A Novel Method for the Alkoxylation of Azetidin-2-ones at the 4-Position

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4-Sulfinylazetidin-2-ones were reacted with various types of tributyltin alkoxides in the presence of a catalytic amount of trimethylsilyltrifluoromethanesulfonate to give the corresponding *trans*-alkoxyazetidin-2-ones in high yields.

Keywords 4-phenylsulfinylazetidin-2-one; 4-alkoxyazetidin-2-one; tributyltin alkoxide; oxacephem

4-Alkoxyazetidin-2-ones have been used extensively as precursors of β-lactam antibiotics such as clavam[1] and oxacepham derivatives.[2] Some 4-alkoxyazetidin-2-ones have been prepared from 4-alkylsulfinyl- or 4-benzothiazolothio-azetidin-2-ones by reaction with a large excess of hydroxy compounds without any solvent or in the presence of methyl propiolate in benzene under reflux.[3] Recently, these azetidin-2-ones were prepared from 4-acetoxyazetidin-2-ones by displacement of the 4-acetoxy group with the required hydroxy compound in the presence of zinc(II) acetate in refluxing benzene.[4] The chemistry of the β-lactam ring is still under active study, and great efforts have been made to search for new or improved methodologies for the preparation of β-lactam antibiotics.[5] Recently, we have reported that the readily obtainable 4-phenylsulfinylazetidin-2-ones (**1**)[6] react smoothly with *O*-silylated ketene acetals[7] or with silylated heteronucleophiles[8] to give the 4-alkyl- or 4-heterofunction substituted azetidin-2-ones (**2** and **3**) in high yields (Chart 1). Although various types of silylated heteronucleophiles react smoothly with **1** under extremely mild conditions (nearly neutral conditions), the silyl alkoxides are not suitable for this purpose probably because of the difficulty of cleavage of the O–Si bond under the conditions. Thus, a ready cleavage of the O–metal bond and an enhancement of the nucleophilicity of the donor hydroxy compounds are required for further approaches. Some favorable results have been obtained by increasing the nucleophilicity of the alcohol by using an organotin substituted oxygen derivative in the glycosidation reaction.[9] We now report a novel efficient alkoxylation of azetidin-2-ones at the 4-position by using tin alkoxides (**4**) as alkoxy nucleophilic donors.

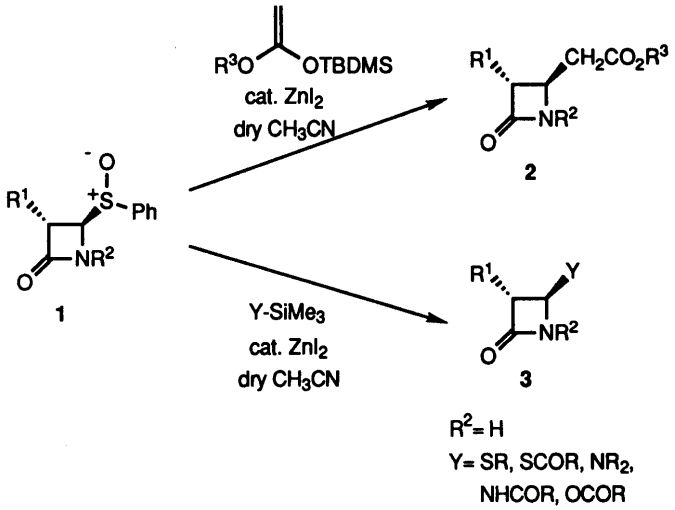
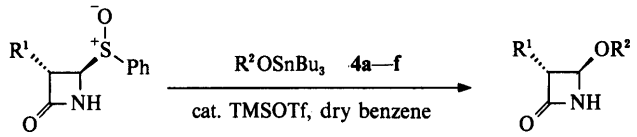


Chart 1. Substitution Reaction of 4-Sulfinylazetidin-2-one (**1**)

TABLE I. Products and Yields



1a—c **5a—l**

Runs		Sulfoxide (**1**) R^1		Tributyltin alkoxide R^2	Reaction conditions[a]	Product (**5**)	Yield[b] (%)
1	**1a**	Me(TBDMSO)CH[c]	**4a**	CH_2Ph	R.t. 2 h	**5a**	82
2	**1a**		**4b**	Me	R.t. 2 h	**5b**	84
3	**1a**		**4c**	Et	R.t. 3 h	**5c**	81
4	**1a**		**4d**	Allyl	R.t. 6 h	**5d**	78
5	**1a**		**4e**	iso-Pr	R.t. 16 h	**5e**	64
6	**1a**		**4f**	*tert*-Bu	R.t. 13 h	**5f**	53
7	**1b**	Et	**4b**	Me	R.t. 3 h	**5g**	70
8	**1b**		**4d**	Allyl	R.t. 8 h	**5h**	74
9	**1b**		**4e**	iso-Pr	R.t. 12 h	**5i**	64
10	**1c**	H	**4b**	Me	R.t. 3 h	**5j**	74
11	**1c**		**4d**	Allyl	R.t. 5 h	**5k**	74
12	**1c**		**4e**	iso-Pr	R.t. 15 h	**5l**	68

a) The reactions were carried out at 0.1—1 mmol scale of sulfoxides with 2.0—2.2 eq of **4** in the presence of a catalytic amount (0.05—0.1 eq) of TMSOTf. *b*) Isolated yields by column chromatography (silica gel) are given. *c*) Chiral **1** was used in the reaction.

TABLE II. Spectral Data

No.	IR ($CHCl_3$) cm^{-1}	mp (°C)	Formula	Analysis (%) Calcd (Found) C	H	N	^{1}H-NMR ($CDCl_3$-δ) J (Hz)
5a	3400, 1760, 1080	55—57 (Petroleum ether)	$C_{18}H_{29}NO_3Si$	64.49 (64.36	8.66 8.57	4.18 4.18)	0.054, 0.070 (total 6H, each s, $SiMe_2$), 0.87 (9H, s, Si *tert*-Bu), 1.24 (3H, d, J=6.3, MeCH<), 3.10 (1H, dd, J=4.3, 1.3, 3-H), 4.16 (1H, qd, J=6.3, 4.3, >CHMe), 4.59 (2H, AB-q, J=10.3, CH_2Ph), 5.18 (1H, d, J=1.3, 4-H), 6.42 (1H, br s, NH), 7.35 (5H, s, Ph)
5b	3430, 1770, 1100	56—58 (Petroleum ether)	$C_{12}H_{25}NO_3Si$	55.54 (55.25	9.73 9.67	5.40 5.29)	0.062 (6H, s, $SiMe_2$), 0.86 (9H, s, Si *tert*-Bu), 1.25 (3H, d, J=6.4, MeCH<), 3.00 (1H, dd, J=4.2, 1.1, 3-H), 3.36 (3H, s, OMe), 4.19 (1H, qd, J=6.4, 4.2, >CHMe), 4.98 (1H, d, J=1.2, 4-H), 6.60 (1H, br s, NH)
5c	3400, 1760, 1080	58—61 (Petroleum ether)	$C_{13}H_{27}NO_3Si$	57.14 (56.88	9.89 9.93	5.13 5.10)	0.055, 0.072 (total 6H, each s, $SiMe_2$), 0.85 (9H, s, Si *tert*-Bu), 1.23 (3H, dd, J=7.0, $MeCH_2$), 1.24 (3H, d, J=6.4, MeCH<), 2.99 (1H, dd, J=4.2, 1.1, 3-H), 3.54 (2H, q, J=7.0, CH_2Me), 4.12 (1H, qd, J=6.4, 4.2, >CHMe), 5.03 (1H, d, J=1.1, 4-H), 6.63 (1H, br s, NH)
5d	3400, 1750, 1080	37—39 (Petroleum ether)	$C_{14}H_{27}NO_3Si$	58.95 (58.73	9.47 9.55	4.91 4.87)	0.055, 0.069 (total 6H, each s, $SiMe_2$), 0.86 (9H, s, Si *tert*-Bu), 1.25 (3H, d, J=6.3, MeCH<), 3.05 (1H, dd, J=4.0, 1.0, 3-H), 4.06 (2H, ddd, J=5.5, 3.5, 1.5, OCH_2), 4.16 (1H, dq, J=4.0, 6.3, >CHMe), 5.10 (1H, d, J=1.0, 4-H), 5.23 (1H, ddd, J=10.5, 3.5, 1.5, CHH=CH–), 5.32 (1H, ddd, J=17.3, 3.5, 1.5, CHH=CH–), 5.92 (1H, ddt, J=17.3, 10.5, 5.5, –CH=CH_2), 6.43 (1H, br s, NH)
5e	3430, 1765, 1100	60—61 (Petroleum ether)	$C_{14}H_{29}NO_3Si$	58.54 (58.34	10.11 10.20	4.89 4.86)	0.060, 0.072 (total 6H, each s, $SiMe_2$), 0.87 (9H, s, Si *tert*-Bu), 1.20, 1.21, 1.25 (total 9H, each d, J=6.3, MeCH<, Me_2CH), 2.99 (1H, dd, J=4.3, 1.0, 3-H), 3.76 (1H, heptet, J=6.3, $CHMe_2$), 4.16 (1H, dq, J=4.3, 6.3, >CHMe), 5.12 (1H, d, J=1.0, 4-H), 6.35 (1H, br s, NH)
5f	3430, 1760, 1090	82—85 (Petroleum ether)	$C_{12}H_{31}NO_3Si$	59.80 (59.52	10.30 10.35	4.65 4.64)	0.056, 0.068 (total 6H, each s, $SiMe_2$), 0.87 (9H, s, Si *tert*-Bu), 1.21 (3H, d, J=6.0, MeCH<), 1.25 (9H, s, *tert*-Bu), 2.99 (1H, dd, J=3.0, 1.3, 3-H), 4.19 (1H, qd, J=6.0, 3.0, >CHMe), 5.32 (1H, d, J=1.3, 4-H), 6.22 (1H, br s, NH)
5g	3430, 1760, 1100	Oil	$C_6H_{11}NO_2$	129.0787[a] (129.0787)			1.05 (3H, t, J=7.6, $MeCH_2$), 1.68, 1.78 (total 2H, each d. quint, J=6.3, 7.6, CH_2Me), 3.00 (1H, ddd, J=7.6, 6.3, 1.1, 3-H), 3.32 (3H, s, OMe), 4.70 (1H, d, J=1.1, 4-H), 6.54 (1H, br s, NH)
5h	3400, 1760, 1080	Oil	$C_8H_{13}NO_2$	155.0945[a] (155.0935)			0.96 (3H, t, J=7.4, $MeCH_2$), 1.64 (2H, m, CH_2), 2.94 (1H, td, J=7.4, 1.3, 3-H), 3.97 (2H, dt, J=5.5, 1.0, OCH_2), 4.71 (1H, d, J=1.3, 4-H), 5.16 (1H, ddd, J=11, 2.5, 1.0, CHH=C), 5.24 (1H, ddd, J=17, 2.5, 1.0, CHH=C), 5.84 (1H, ddt, J=17, 11, 5.5, CH=CH_2), 6.52 (1H, br s, NH)
5i	3430, 1760, 1100	Oil	$C_8H_{15}NO_2$	157.1100[a] (157.1093)			1.05 (3H, t, J=7.3, $MeCH_2$), 1.21 (6H, d, J=6.0, Me_2CH), 1.71 (2H, m, CH_2Me), 2.97 (1H, td, J=7.3, 1.3, 3-H), 3.75 (1H, heptet, J=6.0, $CHMe_2$), 4.81 (1H, d, J=1.3, 4-H), 6.45 (1H, br s, NH)
5j	3340, 1770, 1100	bp 115 (0.05 mmHg) (Bath temp.)	$C_4H_7NO_2$	47.52 (47.13	6.93 6.97	13.86 13.52)	2.89 (1H, dd, J=15, 1.8, 3-H), 3.08 (1H, dt, J=15, 3.5, 3-H), 3.37 (3H, s, OMe), 5.03 (1H, dd, J=3.5, 1.8, 4-H), 6.55 (1H, br s, NH)
5k	3400, 1760, 1080	bp 115 (0.05 mmHg) (Bath temp.)	$C_6H_9NO_2$	127.0633[a] (127.0633)			2.90 (1H, dd, J=15, 1.5, 3-H), 3.11 (2H, ddd, J=15, 4.3, 3.0, 3-H), 4.05 (2H, dt, J=5.5, 1.5, OCH_2), 5.09 (1H, dd, J=1.5, 4.3, 4-H), 5.23 (1H, ddd, J=10.3, 3.0, 1.5, CHH=C), 5.32 (1H, ddd, J=17.3, 3.0, 1.5, CHH=C), 5.91 (1H, ddt, J=17.3, 10.3, 5.6, CH=CH_2), 6.75 (1H, br s, NH)
5l	3430, 1770, 1100	58—59 (Hexane/CH_2Cl_2)	$C_6H_{11}NO_2$	129.0790[a] (129.0791)			1.05 (3H, t, J=7.3, $MeCH_2$), 1.21 (6H, d, J=6.0, Me_2CH), 1.71 (2H, m, CH_2Me), 2.97 (1H, td, J=7.3, 1.3, 3-H), 3.75 (1H, heptet, J=6.0, $CHMe_2$), 4.81 (1H, d, J=1.3, 4-H), 6.45 (1H, br s, NH)

a) HRMS (*m*/*z*).

In the first place, reaction of 3-(1-*tert*-butyldimethylsiloxy)ethyl-4-phenylsulfinylazetidin-2-one (**1a**) with tributyltin benzyloxide (**4a**) was examined under various conditions. The best result was obtained[10] in the presence of a catalytic amount of trimethylsilyl trifluoromethanesulfonate (TMSOTf) in dry benzene at room temperature. The *trans*-4-benzyloxy-3-(1-*tert*-butyldimethylsiloxy)ethylazetidin-2-one (**5a**) was obtained as a single product in 82% yield. Other tributyltin alkoxides (**4b—f**)[11] including bulky tin alkoxides (**4e, f**) were similarly reacted with 3-substituted and 3-unsubstituted 4-phenylsulfinylazetidin-2-ones (**1a—c**) to give the corresponding 4-alkoxyazetidin-2-ones (**5b—l**). The results are summarized in Table I. The 4-allyloxyazetidin-2-one (**5d**) has already been converted

to an oxacephem antibiotic bearing the α-(1-hydroxy)ethyl side chain.[12]

In conclusion, tributyltin alkoxide (**4**) was found to act as an effective alkoxy donor for the alkoxylation of azetidin-2-ones at the 4-position.

Experimental

General All melting and boiling points are uncorrected. Infrared (IR) absorption spectra were recorded in $CHCl_3$. Proton nuclear magnetic resonance (^{1}H-NMR) spectra were measured at 90, 250, 270 or 500 MHz with $CDCl_3$ as a solvent (with tetramethylsilane as an internal standard unless otherwise noted). Low- and high-resolution (HR) mass spectra (MS) were obtained with a direct inlet system. For column chromatography, E. Merck silica gel (70—230 mesh ASTM) was used. For preparative thin layer chromatography (preparative TLC), E. Merck TLC plates pre-coated with Silica gel $60F_{254}$ (0.5 mm) were used.

(3*S*,4*R*)-3-[(1*R*)-1-*tert*-Butyldimethylsilyloxyethyl]-4-phenylsulfinylazetidin-2-one (1a) A solution of *m*-chloroperbenzoic acid (*m*-CPBA, 80%, 1.07 mg, 5.03 mmol) in CH_2Cl_2 (30 ml) was added to a stirred solution of (3*S*,4*R*)-3-[(1*R*)-1-*tert*-butyldimethylsilyloxyethyl]-4-phenylthioazetidin-2-one[6] (1.61 g, 4.76 mmol) in CH_2Cl_2 (20 ml) at 0 °C. After 20 min, the mixture was partitioned between CH_2Cl_2 and water. The aqueous layer was separated and extracted with CH_2Cl_2. The combined organic layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel to give **1a** (1.41 g, 84%, 4:1 mixture of diastereomers) as colorless crystals: mp 85—87 °C (hexane/CH_2Cl_2). IR: 3450, 1775, 1050 cm^{-1}. ^{1}H-NMR δ: −0.015, 0.005, 0.017, 0.027 (total 6H, each s, $SiMe_2$), 0.531, 0.875 (total 3H, each d, J=6.8 Hz, MeCH<), 0.813, 0.827 (total 9H, each s, Si *tert*-Bu), 3.365, 3.505 (total 1H, each br s, 3-H), 4.198 (1H, qd, J=6.8, 2.0 Hz, >CHMe), 4.523 (1H, d, J=1.8 Hz, 4-H), 6.23, 6.31 (total 1H, each br s, NH), 7.6 (5H, m, Ph). MS *m/z*: 296 (M^+ − *tert*-Bu), 253 (M^+ − *tert*-Bu − HNCO). *Anal.* Calcd for $C_{17}H_{27}NO_3SSi$: C, 57.79; H, 7.65; N, 3.97; S, 9.07. Found: C, 57.67; H, 7.90; N, 3.93; S, 8.95.

(3*S,4*R**)-3-Ethyl-4-phenylsulfinylazetidin-2-one (1b)** This was prepared from (3*S**,4*R**)-3-ethyl-4-phenylthioazetidin-2-one[13] (930 mg, 4.50 mmol) and *m*-CPBA (80%, 949 mg, 4.40 mmol) by a similar method to that described for the preparation of **1a** in 83% yields as colorless crystals: mp 103—105 °C (hexane/CH_2Cl_2). IR: 3400, 1770, 1090 cm^{-1}. ^{1}H-NMR δ: 0.708 (3H, t, J=7.3 Hz, $MeCH_2$), 1.614 (2H, m, CH_2), 3.557 (1H, tdd, J=7, 3.3, 2 Hz, 3-H), 4.126 (1H, d, J=2 Hz, 4-H), 6.237 (1H, br s, NH), 7.641 (5H, m, Ph). HRMS Calcd for $C_{11}H_{13}NO_2S$ (M^+) 223.0667. Found: 223.0669.

4-Phenylsulfinylazetidin-2-one (1c) This was prepared from 4-phenylthioazetidin-2-one[14] (515 mg, 2.88 mmol) and *m*-CPBA (80%, 682 mg, 3.16 mmol) by a similar method to that described for the preparation of **1a** in 72% yield as colorless crystals: mp 124—127 °C (hexane/CH_2Cl_2) (lit.[14] 107—108 °C). IR: 3400, 1780, 1080 cm^{-1}. ^{1}H-NMR δ: 3.013 (1H, ddd, J=15.3, 4.8, 1.8 Hz, 3-H), 3.390 (1H, dt, J=15.3, 1.8 Hz, 3-H), 4.453 (1H, dd, J=4.8, 1.8 Hz, 4-H), 6.440 (1H, br s, NH), 7.612 (5H, m, Ph). MS *m/z*: 195 (M^+), 70 (M^+ − SOPh). *Anal.* Calcd for $C_9H_9NO_2S$: C, 47.52; H, 6.93; N, 13.86. Found: C, 47.13; H, 6.97; N, 13.52.

General Procedure for the Reaction of 4-Phenylsulfinylazetidin-2-ones (1a—c) with Tributyltin Alkoxides (4a—f) A stirred solution of 4-phenylsulfinylazetidin-2-one (**1a—c**, 0.10 mmol) and tributyltin alkoxide (**4a—f**, 0.22 mmol) in dry benzene (1 ml) was treated with TMSOTf (0.01 mmol) at room temperature and stirred for the period indicated in Table I. The reaction mixture was quenched with saturated aqueous KF solution (3 ml). AcOEt (3 ml) was added and the whole was vigorously stirred for 1 h. The mixture was partitioned between 50% AcOEt in hexane and water. The aqueous layer was separated and extracted with 50% AcOEt in hexane. The combined organic layer was washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by preparative TLC on silica gel to give the 4-alkoxy product.

(3*R*,4*R*)-4-Benzyloxy-3-[(1*R*)-1-*tert*-butyldimethylsilyloxyethyl]azetidin-2-one (**5a**): **1a** (46.3 mg, 0.132 mmol); **4a** (115 mg, 0.290 mmol); TMSOTf (2.90 mg, 0.0130 mmol); benzene (1.5 ml); 36.3 mg, 82%; colorless needles.

(3*R*,4*R*)-3-[(1*R*)-1-*tert*-Butyldimethylsilyloxyethyl]-4-methoxyazetidin-2-one (**5b**): **1a** (50.9 mg, 0.144 mmol); **4b** (96.8 g, 0.317 mmol); TMSOTf (3.10 mg, 0.0140 mmol); benzene (1.5 ml); 31.4 mg, 84%; colorless needles.

(3*R*,4*R*)-3-[(1*R*)-1-*tert*-Butyldimethylsilyloxyethyl]-4-ethoxyazetidin-2-one (**5c**): **1a** (43.4 mg, 0.123 mmol); **4c** (86.2 mg, 0.270 mmol); TMSOTf (3.0 mg, 0.012 mmol); benzene (1.5 ml); 27.0 mg, 81%; colorless needles.

(3*R*,4*R*)-4-Allyloxy-3-[(1*R*)-1-*tert*-butyldimethylsilyloxyethyl]azetidin-2-one (**5d**): **1a** (49.3 mg, 0.141 mmol); **4d** (106 mg, 0.308 mmol); TMSOTf (3.10 mg, 0.0140 mmol); benzene (1 ml); 31.0 mg, 78%; colorless crystals.

(3*R*,4*R*)-3-[(1*R*)-1-*tert*-Butyldimethylsilyloxyethyl]-4-isopropoxyazetidin-2-one (**5e**): **1a** (50.4 mg, 0.143 mmol); **4e** (105 mg, 0.314 mmol); TMSOTf (3.20 mg, 0.0143 mmol); benzene (1.5 ml); 26.4 mg, 64%; colorless needles.

(3*R*,4*R*)-4-*tert*-Butoxy-3-[(1*R*)-1-*tert*-butyldimethylsilyloxyethyl]azetidin-2-one (**5f**): **1a** (54.7 mg, 0.155 mmol); **4f** (123 mg, 0.341 mmol); TMSOTf (3.40 mg, 0.0155 mmol); benzene (1 ml); 24.7 mg, 53%; colorless needles.

(3*R**,4*R**)-3-Ethyl-4-methoxyazetidin-2-one (**5g**): **1b** (41.4 mg, 0.186 mmol); **4b** (130 mg, 0.408 mmol); TMSOTf (4.10 mg, 0.0186 mmol); benzene (1.5 ml); 16.8 mg, 70%; colorless oil.

(3*R**,4*R**)-4-Allyloxy-3-ethylazetidin-2-one (**5h**): **1b** (49.0 mg, 0.220 mmol); **4d** (166 mg, 0.483 mmol); TMSOTf (4.80 mg, 0.0220 mmol); benzene (1.5 ml); 25.1 mg, 74%; colorless oil.

(3*R**,4*R**)-3-Ethyl-4-isopropoxyazetidin-2-one (**5i**): **1b** (43.6 mg, 0.196 mmol); **4e** (143 mg, 0.430 mmol); TMSOTf (4.40 mg, 0.0200 mmol); benzene (1.5 ml); 19.8 mg, 64%; colorless oil.

4-Methoxyazetidin-2-one (**5j**): **1c** (21.0 mg, 0.108 mmol); **4b** (76.1 mg, 0.237 mmol); TMSOTf (2.40 mg, 0.0108 mmol); benzene (1 ml); 8.1 mg, 74%; colorless oil.

4-Allyloxyazetidin-2-one (**5k**): **1c** (31.4 mg, 0.161 mmol); **4d** (122 mg, 0.354 mmol); TMSOTf (3.60 mg, 0.0160 mmol); benzene (2 ml); 15.2 mg, 74%; colorless oil.

4-Isopropoxyazetidin-2-one (**5l**): **1c** (30.5 mg, 0.156 mmol); **4e** (129 mg, 0.372 mmol); TMSOTf (3.40 mg, 0.0169 mmol); benzene (1.5 ml); 13.6 mg, 68%; colorless crystals.

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10) The reaction without additive or in the presence of other Lewis acids in other solvents gave lower yields of **5a**.
11) Two eq. of tin alkoxide was required since the reaction was found to proceed by initial *N*-stannylation of 4-phenylsulfinylazetidin-2-one followed by alkoxylation at the 4-position.
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