Amino Acids (2011) 41:195–203
DOI 10.1007/s00726-010-0655-7

ORIGINAL ARTICLE

Versatile synthesis of α-substituted taurines from nitroolefins

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Received: 25 February 2010 / Accepted: 4 June 2010 / Published online: 12 June 2010

Abstract A series of 1-substituted and 1,1-disubstituted taurines were synthesized from nitroolefins via the Michael addition with sodium ethylxanthate, oxidation with performic acid, and reduction with hydrogen in the presence of palladium on carbon powder. The current route is a versatile and salt-free method for synthesis of both aliphatic and aromatic 1-substituted and 1,1-disubstituted taurines.

Keywords Amino acid · Aminoalkanesulfonic acid · Nitroolefin · Synthesis · Taurine · Xanthate

Introduction

Taurine is the simplest 2-aminoalkanesulfonic acid, found more than 200 years ago, and has been widely used in nutrition and medical fields (Gupta et al. 2005). Substituted taurines were isolated from red alga and shellfishes during the past century (Wickberg 1957). They have also been found in many mammalian tissues and are involved in various important physiological processes (Huxtable 1992). It has been demonstrated clearly that taurine and its cyclic analogs show different effects on ATP-dependent calcium ion uptake and protein phosphorylation in rat retina (Liebowitz et al. 1987, 1988; Lombardini and Liebowitz 1988). On the other hand, during the past three decades, aminoalkanesulfonic acid derivatives have been widely used as enzyme inhibitors because of their tetrahedrally structural properties (Carson et al. 1997; de Bont et al. 1999; Gennari et al. 1998; Xu 2003). Recently, much attention has been paid to the synthesis of substituted taurines for the investigation of biological activities and structure–activity relationships and for discovery of new peptidomimetic drugs (Liebowitz et al. 1987, 1988; Lombardini and Liebowitz 1988).

Although several methods for synthesis of substituted taurines have been developed (Cordero et al. 2002; Enders et al. 2009; Hu et al. 2007; Wang et al. 2008; Xu 2002, 2003; Zhang et al. 2008), the efficient synthetic methods for 1-mono- and 1,1-disubstituted taurines are still scarce. They include addition of sulfite to nitroolefins and the subsequent reduction (Gold et al. 1951); the Mitsunobu reaction of N-protected vicinal amino secondary alcohols with thiolacetic acid and oxidation with performic acid (Lowik and Liskamp 2000; Moree et al. 1995; Xu and Xu 2004; Xu et al. 2005); the nucleophilic ring-opening reaction of aliphatic thiiranes with ammonia/amines and subsequent oxidation with performic acid (Huang et al. 2005, 2006; Yu et al. 2009); direct nucleophilic ring-opening reaction of aromatic 2,2-disubstituted aziridines (Chen et al. 2009b); the cyclization of vicinal amino secondary alcohols with carbon disulfide to thiazolidine-2-thiones and subsequent oxidation with performic acid (Chen et al. 2009a). However, desalinization in the method of the direct addition of sulfite to nitroolefins and the subsequent reduction is a tedious process for water-soluble taurines. The methods with aziridines and thiiranes as starting materials are only limited to aromatic 1,1-disubstituted taurines, and aliphatic 1-mono and 1,1-disubstituted taurines, respectively. Moreover, vicinal amino secondary alcohols are lacking of commercially available chemicals in the method of the oxidation of

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thiazolidine-2-thiones. *O*-Ethyl *S*-(2-nitroalkyl) dithiocarbonates could be considered as linear analogs of thiazolidine-2-thiones (Fig. 1) and can be oxidized to 2-nitroalkanesulfonic acids, precursors of substituted taurines. The dithiocarbonates can be prepared readily from nitroolefins. Moreover, nitroolefins are commercially available or are prepared conveniently from aldehydes and nitroalkanes (Kumaran and Kulkarni 1994) or olefins (Fryszkowska et al. 2008). Oxidation of the dithiocarbonates with performic acid and the subsequent palladium-catalyzed reduction is a salt-free method for synthesis of 1-mono- and 1,1-disubstituted taurines. Herein, we describe the versatile route to the synthesis of aliphatic and aromatic 1-mono- and 1,1-disubstituted taurines from nitroolefins.

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), Mercury Plus 300 (300 MHz), or Bruker (500 or 600 MHz) spectrometer in $CDCl_3$ with TMS as an internal standard, in D_2O (with HCO_2H as an internal standard for ^{13}C NMR spectra), in DMSO-d_6, or in 85% formic acid (Chen and Xu 2009). Mass spectra were obtained on a Bruker ESQUIRE∼LC^{TM} ESI ion trap mass spectrometer. High resolution mass spectrometry (HRMS) data were carried out on an Agilent LC/MSD TOF mass spectrometer. IR spectra were determined on a Nicolet 5700 FT-IR spectrometer. Nitroolefins **2a–h** were prepared from aldehydes with nitromethane under the catalysis of triethylamine in satisfactory to good yields according to the literature method (Kumaran and Kulkarni 1994). Nitroolefin **2i** was prepared from butanone with nitromethane via a one-pot and two step method in a satisfactory yield by the reported method (Jang et al. 2003). Nitroolefins **2j–l** were prepared from olefins with sodium nitrite under the catalysis of ceric ammonium nitrate (CAN) in satisfactory to good yields by the reported method (Fryszkowska et al. 2008). Sodium ethylxanthate was prepared from ethanol and carbon disulfide in the presence of sodium hydroxide (Zhang et al. 2002). The analytical data of all known nitroolefins are identical to those previously reported in the literature.

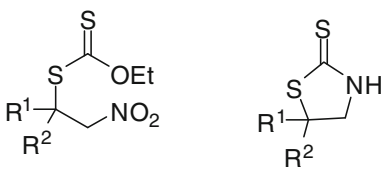


Fig. 1 *O*-Ethyl *S*-(2-nitroalkyl) dithiocarbonates and thiazolidine-2-thiones

Preparation of *O*-ethyl *S*-(2-nitroalkyl) dithiocarbonates **3** (general procedure)

O-Ethyl *S*-(2-nitroalkyl) dithiocarbonates **3** were prepared from nitroolefins and sodium ethylxanthate according to the literature method (Ouvry et al. 2003).

To a stirred nitroolefin (10 mmol) in 20 mL of acetic acid was added portionwise sodium ethylxanthate (2.88 g, 20 mmol) in an ice–water bath at 0–5°C. After addition, the resulting mixture was further stirred for 3–4 h. Water (20 mL) was added and the reaction mixture was extracted with dichloromethane (3 × 20 mL). The combined organic phase was washed with saturated aqueous bicarbonate, and brine, and dried over anhydrous sodium sulfate. After removal of solvent under reduced pressure, the residue was purified on a silica gel column with a mixture of petroleum ether and ethyl acetate (30:1 to 50:1, *v/v*) as an eluent to afford yellowish oil *O*-ethyl *S*-(2-nitroalkyl) dithiocarbonate **3**.

*O-Ethyl S-(2-nitro-1-phenylethyl) dithiocarbonate (**3a**)*

Yellowish oil, yield: 88%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 1.44 (t, J = 7.2 Hz, 3H, CH_3), 4.68 (q, J = 7.2 Hz, 2H, CH_2), 4.91 (dd, J = 10.2, 13.2 Hz, 1H in CH_2N), 5.08 (dd, J = 5.1, 13.2 Hz, 1H in CH_2N), 5.47 (dd, J = 5.1, 10.2 Hz, 1H, CHS), 7.33–7.37 (m, 5H, Ar).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.6, 50.3, 70.7, 77.5, 127.9, 129.0, 129.2, 134.3, 210.5.

IR (ν_{max}, cm^{-1}) 3,021.7, 2,978.3, 1,555.7 (NO_2), 1,373.3 (NO_2), 1,232.1 (COC), 1,110.9 (COC), 1,044.7 (C=S), 697.8.

HRMS (ESI) Calcd. for $C_{11}H_{13}NNaO_3S_2$ *m/z*: 294.0234 $[M + Na]^+$; Found 294.0213.

*O-Ethyl S-[1-(4-methylphenyl)-2-nitroethyl] dithiocarbonate (**3b**)*

Yellowish oil, yield: 84%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 1.43 (t, J = 7.2 Hz, 3H, CH_3), 2.33 (s, 3H, CH_3), 4.67 (q, J = 7.2 Hz, 2H, CH_2), 4.88 (dd, J = 10.2, 13.2 Hz, 1H in CH_2N), 5.06 (dd, J = 5.3, 13.2 Hz, 1H in CH_2N), 5.43 (dd, J = 5.3, 10.2 Hz, 1H, CHS), 7.16 (d, J = 8.1 Hz, 2H, ArH), 7.22 (d, J = 8.1 Hz, 2H, ArH).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.6, 21.1, 50.1, 70.6, 77.6, 127.8, 129.9, 131.1, 140.0, 210.8.

IR (ν_{max}, cm^{-1}) 3,021.7, 2,973.9, 2,921.7, 1,555.6 (NO_2), 1,373.1 (NO_2), 1,230.8 (COC), 1,111.4 (COC), 1,046.0 (C=S), 787.0, 673.9.

HRMS (ESI) Calcd. for $C_{12}H_{15}NNaO_3S_2$ *m/z*: 308.0385 $[M + Na]^+$; Found 308.0371.

*O-Ethyl S-[1-(4-chlorophenyl)-2-nitroethyl] dithiocarbonate (**3c**)*

Yellowish oil, yield: 79%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 1.43 (t, $J = 7.1$ Hz, 3H, CH_3), 4.66 (q, $J = 7.1$ Hz, 2H, CH_2), 4.86 (dd, $J = 10.3$, 13.4 Hz, 1H in CH_2N), 5.06 (dd, $J = 5.3$, 13.4 Hz, 1H in CH_2N), 5.44 (dd, $J = 5.3$, 10.3 Hz, 1H, CHS), 7.29 (d, $J = 8.7$ Hz, 2H, ArH), 7.34 (d, $J = 8.7$ Hz, 2H, ArH).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.6, 49.6, 70.9, 77.3, 129.3, 130.2, 132.9, 134.9, 210.0.

IR (ν_{max}, cm^{-1}) 3,026.1, 2,982.6, 2,930.4, 1,555.9 (NO_2), 1,372.7 (NO_2), 1,232.7 (COC), 1,044.5 (COC), 1,043.5 (C=S), 852.2, 817.4, 787.0.

HRMS (ESI) Calcd. for $C_{11}H_{12}NClNaO_3S_2$ *m/z*: 327.9839 $[M + Na]^+$; Found 327.9829.

*O-Ethyl S-[1-(3-chlorophenyl)-2-nitroethyl] dithiocarbonate (**3d**)*

Yellowish oil, yield: 82%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 1.43 (t, $J = 7.1$ Hz, 3H, CH_3), 4.67 (q, $J = 7.1$ Hz, 2H, CH_2), 4.87 (dd, $J = 10.0$, 13.5 Hz, 1H in CH_2N), 5.09 (dd, $J = 5.4$, 13.5 Hz, 1H in CH_2N), 5.44 (dd, $J = 5.4$, 10.3 Hz, 1H, CHS), 7.22–7.26 (m, 1H, ArH), 7.29–7.32 (m, 2H, ArH), 7.36 (s, 1H, ArH).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.6, 49.7, 70.9, 77.2, 126.1, 128.2, 129.2, 130.4, 135.0, 136.6, 209.9.

IR (ν_{max}, cm^{-1}) 3,062.8, 2,984.4, 2,937.3, 1,556.8 (NO_2), 1,372.3 (NO_2), 1,227.1 (COC), 1,110.6 (COC), 1,043.6 (C=S), 881.5, 777.9, 692.8.

HRMS (ESI) Calcd. for $C_{11}H_{13}NClO_3S_2$ *m/z*: 306.0019 $[M + H]^+$; Found 306.0010.

*O-Ethyl S-(1-cyclohexyl-2-nitroethyl) dithiocarbonate (**3e**)*

Yellowish oil, yield: 72%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 0.99–1.35 (m, 5H), 1.44 (t, $J = 7.2$ Hz, 3H, CH_3), 1.66–1.88 (m, 6H, $3CH_2$), 4.37 (ddd, $J = 4.3$, 7.0, 7.0 Hz, 1H in CHS), 4.66 (q, $J = 7.2$ Hz, 2H, CH_2), 4.60–4.76 (m, 2H, CH_2N).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.7, 25.86, 25.94, 26.0, 29.2, 30.5, 38.9, 53.0, 70.6, 75.9, 212.0.

IR (ν_{max}, cm^{-1}) 2,982.6, 2,913.9, 2,854.7, 1,560.8 (NO_2), 1,448.9, 1,376.9 (NO_2), 1,224.3 (COC), 1,147.2 (COC), 1,056.7 (C=S), 891.2, 673.3.

HRMS (ESI) Calcd. for $C_{11}H_{20}NO_3S_2$ *m/z*: 278.0879 $[M + H]^+$; Found 278.0868.

*O-Ethyl S-(2-methyl-1-nitromethylpropyl) dithiocarbonate (**3f**)*

Yellowish oil, yield: 80%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 1.02 (d, $J = 6.8$ Hz, 3H, CH_3), 1.11 (d, $J = 6.8$ Hz, 3H, CH_3), 1.45 (t, $J = 7.1$ Hz, 3H, CH_3), 2.16 (dqq, $J = 4.1$, 6.8, 6.8 Hz, 1H, CH), 4.41 (ddd, $J = 4.1$, 6.3, 8.1 Hz, 1H, CHS), 4.65 (dd, $J = 8.1$, 13.1 Hz, 1H in CH_2N), 4.66 (q, $J = 7.1$ Hz, 2H, CH_2), 4.72 (dd, $J = 6.3$, 13.1 Hz, 1H in CH_2N).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.6, 18.3, 20.1, 29.1, 53.6, 70.6, 76.2, 211.6.

IR (ν_{max}, cm^{-1}) 2,972.7, 2,882.4, 1,555.5 (NO_2), 1,465.1, 1,377.7 (NO_2), 1,230.9 (COC), 1,109.5 (COC), 1,047.4 (C=S), 810.2, 728.4, 674.7.

HRMS (ESI) Calcd. for $C_8H_{15}NNaO_3S_2$ *m/z*: 260.0385 $[M + Na]^+$; Found 260.0375.

*O-Ethyl S-(1-nitromethylpentyl) dithiocarbonate (**3g**)*

Yellowish oil, yield: 52%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 0.91 (t, $J = 7.1$ Hz, 3H, CH_3), 1.26–1.39 (m, 4H, $2CH_2$), 1.44 (t, $J = 7.1$ Hz, 3H, CH_3), 1.66–1.87 (m, 2H, CH_2), 4.30 (ddt, $J = 4.7$, 8.5, 9.2 Hz, 1H, CHS), 4.54 (dd, $J = 8.5$, 13.0 Hz, 1H in CH_2N), 4.66 (q, $J = 7.1$ Hz, 2H, CH_2), 4.78 (dd, $J = 4.7$, 13.0 Hz, 1H in CH_2N).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.69, 13.71, 22.2, 28.6, 30.3, 47.2, 70.5, 77.8, 211.8.

IR (ν_{max}, cm^{-1}) 2,958.4, 2,931.6, 2,861.0, 1,563.5, 1,556.8 (NO_2), 1,463.5, 1,455.4, 1,373.3 (NO_2), 1,223.5 (COC), 1,111.1 (COC), 1,049.3 (C=S).

HRMS (ESI) Calcd. for $C_9H_{18}NO_3S_2$ *m/z*: 252.0722 $[M + H]^+$; Found 252.0716.

*O-Ethyl S-(1-nitromethylhexyl) dithiocarbonate (**3h**)*

Yellowish oil, yield: 68%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 0.89 (t, $J = 6.9$ Hz, 3H), 1.29–1.38 (m, 6H, $3CH_2$), 1.44 (t, $J = 7.1$ Hz, 3H, CH_3), 1.65–1.86 (m, 2H, CH_2), 4.30 (ddt, $J = 4.8$, 8.4, 9.2 Hz, 1H, CHS), 4.54 (dd, $J = 8.4$, 13.0 Hz, 1H in CH_2N), 4.66 (q, $J = 7.1$ Hz, 2H, CH_2), 4.78 (dd, $J = 4.8$, 13.0 Hz, 1H in CH_2N).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.7, 13.9, 22.3, 26.2, 30.6, 31.2, 47.2, 70.5, 77.8, 211.9.

IR (ν_{max}, cm^{-1}) 2,957.5, 2,930.1, 2,859.8, 1,563.3 (NO_2), 1,463.3, 1,374.3 (NO_2), 1,223.3 (COC), 1,111.7 (COC), 1,049.8 (C=S).

HRMS (ESI) Calcd. for $C_{10}H_{20}NO_3NaS_2$ *m/z*: 288.0699 $[M + Na]^+$; Found 288.0692.

*O-Ethyl S-(1-methyl-1-nitromethylethyl) dithiocarbonate (**3i**)*

Yellowish oil, yield: 48%.

^{1}H NMR ($CDCl_3$, 600 MHz) (δ, ppm) 1.05 (t, $J = 7.4$ Hz, 3H, CH_3), 1.50 (t, $J = 7.1$ Hz, 3H, CH_3 in EtO), 1.57 (s, 3H, CH_3), 1.79 (dq, $J = 7.3$, 14.6 Hz, 1H in CH_2), 2.07 (dq, $J = 7.3$, 14.6 Hz, 1H in CH_2), 4.70 (q, $J = 7.1$ Hz, 2H, CH_2), 4.91 (d, $J = 11.0$ Hz, 1H in CH_2N), 4.99 (d, $J = 11.0$ Hz, 1H in CH_2N).

^{13}C NMR ($CDCl_3$, 50.3 MHz) (δ, ppm) 8.4, 13.5, 22.5, 30.1, 55.5, 70.0, 81.7, 210.5.

IR (ν_{max}, cm^{-1}) 3,675.0, 2,988.8, 2,971.4, 1,553.4 (NO_2), 1,393.8 (NO_2), 1,241.5 (COC), 1,074.6 (COC), 1,051.1 (C=S).

HRMS (ESI) Calcd. for $C_8H_{16}NO_3S_2$ *m/z*: 238.0566 $[M + H]^+$; Found 238.0561.

*O-Ethyl S-(1-methyl-2-nitro-1-phenylethyl) dithiocarbonate (**3k**)*

Yellowish oil, yield: 40%.

^{1}H NMR ($CDCl_3$, 200 MHz) (δ, ppm) 1.28 (t, $J = 7.2$ Hz, 3H), 2.16 (s, 3H, CH_3), 4.55 (q, $J = 7.2$ Hz, 2H, CH_2), 5.19 (d, $J = 12.0$ Hz, 1H in CH_2), 5.31 (d, $J = 12.0$ Hz, 1H in CH_2), 7.30–7.52 (m, 5H, ArH).

^{13}C NMR ($CDCl_3$, 50.3 MHz) (δ, ppm) 13.3, 24.5, 56.9, 70.0, 82.6, 126.4, 128.4, 128.8, 138.9, 209.5.

IR (ν_{max}, cm^{-1}) 3,108.8, 3,061.9, 2,988.3, 1,553.3 (NO_2), 1,398.6 (NO_2), 1,238.1 (COC), 1,113.2 (COC), 1,038.1 (C=S).

HRMS (ESI) Calcd. for $C_{12}H_{15}NNaO_3S_2$ *m/z*: 308.0386 $[M + Na]^+$; Found 308.0383.

*O-Ethyl S-(2-nitro-1,1-diphenylethyl) dithiocarbonate (**3l**)*

Yellowish oil, yield: 5%.

^{1}H NMR ($CDCl_3$, 300 MHz) (δ, ppm) 1.33 (t, $J = 7.0$ Hz, 3H, CH_3), 4.33 (q, $J = 7.0$ Hz, 2H, CH_2), 5.42 (s, 2H, CH_2), 7.25–7.47 (m, 10H, ArH).

^{13}C NMR ($CDCl_3$, 75.5 MHz) (δ, ppm) 13.4, 64.1, 71.4, 82.0, 128.2, 128.4, 128.9, 138.7, 209.5.

IR (ν_{max}, cm^{-1}) 3,020.1, 2,976.4, 1,554.3 (NO_2), 1,372.5 (NO_2), 1,230.0 (COC), 1,110.9 (COC), 1,044.4 (C=S).

HRMS (ESI) Calcd. for $C_{17}H_{17}NNaO_3S_2$ *m/z*: 370.0542 $[M + Na]^+$; Found 370.0536.

Oxidation of *O*-Ethyl *S*-(2-nitro-1-phenylethyl) dithiocarbonate (**3a**) to 2-nitro-1-phenylethanesulfonic acid (**4a**)

To a stirred solution of *O*-ethyl *S*-(2-nitro-1-phenylethyl) dithiocarbonate (**3a**) (2.71 g, 10 mmol) in 98% formic acid (6 mL) was added dropwise 30% H_2O_2 (1.2 mL) in an ice–water bath at 0°C. The resulting mixture was stirred overnight and allowed to warm to room temperature. After addition of 20 mL of water the solution was washed with dichloromethane to remove organic impurities. After concentration, colorless needle crystals 2-nitro-1-phenylethanesulfonic acid (**4a**) was afforded by crystallization from chloroform.

*2-Nitro-1-phenylethane-1-sulfonic acid **4a***

Colorless needle crystals, mp 162–164°C, yield 80%.

^{1}H NMR (D_2O, 300 MHz) (δ, ppm) 4.80 (dd, $J = 6.3$, 8.7 Hz, 1H, CHS), 5.03 (dd, $J = 8.7$, 13.8 Hz, 1H in CH_2N), 5.190 (dd, $J = 6.3$, 13.8 Hz, 1H in CH_2N), 7.27–7.34 (m, 5H, ArH).

^{13}C NMR (HCO_2H, 75.5 MHz) (δ, ppm) 63.2, 75.2, 129.0, 129.2, 129.3, 131.9.

IR (ν_{max}, cm^{-1}) 3,021.7, 2,978.3, 1,555.7 (NO_2), 1,373.3 (NO_2), 1,213.2 (SO_3), 1,182.4 (SO_3), 1,031.7 (SO_3), 803.2.

HRMS (ESI) Calcd. for $C_8H_9NNaO_5S$ *m/z*: 254.0094 $[M + Na]^+$; Found 254.0088.

Synthesis of 1-substituted taurines **5** *O*-ethyl *S*-(2-nitroalkyl) dithiocarbonates **3** (oxidation and subsequent hydrogenation) (general procedure)

To a stirred solution of *O*-ethyl *S*-(2-nitroalkyl) dithiocarbonate **3** (10 mmol) in 98% formic acid (6 mL) was added dropwise 30% H_2O_2 (1.2 mL) in an ice–water bath at 0°C. The resulting mixture was stirred overnight and allowed to warm to room temperature. After addition of 20 mL of water the solution was washed with dichloromethane to remove organic impurities. After concentration, 2-nitroalkanesulfonic acid **4** was obtained. It was used directly in the subsequent hydrogenation without further purification.

2-Nitroalkanesulfonic acid **4** prepared above was dissolved in MeOH (10 mL), and 10% Pd on carbon powder (30 mg) was added. The suspension was stirred under the atmosphere of hydrogen at RT overnight. 20 mL of methanol was added to dissolve the precipitate. The catalyst was removed by filtration through a filter paper and was washed twice with formic acid (5 mL). After evaporation of the solvent the crude product was purified by recrystallization from methanol or a mixture of methanol and diethyl ether to afford colorless crystals.

*2-Amino-1-phenylethane-1-sulfonic acid **5a***

Colorless crystals, yield: 58%. m.p. 352°C (dec.). Lit. (Heath and Piggott 1947) m.p. 358–360°C (dec.).

^{1}H NMR (D_2O, 200 MHz) (δ, ppm): 3.54 (dd, $J = 8.4$, 13.3 Hz, 1H in CH_2N), 3.76 (dd, $J = 6.8$, 13.3 Hz, 1H in

CH_2N), 4.27 (dd, $J = 6.8$, 8.4 Hz, 1H, CHS), 7.38 (s, 5H, ArH).

^{13}C NMR (D_2O, 50 MHz) (δ, ppm): 40.7, 63.4, 129.7, 129.9, 132.7, 132.7.

^{13}C NMR (DMSO-d_6, 50 MHz) (δ, ppm): 40.9, 61.5, 127.2, 127.9, 129.0, 136.1.

HRMS (ESI) Calcd. for $C_8H_{12}NO_3S_2$ *m/z*: 202.0532 $[M + Na]^+$; Found 202.0524.

2-Amino-1-(4-methylphenyl)ethane-1-sulfonic acid ***5b***

Colorless crystals, yield: 60%. m.p. 339–342°C (dec.).

^1H NMR (D_2O, 300 MHz) (δ, ppm) 2.24 (s, 3H, CH_3), 3.49 (dd, $J = 8.4$, 13.3 Hz, 1H in CH_2N), 3.71 (dd, $J = 6.8$, 13.3 Hz, 1H in CH_2N), 4.21 (dd, $J = 6.8$, 8.4 Hz, 1H, CHS), 7.19 (d, $J = 8.4$ Hz, 2H, ArH), 7.24 (d, $J = 8.4$ Hz, 2H, ArH).

^{13}C NMR (D_2O, 75.5 MHz) (δ, ppm) 20.9, 40.8, 63.1, 129.5, 129.6, 130.3, 140.3.

IR (ν_{max}, cm^{-1}) 3,014.1 (NH_3^+), 2,960.5, 1,632.5, 1,491.5, 1,215.2 (SO_3^-), 1,184.2 (SO_3^-), 1,032.0 (SO_3^-), 806.4.

HRMS (ESI) Calcd. for $C_9H_{14}NO_3NS$ *m/z*: 216.0688 $[M + Na]^+$; Found 216.0687.

2-Amino-1-(4-chlorophenyl)ethane-1-sulfonic acid ***5c***

Colorless crystals, yield: 67%. m.p. 342°C (dec.).

^1H NMR (D_2O, 300 MHz) (δ, ppm) 3.47 (dd, $J = 8.7$, 13.4 Hz, 1H in CH_2N), 3.76 (dd, $J = 6.9$, 13.4 Hz, 1H in CH_2N), 4.27 (dd, $J = 6.9$, 8.4 Hz, 1H, CHS), 7.38 (m, 4H, ArH).

^{13}C NMR (D_2O, 75.5 MHz) (δ, ppm) 41.1, 61.9, 129.2, 129.4, 130.9, 134.9.

IR (ν_{max}, cm^{-1}) 3,015.8 (NH_3^+), 1,634.4, 1,493.2, 1,209.7 (SO_3^-), 1,180.8, 1,036.3 (SO_3^-), 799.2.

HRMS (ESI) Calcd. for $C_8H_{10}ClNNaO_3S$ *m/z*: 257.9962 $[M + H]^+$; Found 257.9953.

2-Amino-1-(3-chlorophenyl)ethane-1-sulfonic acid ***5d***

Colorless crystals, yield: 59%. m.p. 331–334°C (dec.)

^1H NMR (D_2O, 300 MHz) (δ, ppm) 3.47 (dd, $J = 8.4$, 13.2 Hz, 1H in CH_2N), 3.68 (dd, $J = 6.6$, 13.2 Hz, 1H in CH_2N), 4.20 (dd, $J = 6.6$, 8.4 Hz, 1H, CHS), 7.31 (s, 4H, ArH).

^{13}C NMR (D_2O, 75.5 MHz) (δ, ppm) 40.8, 63.4, 129.7, 129.9, 130.0, 132.7.

IR (ν_{max}, cm^{-1}) 3,016.9 (NH_3^+), 1,635.3, 1,494.4, 1,206.8 (SO_3^-), 1,172.9, 1,139.1, 1,026.3 (SO_3^-), 755.6, 685.2.

HRMS (ESI) Calcd. for $C_8H_{10}ClNNaO_3S$ *m/z*: 257.9962 $[M + H]^+$; Found 257.9955.

2-Amino-1-cyclohexylethane-1-sulfonic acid ***5e***

Colorless crystals, yield: 87%. m.p. 322°C (dec.).

^1H NMR (D_2O, 300 MHz) (δ, ppm) 1.01–1.23 (m, 6H, $3CH_2$), 1.51–1.64 (m, 4H, $2CH_2$), 1.79–1.89 (m, 1H, CH), 2.80–2.86 (m, 1H, CHS), 3.15–3.52 (m, 2H, CH_2N),

^{13}C NMR (DMSO-d_6, 50 MHz) (δ, ppm) 26.2, 26.3, 27.3, 31.1, 31.3, 36.9, 37.4, 60.9.

^{13}C NMR (D_2O, 50 MHz) (δ, ppm) 25.4, 25.6, 26.0, 27.3, 31.2, 37.4, 38.3, 62.5.

IR (ν_{max}, cm^{-1}) 3,422.9 (NH_3^+), 3,177.6 (NH_3^+), 2,932.3, 2,864.7, 2,371.2, 1,624.1, 1,452.1, 1,215.2 (SO_3^-), 1,167.3, 1,034.8 (SO_3^-), 738.7, 609.0.

HRMS (ESI) Calcd. for $C_8H_{18}NO_3S$ *m/z*: 208.1001 $[M + H]^+$; Found 208.1001.

1-Amino-3-methylbutane-2-sulfonic acid ***5f***

Colorless crystals, yield: 64%. m.p. 338–340°C (dec.).

^1H NMR (D_2O, 300 MHz) (δ, ppm) 0.89 (d, $J = 7.0$ Hz, 3H, CH_3), 0.97 (d, $J = 7.0$ Hz, 3H, CH_3), 2.22 (dqq, $J = 3.3$, 7.0, 7.0 Hz, 1H, CH), 2.87 (ddd, $J = 2.9$, 3.3, 10.1 Hz, 1H, CHS), 3.18 (dd, $J = 10.1$, 13.8 Hz, 1H in CH_2N), 3.26 (dd, $J = 2.9$, 13.8 Hz, 1H in CH_2N).

^{13}C NMR (D_2O, 75.5 MHz) (δ, ppm) 17.1, 21.1, 28.0, 37.4, 62.8.

IR (ν_{max}, cm^{-1}) 3,095.9 (NH_3^+), 2,937.9 (NH_3^+), 1,598.7, 1,508.5, 1,212.4 (SO_3^-), 1,153.2, 1,102.4, 1,023.5 (SO_3^-).

HRMS (ESI) Calcd. for $C_5H_{14}NO_3S$ *m/z*: 168.0688 $[M + H]^+$; Found 168.0690.

1-Aminohexane-2-sulfonic acid ***5g***

Colorless crystals, yield: 69%. m.p. 335°C (dec.). Lit. (Chen et al. 2009a) m.p. 335°C (dec.).

^1H NMR (D_2O, 300 MHz) (δ, ppm) 0.73 (t, $J = 7.0$ Hz, 3H, CH_3), 1.08–1.40 (m, 5H in $3CH_2$), 1.68–1.81 (m, 1H in CH_2), 2.90 (m, 1H, CHS), 3.03–3.22 (m, 2H, CH_2N).

^{13}C NMR (D_2O, 75.5 MHz) (δ, ppm) 13.7, 22.4, 27.8, 28.6, 39.8, 57.5.

IR (ν_{max}, cm^{-1}) 3,422.9 (NH_3^+), 3,140.0 (NH_3^+), 2,949.2, 2,867.5, 1,626.9 (NH_3^+), 1,508.5, 1,237.8 (SO_3^-), 1,158.8, 1,043.2 (SO_3^-), 738.7, 609.0.

1-Aminoheptane-2-sulfonic acid ***5h***

Colorless crystals, yield: 66%. m.p. 329–331°C.

^1H NMR (D_2O, 300 MHz) (δ, ppm) 0.77 (t, $J = 6.9$ Hz, 3H, CH_3), 1.17–1.30 (m, 4H, 2 CH_2), 1.30–1.52 (m, 3H in $2CH_2$), 1.70–1.90 (m, 1H in CH_2), 2.90–3.03 (m, 1H, CHS), 3.07–3.32 (m, 2H, CH_2N).

^{13}C NMR (D_2O, 75.5 MHz) (δ, ppm) 13.9, 22.3, 26.1, 28.1, 31.3, 39.8, 57.5.

IR (ν_{max}, cm^{-1}) 3,135.3 (NH_3^+), 3,093.0 (NH_3^+), 2,968.9, 2,967.5, 1,601.5, 1,502.8, 1,235.0 (NH_3^+), 1,156.0, 1,031.9 (NH_3^+), 885.3, 738.7, 603.4.

HRMS (ESI) Calcd for $C_7H_{17}NNaO_3S$ *m/z*: 218.0821 $[M + Na]^+$; Found 218.0813.

1-Amino-2-methylbutane-2-sulfonic acid ***5i***

Colorless crystals, yield: 71%. m.p. 323–325°C.

^{1}H NMR (D_2O, 300 MHz) (δ, ppm) 0.89 (t, $J = 7.6$ Hz, 3H, CH_3), 1.25 (s, 3H, CH_3), 1.69 (dq, $J = 14.4$, 7.6 Hz, 1H in CH_2), 1.78 (dq, $J = 14.4$, 7.6 Hz, 1H in CH_2), 3.15 (d, $J = 15.1$ Hz, 1H in CH_2N), 3.21 (d, $J = 15.1$ Hz, 1H in CH_2N).

^{13}C NMR (D_2O, 125 MHz) (δ, ppm) 7.1, 16.6, 25.6, 42.8, 58.0.

IR (ν_{max}, cm^{-1}) 3,150.0 (NH_3^+), 3,095.1 (NH_3^+), 2,974.4, 1,623.5, 1,504.3, 1,213.9 (NH_3^+), 1,183.3, 1,038.2 (NH_3^+), 684.3.

HRMS (ESI) Calcd. for $C_5H_{14}NO_3S$ *m/z*: 168.0689 $[M + H]^+$; Found 168.0680.

1-Amino-2-phenylpropane-2-sulfonic acid ***5k***

Colorless crystals, yield: 70%. m.p. 285–287°C (dec.). Lit. (Chen et al. 2009b) m.p. 285–287°C (dec.).

^{1}H NMR (D_2O, 200 MHz) (δ, ppm) 1.67 (s, 3H, CH_3), 3.51 (d, $J = 13.3$ Hz, 1H in CH_2N), 3.68 (d, $J = 13.3$ Hz, 1H in CH_2N), 7.20–7.37 (m, 3H, ArH), 7.39–7.47 (m, 2H, ArH).

^{13}C NMR (D_2O, 75 MHz) (δ, ppm) 18.2, 44.7, 61.6, 129.9, 128.8, 128.9, 134.8.

2-Amino-1,1-diphenylethane-1-sulfonic acid ***5l***

Colorless crystals, yield: 69%. m.p. 196–198°C (dec.). Lit. (Chen et al. 2009b) m.p. 196–198°C (dec.).

^{1}H NMR (D_2O, 300 MHz) (δ, ppm) 3.70 (s, 2H, CH_2), 7.11–7.27 (m, 10H, ArH).

^{13}C NMR (D_2O, 75 MHz) (δ, ppm) 49.4, 75.8, 126.0, 128.5, 128.9, 143.1.

Results and discussion

Nitroolefins can be prepared conveniently from nitromethane with aldehydes (Kumaran and Kulkarni 1994) or ketones (Jang et al. 2003), or olefins (Fryszkowska et al. 2008). A series of 1-nitro-1-alkenes were prepared from nitromethane and aldehydes in satisfactory to good yields according to reported methods (Kumaran and Kulkarni 1994). They underwent Michael addition with sodium ethylxanthate to give rise to *O*-ethyl *S*-(2-nitroalkyl) dithiocarbonates according to the reported method (Ouvry et al. 2003). The oxidation of the dithiocarbonates with performic acid was investigated with dithiocarbonate **3a** as a model substrate. Initially, dithiocarbonate **3a** was added to performic acid generated in situ from 88% formic acid and 30% hydrogen peroxide; the yield of 2-nitroalkanesulfonic acid **4a** was generally low and nitroolefin **2a** was produced as byproduct. This revealed that retro Michael addition occurred. When the dithiocarbonate was first dissolved in formic acid and then hydrogen peroxide was added into the resulting solution, the amount of the nitroolefin decreased in the reaction mixture and the yield of the desired product was improved. The oxidation conditions were further optimized. The results are summarized in Table 1. The yield depended on the concentration of performic acid. High concentration generally gave high yields (Table 1, entry 1 vs. entry 2, entry 5 vs. entry 4). On the other hand, high reaction temperature decreased the yield (Table 1, entry 5 vs. entry 6). Although the yield was increased in 88% formic acid when the crude product re-subjected to oxidation conditions (Table 1, entry 2 vs. entry 3), the same operation did not improve the yield significantly in 98% formic acid. The optimal oxidative conditions are that the dithiocarbonate was oxidized in 98% formic acid at 0°C. The obtained 2-nitroalkanesulfonic acids were reduced in methanol in excellent yields with hydrogen in the presence of palladium on carbon powder. To improve the overall yields, we tried to reduce 2-nitroalkanesulfonic acids without purification because some nitroalkanesulfonic acids were lost in the recrystallization purification. After reduction, filtration, and concentration, the residue was dissolved in water. The aqueous solution was washed with dichloromethane to remove organic impurities easily. The results clearly indicate that

Table 1 Optimized oxidation of dithiocarbonate **3a**

Entry	Solvent	Volume (mL)	Temperature (°C)	Yield (%)
1	88% HCO_2H	6	0	56
2	88% HCO_2H	12	0	48
3	88% HCO_2H	12	0	67[a]
4	98% HCO_2H	12	0	62
5	98% HCO_2H	6	0	80
6	98% HCO_2H	6	60–70	61

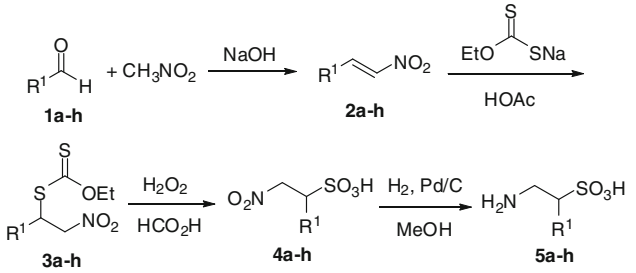
To a solution of 0.271 g (0.01 mol) *O*-ethyl *S*-(2-nitro-1-phenylethyl) dithiocarbonate (**3a**) in formic acid was added dropwise 30% H_2O_2 (1.2 mL). The resulting mixture was stirred overnight. After addition of 20 mL of water, the solution was washed with dichloromethane to remove organic impurities. After concentration, 2-nitro-1-phenylethanesulfonic acid was obtained

[a] Double oxidations were conducted

the overall yields were improved (Scheme 1). A series of 1-substituted taurines were obtained in satisfactory to good overall yields (Table 2, entries 1–8).

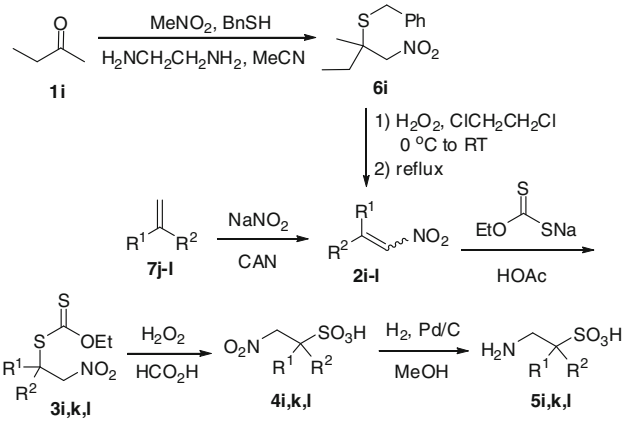
After the success in the synthesis of aliphatic and aromatic 1-substituted taurines, we decided to extend the method to prepare 1,1-disubstituted taurines, especially aromatic 1,1-disubstituted taurines because it was reported to be synthesized in very low yields from the direct nucleophilic ring-opening reaction of aromatic 2,2-disubstituted aziridines with sodium bisulphate (Chen et al. 2009b). Aliphatic 1-nitro-2,2-geminal disubstituted olefin **2i** was prepared from butanone with nitromethane (Jang et al. 2003). 1-Nitro-2,2-geminal disubstituted olefins **2j–l** were prepared from 2,4,4-trimethyl-1-pentene, α-methylstyrene, and 1,1-diphenylethene, respectively, with sodium nitrite under the catalysis of CAN (Fryszkowska et al. 2008). They underwent the similar Michael addition with lower yields possibly due to more steric hindrance compared to monosubstituted nitroolefins. More steric 1-nitro-2,2-diphenylethene **2l** gave rise to the product with only 5% yield. For the most steric 2,4,4-trimethyl-1-nitro-1-pentene **2j**, no adduct was observed. The results revealed that steric hindrance plays an important role in the Michael addition step. The prepared dithiocarbonates **3i,k,l** were oxidized and reduced under similar conditions to afford 1,1-disubstituted taurines **5i,k,l** in satisfactory yields (Scheme 2, Table 2, entries 9, 11 and 12).

Comparison with the previous method for the synthesis of 1-mono- and 1,1-disubstituted taurines with thiiranes as starting materials (Yu et al. 2009), which limits to the synthesis of 1-alkyl and 1,1-dialkyl taurines; the synthetic method for 1,1-disubstituted taurines from 2,2-disubstituted aziridines, only suitable for the aromatic 1,1-disubstituted taurines with low yields (Chen et al. 2009b), the current method can be used to prepare not only aliphatic, but also aromatic 1-mono- and 1,1-disubstituted taurines. Although more steric geminal disubstituted nitroolefins do not work very well in the current strategy, the method is quite practical and versatile for less steric nitroolefins.



a: R^1 = Ph; **b**: R^1 = 4-MePh; **c**: R^1 = 4-ClPh; **d**: R^1 = 3-ClPh; **e**: R^1 = cHex; **f**: R^1 = $CHMe_2$; **g**: R^1 = *n*-Bu; **h**: R^1 = *n*-Am

Scheme 1 Synthesis of 1-substituted taurines



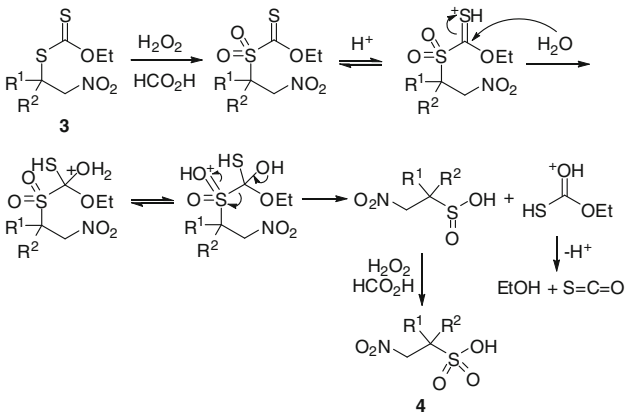
i: R^1 = Me, R^2 = Et; **j**: R^1 = Me, R^2 = CH_2CMe_3; **k**: R^1 = Me, R^2 = Ph; **l**: R^1 = R^2 = Ph

Scheme 2 Synthesis of 1,1-disubstituted taurines

Table 2 Synthesis of taurines from dithiocarbonates **3**

Entry	R^1	R^2	Dithiocarbonate **3**	Yield (%)	Taurine **5**	Yield (%)[a]
1	Ph		**3a**	88	**5a**	58
2	4-MePh		**3b**	84	**5b**	60
3	4-ClPh		**3c**	79	**5c**	67
4	3-ClPh		**3d**	82	**5d**	59
5	*c*Hex		**3e**	71	**5e**	87
6	$CHMe_2$		**3f**	80	**5f**	64
7	Bu^n		**3g**	52	**5g**	69
8	Am^n		**3h**	68	**5h**	66
9	Me	Et	**3i**	48	**5i**	71
10	Me	CH_2CMe_3	**3j**	0	**5j**	–
11	Me	Ph	**3k**	54	**5k**	71
12	Ph	Ph	**3l**	5	**5l**	69

[a] From dithiocarbonates **3**



Scheme 3 Proposed mechanism for oxidation of *O*-ethyl *S*-(2-nitroalkyl) dithiocarbonates **3** with performic acid to 2-nitroalkanesulfonic acids **4**

To investigate the oxidation mechanism dithiocarbonates **3a** and **3f** were dissolved in formic acid and the resulting solutions were stirred for 1 day. Only slightly hydrolysis of the dithiocarbonates was observed in all the cases studied. As for the oxidation mechanism, taking into account the oxidation reported for thiazolidine-2-thiones (Chen et al. 2009a), we assumed that dithiocarbonates **3** are first oxidized to dithiocarbonate *S,S*-dioxides, sulfur analogs of α-oxo sulfones (Schank and Werner 1979). They are unstable because the thiocarbonyl group is a stronger electrophile than that in the corresponding ketones and esters due to the existence of the vicinal sulfone group. They readily undergo acid-catalyzed hydrolysis to generate 2-nitroalkanesulfinic acids and protonated *O*-monoethyl thiocarbonate, which further decomposes to ethanol and a molecule of S=C=O after loss of a proton. The 2-nitroalkanesulfinic acids are further oxidized to 2-nitroalkanesulfonic acids **4** with performic acid (Scheme 3).

In summary, 1-mono- and 1,1-disubstituted taurines were synthesized conveniently from nitroolefins via Michael addition with sodium ethylxanthate, the oxidation of the adducts with performic acid, and hydrogenation of the nitro- to the amino-functionality. The synthetic route is a general and versatile method to synthesize both aliphatic and aromatic 1-monosubstituted taurines. However, for 1,1-disubstituted taurines, only less steric bulky taurines can be prepared in good yields. The method is a salt-free and practical route to prepare 1-mono- and 1,1-disubstituted taurines in both laboratory and industry because the materials are simple and commercially available, and the preparation process can be scaled up.

Acknowledgments The project was supported partly by National Natural Science Foundation of China (No. 20972013 and 20472005) and Beijing Natural Science Foundation (No. 2092022).

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