DOI: 10.1002/cjoc.201100176

A Rapid and Simple Method for Quantitative Aziridination from Aminobrominated Derivatives of Olefins under Solvent-free and Mild Conditions

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The urea-catalyzed aziridination of 1,2-vicinal haloamines derived from aminohalogenation of olefins has been developed. This rapid and simple method was carried out by simply grinding the solid mixture of the substrate, K_2CO_3 and catalytic amount of urea at room temperature in air. The reaction provides a protocol for quantitative preparation of aziridines in a large scope of aminohalogenated derivatives of olefins including α,β-unsaturated ketones, α,β-unsaturated esters and simple olefins. The possible mechanism involving an H-bond promoting deprotonation has been suggested for this reaction.

Keywords rapid, quantitative, aziridination, aminobromination derivative, solvent-free, olefins

Introduction

Aziridines are an important class of fundamental structural unites in natural products and in pharmaceuticals and flexible intermediate compounds as precursors for the synthesis of many nitrogen-containing biologically active molecules, such as amino acids, β-lactam antibiotics and alkaloids.[1-19]

Although the preparation of aziridines is generally achieved by the direct aziridination of alkenes with nitrene precursors,[20-30] or by addition of Schiff bases with carbenes,[31-37] a promising alternative to access aziridines is the cyclization of 1,2-vicinal haloamines or aminoalcohols with assistance of proper bases.[38-42] Li and co-workers[38] have described the synthesis of aziridines from aminohalogenated products of olefins with 2.0 equiv. of K_2CO_3 in good to excellent yields. Since vicinal haloamino compounds are now readily available from a variety of olefins in good to excellent yield and high region-and stereoselectivities via the improved aminohalogenations,[43-53] it is worthwhile to develop a mild and practical aziridination for quantitative preparation of aziridines from vicinal haloamines.

In recent years, organocatalyst has attracted considerable interest as it presents the option of carrying out chemical transformations without the requirement for expensive, toxic, and scarce transition-metal catalysts.[54-57] Urea (or its derivatives) was found to activate nitro, imine and carbonyl functionality via hydrogen bonding in organocatalytic reactions.[58-65] It has the advantages of readily commercial availability, low price, non-toxicity, smiple removal from the reaction mixture and thus eliminates the toxicity issues associated with metal-based catalysts besides help to achieve high yields.

As a continuation of our works on aminobromination of olefins,[47-52] we now report a very rapid and simple method for quantitative preparation of aziridines from 1,2-vicinal haloamines by grinding the substrate, anhydrous K_2CO_3 and a catalytic amount of urea at room temperature and under solvent-free conditions. Various vicinal haloamines derived from electron-deficient conjugated and simple olefins can be converted into the corresponding aziridines by this method in quantitative yields under very mild conditions and in short reaction times.

Results and Discussion

Table 1 summarizes the results of aziridinations using the aminobrominated derivative of chalcone (*trans*-3-bromo-2-(*p*-tolylsulfonamido)-2,3-diphenyl propionone, **1a**) as the standard substrate. All of the reactions were carried out by grinding the reaction mix-

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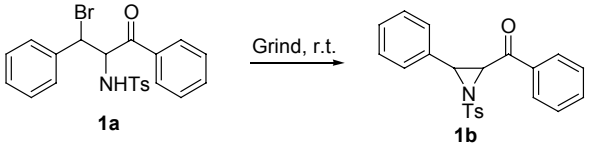
Received July 28, 2011; accepted August 23, 2011; published online January 19, 2012.
Supporting information for this article is available on the WWW under http://dx.doi.org/10.1002/cjoc.201100176 or from the author.

Table 1 Urea and various amides to aziridination of **1a**[a]



1a **1b**

Entry	Base, mol %	Adductive	Time	Yield[b]/%
1	K_2CO_3, 100	Urea, 100	10 min	100
2	K_2CO_3, 100	Urea, 50	15 min	100
3	K_2CO_3, 100	Urea, 10	15 min	100
4	K_2CO_3, 100	Urea, 5	1.0 h	91[c]
5	K_2CO_3, 100	No	1.0 h	57[c]
6	No	Urea, 100	1.0 h	NR
7	K_2CO_3, 50	Urea, 100	1.0 h	50[c]
8	Na_2CO_3, 100	Urea, 20	1.0 h	NR
9	Na_2CO_3, 100	Urea, 100	1.0 h	NR
10	K_3PO_4, 100	Urea, 100	⩽2 min	100
11	K_3PO_4, 100	Urea, 20	10 min	100
12	NaOH 100	Urea, 100	⩽1 min	100
13	KOH 100	Urea, 100	⩽1 min	100
14	KOH 100	No	1.0 h	100
14	NaOAc, 100	Urea, 100	1.0 h	NR
15	$Ca(OH)_2$, 100	Urea, 100	1.0 h	NR
16	K_2CO_3, 100	DMI, 50	23 min	100
17	K_2CO_3, 100	DMF, 50	25 min	100
18	K_2CO_3, 100	NMP, 50	23 min	100
19	K_2CO_3, 100	CH_3CONH_2, 20	1.0 h	78[c]
20	K_2CO_3, 100	$PhCONH_2$, 20	1.0 h	93[c]
21	K_2CO_3, 100	Thiourea, 50	12 min	100

[a] Aminobrominated chlcone (0.25 mmol), anhydrous K_2CO_3 and urea at room temperature; [b] Isolated yields after extracted by ethyl acetate and the solvent was distilled. [c] Isolated yields after the grinded mixture was purified by column chromatography.

ture at room temperature without any organic solvent and protection with inert gases.

The data reveal that urea is a very efficient organocatalyst for aziridination of the aminohalogenated chalcone (**1a**) by using K_2CO_3 as base. Performing the aziridination at room temperature led to complete consumption of starting material within 10—15 min to give the desired aziridine in quantitative yield with the urea loading of 100 mol%, 50 mol% and 10 mol% (Entries 1—3). None of the byproduct could be detected. Even a 5 mol% loading of urea was able to promote a smooth aziridination reaction, affording the aziridine in an excellent yield (Entry 4). Besides, the addition of urea gave a dramatic increase in the reaction rate and led to a complete reaction within 15 min. The control experiments showed that the non-catalyzed aziridination afforded only a quite low yield (57%) in the absence of urea (Entry **5**). In this case the starting material did not disappear until 1 h monitored by TLC.

With 50 mol% K_2CO_3, the reaction gives 50% conversion and the desired product obtained in 50% yield, meaning that the basicity of $KHCO_3$, produced in the reaction, is not strong enough to drive the reaction (Entry 7). Quantitative yields of the desired aziridinated product were achieved with stronger basic K_3PO_4 within a very short time (Entry 10). KOH and NaOH are more reactive, probably due to their strong basicity (Entries 12—14). In contrast, Na_2CO_3, NaOAc and $Ca(OH)_2$ are unreactive for the reaction; no trace of the wanted aziridine was detected after vigorous grinding the reaction mixture for 1 h.

Most notably, the reaction did not work in the absence of base (Entry 6). Urea itself is unable to give the aziridine without assistance of other base (Entry 6) owing to its weak basicity (pK_a 0.18). This result reflects that urea is the actual catalyst of the reaction.

Further investigations show that thiourea (pK_a 2.03), the sulphuric analogue of urea, also catalyzes the reaction well with the yield comparable to urea (Entry 21). In order to gain insight to the role of urea, some amides including DMI, which has no hydrogen atoms on the amido nitrogen, have been examined under identical conditions. The primary (acetamide and benzoylamine) and tertiary amides (DMF, NMP and DMI) are also efficient organocatalysts for the reaction (Entries 16—19). We speculated that the substrate could be activated by urea, which is known as a strong H-bond acceptor and donor, via its robust hydrogen bonding to the tolylsulfonamide. These observations also reveal the wide applicability of the procedure as well as tolerance to various changes in experimental conditions.

Most delightfully, a simple workup by wishing the grinded mixture by common solvent such as ethyl acetate and subsequent removal of the solvent gave the desired aziridine with high purities revealed by its ^{1}H NMR spectrum. To our knowledge, this is the first time that urea is employed as organocatalyst in a solid state organic reaction albeit it has been used widely in the liquid phase organocatalysis.

In view of the advantages of K_2CO_3 over the other bases, such as low cost and mild basicity, we used K_2CO_3 as the base and urea as the organocatalyst to explore the scope and generality of the aziridination with a series of haloamines derived from *α*,*β*-unsaturated and simple olefins. All of the reactions were carried out very easily under the optimized conditions (Table 2).

To our surprise, all of the aminohalogenated derivatives of olefins completely and cleanly converted into the corresponding aziridines without the formation of any unwanted waste products. The reaction time of screened substrates is in less than 35 min, much shorter than those previously reported in CH_3CN.[4]

For the 1,2-vicinal haloamines chalcones, whether electron-withdrawing or electron-donating substituents on either side of the aryl ring of the aminobrominated chalcones, their activity has no obvious difference by

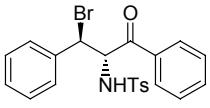
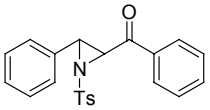
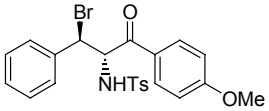
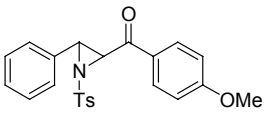
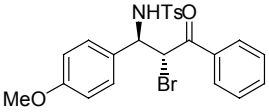
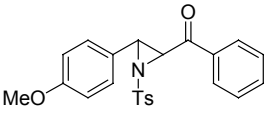
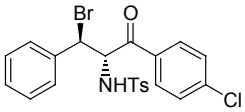
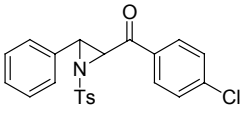
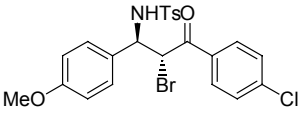
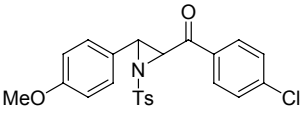
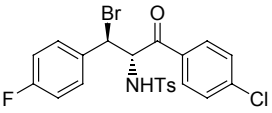
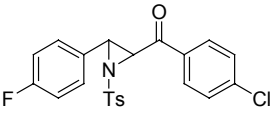
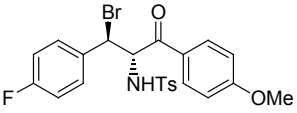
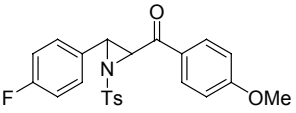
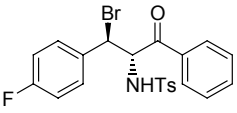
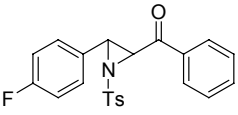
using the catalyst to promote this reaction. It is also noteworthy that the aminohalogenated chalcones with regioselective reversal gave the same aziridines smoothly (Table 2, **1a**, **2a**, **4a**, **6a**—**8a**, compared with **3a**, **5a**, **9a**—**18a**).

The aminohalogenated derivatives of cinnamates gave the corresponding aziridinecarboxylates in quantitative yields, too **(14a**—**18a**). The haloamines derived from simple olefins (**19a**—**23a**) also generated the corresponding aziridines in quantitative yield, but needed a relatively longer reaction time, probably due to the higher electron density on the carbon where the subsequent intramolecular S_N2 reaction occurs.

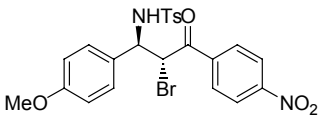
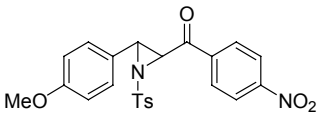
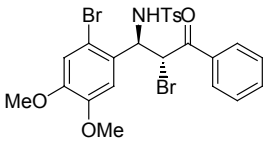
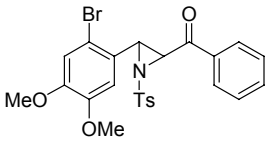
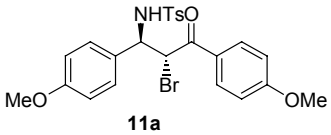
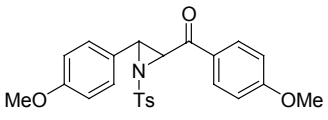
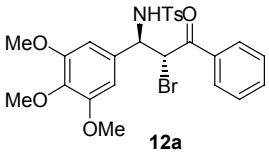
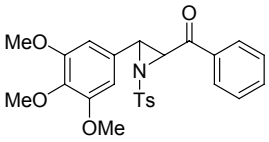
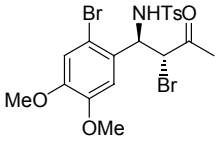
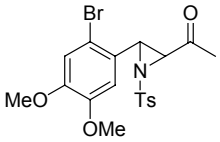
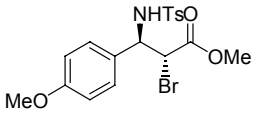
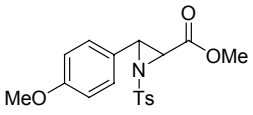
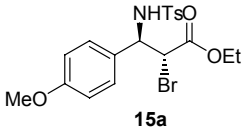
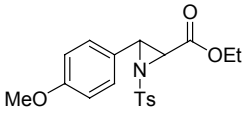
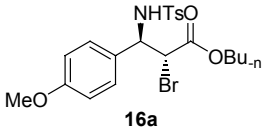
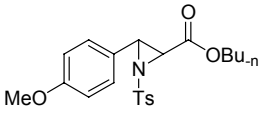
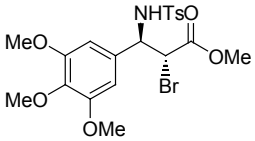
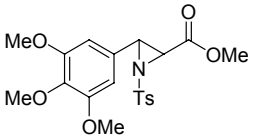
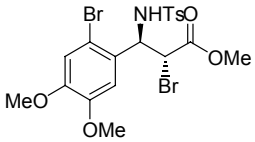
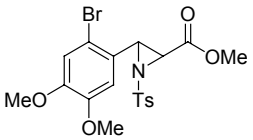
It is worthy to point out that quite pure products were obtained by simple workup as aforementioned. These results indicate that our aziridination method has advantages of subjecting to large scope of substrates, excellent yield, time saving, mild conditions and simple workup.

The spectral and analytical data of each aziridination product are perfectly self-consistent. In addition, the known aziridines (**1b**—**4b, 8b**—**9b, 14b**—**19b)** have the identical spectral data, m.p. as those previously reported,[23-25,28,30] indicating these aziridines have a *trans*-stereochemistry.

Table 2 The urea-catalyzed quantitative aziridination of aminohalogenated derivatives of various olefins[a]

Entry	Substrate	Product	Time/min	Yield[b]
1	 **1a**	 **1b**	15	Quantity
2	 **2a**	 **2b**	20	Quantity
3	 **3a**	 **3b**	20	Quantity
4	 **4a**	 **4b**	15	Quantity
5	 **5a**	 **5b**	10	Quantity
6	 **6a**	 **6b**	5	Quantity
7	 **7a**	 **7b**	5	Quantity
8	 **8a**	 **8b**	5	Quantity

Continued

Entry	Substrate	Product	Time/min	Yield[b]
9	 **9a**	 **9b**	5	Quantity
10	 **10a**	 **10b**	25	Quantity
11	 **11a**	 **11b**	35	Quantity
12	 **12a**	 **12b**	35	Quantity
13	 **13a**	 **13b**	25	Quantity
14	 **14a**	 **14b**	15	Quantity
15	 **15a**	 **15b**	15	Quantity
16	 **16a**	 **16b**	15	Quantity
17	 **17a**	 **17b**	15	Quantity
18	 **18a**	 **18b**	15	Quantity

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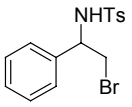
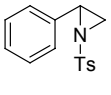
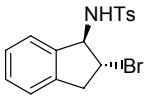
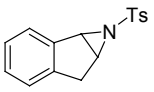
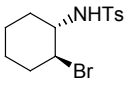
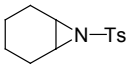
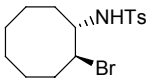
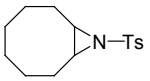
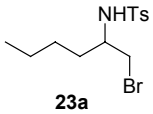
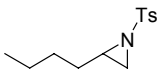
Continued

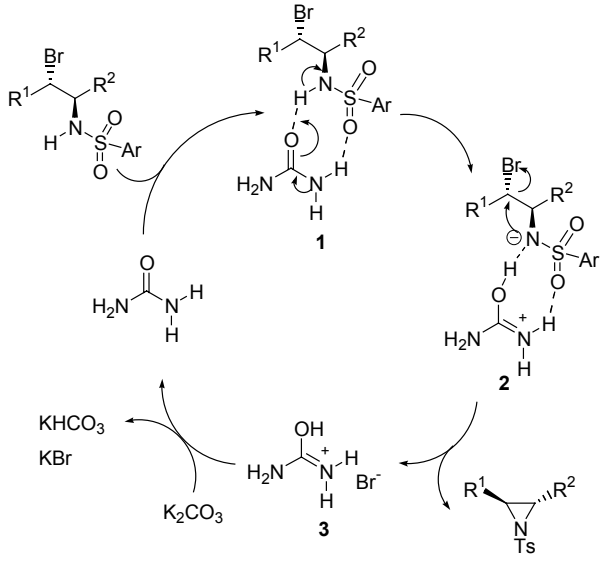
Entry	Substrate	Product	Time/min	Yield[b]
19	 **19a**	 **19b**	35	Quantity
20	 **20a**	 **20b**	15	Quantity
21	 **21a**	 **21b**	15	Quantity
22	 **22a**	 **22b**	25	Quantity
23	 **23a**	 **23b**	25	Quantity

[a] Reaction conditions: the mixture of substrate (0.25 mmol), urea (0.025 mmol) and K_2CO_3 (0.25 mmol) was grinded at room temperature. [b] The isolated yield after solvent of the extraction was distilled.

Since the aminohalogenated derivatives we used here have a *trans*-stereochemistry,[6] the present aziridination is unambiguously a neighboring-group assistant in S_N2 reaction.

According to the above results and nature of urea, such as the strong power for H-bond formation and the weak basicity, we suggest an H-bonding intermediate involved mechanism for the urea-catalyzed aziridination (Scheme 1).

Scheme 1 Possible mechanism of the urea-catalyzed aziridination



In the first step of this mechanism, urea with the haloamine forms a possible eight-membered ring **1** via two H-bonds of C═O···H—N and N—H···O═S. Then the proton on the arylsulfonamide transfers to oxygen atom of urea with the formation of the nitrogen anion and urea onium **2**. The nitrogen anion, as a nucleophile, in turn attacks the carbon atom linking a bromide in an intramolecular S_N2 reaction in the manner of neighboring-group assistance to generate the product and urea hydrobromide **3**. The compound **3** was deprotonated by K_2CO_3 to recover the free urea.

In conclusion, we have demonstrated that urea can catalyze aziridination of haloamino compounds with unexpectedly high efficiency and developed a very easy and eco-friendly procedure for aziridination of aminohalogenated derivatives of olefins by simply grinding the solid mixture of the substrate, K_2CO_3 and catalytic amount of urea at room temperature in air. The reaction proceeded completely and cleanly to give aziridines in quantitative yields, and thus provides a "real" green protocol for preparation of aziridines from a large scope of aminohalogenated derivatives of simple and electron-deficient conjugated olefins. Compared with the standard procedures, our method has many advantages such as quantitative yields, mild conditions, short reaction times, easy work-up and elimination of the toxicity issues related to metal catalysts.

Experimental

General information

The 1,2-vicinal haloamine starting materials were prepared in our laboratory. Unless otherwise stated, other reagents were purchased from commercial sources and used without further purification. Reaction progress was monitored by TLC using Merck silica gel 60 F-254 with detection by UV. Flash chromatography was performed using Merck silica gel 60 with freshly distilled solvents. Columns were typically packed as slurry and equilibrated with the appropriate solvent system prior to use. ^{1}H (300 MHz) and ^{13}C (75.45 MHz) NMR spectra were recorded using $CDCl_3$ as a solvent. Chemical shifts (δ) are reported relative to TMS as an internal standard. Data are presented as follows: chemical shift (δ), multiplicity (s=singlet, d=doublet, t=triplet, q=quartet, sept=septet, m=multiplet), coupling constant J (Hz) and integration. Elemental analysis was carried out on an analyzer. Melting points were uncorrected.

General procedure

1,2-Vicinal haloamine (0.25 mmol), urea (1.5 mg, 0.025 mmol) and anhydrous K_2CO_3 (34.5 mg, 0.25 mmol) were admixed in a agate mortar. Then, the solid mixture was grinded at room temperature in air. The reaction progress was monitored by TLC for each 5 min interval. After completion of the reaction, the reaction mixture was extracted by ethyl acetate (6 mL×3). The organic layer was washed with brine (10 mL×3) and water, dried by anhydrous Na_2SO_4 and concentrated under reduced pressure to dryness to give almost pure product which gave a satisfactory NMR spectrum and analytical data.

Characterization data of compounds

***N*-(*p*-Tolylsulfonyl)-2-benzoyl-3-phenylaziridine (1b)** The reaction was completed in 15 min, 100% yield. m.p.: 132—134 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 8.06 (d, J=8.40 Hz, 2H), 7.71 (d, J=2.40 Hz, 2H), 7.73—7.24 (m, 12H), 4.53 (s, 1H), 4.29 (s, 1H), 2.39 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 190.4, 144.4, 140.0, 134.1, 132.9, 129.6(2), 129.0(2), 128.9(2), 128.8(2), 128.7(2), 127.7(2), 127.5(2), 50.24, 47.51, 21.66. Anal. calcd for $C_{22}H_{19}NO_3S$: C 70.00, H 5.07, N 3.71; found C 70.12, H 5.13, N 3.65.

***N*-(*p*-Tolylsulfonyl)-2-(4-methoxybenzoyl-3-phenylaziridine (2b)** The reaction was completed in 20 min, 100% yield; m.p.: 109—111 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 8.06 (d, J=9.00 Hz, 2H), 7.82 (d, J=8.40 Hz, 2H), 7.72 (d, J=8.40 Hz, 2H), 7.33 (s, 5H), 6.96 (d, J=9.30 Hz, 2H), 5.30 (s, 1H), 4.72 (s, 1H), 3.89 (s, 3H), 2.42 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 188.5, 164.4, 144.3, 136.6, 133.1, 131.4(2), 129.5(2), 129.1(2), 128.8(2), 128.6(2), 127.7, 127.6, 114.0(2), 55.62, 50.13, 47.39, 21.65. Anal. calcd for $C_{23}H_{21}NO_4S$: C 67.79, H 5.19, N 3.44; found C 67.92, H 5.13, N 3.65.

***N*-(*p*-Tolylsulfonyl)-2-benzoyl-3-(4-methoxyphenyl) aziridine (3b)** The reaction was completed in 20 min, 100% yield. m.p.: 115—117 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.69 (d, J=7.20 Hz, 2H), 7.49—7.35 (m, 5H), 7.85 (d, J=8.10 Hz, 2H), 6.99 (d, J=7.50 Hz, 2H), 6.75 (d, J=8.10 Hz, 2H), 5.04 (d, J=6.60 Hz, 1H), 4.39 (d, J=6.30 Hz, 1H), 3.78 (s, 3H), 2.26 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 198.6, 159.6, 143.2, 133.3, 129.3(3), 128.6(3), 128.2(3), 127.2(3), 113.7(3), 64.68, 61.08, 55.21, 21.40. Anal. calcd for $C_{23}H_{21}NO_4S$: C 67.79, H 5.19, N 3.44; found C 67.61, H 5.30, N 3.35.

***N*-(*p*-Tolylsulfonyl)-2-(4-clorobenzoyl)-3-phenylaziridine (4b)** The reaction was completed in 15 min, 100% yield. m.p.: 142—144 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.99 (s, 2H), 7.71 (d, J=7.80 Hz, 2H), 7.46 (d, J=8.40 Hz, 2H), 7.33—7.26 (m, 7H), 4.53 (d, J=4.20 Hz, 1H), 4.19 (d, J=4.20 Hz, 1H), 2.41 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 189.3, 144.6, 140.8, 134.3, 132.9, 130.4(2), 129.6(2), 129.2(2), 129.0(2), 128.7(2), 127.7(2), 127.4(2), 50.30, 47.19, 21.67. Anal. calcd for $C_{22}H_{18}ClNO_3S$: C 64.15, H 4.40, N 3.40; found C 64.35, H 4.56, N 3.25.

***N*-(*p*-Tolylsulfonyl)-2-(4-clorobenzoyl)-3-(4-methoxyphenyl)aziridine (5b)** The reaction was completed in 10 min, 100% yield. m.p. 123—125 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.99 (d, J=8.40 Hz, 2H), 7.70 (d, J=8.10 Hz, 2H), 7.46 (s, 3H), 7.25 (s, 3H), 4.43 (d, J=4.20 Hz, 1H), 4.26 (d, J=4.20 Hz, 1H), 3.81 (s, 3H), 2.41 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 190.3, 144.8, 140.5, 135.4, 132.9, 131.3, 129.9, 129.3, 129.2, 129.0, 128.7, 128.3, 128.1, 127.8, 127.1, 126.7, 126.0, 124.6, 113.7, 55.07, 48.12, 43.36, 20.98. Anal. calcd for $C_{23}H_{20}ClNO_4S$: C 62.51, H 4.56, N 3.17; found C 62.48, H 4.53, N 3.25.

***N*-(*p*-Tolylsulfonyl)-2-(4-clorobenzoyl)-3-(4-flurophenyl)aziridine (6b)** The reaction was completed in 5 min, 100% yield. m.p.: 130—132 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.99 (d, J=8.40 Hz, 2H), 7.70 (d, J=7.80 Hz, 2H), 7.46 (d, J=8.40 Hz, 2H), 7.33—7.24 (m, 4H), 7.05—7.00 (t, J=8.40 Hz, 2H), 4.50 (d, J=3.90 Hz, 1H), 4.17 (d, J=4.20 Hz, 1H), 2.42 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 188.6, 164.2, 160.9, 144.2, 140.3, 135.9, 133.8, 129.8(3), 129.1(3), 128.7(2), 127.2(2), 115.4, 115.1, 49.55, 46.01, 21.09. Anal. calcd for $C_{22}H_{17}ClFNO_3S$: C 61.47, H 3.99, N 3.26; found C 61.52, H 4.06, N 3.25.

***N*-(*p*-Tolylsulfonyl)-2-(4-methoxybenzoyl)-3-(4-flurophenyl)aziridine (7b)** The reaction was completed in 5 min, 100% yield. m.p.: 123—125 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 8.03 (d, J=8.10 Hz, 2H), 7.71 (d, J=7.80 Hz, 2H), 7.32—7.22 (m, 4H), 7.02—6.94 (m, 4H), 4.47 (d, J=3.90 Hz, 1H), 4.24 (d, J=4.20 Hz, 1H), 3.89 (s, 3H), 2.41 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 190.3, 144.8, 140.5, 135.4, 132.9, 131.3, 129.9, 129.3, 129.2, 129.0, 128.7, 128.3, 128.1, 127.8, 127.1, 126.7, 126.0, 124.6, 113.7, 55.07, 48.12, 43.36, 20.98. Anal. calcd for $C_{23}H_{20}ClNO_4S$: C

62.51, H 4.56, N 3.17; found C 62.48, H 4.53, N 3.25.

***N*-(*p*-Tolylsulfonyl)-2-benzoyl-3-(4-flurophenyl)-Aziridine (8b)** The reaction was completed in 5 min, 100% yield. m.p.: 133—135 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 8.045 (d, J=8.40 Hz, 2H), 7.70 (d, J=7.80 Hz, 2H), 7.62 (d, J=6.90 Hz, 1H), 7.51 (s, 1H), 7.48 (d, J=7.20 Hz, 1H), 7.35—7.06 (m, 4H), 7.02 (d, J=8.10 Hz, 2H), 4.49 (d, J=3.60 Hz, 1H), 4.28 (d, J=3.60 Hz, 1H), 2.41 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 190.2, 164.7, 161.4, 144.6, 134.2, 129.6(3), 129.0(3), 128.9(3), 127.8(3), 115.9, 115.6, 50.02, 46.83, 21.66. Anal. calcd for $C_{22}H_{18}FNO_3S$: C 66.82, H 4.59, N 3.54; found C 66.93, H 4.46, N 3.65.

***N*-(*p*-Tolylsulfonyl)-2-(4-nitrobenzoyl)-3-(4-methoxyphenyl)aziridine (9b)** The reaction was completed in 5 min, 100% yield. m.p.: 139—141 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 8.21 (d, J=8.40 Hz, 2H), 7.87 (d, J=8.10 Hz, 2H), 7.40 (d, J=8.10 Hz, 2H), 7.11—7.00 (m, 4H), 6.74 (d, J=8.40 Hz, 2H), 4.89 (t, J=8.40 Hz, 1H), 4.34 (d, J=7.5 Hz, 1H), 3.79 (s, 3H), 2.30 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 190.3, 144.8, 140.5, 135.7, 135.2, 132.9, 131.5, 129.9, 129.2, 128.9, 128.5, 127.8, 127.1, 126.7, 126.0, 124.6, 113.8, 113.6, 55.06, 48.12, 43.36, 20.98. Anal. calcd for $C_{23}H_{20}N_2O_6S$: C 61.05, H 4.46, N 6.19; found C 61.48, H 4.53, N 6.55.

***N*-(*p*-Tolylsulfonyl)-2-benzoyl-3-(2-bromo-4,5-dimethoxyphenyl)aziridine (10b)** The reaction was completed in 25 min, 100% yield. m.p.: 130—131 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 8.091 (d, J=7.20 Hz, 2H), 7.83 (d, J=8.10 Hz, 2H), 7.63 (d, J=7.20 Hz, 1H), 7.52 (d, J=7.50 Hz, 2H), 7.30 (s, 2H), 6.98 (s, 1H), 6.52 (s, 1H), 4.64 (d, J=3.90 Hz, 1H), 4.13 (d, J=3.90 Hz, 1H), 3.85 (s, 3H), 3.62 (s, 3H), 2.42 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 189.1, 149.4, 147.9, 144.0, 136.5, 135.5, 133.5, 129.1(2), 128.5(2), 128.2(2), 127.4(2), 124.8(2), 114.9, 110.4, 55.75, 55.26, 50.17, 47.45, 21.06. Anal. calcd for $C_{24}H_{22}BrNO_5S$: C 55.82, H 4.29, N 2.71; found C 55.93, H 4.82, N 2.67.

***N*-(*p*-Tolylsulfonyl)-2-(4-methoxybenzoyl)-3-(4-methoxyphenyl)aziridine (11b)** The reaction was completed in 35 min, 100% yield. m.p.: 130—132 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 8.05 (d, J=8.70 Hz, 2H), 7.70 (d, J=7.80 Hz, 2H), 7.29—7.20 (m, 4H), 6.95 (d, J=8.40 Hz, 2H), 6.86 (d, J=8.40 Hz, 2H), 4.39 (s, 1H), 4.34 (d, J=4.20 Hz, 1H), 3.88 (s, 3H), 3.80 (s, 3H), 2.39 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 188.3, 163.8, 159.6, 143.7, 132.7, 130.8(2), 129.1, 128.9, 128.7(2), 127.1, 126.6(2), 123.9, 113.5(3), 113.1, 55.06, 54.79, 48.77, 47.39, 21.06. Anal. calcd for $C_{24}H_{23}NO_5S$: C 65.89, H 5.30, N 3.20; found C 65.48, H 5.63, N 3.25.

***N*-(*p*-Tolylsulfonyl)-2-benzoyl-3-(3,4,5-trimethoxyphenyl) aziridine (12b)** The reaction was completed in 35 min, 100% yield. m.p.: 143—144 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 8.08 (d, J=7.50 Hz, 2H), 7.75 (d, J=8.10 Hz, 2H), 7.49 (d, J=7.50 Hz, 2H), 7.24 (s, 2H), 6.49 (s, 2H), 4.48 (d, J=3.90 Hz, 1H), 4.18 (d, J=3.60 Hz, 1H), 3.79 (s, 9H), 2.41 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 189.6, 152.9, 143.9, 135.5, 133.6, 129.0 (3), 128.5 (3), 128.3 (3), 127.3 (3), 103.9 (2), 60.31, 55.61 (2), 50.42, 46.81, 21.04. Anal. calcd for $C_{25}H_{25}NO_6S$: C 64.22, H 5.39, N 3.00; found C 64.38, H 5.43, N 2.97.

***N*-(*p*-Tolylsulfonyl)-2-acetyl-3-(2-bromo-4,5-dimethoxyphenyl)aziridine (13b)** The reaction was completed in 25 min, 100% yield. m.p.: 104—106 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.82 (d, J=7.50 Hz, 2H), 7.32 (d, J=7.50 Hz, 2H), 6.98 (s, 1H), 6.46 (s, 1H), 4,36 (d, J=2.70 Hz, 1H), 3.86 (s, 3H), 3.60 (s, 3H), 3.53 (s, 1H), 2.44 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 199.0, 149.5, 147.8, 144.1, 136.4, 129.1(2), 127.3(2), 123.7, 114.8, 114.0, 110.7, 55.76, 55.25, 51.60, 48.30, 28.30, 21.06. Anal. calcd for $C_{19}H_{20}BrNO_5S$: C 50.23, H 4.44, N 3.08; found C 50.45, H 4.46, N 3.00.

***N*-(*p*-Tolylsulfonyl)-2-methoxycarbonyl-3-(4-methoxyphenyl)aziridine (14b)** The reaction was completed in 15 min, 100% yield. m.p.: 107—109 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.62 (d, J=7.80 Hz, 2H), 7.22 (d, J=7.80 Hz, 2H), 7.09 (d, J=8.10 Hz, 2H), 6.82 (d, J=8.10 Hz, 2H), 4.48 (d, J=5.40 Hz, 1H), 4.16—4.11 (m, 1H), 3.80 (s, 3H), 3.43 (s,3H), 2.40 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 170.1, 159.7, 143.4, 136.7, 129.4(2), 128.6, 128.1(2), 127.3(2), 113.8(2), 64.89, 60.68, 55.23, 52.14, 21.53. Anal. calcd for $C_{18}H_{19}NO_5S$: C 59.82, H 5.30, N 3.88; found C 59.95, H 5.16, N 3.75.

***N*-(*p*-Tolylsulfonyl)-2-ethoxycarbonyl-3-(4-methoxyphenyl)aziridine (15b)** The reaction was completed in 15 min, 100% yield. m.p.: 106—108 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.64 (d, J=6.60 Hz, 2H), 7.22 (d, J=6.90 Hz, 2H), 7.11 (d, J=6.60 Hz, 2H), 6.82 (d, J=6.90 Hz, 2H), 4.49 (d, J=3.60 Hz, 1H), 4.13—4.09 (d, J=5.70 Hz, 1H), 3.83 (s, 3H), 3.42—3.28 (m, 2H), 2.39 (s, 3H), 1.11 (t, J=6.90 Hz, 3H). Anal. calcd for $C_{19}H_{21}NO_5S$: C 60.78, H 5.64, N 3.73; found C 60.52, H 5.86, N 3.75.

***N*-(*p*-Tolylsulfonyl)-2-*n*-butyloxycarbonyl-3-(4-methoxyphenyl)aziridine (16b)** The reaction was completed in 15 min, 100% yield. m.p.: 84—86 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.64 (d, J=7.50 Hz, 2H), 7.23 (d, J=8,10 Hz, 2H), 7.10 (d, J=7.80 Hz, 2H), 6.83 (d, J=7.50 Hz, 2H), 4.49 (d, J=5.10 Hz, 1H), 4.14—4.09 (m, 1H), 3.80 (s, 3H), 3.40—3.30 (m, 2H), 2.39 (s, 3H), 1.26—1.09 (m, 4H), 0.85 (t, J=7.20 Hz, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 168.9, 159.2, 142.8, 136.5, 128.9(2), 128.5, 127.6(2), 126.8(2), 113.3(2), 64.56, 60.25, 54.72, 29.75, 20.96, 18.38, 14.47, 13.06. Anal. calcd for $C_{21}H_{25}NO_5S$: C 62.51, H 6.25, N 3.47; found C 62.85, H 6.16, N 3.75.

***N*-(*p*-Tolylsulfonyl)-2-methoxycarbonyl-3-(3,4,5-trimethoxyphenyl)aziridine (17b)** The reaction was completed in 15 min, 100% yield. m.p.: 144—146 ℃

(EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.81 (d, J=7.80 Hz, 2H), 7.31 (d, J=7.50 Hz, 2H), 6.42 (s, 2H), 4.39 (s, 1H), 3.82—3.77 (m, 12H), 3.47 (d, J=2.40 Hz, 1H), 2.43 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 165.4, 149.4, 147.9, 144.0, 136.7, 129.1(2), 127.2(2), 124.1, 114.8, 113.6, 110.4, 60.31, 55.74, 55.20, 52.68, 47.57, 46.51, 29.17, 21.07. Anal. calcd for $C_{20}H_{23}NO_7S$: C 57.00, H 5.50, N 3.32; found C 57.15, H 5.46, N 3.55.

***N*-(*p*-Tolylsulfonyl)-2-methoxycarbonyl-3-(2-bromo-4,5-dimethoxyphenyl)aziridine (18b)** The reaction was completed in 15 min, 100% yield. m.p.: 108—110 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.87 (d, J=7.80 Hz, 2H), 7.33 (d, J=7.80 Hz, 2H), 6.98 (s, 1H), 6.42 (s, 1H), 4,54 (d, J=6.00 Hz,1H), 3.90 (s, 3H), 3.85 (s, 3H), 3.39 (d, J=3.30 Hz, 1H), 2.44 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 165.4, 149.4, 147.9, 144.0, 136.7, 129.1(2), 127.3(2), 124.1, 114.8, 113.6, 110.4, 55.74, 52.68, 47.54, 46.51, 29.17, 21.07. Anal. calcd for $C_{19}H_{20}BrNO_6S$: C 48.52, H 4.29, N 2.98; found C 48.75, H 4.46, N 2.85.

***N*-(*p*-Tolylsulfonyl)-2-phenylaziridine (19b)** The reaction was completed in 35 min, 100% yield. m.p.: 84—86 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.88—7.86 (m, 2H), 7.35—7.20 (m, 7H), 3.80—3.76 (m, 1H), 2.98 (d, J=7.20 Hz, 1H), 2.42 (s, 3H), 2.38 (s, 1H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 144.6, 136.0, 129.7(2), 128.5(2), 128.3(2), 127.9(2), 126.5(2), 41.02, 36.93, 21.05. Anal. calcd for $C_{15}H_{15}NO_2S$: C 65.91, H 5.53, N 5.12; found C 65.72, H 5.43, N 5.34.

***N*-(*p*-Tolylsulfonyl)-indeneaziridine (20)** The reaction was completed in 15 min, 100% yield. m.p.: 160 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.83 (d, J=7.50 Hz, 2H), 7.41—7.17 (m, 6H), 4.30 (d, J=4.80 Hz, 1H), 3.40 (s, 1H), 3.13 (s, 2H), 2.43 (s, 3H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 144.5, 143.6, 138.2, 135.4, 129.7(2), 128.7, 127.8(2), 126.7, 125.6, 125.1, 50.16, 44.96, 34.65, 21.68. Anal. calcd for $C_{16}H_{15}NO_2S$: C 67.34, H 5.30, N 4.91; found C 67.52, H 5.56, N 4.72.

7-[4-Methyl-7-(phenylsulfonyl)]-7-azabicyclo-[4.1.0]heptane (21b) The reaction was completed in 15 min, 100% yield. m.p.: 55—56 ℃; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.83 (d, J=8.70 Hz, 2H), 7.33 (d, J=8.70 Hz, 2H), 2.976 (t, J=1.50 Hz, 2H), 2.44 (s, 3H), 1.81—1.77 (m, 4H), 1.27—1.23 (m, 2H), 1.21—1.18 (m, 2H); ^{13}C NMR ($CDCl_3$, 75.45 MHz) δ: 144.0, 136.9, 129.6(2), 127.6(2), 39.78(2), 22.76(2), 21.60, 19.39(2). Anal. calcd for $C_{13}H_{17}NO_2S$: C 62.12, H 6.82, N 5.57; found C 62.19, H 6.92, N 5.50.

9-[4-Methyl-9-(phenylsulfonyl)]-9-azabicyclo-[6.1.0]nonane (22b) The reaction was completed in 25 min, 100% yield. m.p. 123—124 ℃ (EtOH); ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.82 (d, J=7.80 Hz, 2H), 7.33 (d, J=7.80 Hz, 2H), 2.78 (d, J=9.30 Hz, 2H), 2.44 (s, 3H), 2.01 (d, J=13.5 Hz, 2H), 1.56—1.26 (m, 10H). Anal. calcd for $C_{15}H_{21}NO_2S$: C 64.48, H 7.58, N 5.01; found C 64.52, H 7.66, N 5.12.

***N*-(*p*-Tolylsulfonyl)-2-*n*-butylaziridine (23b)** The reaction was completed in 25 min, 100% yield. ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 7.82 (d, J=7.50 Hz, 2H), 7.33 (d, J=7.50 Hz, 2H), 2.70 (s, 1H), 2.62 (d, J=6.90 Hz, 1H), 2.43 (s, 3H), 1.53 (s, 1H), 1.32—1.23 (m, 6H), 0.87—0.80 (m, 3H). Anal. calcd for $C_{13}H_{19}NO_2S$: C 61.63, H 7.56, N 5.53; found C 61.52, H 7.58, N 5.52.

Acknowledgement

The authors are grateful to the National Natural Science Foundation of China (No. 20572066), the Natural Science Foundation of Shaanxi Province (No. 2009JM2011), and the Innovation Foundation of Postgraduate Cultivation of Shaanxi Normal University (No. 2008CXB009).

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