 129.6, 129.5, 128.4, 126.9, 66.2, 53.1, 32.3, 27.6, 22.3, 21.5, 13.9; IR (KBr) (cm^{-1}) v 3406, 3299, 2933, 2858, 1709, 1601, 1495, 1452, 1428, 1395, 1325, 1280, 1164, 1114, 1092, 1044, 1028, 971; HRMS (ESI): *m/z* $[M+Na]^+$ calcd for $C_{20}H_{25}NO_4SNa$: 398.1402; found: 398.1412.

ACKNOWLEDGEMENTS

We are grateful to the National Natural Science Foundation of China (grant no. 21162012 and 21362014), Jiangxi Provincial Department of Science and Technoloy (for Jiangxi's Key Laboratory of Green Chemistry, and no. 20122BAB203007), and the Science Foundation of Education Department of Jiangxi provice (grant no. GJJ10386 and GJJ12616) for their financial supported.

REFERENCES

1. For some reviews of syntheses and reactions of activated and unactivated aziridines, see: (a) M. Kasai and M. Kono, *Synlett*, 1992, 778; (b) D. Tanner, *Angew. Chem., Int. Ed. Engl.*, 1994, **33**, 599; (c) H. M. L. Osborn and J. Sweeney, T*etrahedron: Asymmetry*, 1997, **8**, 1693; (d) C. M. Rayner, *Synlett*, 1997, 11; (e) T. Ibuka, *Chem. Soc. Rev*., 1998, **27**, 145; (f) A. H. Li, L. X. Dai, and V. K. Aggarwal, *Chem. Rev*., 1997, **97**, 2341; (g) H. J. Stamm, *Prakt. Chem.*, 1999, 319; (h) M. McCoull and F. A. Davis, *Synthesis*, 2000, 1347.
2. (a) A. Dureault, I. Tranchepain, and J. C. Depezay, *J. Org. Chem.*, 1989, **54**, 5324; (b) D. Tanner and H. M. He, *Tetrahedron*, 1992, **48**, 6079.
3. T. Hudlicky, H. Luna, J. D. Price, and F. J. Rulin, *J. Org. Chem*., 1990, **55**, 4683.
4. (a) X. L. Hou, R. H. Fan, and L. X. Dai, *J. Org. Chem.*, 2002, **67**, 5295; (b) X. L. Hou and R. H. Fan, *J. Org. Chem*., 2003, **68**, 726; (c) Z. B. Lou, X. L. Hou, and L. X. Dai, *Tetrahedron: Asymmetry*, 2007, **18**, 443; (d) R. H. Fan, Y. G. Zhou, W. H. Zhang, X. L. Hou, and L. X. Dai, *J. Org. Chem.*, 2004, **69**, 335; (e) Y. Y. Peng, M. Yang, and Q. Yang, *Chin. J. Chem*., 2011, **29**, 499; (f) J. Wu, X. Y. Sun, and Y. Z. Li, *Eur. J. Org. Chem.*, 2005, 4271; (g) J. Wu, X. Y. Sun, and W. Sun, *Org. Biomol. Chem*., 2006, **4**, 4231; (h) C. Benoît, N. Satoru, B. D. Danièle, and J. P. Bégué, *Synlett*, 2001, 679.
5. (a) H. Stamm and T. Baumnn, *Pharmazie*, 1997, **52**, 441; (b) P. Muller and P. Nury, *Org. Lett.*, 1999, **1**, 439; (c) Z. Li, M. Fernandez, and E. N. Jacobsen, *Org. Lett.*, 1999, **1**, 1611; (d) W. Y. Lee, J. M. Salvador, and K. Bodige, *Org. Lett.*, 2000, **2**, 931; (e) M. Nakagawa and M. Kawahara, *Org. Lett.*, 2000, **2**, 953.
6. (a) J. Wu, X. Y. Sun, and S. Q. Ye, *Eur. J. Org. Chem.*, 2006, 4787; (b) Y. Y. Peng, Q. Yang, Z. L. Yin, and M. Yang, *Chin. J. Chem.*, 2011, **29**, 79.
7. J. S. Yadav, B. V. S. Reddy, K. Sadashiv, and K. Harikishab, *Tetrahedron Lett.*, 2002, **43**, 2099.
8. (a) X. Li, G. Li, H. Chang, Y. Zhang, and W. We, *RSC Adv.*, 2014, **4**, 6490; (b) F. Zhang, H. Chamg, and W. Wei, *J. Heterocycl. Chem.*, 2015, **52**, 284.
9. (a) J. Wu, X. L. Hou, and L. X. Dai, *J. Chem. Soc., Perkin Trans. 1*, 2001, 1314; (b) G. Sekar and Singh, *J. Org. Chem.*, 1999, **64**, 2537.
10. Y. K. Liu, R. Li, L. Yue, B. J. Li, Y. C. Chen, Y. Wu, and L. S. Ding, *Org. Lett.*, 2006, **8**, 1521.