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ORIGINAL ARTICLE

Synthesis of *gem*-disubstituted taurines by the regioselective ring-opening of 2,2-disubstituted aziridines with sodium bisulfite and sulfite

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Abstract The regioselectivity of the ring-opening reactions of 2,2-disubstituted aziridines with sodium bisulfite and sulfite showed significant divergence depending on the nucleophiles and the structure of the substituents of the aziridines. 2,2-Dialkylaziridines were specifically attacked on their less substituted carbon atoms with sodium bisulfite, affording 2,2-substituted taurines, while 2,2-disubstituted aziridines with either one or two aryl substituent(s) were attacked specifically on their more substituted carbon atoms with the same nucleophile, giving rise to aromatic 1,1-substituted taurines. Sodium sulfite attacked the less substituted carbon atoms of all aziridines specifically to afford 2,2-disubstituted taurines. The regioselectivity is governed by the nucleophile and the balance between the steric hindrance and the electronic effect. The current method provides an alternative route to the synthesis of 2,2-dialkyltaurines and aromatic *gem*-disubstituted taurines.

Keywords Amino acid · Aminoalkanesulfonic acid · Ring-opening reaction · Sodium bisulfite · Sodium sulfute · Synthesis · Taurine

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Introduction

Substituted taurines are a class of important naturally occurring amino acids (Xu 2003) that are involved in various important physiological processes (Huxtable 1992). They are also sulfur analogs of naturally occurring aminocarboxylic acids. Their derivatives, especially sulfonopeptides, have been widely used as enzyme inhibitors during the last two decades because of their tetrahedrally structural properties (Xu 2003; Carson et al. 1997; Gennari et al. 1998; de Bont et al. 1999; Lowik and Liskamp 2000).

For peptide-like drug discovery with improved biological stability, α,α-disubstituted amino acids have been widely used to replace naturally occurring amino acids to prepare peptidomimetics (Juaristi and Lopez-Ruiz 1999; Abele and Seebach 2000; Wirth 2000; Ohfune and Shinada 2003). Disubstituted taurines are sulfur analogs of α,α-disubstituted amino acids and should be considered as useful building blocks to synthesize corresponding sulfonopeptides. Some *gem*-disubstituted taurines [including 1,1-disubstituted taurines (Huang et al. 2006) and 2,2-disubstituted taurines (Braghiroli and Di Bella 1996; Koller et al. 1983; Hu et al. 2007; Wang et al. 2008; Zhang et al. 2008)] and *vic*-disubstituted taurines (Hu et al. 2007; Wang et al. 2008; Zhang et al. 2008; Huang et al. 2005; Gold et al. 1951; Cordero et al. 2002; Xu and Xu 2004, 2005) have been synthesized previously. 1,1-Disubstituted taurines were prepared via ammonia or amine ring-opening of episulfides and subsequent peroxy acid oxidation (Huang et al. 2006). The simplest 2,2-disubstituted taurine, 2-amino-2-methylpropanesulfonic acid, was firstly synthesized via hydrolysis of 2,2-dimethyl-β-sultam (Braghiroli and Di Bella 1996) and the sodium sulfite substitution of methanesulfates of methylalaninol and 1-amino-2-methyl-2-propanol (Koller et al. 1983). Some 2,2-disubstituted taurines were synthesized via

the amino-sulfonation of olefins and subsequent hydrolysis (Cordero et al. 2002). We also prepared 2,2-dialkyl substituted taurines via the thiolacetic acid ring-opening of aziridines, subsequent peroxy acid oxidation and hydrolysis (Hu et al. 2007), via peroxy acid oxidation of amino alcohol thioacetates (Wang et al. 2008), and via sodium sulfite displacement of amino alcohol sulfates (Zhang et al. 2008). However, these previous methods have the limitation of certain substrates or multiple steps in the synthetic route. For example, the sodium sulfite substitution of most β,β-disubstituted amino alcohol methanesulfates does not work due to steric hindrance (Wang et al. 2008). The thiolacetic acid ring-opening of 2,2-disubstituted aziridines cannot yield aromatic 2,2-disubstituted taurines since *N*-acetyl aryl taurines experienced decomposition when refluxing in aqueous hydrochloric acid in the deacetylation step (Hu et al. 2007). The sodium sulfite displacement of β,β-disubstituted amino alcohol sulfates is not suitable for aromatic amino alcohols which are unstable in the preparation of the sulfates in hot sulfuric acid due to the elimination of water under acidic conditions (Zhang et al. 2008). Oxidation of β,β-disubstituted amino alcohol thioacetates is indeed a general and versatile synthetic method (Wang et al. 2008). However, the route possesses multiple steps.

To synthesize sulfonopeptides for the investigation of their biological activities and structure-activity relationships as well as for the discovery of peptidomimetic drugs, we sought to develop further efficient methods for the preparation of structurally diverse *gem*-disubstituted taurines. The regioselectivity of the ring-opening reaction of 2,2-disubstituted aziridines with sodium bisulfite and sulfite was also examined.

Materials and methods

Melting points were measured on a Yanaco MP-500 melting point apparatus and are uncorrected. ^{1}H NMR and ^{13}C NMR spectra were recorded on Varian Mercury 200 (200 MHz) or Varian Mercury Plus 300 (300 MHz) spectrometer in D_2O or in HCO_2H (Chen and Xu 2008). Mass spectra were obtained on a Brucker ESQUIRE–LC^{TM} ESI ion trap mass spectrometer. HRMS data was carried out on an Agilent LC/MSD TOF mass spectrometer. IR spectra were determined on a Nicolet AVATAR 330 FT-IR spectrometer. Aziridines were prepared from corresponding amino alcohols via the Wenker reaction for aziridines **1a**, **1b**, **1d**, **1e**, **1f**, **1g**, **1i** (Xu 2002; Zhu et al. 2008) or the Mitsunobu reaction for aziridine 1c (Xu 2002), or via reaction of acetophenone oxime and Grignard reagent phenylmagnesium bromide for aziridine **1h** (Alvernhe et al. 1975). Amino alcohols were prepared via reduction of the corresponding amino acids, which were prepared from the corresponding ketones via the Strecker amino acid synthesis (Wang et al. 2008; Zhang et al. 2008). The analytical data of all known compounds are identical to those earlier reported in the literatures (Hu et al. 2007; Wang et al. 2008; Zhang et al. 2008).

Synthesis of *gem*-disubstituted taurines (General procedure)

To a vigorously stirred solution of sodium bisulfite or sodium sulfite (6 mmol) in 10 mL of water or a mixture of water and acetonitrile (1:10, v/v) was added an aziridine (3 mmol). The mixture was refluxed for 12–48 h. After removal of solvent, the solid residue was extracted twice with hot ethanol [for sodium sulfite, hydrochloric acid (6-7 mmol) was added before extraction]. After removal of ethanol, the residue was recrystallized from ethanol or a mixture of ethanol and diethyl ether to afford colorless disubstituted taurine crystals.

2-Amino-2-methylpropanesulfonic acid (**2a**).

Colorless crystals, yield 90–93%; m.p. 325°C (dec); Lit (Hu et al. 2007). m.p. 325°C (dec).

2-Amino-2-methylbutanesulfonic acid (**2b**).

Colorless crystals, yield 91%; m.p. 306–308°C; Lit (Zhang et al. 2008). m.p. 306–308°C.

2-Amino-2-methyl-3-phenylpropanesulfonic acid (**2c**).

Colorless crystals, yield 84%; m.p. 197–199°C; Lit (Wang et al. 2008). m.p. 197–199°C.

2-Amino-2-methyl-4-phenylbutanesulfonic acid (**2d**).

Colorless crystals, yield 77%; m.p. 338°C (dec.); Lit (Zhang et al. 2008). m.p. 338°C (dec).

(1-Aminocyclopentyl)methanesulfonic acid (**2e**).

Colorless crystals, yield 89%; m.p. 278–280°C; Lit (Zhang et al. 2008). m.p. 278–280°C.

(1-Aminocyclohexyl)methanesulfonic acid (**2f**).

Colorless crystals, yield 92%; m.p. 331°C (dec); Lit (Hu et al. 2007). m.p. 331°C (dec).

(1-Aminocycloheptyl)methanesulfonic acid (**2g**).

Colorless crystals, 63%; m.p. 330°C (dec.); Lit (Zhang et al. 2008). m.p. 330°C (dec).

2-Amino-2,2-diphenylethanesulfonic acid (**2h**).

Colorless crystals, m.p. 240–243°C (dec); Lit (Wang et al. 2008). m.p. 239–242.5°C (dec.), yield <5%.

2-Amino-2-phenylpropanesulfonic acid (**2i**).

Colorless crystals, m.p. 236–238°C; Lit (Wang et al. 2008). m.p. 236–238°C, yield 12%.

2-Amino-1,1-diphenylethanesulfonic acid (**3h**).

Colorless crystals, yield 12%; m.p. 196–198°C (dec).

^{1}H NMR (200 MHz, DMSO-d_6) δ (ppm): 7.28–7.10 (m, 10H, Ph), 3.70 (s, 2H, CH_2).

^{13}C NMR (75.5 MHz, HCO_2H) δ (ppm): 143.1, 128.9, 128.5, 126.0, 75.9, 49.5.

IR: ν (cm^{-1}): 3424.2 (br, NH and OH), 1,178.6 (SO_2) cm^{-1}.

MS (ESI) *m/z*: 276 $[M - H]^-$.

Anal. Calcd for $C_{14}H_{14}NO_3S$ $[M - H]$: 276.0700. Found: 276.0703.

1-Amino-2-phenylpropane-2-sulfonic acid (**3i**).

Colorless crystals, yield 21%; m.p. 285–287°C (dec.).

^1H NMR (200 MHz, D_2O) δ (ppm): 7.57–7.25 (m, 5H, ArH), 3.75 (d, $J = 13.2$ Hz, 1H in CH_2), 3.59 (d, $J = 13.2$ Hz, 1H in CH_2), 1.74 (s, 3H, CH_3).

^{13}C NMR (50 MHz, D_2O) δ (ppm): 134.8, 128.9, 128.8, 127.9, 61.7, 44.8, 18.2.

IR: ν (cm^{-1}): 3,375 (br, NH and OH), 1,247 (SO_2), 1,198 (SO_2) cm^{-1}.

MS (ESI) m/z: 216 $[M + H]^+$.

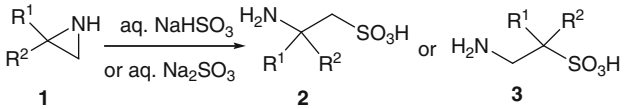
Anal. Calcd for $C_9H_{14}NO_3S$ $[M + H]$: 216.0688. Found: 216.0691.

Results and discussion

Although 2-arylaziridines show generally different regioselectivity from 2-alkylaziridines in most of nucleophilic ring-opening reactions (Ma and Xu 2004), 2-substituted aziridines show the same regioselectivity in sodium bisulfite ring-opening reaction affording 2-substituted taurines specifically regardless of whether the substituents are alkyl or aryl groups (Xu 2002). We therefore hoped to develop an alternative method to synthesize structurally diverse 2,2-disubstituted taurines from 2,2-disubstituted aziridines via sodium bisulfite or sulfite ring-opening reaction.

A series of representative 2,2-disubstituted aziridines, including dialkyl, an alkyl and an aryl, and diaryl aziridines, were prepared from corresponding amino alcohols via the Wenker reaction (Xu 2002; Zhu et al. 2008), the Mitsunobu reaction (Xu 2002), or via the reaction of acetophenone oxime and Grignard reagent phenylmagnesium bromide for 2,2-diphenylaziridine (**1h**) (Alvernhe et al. 1975).

Considering that both sodium bisulfite and sulfite are sulfur nucleophiles, first, 2,2-dimethylaziridine (**1a**) and 1-azospiro[2.5]octane (**1f**), a linear and a cyclic disubstituted aziridines, were selected to study the ring-opening reaction with these two nucleophiles (Scheme 1). The results indicated that both of them can undergo ring-opening reaction with the 2,2-disubstituted aziridines to give rise to corresponding 2,2-disubstituted taurines (Table 1, entries 1–2 and 7–9). For reactions with sodium sulfite, after the ring-opening reaction, acid should be added to extract the disubstituted taurines efficiently. If not, then they will exist as their sodium salts (Table 1, entries 2 and 9). The higher yield was obtained at refluxing temperature rather than at room temperature for the sodium bisulfite ring-opening reaction (Table 1, entries 7 and 8). Both sodium bisulfite and sulfite gave similar yields for these two selected aziridines. Sodium bisulfite was used in the further investigation to simplify workup. Because some aziridines (**1c, 1d, 1h, 1i**) show poor solubility in water, a mixture of water and acetonitrile was used instead of water under vigorous stirring for these aziridines. The results on the ring-opening reaction of other 2,2-disubstituted aziridines with sodium bisulfite are summarized in Table 1 (entries 3–6, 10, and 11). It can be seen from Table 1, all disubstituted aziridines show specific but different regioselectivities in the ring-opening reaction with sodium bisulfite. 2,2-Dialkylaziridines produced 2,2-dialkyltaurines (Table 1, entries 1, 3–8, and 10). However, 2,2-diphenylaziridine gave rise to 1,1-diphenyltaurine (**3h**) (Table 1, entry 11) which was identified through comparison of analytic data with 2,2-diphenyltaurine that was synthesized via performic acid oxidation of 2,2-diphenylglycinol thioacetate (Wang et al. 2008). It can



1 **2** **3**

a, $R^1 = R^2$ = Me; **b**, R^1 = Me, R^2 = Et; **c**, R^1 = Me, R^2 = $PhCH_2$; **d**, R^1 = Me, R^2 = $Ph(CH_2)_2$; **e**, R^1, R^2 = $(CH_2)_4$; **f**, R^1, R^2 = $(CH_2)_5$; **g**, R^1, R^2 = $(CH_2)_6$; **h**, $R^1 = R^2$ = Ph; **i**, R^1 = Me, R^2 = Ph

Scheme 1 Synthesis of *gem*-disubstituted taurines from 2,2-disubstituted aziridines

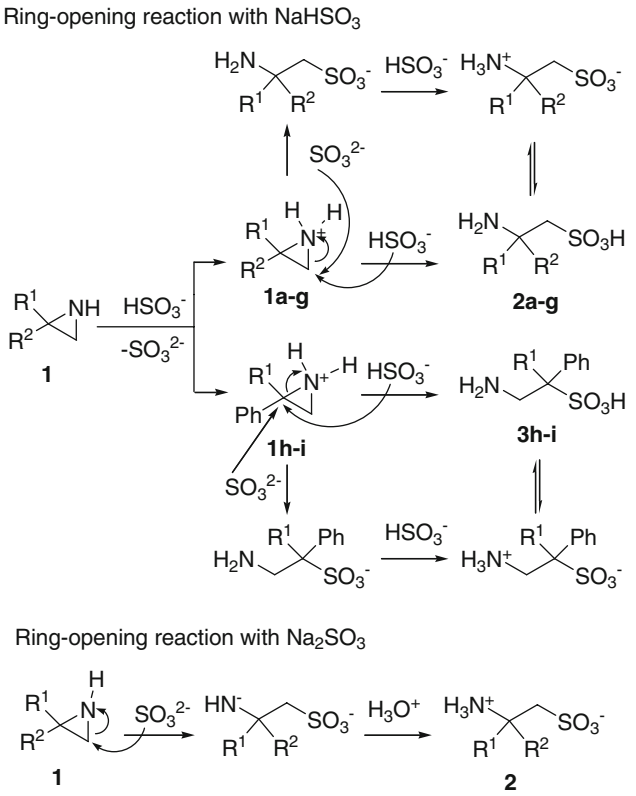
Table 1 Synthesis of *gem*-disubstituted taurines from 2,2-disubstituted aziridines

Entry	Aziridine	Nucleophile	Yield (%) of taurine 2	Yield (%) of taurine 3
1	1a	$NaHSO_3$	90	–
2	1a	Na_2SO_3	93	–
3	1b	$NaHSO_3$	91	–
4	1c	$NaHSO_3$	84[a]	–
5	1d	$NaHSO_3$	77[a]	–
6	1e	$NaHSO_3$	89	–
7	1f	$NaHSO_3$	72[b]	–
8	1f	$NaHSO_3$	89	–
9	1f	Na_2SO_3	87	–
10	1g	$NaHSO_3$	73	–
11	1h	$NaHSO_3$	–	12[a]
12	1h	Na_2SO_3	<5[a]	–
13	1i	$NaHSO_3$	–	17
14	1i	$NaHSO_3$	–	21[a]
15	1i	Na_2SO_3	12[a]	–

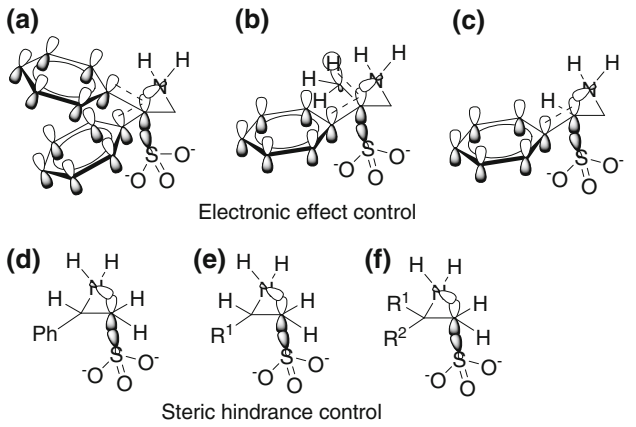
All reactions were conducted in water except for those mentioned elsewhere

[a] Conducted in a mixture of water and acetonitrile (1:10, v/v)

[b] Conducted at room temperature



Scheme 2 The proposed reaction mechanism for ring-opening reaction of 2,2-disubstituted aziridines with sodium bisulfite and sulfite



Scheme 3 Transition states in the ring-opening reaction with sodium bisulfite: (i) Attack on more substituted carbon atoms in aziridines (electronic effect control) **a** 2,2-diphenylaziridine, **b** 2-methyl-2-phenylaziridine, **c** 2-phenylaziridine (not occurred). (ii) Attack on less substituted carbon atoms in aziridines **d** 2-phenylaziridine, **e** 2-alkylaziridines, **f** 2,2-dialkylaziridines (similar transition states if sodium bisulfite as a nucleophile, not shown here)

be considered as a convenient method for the preparation of 1,1-diaryltaurines albeit in low yield. These have not been previously prepared. Following these results, we were very interested in the regioselectivity of the ring-opening reaction of 2-alkyl-2-arylaziridines, aziridines with an aryl and alkyl substituents. We synthesized 2-methyl-2-phenylaziridine (**1i**) via the Wenker reaction from 2-amino-2-phenylpropanol (Zhu et al. 2008), which was prepared from acetophenone via the Strecker amino acid synthesis and the subsequent reduction (Wang et al. 2008). Interestingly, 2-methyl-2-phenylaziridine (**1i**) also gave rise to 1-methyl-1-phenyltaurine (**3i**) exclusively in low yield. However, no 2-methyl-2-phenyltaurine (**2i**) was detected by ^{1}H and ^{13}C NMR in the ring-opening reaction, even in the reaction mixture. However, 2-amino-2-phenylpropanol and 1-amino-2-phenylpropan-2-ol were detected as byproducts in ^{13}C NMR analysis of crude reaction mixture when we prolonged reaction time to improve the yield. They were identified by comparison with authentic samples. Both of byproducts should be generated from water ring-opening reaction of 2-methyl-2-phenylaziridine (**1i**) under the ring-opening reaction conditions. Aromatic aziridines always gave disubstituted taurines in very low yields, even in mixed solvent (Table 1, entries 11–15). Although the yield could be improved in DMSO on the basis of TLC analysis, it was not easy to remove the solvent to afford the product.

Since aliphatic and aromatic 2,2-disubstituted aziridines show different regioselectivities in the ring-opening reaction with sodium bisulfite, we were curious to know the regioselectivity in their ring-opening reaction with sodium sulfite. Two aromatic 2,2-disubstituted aziridines **1h** and **1i** were also conducted the ring-opening reaction with sodium sulfite. The results indicate that both aromatic 2,2-disubstituted aziridines were attacked on their less substituted carbon atoms to give rise to 2,2-disbustituted taurines **2h** and **2i** in very low yields (Table 1, entries 12 and 15).

Although both aliphatic and aromatic 2,2-disubstituted aziridines show the same regioselectivity in the sodium sulfite ring-opening reaction and 2-alkyl and 2-arylaziridines also show the same regioselectivity in the sodium bisulfite ring-opening reaction [they were attacked specifically on their less substituted carbons of aziridine rings due to steric hindrance (Xu 2002)], 2,2-disubstituted aziridines show different regioselectivities in the sodium bisulfite ring-opening reaction. 2,2-Dialkylaziridines undergo the ring-opening reaction specifically via attack on their less substituted carbon atoms due to less steric hindrance. However, monoaryl and diaryl 2,2-disubstituted aziridines were attacked specifically on their more substituted carbon atoms.

Aromatic 2,2-disubstituted aziridines are favorably attacked on their tertiary benzylic carbon atoms in aziridines. The results suggest that sodium bisulfite ring-opening reaction is an acid-catalyzed ring-opening reaction, while sodium sulfite ring-opening reaction is a direct nucleophilic ring-opening reaction. The proposed reaction

mechanism is shown in Scheme 2. We assume that bisulfite probably protonized basic aziridines firstly as a weak acid. The protonized dialkylaziridines are activated and generally favor undergoing the ring-opening reaction with bisulfite or sulfite (generated from bisulfite) to afford 2,2-disubstituted taurines **2** via attack on their less substituted carbon atoms due to less steric hindrance. However, the phenyl group in the protonized 2,2-disubstituted aromatic aziridines could stabilize the tertiary carbocation formed in the transition state of the ring-opening reaction through the p–π conjugative effect between the formed carbocation and the phenyl group. The tertiary benzylic carbon atom would possess more positive charge than less substituted carbon atom, preferring to react with bisulfite or sulfite to give rise to aromatic 1,1-disubstituted taurines **3**.

Why do aromatic 2,2-disubstituted aziridines show different regioselectivity from aromatic 2-monosubstituted aziridines in the ring-opening reaction with sodium bisulfite? We can rationalize that electronic effects play an important role in the sodium bisulfite ring-opening reaction of aromatic 2,2-disubstituted aziridines. Protonized 2,2-diphenylaziridine and 2-methyl-2-phenylaziridine are attacked on their more substituted carbon atoms because they have double p–π conjugative effect (Scheme 3a) and a p–π conjugative effect plus the hyperconjugation of the methyl group and the carbocation (Scheme 3b), respectively. However, 2-phenylaziridine possesses only one p–π conjugative effect to stabilize its secondary benzylic carbocation (Scheme 3c). It is not enough to stabilize the transition state c so that 2-phenylaziridine undergoes its ring-opening reaction with sodium bisulfite via attack on its less substituted carbon atom (still controlled by steric hindrance, not electronic effect) (Scheme 3d). The results suggest that the regioselectivity in the ring-opening reaction of aziridines with sodium bisulfite is controlled by combination of steric hindrance and electronic effect. Aziridines except for aromatic 2,2-disubstituted aziridines undergo the ring-opening reaction via attack on their less substituted carbon atom, controlled by steric hindrance (through transition states d–f); while aromatic 2,2-disubstituted aziridines are attacked on their more substituted carbon atom, controlled by electronic effect (through transition states a–b).

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