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Reactions of a β-Sultam Ring with Lewis Acids *via* the C-S Bond Cleavage

Tetsuo Iwama,[a] Miyoko Ogawa,[a] Tadashi Kataoka,*[a] Osamu Muraoka[b] and Genzoh Tanabe[b]

[a]*Gifu Pharmaceutical University, 6-1, Mitahora-higashi 5-chome, Gifu 502-8585, Japan*

[b]*Kinki University, Faculty of Pharmaceutical Sciences, 3-4-1, Kowakae, Higashi-osaka, Osaka 577-0818, Japan*

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Abstract: Selective C-S bond cleavage of a β-sultam ring was achieved by the reactions with Lewis acids. Aryl ketones or aldehyde were provided from 3-aryl-β-sultams whereas β-sultams bearing a poorly migratory substituent at C-3 gave *trans*-1,2,3-oxathiazolidine 2-oxides and/or *cis*-aziridines. These reactions were influenced by the cation-stabilizing capability of C-4 substituents and by the configuration of the substituents at C-3 and C-4. Some 4-alkenyl-3-aryl-β-sultams underwent tandem intramolecular cyclization to give bicyclo[3.2.1]- and [2.2.1]-γ-sultams *via* the processes of C-S bond cleavage, 1,2-aryl shift, cation-olefin cyclization and recombination of the sulfonyl anion.

INTRODUCTION

1,2-Thiazetidine 1,1-dioxides (β-sultams), sulfonyl analogues of β-lactams, can be regarded as various synthetic equivalents as well as building blocks for the construction of other heterocycles since they are made up of a strained four-membered ring with three different kinds of hetero single bonds, namely, C-N, C-S and N-S bonds.[1-4] There have been reported several papers directed toward synthesis of potent drugs.[5-13] There are several papers on the N-S bond cleavage by hydrolysis or by aminolysis,[6,7,14-17] and on the C-N bond cleavage by β-elimination.[18,19] We have recently reported that the first selective cleavage of the C-S bond was achieved by treatment of β-sultams with Lewis acids such as $EtAlCl_2$, $AlCl_3$ and $SnCl_4$ to give ketones, aldehydes, *trans*-1,2,3-oxathiazolidine 2-oxides, and *cis*-aziridines depending on C-3 and C-4 substituents of the β-sultam ring and on the Lewis acid.[20,21] We applied the C-S bond cleavage to tandem intramolecular cyclization of cationic intermediates. In this paper we present the entire outlook of transformation of β-sultams with Lewis acids *via* the C-S bond cleavage.

RESULTS AND DISCUSSION

We first examined reactions of *cis*-2-cyclohexyl-3,4-diphenyl-β-sultam (**1a-*cis***, $R^1 = {}^cC_6H_{11}$, R^2 = Ph, R^3 = H, R^4 = Ph)[22,23] with some Lewis acids such as $AlCl_3$, $EtAlCl_2$, Et_2AlCl, Et_3Al, $TiCl_4$, $Ti(O^iPr)_4$, $ZnCl_2$, ZnI_2, $ZnEt_2$ and $BF_3{\cdot}Et_2O$ (Scheme 1, Table 1). Use of 2 equiv of $AlCl_3$ gave the best result, and benzophenone (**2a**) was obtained in 89% yield (entry 1). Reaction of **1a** with 1.1 equiv of $EtAlCl_2$ provided **2a** in 56% yield with 12% of **1a** (entry 2) and the yield of **2a** increased to 81% by use of 2 equiv of $EtAlCl_2$ (entry 3). We selected $EtAlCl_2$ rather than $AlCl_3$ as a mild and efficient reagent for the C-S bond cleavage of the β-sultam ring because a solution of $EtAlCl_2$ in hexane is easier to handle than a solid $AlCl_3$ by considering their moisture sensitivities.

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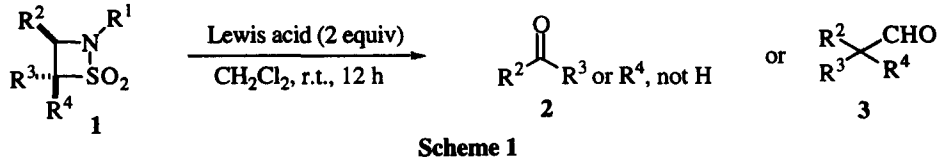


1 2 3

Scheme 1

Table 1. Reactions of *cis*-2-Cyclohexyl-3,4-diphenyl-β-sultam **1a-*cis*** with Lewis Acids.

Entry	Lewis acid (equiv)	%Yield of **2a**[a]	Entry	Lewis acid (equiv)	%Yield of **2a**[a]
1	$AlCl_3$ (2.0)	89	7	$Ti(O^iPr)_4$ (2.0)	No reaction
2	$EtAlCl_2$ (1.1)	56[b]	8	$ZnCl_2$ (2.0)	No reaction
3	$EtAlCl_2$ (2.0)	81	9	ZnI_2 (2.0)	No reaction
4	Et_2AlCl (2.0)	28[c]	10	$ZnEt_2$ (2.0)	No reaction
5	Et_3Al (2.0)	No reaction	11	$BF_3•Et_2O$ (1.5)	trace[c]
6[d]	$TiCl_4$(1.0)	25			

[a]Isolated yield. [b]12% of **1a-*cis*** was recovered. [c]A considerable amount of **1a-*cis*** was recovered. [d]Temperature: −20°C – r.t.; Time: 6 h.

Next, we carried out reactions of a number of β-sultams **1a-δ** bearing a variety of substituents at C-3 and C-4 with 2 equiv of $EtAlCl_2$ (Scheme 1, Table 2). The reactions were influenced by the cation-stabilizing capability of C-4 substituents and by steric relation between the substituents at C-3 and C-4. In the cases of 3-aryl-4-phenyl-β-sultams **1a-l**, benzophenone derivatives **2a-l** were obtained in good yields regardless of the configuration of the C-3 and C-4 aryl groups and of the electronic nature of substituents at the C-3 phenyl groups (entries 1-21, Figure 1, **A**). Treatment of 3-(1-naphthyl)-β-sultams **1l-*cis*** furnished 1-naphthyl ketone **2l** in a slightly lower yield than those of **1a-k** probably owing to bulkiness of the 1-naphthyl group (entry 22). On the other hand, a slight substituent effect was observed in the reactions of 4-aryl-3-phenyl-β-sultams **1a,m-q** (entries 1, 23-27). An electron-donating *p*-methyl group stabilized a benzylic cation and promoted the C-S bond cleavage (entry 23), while an electron-withdrawing halogeno group retarded it and small amounts of the starting materials **1n-q** were recovered (entries 24-27). 4-Non-substituted-β-sultams **1r,s** did not undergo the C-S bond cleavage and the starting materials were recovered (entries 28, 29) because the C-4 cations were less stable than those of **1a-q** (Figure 1, **B**). A considerable substituent effect of the C-3 aryl group was observed in reactions of *trans*-4-alkyl-β-sultams **1t-w-*trans*** (entries 31, 33, 35, 37). The increase of electron density on the C-3 aryl groups accelerated the rate of neighboring group participation to the resulting cation and enabled the 1,2-aryl rearrangement to proceed (Figure 1, **C**). In contrast, in the cases of *cis*-4-alkyl-β-sultams **1t-w-*cis*** steric repulsion between the aryl and alkyl groups prevented neighboring group participation (Figure 1, **D**), and no aryl ketones were obtained (entries 30, 32, 34, 36). Aldehydes **3a-c** were derived from 4,4-dimethyl-β-sultams **1x-z** because the C-4 cations generated from **1x-z** were sufficiently more stable than those from *trans*-4-methyl-β-sultams so to bring about C-S bond cleavage (entries 38-40, Figure 1, **E**). Treatment of 4,4-dimethyl-β-sultam **1α** possessing a *p*-bromophenyl group, a less migratory substituent, gave a complex mixture. No reaction occurred in the cases of 3-non-substituted-β-sultam **1β** and 3-*t*butyl-β-sultams **1γ-*trans*** and **1δ** (entries 42-44). The migratory aptitude of a C-3 substituent as well as the stability of a C-4 cation would play an important role in these reactions. Reactions of 4-alkyl-substituted β-sultams **1t-z** would proceed by a concerted process with neighboring group participation *via* polar intermediates **C'-E'** rather than cation intermediates **C-E** by considering that a reaction of 3-*t*butyl-4-phenyl-β-sultam **10f** underwent the C-S bond cleavage to give a ring-enlarged product (see Scheme 5, entry 9 in Table 4).

The reaction of **1e-*cis*** with $EtAlCl_2$ afforded an α-hydroxyaldehyde **4** in 31% yield together with 4-methoxybenzophenone (**2e**) (entry 6). The hydroxyaldehyde **4** was converted into **2e** by the treatment with silica gel in EtOAc (Scheme 2). This finding indicates that **4** is an intermediate of the benzophenone formation.

Table 2. Reactions of β-Sultams **1** with $EtAlCl_2$: Formation of Ketones and Aldehydes.

Entry	β-Sultam					Products
	Compd No.	R^1	R^2	R^3	R^4	(%yield)[a]
1	**1a-*cis***	^{c}Hex	Ph	H	Ph	**2a** (81)
2	**1a-*trans***	^{c}Hex	Ph	Ph	H	**2a** (78)
3	**1b-*cis***	Bn	Ph	H	Ph	**2b** = **2a** (83)
4	**1b-*trans***	Bn	Ph	Ph	H	**2b** = **2a** (85)
5	**1c-*cis***	^{n}Bu	Ph	H	Ph	**2c** = **2a** (75)
6	**1c-*trans***	^{n}Bu	Ph	Ph	H	**2c** = **2a** (80)
7	**1d-*cis***	^{t}Bu	Ph	H	Ph	**2d** = **2a** (81)
8	**1d-*trans***	^{t}Bu	Ph	Ph	H	**2d** = **2a** (76)
9[b]	**1e-*cis***	^{c}Hex	*p*-$MeOC_6H_4$	H	Ph	**2e** (62), **4** (31)
10	**1e-*trans***	^{c}Hex	*p*-$MeOC_6H_4$	Ph	H	**2e** (75), **4** (16)
11	**1f-*cis***	^{c}Hex	*p*-MeC_6H_4	H	Ph	**2f** (85)
12	**1f-*trans***	^{c}Hex	*p*-MeC_6H_4	Ph	H	**2f** (85)
13	**1g-*cis***	^{c}Hex	*o*-MeC_6H_4	H	Ph	**2g** (82)
14	**1g-*trans***	^{c}Hex	*o*-MeC_6H_4	Ph	H	**2g** (82)
15	**1h-*cis***	^{c}Hex	*p*-FC_6H_4	H	Ph	**2h** (83)
16	**1h-*trans***	^{c}Hex	*p*-FC_6H_4	Ph	H	**2h** (79)
17	**1i-*cis***	^{c}Hex	*p*-ClC_6H_4	H	Ph	**2i** (79)
18	**1i-*trans***	^{c}Hex	*p*-ClC_6H_4	Ph	H	**2i** (80)
19	**1j**[c]	^{c}Hex	*o*-ClC_6H_4	H	Ph	**2j** (77)
20	**1k-*cis***	^{c}Hex	*p*-BrC_6H_4	H	Ph	**2k** (81)
21	**1k-*trans***	^{c}Hex	*p*-BrC_6H_4	Ph	H	**2k** (79)
22	**1l-*cis***	^{c}Hex	1-Naphthyl	H	Ph	**2l** (70)
23	**1m-*cis***	^{c}Hex	Ph	H	*p*-MeC_6H_4	**2m** = **2f** (85)
24	**1n-*cis***	^{c}Hex	Ph	H	*p*-ClC_6H_4	**2n** = **2i** (74), **1n-*cis*** (7)
25	**1o-*cis***	^{c}Hex	Ph	H	*o*-ClC_6H_4	**2o** = **2j** (72), **1o-*cis*** (5)
26	**1p-*trans***	^{c}Hex	Ph	H	2,4-$Cl_2C_6H_3$	**2p** (70), **1p-*trans*** (7)
27	**1q-*cis***	^{c}Hex	Ph	H	*p*-FC_6H_4	**2q** = **2h** (75), **1q-*cis*** (6)
28	**1r**	^{c}Hex	Ph	H	H	No reaction
29	**1s**	^{c}Hex	*p*-MeC_6H_4	H	H	No reaction
30	**1t-*cis***	^{c}Hex	Ph	H	Me	No reaction
31	**1t-*trans***	^{c}Hex	Ph	Me	H	**2t** (13), **1t-*trans*** (61)
32	**1u-*cis***	^{c}Hex	Ph	H	Et	No reaction
33	**1u-*trans***	^{c}Hex	Ph	Et	H	**2u** (19), **1u-*trans*** (61)
34	**1v-*cis***	^{c}Hex	*p*-MeC_6H_4	H	Me	No reaction
35	**1v-*trans***	^{c}Hex	*p*-MeC_6H_4	Me	H	**2v** (35), **1v-*trans*** (44)
36	**1w-*cis***	^{c}Hex	*p*-ClC_6H_4	H	Me	No reaction
37	**1w-*trans***	^{c}Hex	*p*-ClC_6H_4	Me	H	**2w** (trace), **1w-*trans*** (76)
38	**1x**	^{c}Hex	Ph	Me	Me	**3x** (82)
39	**1y**	^{c}Hex	*p*-MeC_6H_4	Me	Me	**3y** (78)
40	**1z**	^{c}Hex	*p*-$MeOC_6H_4$	Me	Me	**3z** (89)
41	**1α**	^{c}Hex	*p*-BrC_6H_4	Me	Me	Complex mixture
42	**1β**	Bn	H	H	Et	No reaction
43	**1γ-*trans***	^{c}Hex	^{t}Bu	Me	H	No reaction
44	**1δ**	^{c}Hex	^{t}Bu	Me	Me	No reaction

[a]Isolated yield. [b]2.2 equiv of $EtAlCl_2$ was used. [c]A mixture of *cis*- and *trans*-isomers was used.

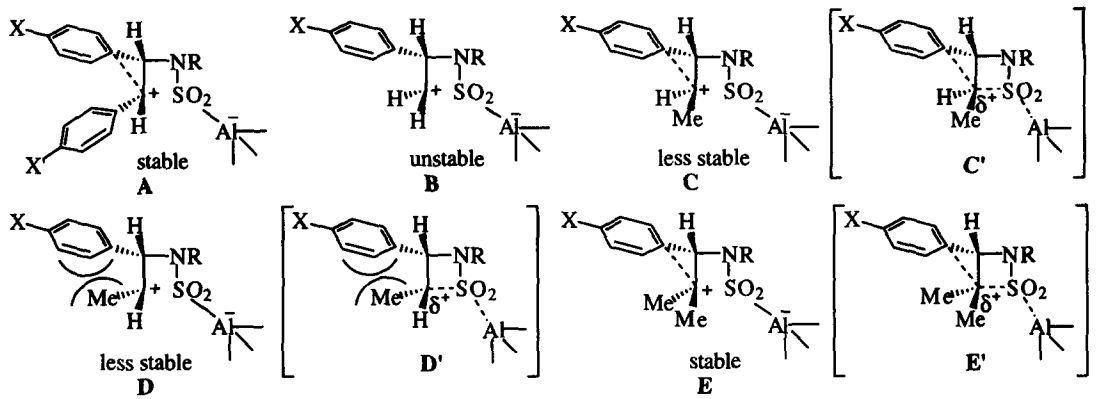
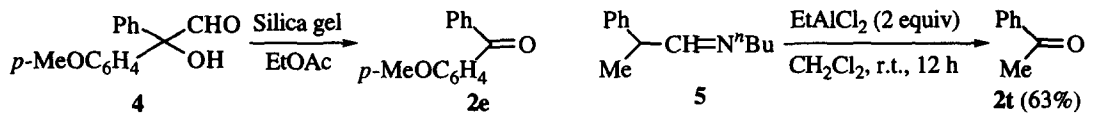


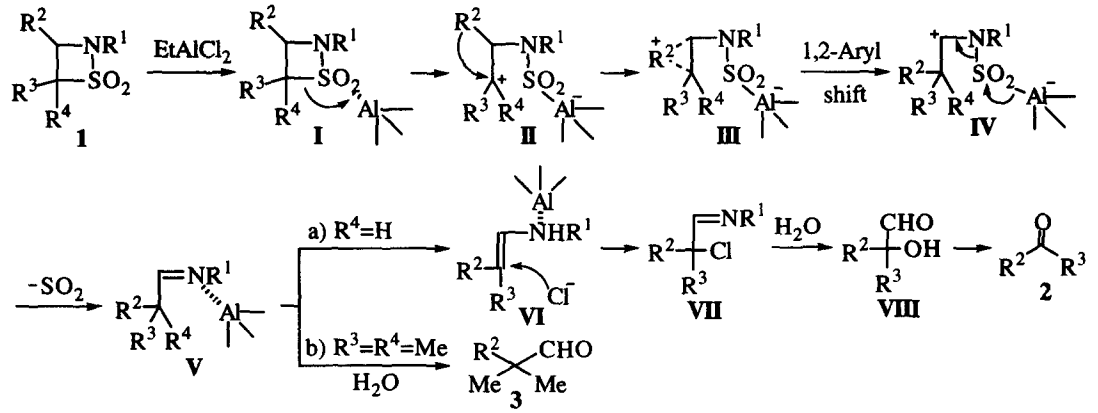
Fig. 1



Scheme 2

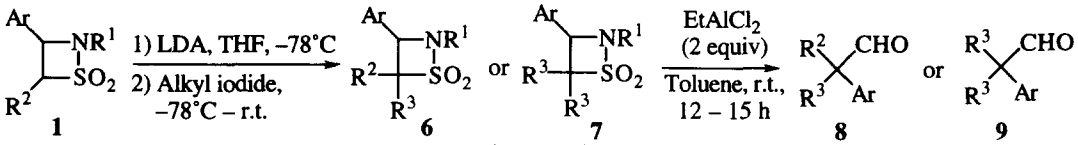
We then carried out a reaction of *N*-(2-phenylpropylidene)-^{n}butylamine (**5**) with 2 equiv of $EtAlCl_2$ in order to confirm that an imine reacts with $EtAlCl_2$ and provides an aryl ketone. Acetophenone (**2t**) was obtained in 63% yield from **5** (Scheme 2).

A plausible mechanism is proposed as shown in Scheme 3. The C-S bond of a β-sultam is cleaved by coordination of $EtAlCl_2$ to the sulfonyl group to generate a cationic intermediate **II** (we describe the stepwise process *via* the cationic intermediate **II** for convenience). The neighboring group participation of an aryl group followed by the 1,2-aryl migration provides another carbocation **IV**. An imine **V** is produced by elimination of sulfur dioxide from **IV**. In the case of R^4 = H, **V** isomerizes to an enamine **VI** and coordination of $EtAlCl_2$ enables a chloride ion to attack at the β-carbon of **VI**. The resulting chloroimine **VII** is hydrolyzed to an α-hydroxyaldehyde **VIII**, which decomposes to an aryl ketone **2** under acidic conditions.[24] The detail mechanism is not clear at present. In the case of R^3 = R^4 = Me, an aldehyde **3** is obtained by the hydrolysis of **V**.



Scheme 3

We applied this reaction to synthesis of trisubstituted aldehydes (Scheme 4, Table 3). 4,4-Disubstituted β-sultams **6** and **7** were prepared by treatment of **1** with LDA followed an alkyl iodide. Alkylation of 4-phenyl-β-sultams (R^2 = Ph) proceeded stereoselectively to give **6-*cis*** (*cis*: relation between two aryl groups, Ar and R^2) as major products (entries 1, 4-6).[25] Trisubstituted aldehydes **8** and **9** were obtained in good yields (entries 1-8) regardless of the configuration of the C-3 and C-4 aryl groups (entries 2, 3).



1 **6** **7** **8** **9**

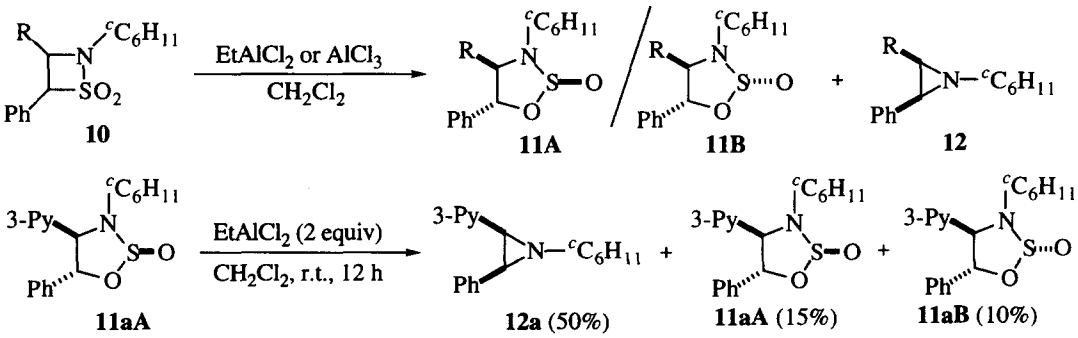
Scheme 4

Table 3. Preparation of 4,4-Disubstituted β-Sultams **6** and **7** and Their Reactions with $EtAlCl_2$.

Entry	Ar	R^1	R^2	R^3	**6** or **7**[a] (%yield)[b]	**8** or **9**[a] (%yield)[b]
1	Ph	^{c}C$_6$H$_{11}$	Ph	$CH_3CH_2CH_2CH_2$	**6aa-*cis*** (66), **6aa-*trans*** (14)[c]	**8aa** (71)[e]
2					**6aa-*cis***	**8aa** (81)
3					**6aa-*trans***	**8aa** (79)
4	Ph	^{n}Bu	Ph	CH_3	**6cb-*cis*** (55), **6cb-*trans*** (27)[c]	**8cb** (93)[e]
5	*p*-ClC$_6$H$_4$	^{c}C$_6$H$_{11}$	Ph	CH_3	**6ib-*cis*** (74), **6ib-*trans*** (21)[c]	**8ib** (88)[e]
6	Ph	^{n}Bu	Ph	CH_3CH_2	**6cc** (*cis* : *trans* = 5.7 : 1, 95)[c,d]	**8cc** (83)[e]
7	Ph	^{c}C$_6$H$_{11}$	–	$-CH_2CH_2CH_2CH_2-$ (R^3, R^3)	**7rd** (73)	**9rd** (84)
8	Ph	^{c}C$_6$H$_{11}$	–	$CH_3CH_2CH_2$	**7re** (65)	**9re** (88)

[a]Compound No.: The first alphabets correspond to those of β-sultams **1** and the second alphabets show alkyl iodides (R^3). [b]Isolated yield. [c]*cis*, *trans*: Relation between C-3 and C-4 aryl groups, Ar and R^2 (Ph). [d]An inseparable mixture of diastereomers. The ratio was estimated by the ^{1}H NMR spectrum. [e]A mixture of stereoisomers, **6-*cis*** and **6-*trans***, was used for a reaction with $EtAlCl_2$.

A variety of β-sultams **10** with a poorly migratory substituent at C-3 (0.2 mmol) were treated with $EtAlCl_2$ or $AlCl_3$ in dry CH_2Cl_2 (2 ml) under a nitrogen atmosphere (Scheme 5, Table 4). The reaction of **10a-*cis*** with 2 equiv of $EtAlCl_2$ for 12 h afforded *trans*-1,2,3-oxathiazolidine 2-oxide **11a** (**A** : **B** = 70 : 30, separable by preparative TLC on silica gel) in 65% yield (entry 1). *cis*-Aziridine **12a** was obtained as a major product in 62% yield along with 5% of **11a** when the reaction was carried out in CH_2Cl_2 under reflux for 60 h (entry 2). The reaction of **10a-*trans*** with 1.4 equiv of $EtAlCl_2$ at room temperature gave no 1,2,3-



10 **11A** **11B** **12**

11aA **12a** (50%) **11aA** (15%) **11aB** (10%)

Scheme 5

Table 4. Ring Transformation of β-Sultams **10** Bearing a Poor Migratory Substituent with $EtAlCl_2$ or $AlCl_3$.

Entry	β-Sultam Compd No.	R	Conditions (equiv)	Products (%yield)[a]
1	**10a-*cis***	3-Pyridyl	$EtAlCl_2$ (2.0), r.t., 12 h	**11a** (65, **A** : **B** = 70 : 30)
2	**10a-*cis***	3-Pyridyl	$EtAlCl_2$ (4.5), reflux, 60 h	**11a** (5, **A** : **B** = 80 : 20), **12a** (62)
3	**10a-*trans***	3-Pyridyl	$EtAlCl_2$ (1.4), r.t., 12 h	No Reaction
4	**10b-*cis***	4-Pyridyl	$EtAlCl_2$ (4.5), 0°C, 22 h	**11b** (49, **A** : **B** = 90 : 10),[c] **12b** (11)
5	**10b-*cis***	4-Pyridyl	$AlCl_3$ (4.0), reflux, 28 h	**11b** (8, **A** : **B** = 91 : 9),[c] **12b** (54)
6	**10c-*cis***	2-Pyridyl	$EtAlCl_2$ (2.2), r.t., 14 h	**11c** (40, **A** : **B** = 90 : 10),[c] **12c** (18)
7	**10c-*cis***	2-Pyridyl	$AlCl_3$ (4.0), r.t., 27 h	**11c** (9, **A** : **B** = 94 : 6),[c] **12c** (48)
8	**10d-*cis***	*p*-$NO_2C_6H_4$	$EtAlCl_2$ (1.0), 0°C, 12 h	**11d** (18, **A** : **B** = 95 : 5)[c]
9	**10e-*cis***	*p*-CNC_6H_4	$AlCl_3$ (1.5), r.t., 14 h	**12e** (23)
10	**10f**[b]	^{t}Butyl	$EtAlCl_2$ (1.1), r.t., 12 h	**11fA** (93)

[a]Isolated yield. [b]An isomeric mixture (*cis* : *trans* = 1 : 1.8) was used. [c]An inseparable mixture of stereoisomers. The ratio was determined by ^{1}H NMR.

oxathiazolidine 2-oxide, and 72% of the starting material was recovered (entry 3). The steric repulsion between the C-3 and C-4 substituents of **10a-*cis*** would increase the aptitude of ring distortion and greatly influence the C-S bond cleavage. Therefore, we examined reactions of **10b-e** by the use of *cis*-isomers. In the cases of **10b-d-*cis***, *trans*-1,2,3-oxathiazolidine 2-oxides **11b-d** were provided as inseparable mixtures of stereoisomers, whose ratios were calculated from the intensities of 4-H and 5-H signals in the ^{1}H NMR spectrum (entries 4-8). Since the reaction of **10d-*cis*** was complicated, we could not characterize any other products except for **11d**. The reaction of **10e-*cis*** with 1.5 equiv of $AlCl_3$ gave *cis*-**12e** in 23% yield, and no other product could be characterized (entry 9). Treatment of **10f** with 1.1 equiv of $EtAlCl_2$ for 12 h gave *trans*-1,2,3-oxathiazolidine 2-oxide **11fA** as a single isomer in high yield (entry 10).

The stereochemistry of *trans*-1,2,3-oxathiazolidine 2-oxides **11aA** and **11aB** was determined by comparing the chemical shifts and the coupling constants between 4-H and 5-H in the ^{1}H NMR spectrum with those of 4,5-diphenyl-3-methyl-1,2,3-oxathiazolidine 2-oxides[26] and finally by X-ray crystallographic analysis of **11fA** (Figure 2). The *cis*-configuration of aziridines **12** was determined from the coupling constants between 2- and 3-hydrogens in the ^{1}H NMR spectra, for example, that of **12a** was J = 6.3 Hz.

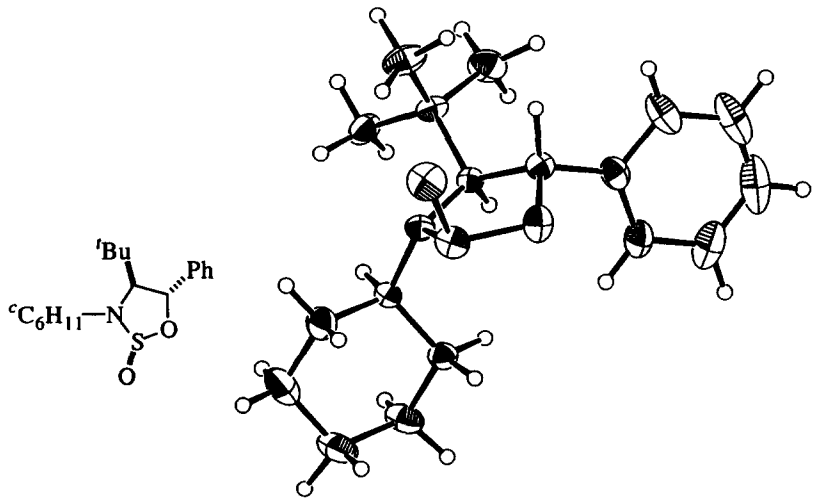
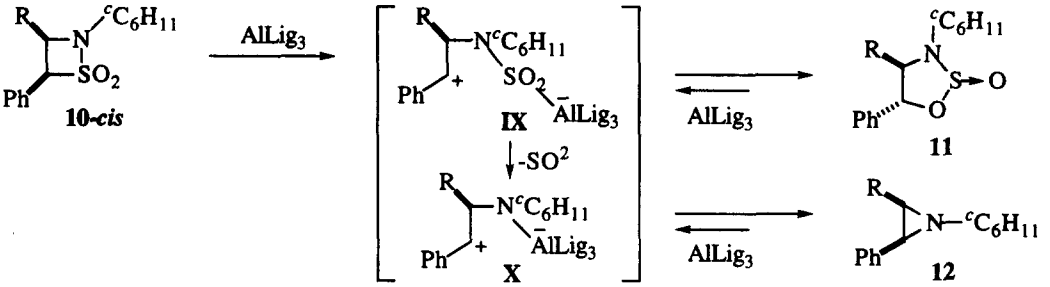


Fig. 2. ORTEP drawing of the compound **11fA**

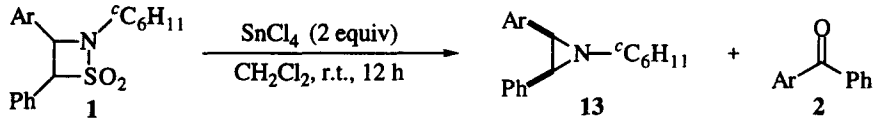
Treatment of **11aA** with 2 equiv of $EtAlCl_2$ gave **12a**, **11aA** and **11aB** in 50, 15 and 10% yields, respectively (Scheme 5). From the result, 1,2,3-oxathiazolidine 2-oxides and aziridine would be formed as shown in Scheme 6. The C-S bond of a β-sultam ring is cleaved by the coordination of a Lewis acid to the sulfonyl group to generate a cationic intermediate **IX**. The C-S bond cleavage would be influenced by the steric repulsion of the C-3 and C-4 substituents. Recyclization of **IX** between carbocation and oxygen provides stereoselectively *trans*-1,2,3-oxathiazolidine 2-oxides **11** because of the steric repulsion between the C-4 and C-5 substituents. In the prolonged reaction, **IX** is regenerated from **11** by the C-O bond cleavage with a Lewis acid and eliminates sulfur dioxide to form another intermediate **X**. The β-amino carbocation **X** cyclizes to give a *cis*-aziridine **12**, which is thermodynamically more stable than the corresponding *trans*-isomer.[27,28]



10-*cis* **IX** **X** **11** **12**

Scheme 6

Next, we carried out reactions of β-sultams **1** with 2 equiv of $SnCl_4$ in CH_2Cl_2 under nitrogen at room temperature for 12 h (Scheme 7, Table 5). Treatment of **1a** with 2 equiv of $EtAlCl_2$ under the same conditions provided benzophenone **2a** in good yield regardless of the configuration of the 3- and 4-substituents (see Scheme 1, entries 1 and 2 in Table 2). In contrast, the reaction of **1a-*cis*** with $SnCl_4$, a weaker Lewis acid than $EtAlCl_2$, gave *cis*-aziridine **13a** as a major product in 46% yield together with 19% of **2a** (Table 5, entry 1). The yield of **13a** increased to 53% and that of **2a** decreased to 10% by the addition of 2 equiv of KI as a nucleophile (entry 2). On the other hand, the reaction of **1a-*trans*** afforded **2a** in 61% yield accompanied with a trace amount of **13a** (entry 3). Similar results were obtained from reactions of **1k-*cis*** and **1k-*trans*** although considerable amounts of the starting materials were recovered (entries 4 and 5). In the case of **1e-*cis***, **2e** was exclusively given in 83% yield in spite of *cis*-configuration (entry 6).



1 **13** **2**

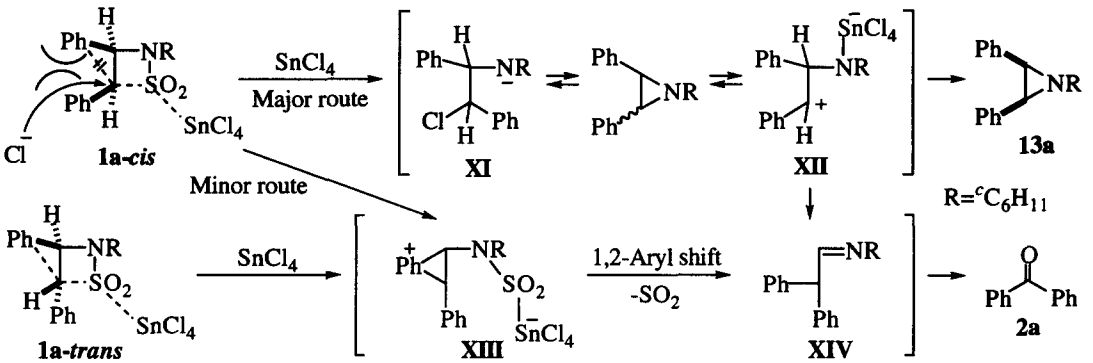
Scheme 7

Table 5. Reactions of Some β-Sultams **1** with $SnCl_4$.

Entry[a]	β-Sultam Compd No.	Ar	Products (%yield)[b]
1	**1a-*cis***	Ph	**13a** (46), **2a** (19)
2[c]	**1a-*cis***	Ph	**13a** (53), **2a** (10)
3	**1a-*trans***	Ph	**13a** (trace), **2a** (61)
4	**1k-*cis***	*p*-BrC_6H_4	**13k** (14), **2k** (4), **1k-*cis*** (76)
5	**1k-*trans***	*p*-BrC_6H_4	**13k** (trace), **2k** (46), **1k-*trans*** (32)
6	**1e-*cis***	*p*-$MeOC_6H_4$	**2e** (83)

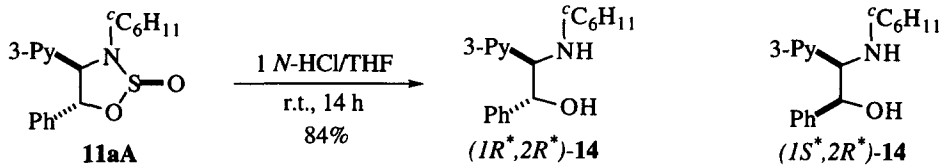
[a]Reactions were carried out at room temperature for 12 h unless otherwise noted. [b]Isolated yield.
[c]2 equiv of KI was added as a nucleophile.

A plausible mechanism is shown in Scheme 8. The C-S bond of **1a-*cis*** is cleaved by the coordination of $SnCl_4$ to the sulfonyl group and subsequent attack of the chloride ion. *cis*-Aziridine **13a** is formed by extrusion of sulfur dioxide followed by recyclization *via* intermediates **XI** and **XII**. An imine intermediate **XIV** is generated from **XII** by 1,2-phenyl shift to give benzophenone **2a**. In the case of **1a-*trans***, the C-S bond is cleaved with the aid of neighboring group participation of the 3-phenyl group to produce **2a** *via* an intermediate **XIII**. The C-S bond cleavage of **1e-*cis*** would be achieved by the electromeric assistance of the *p*-methoxyphenyl substituent overwhelming the steric interaction between *cis*-substituents.



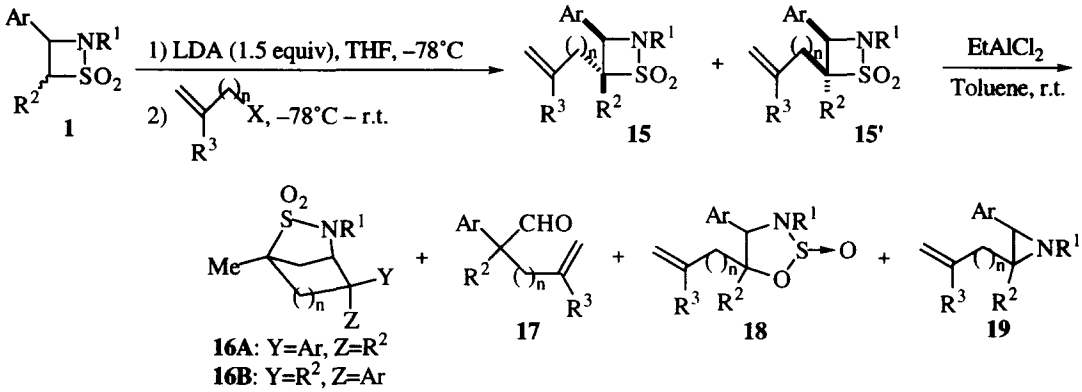
Scheme 8

We carried out hydrolysis of **11aA** into *(1R*,2R*)*-2-aminoethanol derivative **14** (Scheme 9).[29] Treatment of **11aA** with 1 *N*-HCl in THF at room temperature for 14 h provided *(1R*,2R*)*-**14** in 84% yield accompanied with a small amount of *(1S*,2R*)*-**14**. *(1R*,2R*)*-**14** was slowly epimerized to *(1S*,2R*)*-**14** in strongly acidic conditions or in prolonged reaction time. The stereochemistry of the products was confirmed by the comparison with the coupling constants in ^1H NMR spectra of *threo*- and *erythro*-2-(dimethylamino)-1,2-diphenylethanols.[30]



Scheme 9

We attempted to trap a cationic intermediate generated by the C-S bond cleavage with an intramolecular alkenyl group. Starting materials, 4-alkenyl-β-sultams **15** and **15'**, were prepared in good yields by stereoselective alkylation of 4-monosubstituted β-sultams with alkenyl halides (Scheme 10, Table 6).[25] In the cases of some alkenyl halides (R^3 = H) the compounds **15** were obtained as major products together with their stereoisomers **15'** (entries 1, 4, 6, 9). No isomer could be isolated except for **15ae'** in the cases of alkenyl halides (R^3 = Me) probably due to the bulkiness of the reagents (entries 11, 17-20). Reaction of **15ca** with $EtAlCl_2$ in toluene gave aldehyde **17ca**, 1,2,3-oxathiazolidine 2-oxide **18ca**, aziridine **19ca** in 25, 50 and 5% yields, respectively (entry 2) whereas the use of CH_2Cl_2 instead of toluene provided **17ca** in good yield accompanied with 3% of **18ca** (entry 3). The difference in these results would be attributed to formation of an insoluble complex in toluene. Treatment of other alkenyl-β-sultams **15ab,ac,ac',ad** (R^3 = H) in toluene afforded aldehydes in good yields, and no tandem cyclization products **16** were obtained (entries 5, 7, 8, 10).



Scheme 10

Table 6. Attempt Tandem Cyclization of 4-Alkenyl-β-sultams **15** and **15'**.

Entry	β-Sultam **1** Ar	R^1	R^2	Halide R^3	n	X	β-Sultam **15,15'**[a] (%yield)[b]	$EtAlCl_2$ (equiv)	Time (h)	Products[a] (%yield)[b]
1	Ph	^{n}Bu	Ph	H	1	Br	**15ca** : **15ca'** (10 : 1, 90)[c]			
2							**15ca,15ca'**	2.0	12	**17ca** (25), **18ca** (50), **19ca** (5)
3							**15ca,15ca'**	2.0[d]	12	**17ca** (77), **18ca** (3)
4	Ph	^{c}C$_6$H$_{11}$	Ph	H	2	Br	**15ab** (71), **15ab'** (15)			
5							**15ab**	2.0	15	**17ab** (72)
6	Ph	^{c}C$_6$H$_{11}$	Ph	H	3	Br	**15ac** (54), **15ac'** (16)			
7							**15ac**	2.0	15	**17ac** (89)
8							**15ac'**	2.0	15	**17ac** (83)
9	Ph	^{c}C$_6$H$_{11}$	Ph	H	4	Br	**15ad** (82), **15ad'** (14)			
10							**15ad**	2.0	15	**17ad** (84)
11	Ph	^{c}C$_6$H$_{11}$	Ph	Me	2	I	**15ae** (72), **15ae'** (14)			
12							**15ae**	1.0	12	**16ae** (29), **15ae** (41)
13							**15ae**	1.0	48	**16ae** (8), **15ae** (13)
14							**15ae**	1.0[d]	12	**16ae** (29), **15ae** (44)
15							**15ae**	2.2	12	**16ae** (53)
16							**15ae'**	2.2	12	**16ae** (59)
17	*p*-Tol	^{c}C$_6$H$_{11}$	Me	Me	2	I	**15ve** (87)	2.2	12	**16veA** (42), **16veB** (6)[e]
18	*p*-Tol	^{c}C$_6$H$_{11}$	Et	Me	2	I	**15εe** (83)	2.2	12	**16εeA** (39), **16εeB** (5)[e]
19	*p*-Tol	^{c}C$_6$H$_{11}$	Ph	Me	2	I	**15fe** (76)	2.2	12	**16fe** (56, **A** : **B** = 1 : 1)[f]
20	Ph	^{c}C$_6$H$_{11}$	Ph	Me	1	Cl	**15af** (69)	2.2	12	**16af** (11), **17af** (66)

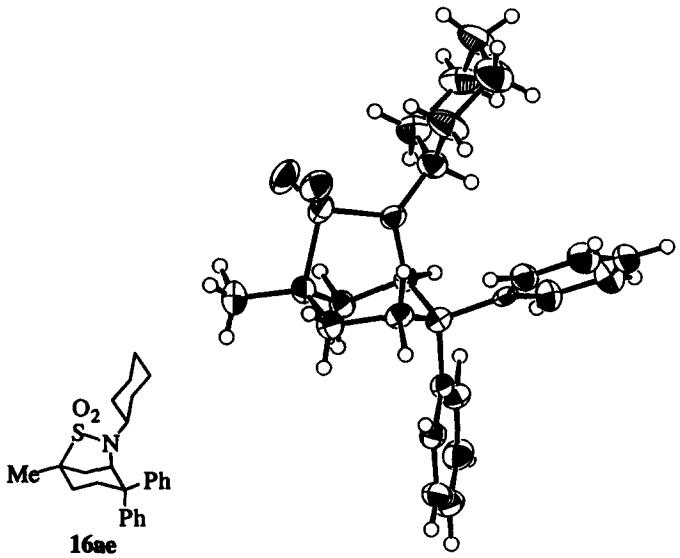
[a]Compound No.: The first alphabets correspond to those of β-sultams **1** and the second alphabets show alkenyl halide (R^3, n, X). [b]Isolated yield. [c]An inseparable mixture of diastereomers. The ratio was estimated by the ^{1}H NMR spectrum. [d]CH_2Cl_2 was used as a solvent. [e]Stereochemistry of the products was determined by NOE measurement. [f]A mixture of diastereomers. The ratio was estimated by ^{1}H NMR spectrum.

Treatment of **15ae** which possesses two *cis*-oriented phenyl groups with 1.0 equiv of $EtAlCl_2$ in toluene gave a bicyclo[3.2.1]-γ-sultam **16ae** in 29% yield together with 41% of the starting material **15ae** (entry 12). The reaction was complicated in prolonged reaction time (48 h), and only small amounts of **16ae** (8%) and

15ae (13%) were isolated (entry 13). The use of CH_2Cl_2 as a solvent instead of toluene gave a similar result (29% of **16ae** and 44% of **15ae**, entry 14). The yield was improved up to 53% by use of 2.2 equiv of $EtAlCl_2$ in toluene at room temperature and no starting material was recovered (entry 15). The γ-sultam **16ae** was also obtained in better yield (59%) from **15ae'**, which possesses two *trans*-oriented phenyl groups, than that from *cis*-**2a** because *trans*-orientation of the phenyl substituents would probably work efficiently in a 1,2-phenyl shift process. Other products were uncharacterized because they were inseparably complicated and could not be obtained in sufficient amounts for analysis.

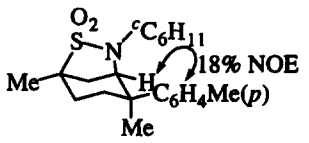
Tandem cyclization of some 4-alkenyl-β-sultams **15ve,εe,fe,af** (R^3 = Me) was also effected by use of 2.2 equiv of $EtAlCl_2$ in toluene under an argon atmosphere at room temperature for 12 h. From reactions of 4-alkyl-substituted β-sultams (**15ve**: R^2 = Me; **15εe**: R^2 = Et) bicyclo[3.2.1]-γ-sultams **16veA** and **16εeA** bearing an *equatorial*-phenyl group and an *axial*-alkyl group were obtained as a major product (**16veA**: 42%; **16εeA**: 39%, respectively) accompanied with small amounts of stereoisomers **16veB** and **16εeB** (6% and 5% yields, respectively) (entries 17, 18). The reaction of **15fe** gave γ-sultam **16fe** as an inseparable mixture of stereoisomers (1 : 1, estimated by ^1H NMR spectrum) in 56% yield (entry 19). Bicyclo[2.2.1]-γ-sultam **16af** was obtained in only 11% yield together with 66% of aldehyde **17af** from **15af** (entry 20).

The structure of bicyclo[3.2.1]-γ-sultam **16ae** was confirmed by X-ray crystallographic analysis, and the stereochemistry of **16veA** and **16εeA** was estimated by NOE experiments (Figure 3). The ORTEP drawing reveals that **16ae** consists of a [3.2.1]-bicyclic ring system and that the cyclohexane skeleton is nearly chair conformation with the sulfonamide unit in *axial*-orientation.

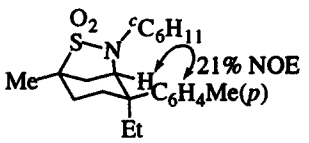


16ae

ORTEP drawing of the compound **16ae**



16veA

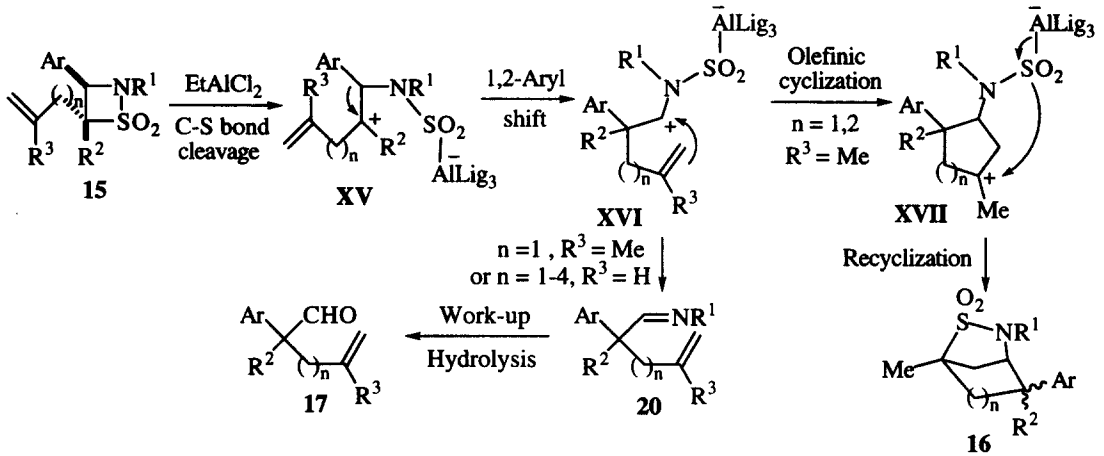


16εeA

NOE experiments of **16veA** and **16εeA**

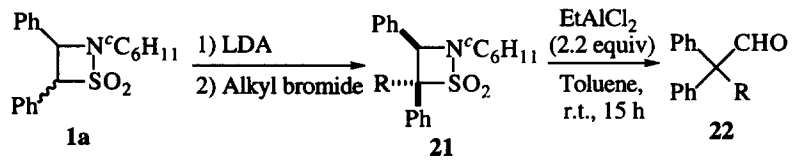
Fig. 3. Structure determination of bicyclo-γ-sultams

The proposed mechanism of the tandem cyclization is shown in Scheme 11. Coordination of $EtAlCl_2$ at a sulfonyl group of **15** causes C-S bond cleavage to generate a cationic intermediate **XV** which forms an alternative cation **XVI** by 1,2-aryl shift. The cation **XVI** undergoes olefinic cyclization followed by tandem recyclization of a cation **XVII** to provide a bicyclic γ-sultam **16** in the cases of R^3 = Me due to stabilization of the cation **XVII** by the methyl group. In the case of **15af** (n = 1) an olefinic cyclization step would be prevented by steric strain of the five-membered ring system with two *geminal*-phenyl groups, and an imine **20** is predominantly formed by release of sulfur dioxide from **XVI**. In the cases of R^3 = H, **XVII** is not stable enough to generate, and **20** would be exclusively given. An aldehyde **17** is obtained by hydrolysis of **20** during work-up.



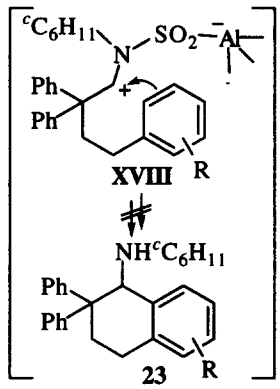
Scheme 11

We attempted tandem Friedel-Crafts cyclization of a cationic intermediate **XVIII** generated by the C-S bond cleavage followed by 1,2-phenyl shift (Scheme 12). The compounds **21** were obtained stereoselectively by treatment of **1a** with LDA followed by an alkyl bromide. Reactions of **21** with 2.2 equiv of $EtAlCl_2$ in toluene gave aldehydes **22** or a complex mixture, and no Friedel-Crafts product **23** could be isolated.



Entry	R	Product (%yield)[a]	Product (%yield)[a]
1	$PhCH_2CH_2$	**21aa** (82)	**22aa** (98)
2	*p*-$MeOC_6H_4CH_2CH_2$	**21ab** (50)	**22ab** (78)
3	*m,p*-$(MeO)_2C_6H_3CH_2CH_2$	**21ac** (67)	complex mixture

[a]Isolated yield.



Scheme 12

SUMMARY

Treatment of β-sultams with Lewis acids provided various types of products by selective C-S bond cleavage of the β-sultam ring. The reactions were influenced by the cation-stabilizing capability of C-4 substituents and by the configuration of the substituents at C-3 and C-4. Aryl ketones or aldehydes were given from 3-aryl-β-sultams, and some trisubstituted aldehydes were synthesized. β-Sultams bearing a poorly migratory substituent at C-3 gave *trans*-1,2,3-oxathiazolidine 2-oxides and/or *cis*-aziridines stereoselectively. A *(1R*,2R*)*-2-aminoethanol derivative was obtained by hydrolysis of a *trans*-1,2,3-oxathiazolidine 2-oxide. Tandem intramolecular cyclization proceeded in the reactions of some 4-alkenyl-3-aryl-β-sultams to give bicyclo[3.2.1]- and [2.2.1]-γ-sultams *via* the processes of C-S bond cleavage, 1,2-aryl shift, cation-olefin cyclization and recombination of the sulfonyl anion. An attempt at tandem Friedel-Crafts cyclization of a cationic intermediate was unsuccessful and resulted in the formation of aldehydes.

EXPERIMENTAL SECTION

Melting points were obtained with a Yanagimoto micro melting point apparatus and are uncorrected. IR spectra of solids (KBr) and liquids (NaCl) were recorded on a JASCO IRA-100 spectrophotometer. ^{1}H NMR spectra were recorded on a JEOL GX-270 (270 MHz) or a JEOL EX-400 (400 MHz) or JEOL EX-90 (90 MHz) spectrometer with tetramethylsilane as an internal standard. ^{13}C NMR spectra and NOE were obtained on a JEOL EX-400 spectrometer with chloroform-δ (77.0 ppm) as an internal standard. Mass spectra were recorded on a JEOL JMS-D 300 spectrometer with a direct-insertion probe at 70 eV. Elemental analyses of new compounds were performed by a Yanaco CHN Corder MT-5. All chromatographic isolations were accomplished with either Kieselgel 60 (Merck) or BW-127ZH (Fuji Silysia) for column chromatography or Kieselgel 60 PF_{254} containing gypsum (Merck) for TLC.

Synthesis of β-Sultams by [2+2] Cycloaddition of Sulfonyl Chlorides and Imines

Method A, general procedure. To a stirred solution of an arylmethanesulfonyl chloride (5 mmol) in dry THF (2.5 cm^3) was added dropwise a solution of imine (10 mmol) in dry THF (10 cm^3) under cooling with ice-NaCl under nitrogen and the mixture was stirred at room temperature for 3 days. The precipitate was filtered off through Celite and the filtrate was evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:10 -1:5 v/v).

Method B, general procedure. An alkylsulfonyl chloride (5 mmol) and an imine (10 mmol) was stirred without solvent at room temperature under nitrogen. After standing at room temperature for 3-7 days, the solidified reaction mixture was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:10 -1:5 v/v).

β-Sultams **1a**,[32] **b**,[7] **c**,[31] **e**,[32] **r**,[31] **s**,[31] **t**,[31] **u**,[31] **x**[32] and **10f**[31] were prepared by the reported procedures.

***trans*-2-^{t}Butyl-3,4-diphenyl-1,2-thiazetizine 1,1-Dioxide (1d-*trans*)** Prepared by Method A, yield 34%, colorless prisms (from EtOAc-hexane), mp 152-157°C; ^{1}H NMR ($CDCl_3$) δ: 1.39 (9 H, s, Me x 3), 4.66 (1 H, d, *J* = 5.9 Hz, 3-H), 5.16 (1 H, d, *J* = 5.9 Hz, 4-H), 7.39-7.47 (8 H, m, ArH), 7.59 (2 H, d, *J* = 6.8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 27.9 (q), 56.3 (d), 57.1 (s), 83.0 (d), 126.7 (d), 128.9 (s), 129.0 (d), 129.1 (d), 129.4 (d), 138.8 (s), two aromatic carbons are overlapped; MS (EI) *m/z* (rel. int. %): 315 (1, M$^+$), 236 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1160 (SO_2); *Anal.* Calcd for $C_{18}H_{21}NO_2S$: C, 68.54; H, 6.71; N, 4.44. Found: C, 68.37; H, 6.74; N, 4.38.

***cis*-2-^{t}Butyl-3,4-diphenyl-1,2-thiazetizine 1,1-Dioxide (1d-*cis*)** Prepared by Method A, yield 24%, colorless prisms (from EtOAc-hexane), mp 146-149°C; ^{1}H NMR ($CDCl_3$) δ: 1.46 (9 H, s, Me x 3), 5.11 (1 H, d, *J* = 9.3 Hz, 3-H), 5.83 (1 H, d, *J* = 9.3 Hz, 4-H), 7.15-7.26 (8 H, m, ArH), 7.35 (2 H, d, *J* = 6.8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 28.3 (q), 53.7 (d), 57.2 (s), 79.7 (d), 128.1 (d), 128.2 (d), 128.3 (d), 128.4 (d), 128.8 (d), 130.1 (d), 136.3 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 315 (2, M$^+$), 236 (100); IR ν_{max} (KBr) cm^{-1}: 1310, 1150 (SO_2); *Anal.* Calcd for $C_{18}H_{21}NO_2S$: C, 68.54; H, 6.71; N, 4.44. Found: C, 68.75; H, 6.76; N, 4.31.

***trans*-2-Cyclohexyl-3-(4-methylphenyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1f-*trans*)** Prepared by Method A, yield 22%, colorless prisms (from EtOAc-hexane), mp 111-113°C; ^{1}H NMR ($CDCl_3$) δ: 1.15-1.33 (4 H, m), 1.54-1.77 (5 H, m), 2.13 (1 H, br d, *J* = 12.7 Hz), 2.34 (3 H, s, Me), 3.28-3.34 (1 H, m, 1'-H), 4.39 (1 H, d, *J* = 6.8 Hz, 3-H), 5.05 (1 H, d, *J* = 6.8 Hz, 4-H), 7.16 (2 H, d, *J* = 7.8 Hz, ArH), 7.35-7.42 (7 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 21.1 (q), 24.2 (t), 24.4 (t), 25.4 (t), 30.5 (t), 32.0 (t), 57.0 (d), 58.1 (d), 82.9 (d), 126.4 (d), 128.7 (s), 128.9 (d), 129.2 (d), 129.5 (d), 129.7 (d), 135.0 (s), 138.7 (s); MS (EI) *m/z* (rel. int. %): 355 (21, M$^+$), 83 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1170 (SO_2); *Anal.* Calcd for $C_{21}H_{25}NO_2S$: C, 70.95; H, 7.09; N, 3.94. Found: C, 70.73; H, 7.03; N, 3.95.

***cis*-2-Cyclohexyl-3-(4-methylphenyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1f-*cis*)** Prepared by Method A, yield 34%, colorless prisms (from EtOAc-hexane), mp 146-148°C; ^{1}H NMR ($CDCl_3$) δ: 1.14-1.39 (4 H, m), 1.58-1.83 (5 H, m), 2.19 (1 H, br d, *J* = 8.3 Hz), 2.20 (3 H, s, Me), 3.32-3.37 (1 H, m, 1'-H), 4.92 (1 H, d, *J* = 8.8 Hz, 3-H), 5.66 (1 H, d, *J* = 8.8 Hz, 4-H), 6.96 (2 H, d, *J* = 7.8 Hz, ArH),

7.10-7.12 (5 H, m, ArH), 7.18-7.25 (2 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 21.0 (q), 24.5 (t), 24.9 (t), 25.4 (t), 31.0 (t), 31.9 (t), 55.1 (d), 57.1 (d), 79.6 (d), 127.5 (d), 127.9 (d), 128.5 (d), 128.8 (d), 130.1 (d), 131.9 (s), 137.8 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 355 (16, M^+), 208 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1160 (SO_2); *Anal.* Calcd for $C_{21}H_{25}NO_2S$: C, 70.95; H, 7.09; N, 3.94. Found: C, 70.98; H, 7.10; N, 3.96.

***trans*-2-Cyclohexyl-3-(2-methylphenyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1g-*trans*)** Prepared by Method A, yield 28%, colorless prisms (from EtOAc-hexane), mp 118-121°C; ^{1}H NMR ($CDCl_3$) δ: 1.21-1.36 (4 H, m), 1.64-1.69 (4 H, m), 1.82 (1 H, br d, J = 11.7 Hz), 2.05 (3 H, s, Me), 2.22 (1H, br d, J = 11.7 Hz), 3.37 (1 H, br s, 1'-H), 4.76 (1 H, d, J = 6.8 Hz, 3-H), 5.02 (1 H, d, J = 6.8 Hz, 4-H), 7.10 (1 H, d, J = 7.3 Hz, ArH), 7.24 (1 H, t, J = 7.3 Hz, ArH), 7.35 (1 H, t, J = 7.3 Hz, ArH), 7.45-7.50 (5 H, m, ArH), 7.91 (1 H, d, J = 7.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 19.3 (q), 24.3 (t), 24.6 (t), 25.4 (t), 30.5 (t), 32.2 (t), 54.3 (d), 57.1 (d), 82.5 (d), 125.9 (d), 126.9 (d), 128.2 (d), 128.8 (s), 128.9 (d), 129.4 (d), 129.7 (d), 130.6 (d), 135.1 (s), 136.4 (s); MS (EI) *m/z* (rel. int. %): 355 (12, M^+), 83 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1170 (SO_2); *Anal.* Calcd for $C_{21}H_{25}NO_2S$: C, 70.95; H, 7.09; N, 3.94. Found: C, 70.81; H, 7.04; N, 3.95.

***cis*-2-Cyclohexyl-3-(2-methylphenyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1g-*cis*)** Prepared by Method A, yield 52%, colorless prisms (from EtOAc-hexane), mp: 152-155°C; ^{1}H NMR ($CDCl_3$) δ: 1.17-1.45 (4 H, m), 1.60-1.82 (5 H, m), 2.01 (3 H, s, Me), 2.26 (1 H, br d, J = 12.2 Hz), 3.32-3.38 (1 H, m, 1'-H), 5.03 (1 H, d, J = 8.3 Hz, 3-H), 5.73 (1 H, d, J = 8.3 Hz, 4-H), 6.85 (1 H, d, J = 7.6 Hz, ArH), 7.02-7.20 (7 H, m, ArH), 7.71 (1 H, d, J = 7.6 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 19.1 (q), 24.6 (t), 25.1 (t), 25.4 (t), 31.1 (t), 31.9 (t), 52.5 (d), 57.6 (d), 78.9 (d), 125.4 (d), 127.6 (d), 127.7 (d), 127.9 (d), 128.8 (d), 130.0 (d), 130.4 (d), 133.0 (s), 134.9 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 355 (17, M^+), 208 (100); IR ν_{max} (KBr) cm^{-1}: 1325, 1170 (SO_2); *Anal.* Calcd for $C_{21}H_{25}NO_2S$: C, 70.95; H, 7.09; N, 3.94. Found: C, 70.89; H, 7.10; N, 4.00.

***trans*-2-Cyclohexyl-3-(4-fluorophenyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1h-*trans*)** Prepared by Method A, yield 26%, colorless prisms (from EtOAc-hexane), mp 140-142°C; ^{1}H NMR ($CDCl_3$) δ: 1.12-1.34 (4 H, m), 1.53-1.69 (4 H, m), 1.77 (1 H, br d, J = 13.0 Hz), 2.13 (1 H, br d, J = 13.0 Hz), 3.30-3.35 (1 H, m, 1'-H), 4.40 (1 H, d, J = 6.6 Hz, 3-H), 5.02 (1 H, d, J = 6.6 Hz, 4-H), 7.06 (2 H, dd, $J_{H)o)} = J_{F(o)}$ = 8.8 Hz, ArH), 7.39-7.43 (5 H, m, ArH), 7.46 (2 H, dd, $J_{F(m)}$ = 5.4 Hz, $J_{H(o)}$ = 8.8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.4 (t), 25.3 (t), 30.5 (t), 32.0 (t), 57.1 (d), 57.7 (d), 83.0 (d), 116.0 (d, $^2J_{CF}$ = 22 Hz), 128.1 (d, $^3J_{CF}$ = 9 Hz), 128.4 (s), 129.0 (d), 129.2 (d), 129.7 (d), 133.9 (s), 162.9 (s, $^1J_{CF}$ = 248 Hz); MS (EI) *m/z* (rel. int. %): 359 (17, M^+), 83 (100); IR ν_{max} (KBr) cm^{-1}: 1310, 1170 (SO_2) *Anal.* Calcd for $C_{20}H_{22}FNO_2S$: C, 66.83; H, 6.17; N, 3.90. Found: C, 66.74; H, 6.21; N, 4.04.

***cis*-2-Cyclohexyl-3-(4-fluorophenyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1h-*cis*)** Prepared by Method A, yield 37%, colorless prisms (from EtOAc-hexane), mp 160-162°C; ^{1}H NMR ($CDCl_3$) δ: 1.15-1.35 (4 H, m), 1.57-1.80 (5 H, m), 2.20 (1 H, br d, J = 12.7 Hz), 3.32-3.39 (1 H, m, 1'-H), 4.92 (1 H, d, J = 8.8 Hz, 3-H), 5.69 (1 H, d, J = 8.8 Hz, 4-H), 6.85 (2 H, dd, $J_{H(o)} = J_{F(o)}$ = 8.8 Hz, ArH), 7.09-7.26 (7 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 24.8 (t), 25.4 (t), 31.0 (t), 32.0 (t), 54.7 (d), 57.2 (d), 79.5 (d), 115.1 (d, $^2J_{CF}$ = 22 Hz), 128.1 (d), 128.3 (s), 128.7 (d), 129.2 (d, $^3J_{CF}$ = 9 Hz), 129.9 (d), 130.9 (s), 162.3 (s, $^1J_{CF}$ = 248 Hz); MS (EI) *m/z* (rel. int. %): 359 (16, M^+), 212 (100); IR ν_{max} (KBr) cm^{-1}: 1310, 1160 (SO_2); *Anal.* Calcd for $C_{20}H_{22}FNO_2S$: C, 66.83; H, 6.17; N, 3.90. Found: C, 66.66; H, 6.22; N, 3.95.

***trans*-3-(4-Chlorophenyl)-2-cyclohexyl-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1i-*trans*)** Prepared by Method A, yield 28%, colorless prisms (from EtOAc-hexane), mp 132-133°C; ^{1}H NMR ($CDCl_3$) δ: 1.11-1.34 (4 H, m), 1.53-1.68 (4 H, m), 1.76 (1 H, br d, J = 12.7 Hz), 2.13 (1 H, br d, J = 12.7 Hz), 3.30-3.35 (1 H, m, 1'-H), 4.39 (1 H, d, J = 6.6 Hz, 3-H), 5.02 (1 H, d, J = 6.6 Hz, 4-H), 7.33 (2 H, d, J = 8 Hz, ArH), 7.41 (5 H, s, ArH), 7.42 (2 H, d, J = 8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.1 (t), 24.4 (t), 25.3 (t), 30.5 (t), 32.0 (t), 57.1 (d), 57.7 (d), 83.0 (d), 127.7 (d), 128.2 (s), 129.0 (d), 129.1 (d), 129.2 (d), 129.7 (d), 134.6 (s), 136.7 (s); MS (EI) *m/z* (rel. int. %): 375 (7, M^+), 228 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1170 (SO_2); *Anal.* Calcd for $C_{20}H_{22}ClNO_2S$: C, 63.90; H, 5.90; N, 3.73. Found: C, 63.65; H, 5.90; N, 3.81.

***cis*-3-(4-Chlorophenyl)-2-cyclohexyl-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1i-*cis*)** Prepared by Method A, yield 40%, colorless prisms (from EtOAc-hexane), mp 128°C; ^{1}H NMR ($CDCl_3$) δ: 1.14-1.35 (4 H, m), 1.59-1.81 (5 H, m), 2.20 (1 H, br d, J = 12.2 Hz), 3.32-3.37 (1 H, m, 1'-H), 4.90 (1 H, d, J = 8.8 Hz, 3-H), 5.69 (1 H, d, J = 8.8 Hz, 4-H), 7.10-7.19 (9 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 24.8 (t), 25.4 (t), 31.0 (t), 32.0 (t), 54.8 (d), 57.3 (d), 79.5 (d), 128.1 (d), 128.3 (d), 128.9 (d), 129.9 (d), 133.7 (s), 133.9 (s), two aromatic carbons are overlapped; MS (EI) *m/z* (rel. int. %): 375 (28, M^+), 55 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1160 (SO_2); *Anal.* Calcd for $C_{20}H_{22}ClNO_2S$: C, 63.90; H, 5.90; N, 3.73. Found: C, 63.93; H, 5.91; N, 3.76.

***cis*- and *trans*-3-(2-Chlorophenyl)-2-cyclohexyl-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1j)** Prepared by Method A, yield 70%, white powder as a 1.4 : 1 mixture of *cis* and *trans* isomers (from EtOAc-hexane), ^{1}H NMR ($CDCl_3$) δ: 1.09-1.39 (total 8 H, m), 1.54-1.83 (total 10 H, m), 2.17 (1 H, br d, J = 9.3 Hz, *trans*), 2.29 (1 H, br d, J = 12.7 Hz, *cis*), 3.32-3.39 (total 2 H, m, 1'-H), 5.04 and 5.08 (each 1 H, d, J = 6.0 Hz, *cis* 3- and 4-H), 5.17 and 5.82 (each 1 H, d, J = 8.8 Hz, *trans* 3- and 4-H), 7.02-7.33 (total 10 H, m, ArH), 7.38-7.48 (total 6 H, m, ArH), 7.73 (1 H, d, J = 7.8 Hz, *cis* ArH), 7.97 (1 H, m, *trans* ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.5 (t), 24.5 (t), 25.1 (t), 25.4 (t), 25.4 (t), 30.3 (t), 31.1 (t), 32.2 (t), 32.3 (t), 52.9 (d), 53.0 (d), 57.2 (d), 58.0 (d), 79.2 (d), 82.8 (d), 126.2 (d), 127.7 (d), 127.7 (d), 127.9 (d), 128.3 (d), 128.8 (d), 128.8 (d), 129.1 (d), 129.3 (d), 129.3 (d), 129.5 (d), 129.6 (d), 129.7 (d), 129.7 (d), 130.5 (d), 132.6 (s), 132.7 (s), 133.1 (s), 136.0 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 375 (12, M^+), 228 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1170 (SO_2); *Anal.* Calcd for $C_{20}H_{22}NO_2SCl$: C, 63.90; H, 5.90; N, 3.73. Found: C, 63.69; H, 5.89; N, 3.72.

***trans*-3-(4-Bromophenyl)-2-cyclohexyl-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1k-*trans*)** Prepared by Method A, yield 22%, colorless prisms (from EtOAc-hexane), mp 129-131°C; ^{1}H NMR ($CDCl_3$) δ: 1.14-1.34 (4 H, m), 1.57-1.65 (4 H, m), 1.77 (1 H, br d, J = 11.7 Hz), 2.14 (1 H, br d, J = 11.2 Hz), 3.32-3.35 (1 H, m, 1'-H), 4.37 (1 H, d, J = 6.8 Hz, 3-H), 5.01 (1 H, d, J = 6.8 Hz, 4-H), 7.35 (2 H, dd, J = 2.0 and 6.4 Hz, ArH), 7.41 (5 H, s, ArH), 7.49 (2 H, dd, J = 2.0 and 6.4 Hz , ArH); ^{13}C NMR ($CDCl_3$) δ: 24.1 (t), 24.4 (t), 25.3 (t), 30.5 (t), 32.1 (t), 57.2 (d), 57.8 (d), 82.9 (d), 122.8 (s), 128.0 (d), 128.2 (s), 129.0 (d), 129.2 (d), 129.7 (d), 132.2 (d), 137.2 (s); MS (EI) *m/z* (rel. int. %): 419 (10, M^+), 83 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1170 (SO_2); *Anal.* Calcd for $C_{20}H_{22}BrNO_2S$: C, 57.15; H, 5.28; N, 3.33. Found: C, 57.11; H, 5.27; N, 3.37.

***cis*-3-(4-Bromophenyl)-2-cyclohexyl-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1k-*cis*)** Prepared by Method A, yield 28%, colorless prisms (from EtOAc-hexane), mp 139-141°C; ^{1}H NMR ($CDCl_3$) δ: 1.17-1.32 (4 H, m), 1.56-1.76 (5 H, m), 2.20 (1 H, br d, J = 10.7 Hz), 3.32-3.34 (1 H, m, 1'-H), 4.88 (1 H, d, J = 8.8 Hz, 3-H), 5.69 (1 H, d, J = 8.8 Hz, 4-H), 7.10-7.18 (7 H, m, ArH), 7.28 (2 H, d, J = 7.1 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 24.9 (t), 25.4 (t), 31.0 (t), 32.0 (t), 54.8 (d), 57.3 (d), 79.5 (d), 122.1 (s), 128.1 (s), 128.2 (d), 128.9 (d), 129.2 (d), 129.9 (d), 131.2 (d), 134.3 (s); MS (EI) *m/z* (rel. int. %): 419 (10, M^+), 55 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1160 (SO_2); *Anal.* Calcd for $C_{20}H_{22}BrNO_2S$: C, 57.15; H, 5.28; N, 3.33. Found: C, 57.27; H, 5.31; N, 3.53.

***cis*-2-Cyclohexyl-3-(1-naphthyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (1l-*cis*)** Prepared by Method A, yield 58%, colorless prisms (from EtOAc-hexane), mp 195-198°C; ^{1}H NMR ($CDCl_3$) δ: 1.19-1.45 (4 H, m), 1.65-1.82 (5 H, m), 2.33 (1 H, br d, J = 10.5 Hz), 3.43 (1 H, br s), 5.57 (1 H, d, J = 8 Hz, 3-H), 5.94 (1 H, d, J = 8 Hz, 4-H), 6.86 (3H, br s, ArH), 7.10 (2 H, d, J = 6 Hz, ArH), 7.34-7.44 (3 H, m, ArH), 7.61-7.67 (3 H, m, ArH), 7.92 (1 H, d, J = 6 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.6 (t), 25.1 (t), 25.4 (t), 31.2 (t), 32.0 (t), 52.4 (d), 57.9 (d), 79.6 (d), 121.9 (d), 124.5 (d), 125.6 (d), 125.9 (d), 126.2 (d), 127.3 (d), 127.8 (s), 128.5 (d), 128.6 (d), 128.8 (d), 130.0 (d), 130.1 (s), 130.3 (s), 133.1 (s); MS (EI) *m/z* (rel. int. %): 391 (16, M^+), 326 (100); IR ν_{max} (KBr) cm^{-1}: 1315, 1160 (SO_2); *Anal.* Calcd for $C_{24}H_{25}NO_2S$: C, 73.63; H, 6.44; N, 3.58. Found: C, 73.63; H, 6.35; N, 3.64.

***trans*-2-Cyclohexyl-4-(4-methylphenyl)-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1m-*trans*)** Prepared by Method A, yield 4%, colorless prisms (from EtOAc-hexane), mp 125-126°C; ^{1}H NMR ($CDCl_3$) δ: 1.16-1.33 (4 H, m), 1.54-1.77 (5 H, m), 2.13-2.16 (1 H, m), 2.35 (3 H, s, Me), 3.29-3.35 (1 H,

m, 1'-H), 4.40 (1 H, d, J = 6.8 Hz, 3-H), 5.03 (1 H, d, J = 6.8 Hz, 4-H), 7.14-7.51 (9 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 21.2 (q), 24.2 (t), 24.4 (t), 25.4 (t), 30.5 (t), 32.0 (t), 57.0 (d), 58.3 (d), 82.8 (d), 125.4 (s), 128.7 (d), 128.9 (d), 129.1 (d), 129.6 (d), 138.2 (s), 139.7 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 355 (17, M^+), 208 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1165 (SO_2); *Anal.* Calcd for $C_{21}H_{25}NO_2S$: C, 70.95; H, 7.09; N, 3.94. Found: C, 70.71; H, 7.09; N, 3.95.

***cis*-2-Cyclohexyl-4-(4-methylphenyl)-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1m-*cis*)** Prepared by Method A, yield 5%, colorless prisms (from EtOAc-hexane), mp 190-192°C; ^{1}H NMR ($CDCl_3$) δ: 1.14-1.39 (4 H, m), 1.60-1.83 (5 H, m), 2.17 (3 H, s, Me), 2.19-2.23 (1 H, m), 3.32-3.40 (1 H, m, 1'-H), 4.93 (1 H, d, J = 8.8 Hz, 3-H), 5.66 (1 H, d, J = 8.8 Hz, 4-H), 6.89 (2 H, d, J = 8.3 Hz, ArH), 7.04 (2 H, d, J = 8.3 Hz, ArH), 7.10-7.25 (5 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 21.0 (q), 24.5 (t), 24.9 (t), 25.4 (t), 31.0 (t), 31.9 (t), 55.2 (d), 57.2 (d), 79.5 (d), 125.2 (s), 127.6 (d), 127.9 (d), 128.0 (d), 128.6 (d), 133.0 (d), 135.1 (s), 138.5 (s); MS (EI) *m/z* (rel. int. %): 355 (18, M^+), 208 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1160 (SO_2); *Anal.* Calcd for $C_{21}H_{25}NO_2S$: C, 70.95; H, 7.09; N, 3.94. Found: C, 70.71; H, 7.14; N, 3.91.

***trans*-4-(4-Chlorophenyl)-2-cyclohexyl-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1n-*trans*)** Prepared by Method A, yield 38%, colorless prisms (from EtOAc-hexane), mp 170-172°C; ^{1}H NMR ($CDCl_3$) δ: 1.16-1.34 (4 H, m), 1.54-1.78 (5 H, m), 2.11-2.14 (1 H, m), 3.29-3.34 (1 H, m, 1'-H), 4.35 (1 H, d, J = 6.8 Hz, 3-H), 5.02 (1 H, d, J = 6.8 Hz, 4-H), 7.25-7.47 (9 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.4 (t), 25.3 (t), 30.5 (t), 32.0 (t), 57.1 (d), 58.5 (d), 82.0 (d), 126.4 (d), 127.1 (s), 129.0 (d), 129.1 (d), 129.2 (d), 130.5 (d), 135.8 (s), 137.7 (s); MS (EI) *m/z* (rel. int. %): 375 (33, M^+), 228 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1165 (SO_2); *Anal.* Calcd for $C_{20}H_{22}ClNO_2S$: C, 63.90; H, 5.90; N, 3.73. Found: C, 63.79; H, 5.85; N, 3.69.

***cis*-4-(4-Chlorophenyl)-2-cyclohexyl-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1n-*cis*)** Prepared by Method A, yield 46%, colorless prisms (from EtOAc-hexane), mp 188-191°C; ^{1}H NMR ($CDCl_3$) δ: 1.14-1.39 (4 H, m), 1.61-1.79 (5 H, m), 2.19 (1 H, m), 3.32-3.38 (1 H, m, 1'-H), 4.96 (1 H, d, J = 8.8 Hz, 3-H), 5.67 (1 H, d, J = 8.8 Hz, 4-H), 7.06-7.26 (9 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 24.8 (t), 25.4 (t), 30.9 (t), 31.9 (t), 54.9 (d), 57.2 (d), 78.7 (d), 127.0 (s), 127.4 (d), 128.2 (d), 128.2 (d), 131.3 (d), 134.7 (s), 134.7 (s), an aromatic carbons is overlapped; MS (EI) *m/z* (rel. int. %): 375 (26, M^+), 228 (100); IR ν_{max} (KBr) cm^{-1}: 1315, 1170 (SO_2); *Anal.* Calcd for $C_{20}H_{22}ClNO_2S$: C, 63.90; H, 5.90; N, 3.73. Found: C, 63.94; H, 5.88; N, 3.70.

***trans*-4-(2-Chlorophenyl)-2-cyclohexyl-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1o-*trans*)** Prepared by Method A, yield 36%, colorless prisms (from EtOAc-hexane), mp 191-195°C; ^{1}H NMR ($CDCl_3$) δ: 1.15-1.32 (4 H, m), 1.54-1.78 (5 H, m), 2.12 (1 H, br d, J = 12 Hz), 3.28-3.33 (1 H, m, 1'-H), 4.51 (1 H, d, J = 6.8 Hz, 3-H), 5.69 (1 H, d, J = 6.8 Hz, 4-H), 7.24-7.41 (6 H, m, ArH), 7.54 (2 H, d, J = 7.3 Hz, ArH), 7.78 (1 H, d, J = 7.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.4 (t), 25.4 (t), 30.5 (t), 32.0 (t), 56.9 (d), 57.2 (d), 79.0 (d), 126.6 (d), 127.2 (s), 127.3 (d), 129.0 (d), 129.1 (d), 129.4 (d), 130.0 (d), 130.4 (d), 135.0 (s), 137.8 (s); MS (EI) *m/z* (rel. int. %): 375 (14, M^+), 178 (100); IR ν_{max} (KBr) cm^{-1}: 1315, 1165 (SO_2); *Anal.* Calcd for $C_{20}H_{22}ClNO_2S$: C, 63.90; H, 5.90; N, 3.73. Found: C, 63.79; H, 5.90; N, 3.75.

***cis*-4-(2-Chlorophenyl)-2-cyclohexyl-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1o-*cis*)** Prepared by Method A, yield 27%, colorless prisms (from EtOAc-hexane), mp 178-180°C; ^{1}H NMR ($CDCl_3$) δ: 1.14-1.35 (4 H, m), 1.56-1.79 (5 H, m), 2.17-2.20 (1 H, m), 3.33-3.40 (1 H, m, 1'-H), 4.99 (1 H, d, J = 8.8 Hz, 3-H), 6.28 (1 H, d, J = 8.8 Hz, 4-H), 7.02-7.14 (6 H, m, ArH), 7.25 (2 H, d, J = 7.3 Hz, ArH), 7.86-7.89 (1 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 24.7 (t), 25.4 (t), 30.9 (t), 31.9 (t), 54.8 (d), 57.0 (d), 75.2 (d), 126.3 (d), 127.2 (s), 127.5 (d), 127.9 (d), 128.2 (d), 128.9 (d), 129.6 (d), 130.7 (d), 133.7 (s), 134.8 (s); MS (EI) *m/z* (rel. int. %): 375 (7, M^+), 178 (100); IR ν_{max} (KBr) cm^{-1}: 1325, 1170 (SO_2); *Anal.* Calcd for $C_{20}H_{22}ClNO_2S$: C, 63.90; H, 5.90; N, 3.73. Found: C, 64.09; H, 5.99; N, 3.86.

***trans*-2-Cyclohexyl-4-(2,4-dichlorophenyl)-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1p-*trans*)** Prepared by Method A, yield 10%, colorless needles (from EtOAc-hexane), mp 192-194°C; ^{1}H NMR ($CDCl_3$) δ: 1.15-1.32 (4 H, m), 1.54-1.77 (5 H, m), 2.10-2.13 (1 H, m), 3.30 (1 H, m, 1'-H), 4.44 (1 H, d, J = 6.8 Hz, 3-H), 5.62 (1 H, d, J = 6.8 Hz, 4-H), 7.33-7.42 (7 H, m, ArH), 7.74 (1 H, d, J = 7.8 Hz, ArH);

^{13}C NMR ($CDCl_3$) δ: 24.1 (t), 24.3 (t), 25.3 (t), 30.5 (t), 31.9 (t), 57.2 (d), 78.3 (d), 125.9 (s), 126.5 (d), 127.7 (d), 129.1 (d), 129.8 (d), 130.3 (d), 135.7 (s), 136.0 (s), 137.4 (s), an alkyl carbon and an aromatic carbon are overlapped; MS (EI) *m/z* (rel. int. %): 409 (42, M^+), 262 (100); IR ν_{max} (KBr) cm^{-1}: 1305, 1165 (SO_2); *Anal.* Calcd for $C_{20}H_{21}Cl_2NO_2S$: C, 58.54; H, 5.16; N, 3.41. Found: C, 58.37; H, 5.14; N, 3.42.

***trans*-2-Cyclohexyl-4-(4-fluorophenyl)-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1q-*trans*)** Prepared by Method A, yield 32%, colorless prisms (from EtOAc-hexane), mp 159-160°C; ^1H NMR ($CDCl_3$) δ: 1.16-1.33 (4 H, m), 1.55-1.78 (5 H, m), 2.11-2.15 (1 H, m), 3.29-3.34 (1 H, m, 1'-H), 4.35 (1 H, d, J = 7 Hz, 3-H), 5.03 (1 H, d, J = 7 Hz, 4-H), 7.09 (2 H, dd, $J_{H(o)} = J_{F(o)}$ = 8.3 Hz, ArH), 7.32-7.47 (7 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.4 (t), 25.3 (t), 30.5 (t), 32.0 (t), 57.1 (d), 58.7 (d), 82.0 (d), 116.0 (d, $^2J_{CF}$ = 22 Hz), 124.5 (s), 126.4 (d), 128.9 (d), 129.0 (d), 131.1 (d, $^3J_{CF}$ = 7 Hz), 137.8 (s), 163.4 (s, $^1J_{CF}$ = 250 Hz); MS (EI) *m/z* (rel. int. %): 359 (24, M^+), 212 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1145 (SO_2) *Anal.* Calcd for $C_{20}H_{22}FNO_2S$: C, 66.83; H, 6.17; N, 3.90. Found: C, 66.55; H, 6.19; N, 3.81.

***cis*-2-Cyclohexyl-4-(4-fluorophenyl)-3-phenyl-1,2-thiazetizine 1,1-Dioxide (1q-*cis*)** Prepared by Method A, yield 45%, colorless prisms (from EtOAc-hexane), mp 160-162°C; ^1H NMR ($CDCl_3$) δ: 1.15-1.39 (4 H, m), 1.62-1.80 (5 H, m), 2.19-2.23 (1 H, m), 3.34-3.39 (1 H, m, 1'-H), 4.95 (1 H, d, J = 8.8 Hz, 3-H), 5.69 (1 H, d, J = 8.8 Hz, 4-H), 6.78 (2 H, dd, $J_{H(o)} = J_{F(o)}$ = 8.3 Hz, ArH), 7.15-7.20 (7 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 24.8 (t), 25.4 (t), 30.9 (t), 31.9 (t), 55.1 (d), 57.2 (d), 78.7 (d), 115.0 (d, $^2J_{CF}$ = 22 Hz), 124.4 (s), 127.4 (d), 128.2 (d), 131.9 (d, $^3J_{CF}$ = 9 Hz), 134.8 (s), 162.6 (s, $^1J_{CF}$ = 250 Hz), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 359 (19, M^+), 212 (100); IR ν_{max} (KBr) cm^{-1}: 1315, 1135 (SO_2); *Anal.* Calcd for $C_{20}H_{22}FNO_2S$: C, 66.83; H, 6.17; N, 3.90. Found: C, 66.99; H, 6.19; N, 3.90.

***trans*-2-Cyclohexyl-4-methyl-3-(4-methylphenyl)-1,2-thiazetizine 1,1-Dioxide (1v-*trans*)** Prepared by Method B, yield 16%, yellow oil; ^1H NMR ($CDCl_3$) δ: 1.03-1.38 (4 H, m), 1.61-1.85 (5 H, m), 1.62 (3 H, d, J = 6.8 Hz, 4-Me), 2.17-2.20 (1 H, m), 2.47 (3 H, s, ArMe), 3.26-3.31 (1 H, m, 1'-H), 3.82 (1 H, d, J = 6.8 Hz, 3-H), 4.09 (1 H, quintet, J = 6.8 Hz, 4-H), 7.30 and 7.47 (each 2 H, d, J = 7.8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 11.4 (q), 21.1 (q), 24.1 (t), 24.3 (t), 25.3 (t), 30.3 (t), 31.9 (t), 56.9 (d), 58.3 (d), 73.8 (d), 126.3 (d), 129.6 (d), 133.5 (s), 138.6 (s); MS (EI) *m/z* (rel. int. %): 293 (13, M^+), 132 (100); IR ν_{max} (NaCl) cm^{-1}: 1300, 1140 (SO_2); *Anal.* Calcd for $C_{16}H_{23}NO_2S$: C, 65.49; H, 7.90; N, 4.77. Found: C, 65.35; H, 7.93; N, 4.77.

***cis*-2-Cyclohexyl-4-methyl-3-(4-methylphenyl)-1,2-thiazetizine 1,1-Dioxide (1v-*cis*)** Prepared by Method B, yield 21%, colorless prisms (from EtOAc-hexane), mp 136-139°C; ^1H NMR ($CDCl_3$) δ: 1.03 (3 H, d, J = 7.3 Hz, 4-Me), 1.06-1.29 (4 H, m), 1.44-1.73 (5 H, m), 2.06-2.09 (1 H, m), 2.36 (3 H, s, ArMe), 3.20-3.26 (1 H, m, 1'-H), 4.47 (1 H, dq, J = 8.3 and 7.3 Hz, 4-H), 4.56 (1 H, d, J = 8.3 Hz, 3-H), 7.19 and 7.27 (each 2 H, d, J = 8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 10.6 (q), 21.0 (q), 24.2 (t), 24.6 (t), 25.3 (t), 30.7 (t), 31.8 (t), 52.9 (d), 56.5 (d), 68.5 (d), 127.5 (d), 129.1 (d), 132.2 (s), 138.2 (s); MS (EI) *m/z* (rel. int. %): 293 (8, M^+), 132 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1145 (SO_2); *Anal.* Calcd for $C_{16}H_{23}NO_2S$: C, 65.49; H, 7.90; N, 4.77. Found: C, 65.29; H, 7.97; N, 4.80.

***trans*-3-(4-Chlorophenyl)-2-cyclohexyl-4-methyl-1,2-thiazetizine 1,1-Dioxide (1w-*trans*)** Prepared by Method B, yield 11%, colorless prisms (from EtOAc-hexane), mp 102-103°C; ^1H NMR ($CDCl_3$) δ: 0.96-1.31 (4 H, m), 1.45-1.61 (4 H, m), 1.53 (3 H, d, J = 6.8 Hz, 4-Me), 1.72-1.75 (1 H, m), 2.06-2.09 (1 H, m), 3.16-3.22 (1 H, m, 1'-H), 3.71 (1 H, d, J = 6.8 Hz, 3-H), 3.96 (1 H, quintet, J = 6.8 Hz, 4-H), 7.37 and 7.42 (each 2 H, d, J = 8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 11.5 (q), 24.1 (t), 24.3 (t), 25.3 (t), 30.4 (t), 32.0 (t), 57.1 (d), 57.9 (d), 73.9 (d), 127.7 (d), 129.2 (d), 134.6 (s), 137.0 (s); MS (EI) *m/z* (rel. int. %): 313 (12, M^+), 117 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1140 (SO_2); *Anal.* Calcd for $C_{15}H_{20}ClNO_2S$: C, 57.41; H, 6.42; N, 4.46. Found: C, 57.59; H, 6.49; N, 4.51.

***cis*-3-(4-Chlorophenyl)-2-cyclohexyl-4-methyl-1,2-thiazetizine 1,1-Dioxide (1w-*cis*)** Prepared by Method B, yield 10%, colorless prisms (from EtOAc-hexane), mp 81-82°C; ^1H NMR ($CDCl_3$) δ: 1.04 (3 H, d, J = 6.8 Hz, 4-Me), 1.09-1.32 (4 H, m), 1.43-1.75 (5 H, m), 2.06-2.09 (1 H, m), 3.21-3.26 (1 H, m, 1'-H), 4.46-4.56 (2 H, m, 3- and 4-H), 7.34 and 7.37 (each 2 H, d, J = 8 Hz, ArH); ^{13}C NMR

($CDCl_3$) δ: 10.8 (q), 24.2 (t), 24.6 (t), 25.4 (t), 30.8 (t), 32.0 (t), 52.6 (d), 56.7 (d), 68.6 (d), 128.8 (d), 129.0 (d), 134.0 (s), 134.5 (s); MS (EI) *m/z* (rel. int. %): 313 (18, M^+), 117 (100); IR ν_{max} (KBr) cm^{-1}: 1325, 1145 (SO_2); *Anal.* Calcd for $C_{15}H_{20}ClNO_2S$: C, 57.41; H, 6.42; N, 4.46. Found: C, 57.55; H, 6.48; N, 4.45.

***cis*-and *trans*-2-Cyclohexyl-4-ethyl-3-(4-methylphenyl)-1,2-thiazetizine 1,1-Dioxide (1ε)** Prepared by Method B, yield 29%, yellow oil as a mixture of stereoisomers; ^1H NMR ($CDCl_3$) δ: 0.86 (3 H, t, *J* = 7.3 Hz, *cis* Me), 1.01 (3 H, t, *J* = 7.3 Hz, *trans* Me), 1.03-2.06 (total 24 H, m), 2.36 (total 6 H, s, ArMe x 2), 3.15-3.25 (total 2 H, m, 1'-H x 2), 3.78 (1 H, d, *J* = 6.3 Hz, *trans* 3-H), 3.84-3.89 (1 H, m, *trans* 4-H), 4.23-4.27 (1 H, m, *cis* 4-H), 4.53 (1 H, d, *J* = 8.3 Hz, *cis* 3-H), 7.14-7.37 (total 8 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 11.6 (q), 11.8 (q), 19.9 (t), 21.1 (q), 21.1 (t), 24.1 (t), 24.2 (t), 24.3 (t), 24.6 (t), 25.4 (t), 30.3 (t), 30.8 (t), 31.8 (t), 31.9 (t), 52.8 (d), 56.3 (d), 56.8 (d), 57.0 (d), 75.7 (d), 80.5 (d), 126.4 (d), 127.5 (d), 129.1 (d), 129.6 (d), 132.6 (s), 135.9 (s), 138.3 (s), 138.5 (s), two alkyl carbons are overlapped; MS (EI) *m/z* (rel. int. %): 307 (13, M^+), 146 (100); IR ν_{max} (KBr) cm^{-1}: 1315, 1175 (SO_2); HRMS (EI) Calcd for $C_{17}H_{25}NO_2S$: 307.1606. Found: 307.1601.

***trans*-2-Cyclohexyl-3-(3-pyridyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10a-*trans*)** Prepared by Method A, yield 22%, colorless prisms (from EtOAc-hexane), mp 182-184°C; ^1H NMR ($CDCl_3$) δ: 1.10-1.32 (4 H, m), 1.55-1.69 (4 H, m), 1.77 (1 H, br d, *J* = 13 Hz), 2.14 (1 H, br d, *J* = 11 Hz), 3.32-3.37 (1 H, m, 1'-H), 4.45 (1 H, d, *J* = 6.8 Hz, 3-H), 5.07 (1H, d, *J* = 6.8 Hz, 4-H), 7.36 (1 H, dd, *J* = 4.9 and 7.8 Hz, ArH), 7.43 (5 H, s, ArH), 7.95 (1 H, d, *J* = 7.8 Hz, ArH), 8.61 (1 H, d, *J* = 4.9 Hz, ArH), 8.61 (1 H, s, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.1 (t), 24.3 (t), 25.3 (t), 30.6 (t), 32.0 (t), 56.1 (d), 57.2 (d), 82.8 (d), 124.0 (d), 127.9 (s), 129.1 (d), 129.2 (d), 129.9 (d), 133.9 (d), 148.2 (d), 150.4 (d), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 342 (20, M^+), 195 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1170 (SO_2); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.44; H, 6.46; N, 8.12.

***cis*-2-Cyclohexyl-3-(3-pyridyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10a-*cis*)** Prepared by Method A, yield 29%, colorless prisms (from EtOAc-hexane), mp 161-163°C; ^1H NMR ($CDCl_3$) δ: 1.14-1.36 (4 H, m), 1.58-1.82 (5 H, m), 2.22 (1 H, br d, *J* = 12.7 Hz), 3.34-3.40 (1 H, m, 1'-H), 4.96 (1 H, d, *J* = 9.0 Hz, 3- H), 5.75 (1 H, d, *J* = 9.0 Hz, 4-H), 7.10 (1 H, dd, *J* = 5 and 8 Hz, ArH), 7.13-7.27 (5 H, m, ArH), 7.61 (1 H, d, *J* = 8 Hz, ArH), 8.36 (1 H, dd, *J* = 2 and 5 Hz, ArH), 8.44 (1 H, d, *J* = 2 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.3 (t), 24.7 (t), 25.3 (t), 31.0 (t), 32.0 (t), 53.3 (d), 57.4 (d), 79.5 (d), 122.8 (d), 128.0 (s), 128.3 (d), 128.9 (d), 129.8 (d), 131.1 (s), 135.1 (d), 149.0 (d), 149.4 (d); MS (EI) *m/z* (rel. int. %): 342 (17, M^+), 55 (100); IR ν_{max} (KBr) cm^{-1}: 1320, 1160 (SO_2); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.81; H, 6.40; N, 8.27.

***trans*-2-Cyclohexyl-3-(4-pyridyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10b-*trans*)** Prepared by Method A, yield 23%, colorless prisms (from EtOAc-hexane), mp 149-152°C; ^1H NMR ($CDCl_3$) δ: 1.11-1.36 (4 H, m), 1.55-1.64 (4 H, m), 1.78 (1 H, br d, *J* = 13 Hz), 2.17 (1 H, br d, *J* = 12 Hz), 3.32-3.37 (1 H, m, 1'-H), 4.38 (1 H, d, *J* = 6.8 Hz, 3-H), 5.02 (1 H, d, *J* = 6.8 Hz, 4-H), 7.40 (2 H, dd, *J* = 1 and 4 Hz, ArH), 7.43 (5 H, s, ArH), 8.62 (2 H, dd, *J* = 1 and 4 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.1 (t), 24.4 (t), 25.3 (t), 30.6 (t), 32.2 (t), 57.2 (d), 57.4 (d), 82.5 (d), 121.1 (d), 127.8 (s), 129.1 (d), 129.2 (d), 130.0 (d), 147.3 (s), 150.6 (d); MS (EI) *m/z* (rel. int. %): 342 (18, M^+), 195 (100); IR ν_{max} (KBr) cm^{-1}: 1310, 1175 (SO_2); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.77; H, 6.47; N, 8.26.

***cis*-2-Cyclohexyl-3-(4-pyridyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10b-*cis*)** Prepared by Method A, yield 30%, colorless prisms (from EtOAc-hexane), mp 184-186°C; ^1H NMR ($CDCl_3$) δ: 1.16-1.37 (4 H, m), 1.59-1.74 (4 H, m), 1.81 (1 H, br d, *J* = 13 Hz), 2.24 (1 H, br d, *J* = 12 Hz), 3.35-3.40 (1 H, m, 1'-H), 4.88 (1 H, d, *J* = 9.3 Hz, 3-H), 5.75 (1 H, d, *J* = 9.3 Hz, 4-H), 7.10-7.19 (7 H, m, ArH), 8.40 (2 H, d, *J* = 6 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 25.0 (t), 25.4 (t), 26.0 (t), 31.6 (t), 32.7 (t), 54.9 (d), 58.2 (d), 80.1 (d), 123.1 (d), 128.3 (s), 128.9 (d), 129.8 (d), 130.5 (d), 145.2 (s), 150.2 (d); MS (EI) *m/z* (rel. int. %): 342 (13, M^+), 195 (100); IR ν_{max} (KBr) cm^{-1}: 1305, 1170 (SO_2); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.77; H, 6.51; N, 8.21.

***trans*-2-Cyclohexyl-3-(2-pyridyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10c-*trans*)**

Prepared by Method A, yield 28%, light yellow needles (from EtOAc-hexane), mp 162-164°C; ^{1}H NMR ($CDCl_3$) δ: 1.05-1.35 (4 H, m), 1.53-1.78 (5 H, m), 2.15 (1 H, br d, *J* = 13 Hz), 3.34-3.40 (1 H, m, 1'-H), 4.64 (1 H, d, *J* = 6.8 Hz, 3-H), 5.31 (1 H, d, *J* = 6.8 Hz, 4-H), 7.25-7.28 (1 H, m, ArH), 7.37-7.43 (3 H, m, ArH), 7.47-7.49 (2 H, m, ArH), 7.75-7.80 (2 H, m, ArH), 8.53 (1 H, d, *J* = 4.4 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.1 (t), 24.3 (t), 25.3 (t), 30.4 (t), 32.1 (t), 57.3 (d), 58.7 (d), 81.6 (d), 121.1 (d), 123.6 (d), 128.5 (s), 129.0 (d), 129.1 (d), 129.5 (d), 137.3 (d), 149.5 (d), 157.9 (s); MS (EI) *m/z* (rel. int. %): 342 (1, M^+), 182 (100); IR ν_{max} (KBr) cm^{-1}: 1305, 1175 (SO_2); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.83; H, 6.52; N, 8.22.

***cis*-2-Cyclohexyl-3-(2-pyridyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10c-*cis*)** Prepared by Method A, yield 35%, light yellow needles (from EtOAc-hexane), mp 186-188°C; ^{1}H NMR ($CDCl_3$) δ: 1.16-1.35 (4 H, m), 1.59-1.85 (5 H, m), 2.26 (1 H, br d, *J* = 13 Hz), 3.38-3.44 (1 H, m, 1'-H), 5.04 (1 H, d, *J* = 9 Hz, 3-H), 5.82 (1 H, d, *J* = 9 Hz, 4-H), 7.00 (1 H, dd, *J* = 5 and 7 Hz, ArH), 7.09-7.11 (3 H, m, ArH), 7.20-7.23 (2 H, m, ArH), 7.57 (1 H, t, *J* = 7 Hz, ArH), 7.64 (1 H, d, *J* = 7 Hz, ArH), 8.28 (1 H, d, *J* = 5 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 24.8 (t), 25.4 (t), 30.9 (t), 32.1 (t), 56.2 (d), 57.5 (d), 79.4 (d), 122.6 (d), 128.0 (d), 128.3 (s), 128.6 (d), 129.9 (d), 135.9 (d), 149.1 (d), 155.6 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 342 (1, M^+), 180 (100); IR ν_{max} (KBr) cm^{-1}: 1315, 1170 (SO_2); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.48; H, 6.44; N, 8.11.

***cis*-2-Cyclohexyl-3-(4-nitorophenyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10d-*cis*)** Prepared by Method A, yield 25%, colorless prisms (from EtOAc-hexane), mp 133-136°C; ^{1}H NMR ($CDCl_3$) δ: 1.16-1.39 (4 H, m), 1.59- 1.79 (4 H, m), 1.81 (1 H, br d, *J* = 11 Hz), 2.24 (1 H, br d, *J* = 13 Hz), 3.36-3.41 (1 H, m, 1'-H), 5.00 (1 H, d, *J* = 8.8 Hz, 3-H), 5.78 (1 H, d, *J* = 8.8 Hz, 4-H), 7.09-7.19 (5 H, m, ArH), 7.43 (2 H, d, *J* = 8.5 Hz, ArH), 8.02 (2 H, d, *J* = 8.5 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 24.7 (t), 25.3 (t), 31.0 (t), 32.1 (t), 54.7 (d), 57.6 (d), 79.6 (d), 123.3 (d), 127.7 (s), 128.3 (d), 128.5 (d), 129.2 (d), 129.8 (d), 142.9 (s), 147.5 (s); MS (EI) *m/z* (rel. int. %): 386 (26, M^+), 239 (100); IR ν_{max} (KBr) cm^{-1}: 1520, 1350 (NO_2), 1320, 1170 (SO_2); *Anal.* Calcd for $C_{20}H_{22}N_2O_4S$: C, 62.16; H, 5.74; N, 7.25. Found: C, 62.09; H, 5.77; N, 7.31.

***trans*-2-Cyclohexyl-3-(4-nitorophenyl)-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10d-*trans*)** Prepared by Method A, yield 26%, colorless prisms (from EtOAc-hexane), mp 160-162°C; ^{1}H NMR ($CDCl_3$) δ: 1.08-1.37 (4 H, m), 1.58- 1.62 (4 H, m), 1.78 (1 H, br d, *J* = 13 Hz), 2.17 (1 H, br d, *J* = 13 Hz), 3.34-3.76 (1 H, m, 1'-H), 4.51 (1 H, d, *J* = 6.8 Hz, 3-H), 5.03 (1 H, d, *J* = 6.8 Hz, 4-H), 7.43 (5 H, s, ArH), 7.67 (2 H, d, *J* = 8.8 Hz, ArH), 8.23 (2 H, d, *J* = 8.8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.1 (t), 24.4 (t), 25.3 (t), 30.6 (t), 32.2 (t), 57.5 (d), 57.7 (d), 82.9 (d), 124.3 (d), 127.2 (d), 127.7 (s), 129.1(d), 129.2 (d), 130.0 (d), 145.4 (s), 148.3 (s); MS (EI) *m/z* (rel. int. %): 386 (18, M^+), 239 (100); IR ν_{max} (KBr) cm^{-1}: 1525, 1360 (NO_2), 1320, 1160 (SO_2); *Anal.* Calcd for $C_{20}H_{22}N_2O_4S$: C, 62.16; H, 5.74; N, 7.25. Found: C, 61.93; H, 5.69; N, 7.24.

***trans*-3-(4-Cyanophenyl)-2-cyclohexyl-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10e-*trans*)** Prepared by Method A, yield 27%, colorless prisms (from EtOAc-hexane), mp 87-90°C; ^{1}H NMR ($CDCl_3$) δ: 1.08-1.19 (4 H, m), 1.58-1.64 (4 H, m), 1.77 (1 H, br d, *J* = 13 Hz), 2.15 (1 H, br d, *J* = 11 Hz), 3.35 (1 H, br t, *J* = 10 Hz, 1'-H), 4.48 (1 H, d, *J* = 6.8 Hz, 3-H), 5.03 (1 H, d, *J* = 6.8 Hz, 4-H), 7.42 (5 H, s, ArH), 7.61 (2 H, d, *J* = 8.3 Hz, ArH), 7.68 (2 H, d, *J* = 8.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.0 (t), 24.2 (t), 25.2 (t), 30.4 (t), 32.0 (t), 57.3 (d), 57.6 (d), 82.7 (d), 112.7 (s), 118.1 (s), 127.0 (d), 127.7 (s), 129.0 (d), 129.1 (d), 129.8 (d), 132.8 (d), 143.4 (s); MS (EI) *m/z* (rel. int. %): 366 (32, M^+), 219 (100); IR ν_{max} (KBr) cm^{-1}: 2235 (CN), 1300, 1170 (SO_2); HRMS (EI) Calcd for $C_{21}H_{22}N_2O_2S$: 366.1402. Found: 366.1391.

***cis*-3-(4-Cyanophenyl)-2-cyclohexyl-4-phenyl-1,2-thiazetizine 1,1-Dioxide (10e-*cis*)** Prepared by Method A, yield 32%, colorless prisms (from EtOAc-hexane), mp 102-105°C; ^{1}H NMR ($CDCl_3$) δ: 1.14-1.35 (4 H, m), 1.58-1.80 (5 H, m), 2.22 (1 H, br d, *J* = 11 Hz), 3.34-3.36 (1 H, m, 1'-H), 4.98 (1 H, d, *J* = 8.8 Hz, 3-H), 5.80 (1 H, d, *J* = 8.8 Hz, 4-H), 7.10-7.18 (5 H, m, ArH), 7.37 (2 H, d, *J* = 8.3 Hz, ArH), 7.44 (2 H, d, *J* = 8.3 Hz, ArH) ^{13}C NMR ($CDCl_3$) δ: 24.1 (t), 24.5 (t), 25.1 (t), 30.7 (t), 31.9 (t), 54.5

(d), 57.3 (d), 79.2 (d), 111.5 (s), 118.1 (s), 127.7 (s), 128.0 (d), 128.1 (d), 128.8 (d), 129.7 (d), 131.6 (d), 140.8 (s); MS (EI) *m/z* (rel. int. %): 366 (49, M^+), 219 (100); IR ν_{max} (KBr) cm^{-1}: 2250 (CN), 1320, 1160 (SO_2); HRMS (EI) Calcd for $C_{21}H_{22}N_2O_2S$: 366.1402. Found: 366.1431.

Synthesis of β-Sultam 1δ by Intramolecular Cyclization[33]

Butylene sulfide (24.69 g, 0.28 mol, prepared from butylene oxide by a similar procedure to that reported by Snyder and co-workers[34]) and benzylamine (60.0 g, 0.56 mol) were heated at 100°C for 20 h under nitrogen. Unreacted butylene sulfide and benzylamine were removed by reduced-pressure distillation. The residual oil was dissolved in ethyl acetate (280 cm^3) containing water (10 cm^3, 0.56 mol). Chlorine was bubbled into the solution at 0°C with vigorous stirring until the initially formed precipitate disappeared. The reaction mixture was dried (Na_2SO_4), and then treated with potassium carbonate (270 g, 2 mol). The inorganic salts were filtered off and the filtrate was evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:20 - 1:10 v/v) to give 17.44 g (28%) of β-sultam 1δ. Yellow oil; ^1H NMR ($CDCl_3$) δ: 1.05 (3 H, t, J = 7.3 Hz, Me), 1.80-1.87 and 2.03-2.10 (each 1 H, m, CH_2CH_3), 2.64 (1 H, t, J = 6 Hz, 3-H), 3.25 (1 H, dd, J = 6 and 7 Hz, 3-H), 4.09 and 4.23 (each 1 H, d, J = 14 Hz, CH_2Ph), 4.17-4.25 (1 H, m, 4-H), 7.28-7.34 (5 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 11.4 (q), 22.2 (t), 42.6 (t), 50.1 (t), 72.3 (d), 127.9 (d), 128.5 (d), 128.7 (d), 134.6 (s); MS (EI) *m/z* (rel. int. %): 225 (17, M^+), 91 (100); IR ν_{max} (NaCl) cm^{-1}: 1310, 1155 (SO_2); *Anal.* Calcd for $C_{11}H_{15}NO_2S$: C, 58.64; H, 6.71; N, 6.22. Found: C, 58.65; H, 6.81; N, 6.21.

Dimethylation of 4-Nonsubstituted β-Sultams

General procedure. To a solution of LDA (3 mmol, prepared from 3 mmol of diisopropylamine (0.39 cm^3) and 3 mmol of ^{n}BuLi in hexane) in dry THF (10 cm^3) was added dropwise a solution of a β-sultam (1 mmol) in THF (2-4 cm^3) at -78°C under nitrogen. After 30 min., MeI (0.19 cm^3, 3 mmol) was added dropwise to it and the whole was stirred at room temperature for 12 h. Saturated aqueous NH_4Cl (4 cm^3) was added to the reaction mixture and the organic layer was separated. The water layer was extracted twice with EtOAc (10 cm^3). The organic layer and the extracts were combined, washed with saturated aqueous NaCl (20 cm^3), dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:10 v/v).

2-Cyclohexyl-4,4-dimethyl-3-(4-methylphenyl)-1,2-thiazetidine 1,1-Dioxide (1y) Yield 83%, colorless prisms (from EtOAc-hexane), mp 124-127°C; ^1H NMR ($CDCl_3$) δ: 1.08 (3 H, s, 4-Me), 1.11-1.31 (4 H, m), 1.46-1.74 (5 H, m), 1.63 (3 H, s, 4-Me), 2.11-2.14 (1 H, m), 2.36 (3 H, s, ArMe), 3.15-3.21 (1 H, m, 1'-H), 4.05 (1 H, s, 3-H), 7.19 and 7.24 (each 2 H, d, J = 7.8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 19.0 (q), 21.0 (q), 22.1 (q), 24.3 (t), 24.7 (t), 25.3 (t), 30.9 (t), 32.0 (t), 56.8 (d), 62.1 (d), 75.2 (s), 126.9 (d), 129.1 (d), 132.3 (s), 138.2 (s); MS (EI) *m/z* (rel. int. %): 307 (7, M^+), 200 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1125 (SO_2); *Anal.* Calcd for $C_{17}H_{25}NO_2S$: C, 66.41; H, 8.20; N, 4.56. Found: C, 66.55; H, 8.25; N, 4.59.

2-Cyclohexyl-3-(4-methoxyphenyl)-4,4-dimethyl-1,2-thiazetidine 1,1-Dioxide (1z) Yield 77%, colorless prisms (from EtOAc-hexane), mp 113-114°C; ^1H NMR ($CDCl_3$) δ: 1.09 (3 H, s, 4-Me), 1.13-1.39 (4 H, m), 1.47-1.74 (5 H, m), 1.62 (3 H, s, 4-Me), 2.10-2.13 (1 H, m), 3.15-3.17 (1 H, m, 1'-H), 3.82 (3 H, s, OMe), 4.02 (1 H, s, 3-H), 6.91 and 7.27 (each 2 H, d, J = 8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 19.1 (q), 22.1 (q), 24.4 (t), 24.8 (t), 25.4 (t), 31.0 (t), 32.0 (t), 55.2 (q), 56.9 (d), 62.0 (d), 75.3 (s), 113.9 (d), 127.3 (s), 128.2 (d), 159.7 (s); MS (EI) *m/z* (rel. int. %): 323 (14, M^+), 216 (100); IR ν_{max} (KBr) cm^{-1}: 1305, 1125 (SO_2); *Anal.* Calcd for $C_{17}H_{25}NO_3S$: C, 63.13; H, 7.79; N, 4.33. Found: C, 63.03; H, 7.98; N, 4.37.

3-(4-Bromophenyl)-2-cyclohexyl-4,4-dimethyl-1,2-thiazetidine 1,1-Dioxide (1α) Yield 81%, colorless prisms (from EtOAc-hexane), mp 109-111°C; ^1H NMR ($CDCl_3$) δ: 1.08 (3 H, s, 4-Me), 1.05-1.31 (4 H, m), 1.46-1.75 (5 H, m), 1.64 (3 H, s, 4-Me), 2.11-2.14 (1 H, m), 3.15-3.19 (1 H, m, 1'-H), 4.03 (1 H, s, 3-H), 7.26 and 7.52 (each 2 H, d, J = 8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 19.1 (q), 22.2 (q), 24.3 (t), 24.6 (t), 25.3 (t), 30.9 (t), 32.1 (t), 57.0 (d), 61.7 (d), 75.2 (s), 122.4 (s), 128.6 (d), 131.7 (d), 134.6 (s); MS

(EI) *m/z* (rel. int. %): 371 (12, M^+), 110 (100); IR ν_{max} (KBr) cm^{-1}: 1305, 1125 (SO_2); *Anal.* Calcd for $C_{16}H_{22}BrNO_2S$: C, 51.62; H, 5.96; N, 3.76. Found: C, 51.79; H, 5.92; N, 3.85.

Synthesis of 3-^{t}Butyl-2-cyclohexyl-4-methyl-1,2-thiazetidine 1,1-Dioxide 1γ

To a solution of LDA (2 mmol, prepared from 2 mmol of diisopropylamine (0.26 cm^3) and 2 mmol of ^{n}BuLi in hexane) in dry THF (10 cm^3) was added dropwise a solution of 3-^{t}butyl-2-cyclohexyl-β-sultam[31] (245 mg, 1 mmol) in THF (2 cm^3) at -78°C under nitrogen. After 30 min., MeI (0.07 cm^3, 1.1 mmol) was added dropwise to it and the whole was stirred at -78°C for 2 h. Saturated aqueous NH_4Cl (4 cm^3) was added to the reaction mixture at -78°C and the organic layer was separated. The water layer was extracted twice with EtOAc (10 cm^3). The organic layer and the extracts were combined, washed with saturated aqueous NaCl (20 cm^3), dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:20 v/v) to give 238 mg (87%) of β-sultam **1γ**. Colorless needles (from EtOAc-hexane), mp 96-97°C; ^1H NMR ($CDCl_3$) δ: 1.00 (9 H, s, ^{t}Bu), 1.07-1.25 (3 H, m), 1.48 (3 H, d, J = 6.8 Hz, 4-Me), 1.63-1.86 (5 H, m), 2.02-2.05 (1 H, m), 2.20-2.23 (1 H, m), 2.89 (1 H, d, J = 6.8 Hz, 3-H), 3.01 (1 H, tt, J = 3 and 12 Hz, 1'-H), 3.90 (1 H, tq, J = 6.8 and 6.3 Hz, 4-H); ^{13}C NMR ($CDCl_3$) δ: 13.9 (q), 25.5 (t), 25.8 (t), 26.4 (t), 26.5 (q), 28.9 (t), 31.6 (t), 34.4 (s), 58.2 (d), 61.3 (d), 65.8 (d); MS (EI) *m/z* (rel. int. %): 259 (7, M^+), 202 (100); IR ν_{max} (KBr) cm^{-1}: 1290, 1120 (SO_2); *Anal.* Calcd for $C_{13}H_{25}NO_2S$: C, 60.19; H, 9.71; N, 5.40. Found: C, 60.08; H, 9.73; N, 5.37.

Synthesis of 3-^{t}Butyl-2-cyclohexyl-4,4-dimethyl-1,2-thiazetidine 1,1-Dioxide 1δ

To a solution of LDA (24 mmol, prepared from 24 mmol of diisopropylamine (3.12 cm^3) and 24 mmol of ^{n}BuLi in hexane) in dry THF (40 cm^3) was added dropwise a solution of 3-^{t}butyl-2-cyclohexyl-β-sultam[31] (981 mg, 4 mmol) in THF (6 cm^3) at -78°C under nitrogen. After 30 min., MeI (2.3 cm^3, 32 mmol) was added dropwise to it and the whole was stirred at room temperature for 3 d. Saturated aqueous NH_4Cl (10 cm^3) was added to the reaction mixture at -78°C and the organic layer was separated. The water layer was extracted twice with EtOAc (40 cm^3). The organic layer and the extracts were combined, washed with saturated aqueous NaCl (80 cm^3), dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:20 v/v) to give 1.016 g (93%) of β-sultam **1δ**. Colorless needles (from EtOAc-hexane), mp 92-95°C; ^1H NMR ($CDCl_3$) δ: 1.07 (9 H, s, ^{t}Bu), 1.17-1.25 (2 H, m), 1.54 (3 H, s, 4-Me), 1.66 (3 H, s, 4-Me), 1.65-1.87 (6 H, m), 2.05-2.08 (1 H, m), 2.22-2.25 (1 H, m), 2.99-3.06 (1 H, m, 1'-H), 3.15 (1 H, s, 3-H); ^{13}C NMR ($CDCl_3$) δ: 19.8 (q), 24.2 (q), 25.6 (t), 25.8 (t), 26.7 (t), 27.7 (t), 28.0 (q), 32.1 (t), 35.0 (s), 58.2 (d), 65.9 (d), 74.6 (s); MS (EI) *m/z* (rel. int. %): 273 (2, M^+), 152 (100); IR ν_{max} (KBr) cm^{-1}: 1295, 1165 (SO_2); *Anal.* Calcd for $C_{14}H_{27}NO_2S$: C, 61.50; H, 9.95; N, 5.12. Found: C, 61.23; H, 9.97; N, 5.14.

Reactions of β-Sultams 1 with $EtAlCl_2$: Formation of Ketones and Aldehydes

General procedure. To a stirred solution of a β-sultam **1** (1 mmol) in dry CH_2Cl_2 (10 cm^3) was added dropwise 2 equiv of $EtAlCl_2$ in hexane under nitrogen at room temperature. The mixture was stirred at room temperature for 12 h and quenched with saturated aqueous $NaHCO_3$ (5 cm^3). The whole was vigorously stirred for 30 min, and the inorganic precipitate was filtered off through Celite. The organic layer was separated and the aqueous layer was extracted with CH_2Cl_2 (10 cm^3 x 2). The organic layer and the extracts were combined, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (EtOAc-hexane (1:10-1:5 v/v)) to give an aryl ketone **2** or an aldehyde **3**. Yields are listed in Table 2.

2-Methyl-2-phenylpropanal (3x) Colorless oil; ^1H NMR ($CDCl_3$) δ: 1.47 (6 H, s, Me x 2), 7.26-7.40 (5 H, m, ArH), 9.50 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 22.4 (q), 50.4 (s), 126.7 (d), 127.2 (d), 128.8 (d), 141.0 (s), 202.3 (d); MS (FAB) *m/z* (rel. int. %): 149 (7, M^++1), 136 (100); IR ν_{max} (NaCl) cm^{-1}: 2805, 2705, 1730 (CHO); *Anal.* Calcd for $C_{10}H_{12}O$: C, 81.05: H, 8.16. Found: C, 80.97: H, 8.19.

2-(4-Methoxyphenyl)-2-methylpropanal (3z) Colorless oil; ^1H NMR ($CDCl_3$) δ: 1.44 (6 H, s,

Me x 2), 3.08 (3 H, s, OMe), 6.91 and 7.19 (each 2 H, d, J = 8.8 Hz, ArH), 9.44 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 22.5 (q), 49.7 (s), 55.2 (q), 114.2 (d), 127.8 (d), 133.0 (s), 158.7 (s), 202.2 (d); MS (FAB) *m/z* (rel. int. %): 179 (12, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2815, 2705, 1725 (CHO); *Anal.* Calcd for $C_{11}H_{14}O_2$: C, 74.13; H, 7.92. Found: C, 73.97; H, 8.09.

2-Hydroxy-2-(4-methoxyphenyl)-2-phenylacetaldehyde (4) Light yellow oil; ^{1}H NMR ($CDCl_3$) δ: 3.81 (3 H, s, OMe), 4.33 (1 H, br s, OH), 6.92 (2 H, d, J = 8 Hz, ArH), 7.26 (2 H, d, J = 8 Hz, ArH), 7.35-7.40 (5 H, m, ArH), 9.93 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 55.3 (q), 83.1 (s), 114.3 (d), 127.4 (d), 128.4 (d), 128.8 (d), 131.4 (s), 139.4 (s), 159.7 (s), 198.0 (d), an aromatic carbon is overlapped; IR ν_{max} (NaCl) cm^{-1}: 3460 (OH), 1720 (C=O); MS (EI) *m/z* (rel. int. %): 213 (100, M^+-CHO). The compound was unstable for silica gel and could not be purified enough to exhibit satisfactory elemental analysis. Neither EI-MS nor FAB-MS showed the molecular ion peak.

Reaction of α-Hydroxyaldehyde 4 with Silica Gel

A mixture of aldehyde **4** (24 mg, 0.1 mmol) and silica gel in EtOAc (3 cm^3) was stirred at room temperature overnight. The silica gel was filtered off and the filtrate was evaporated under reduced pressure. The residue was purified by preparative TLC (EtOAc-hexane (1:10 v/v)) to give 18 mg (86%) of 4-methoxybenzophenone **2e**.

Reaction of *N*-(2-Phenylpropylidene)-^{n}butylamine 5 with $EtAlCl_2$

To a stirred solution of imine **5** (189 mg, 1 mmol) in dry CH_2Cl_2 (10 cm^3) was added dropwise 2 equiv of $EtAlCl_2$ in hexane under nitrogen at room temperature. The mixture was stirred at room temperature for 12 h and quenched with saturated aqueous $NaHCO_3$ (5 cm^3). The whole was vigorously stirred for 30 min, and the inorganic precipitate was filtered off through Celite. The organic layer was separated and the aqueous layer was extracted with CH_2Cl_2 (10 cm^3 x 2). The organic layer and the extracts were combined, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (EtOAc-hexane (1:10 v/v) to give 76 mg (63%) of acetophenone **2t**.

Synthesis of 4,4-Disubstituted β-Sultams 6

General procedure. To a solution of LDA (1.5 mmol, prepared from 1.5 mmol of diisopropylamine (0.2 cm^3) and 1.5 mmol of ^{n}BuLi in hexane) in dry THF (10 cm^3) was added dropwise a solution of a β-sultam (1 mmol) in THF (2-4 cm^3) at -78°C under nitrogen. After 30 min., an alkyl iodide (2 mmol) was added dropwise to it and the whole was stirred at room temperature for several hours. Saturated aqueous NH_4Cl (4 cm^3) was added to the reaction mixture and the organic layer was separated. The water layer was extracted twice with EtOAc (10 cm^3). The organic layer and the extracts were combined, washed with saturated aqueous NaCl (20 cm^3), dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:20 - 1:10 v/v). Yields are listed in Table 3.

***cis*-4-^{n}Butyl-2-cyclohexyl-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (6aa-*cis*)** Colorless prisms (from EtOAc-hexane), mp 130-134°C; ^{1}H NMR ($CDCl_3$) δ: 0.84 (3 H, t, J= 7.3 Hz, Me), 1.03-1.70 (12 H, m), 1.86 (1 H, br d, J= 13 Hz), 1.95 (1 H, br d, J= 12 Hz), 2.27-2.34 (1 H, m), 2.58-2.66 (1 H, m), 3.17-3.24 (1 H, m, 1'-H), 4.44 (1 H, s, 3-H), 7.04-7.24 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 13.7 (q), 22.7 (t), 24.2 (t), 24.6 (t), 25.4 (t), 27.7 (t), 30.9 (t), 31.5 (t), 40.3 (t), 55.6 (d), 64.4 (d), 88.6 (s), 127.0 (d), 127.5 (d), 128.1 (d), 128.3 (d), 128.4 (d), 128.6 (d), 133.6 (s), 136.0 (s); MS (EI) *m/z* (rel. int. %): 397 (44, M^+), 250 (100); IR ν_{max} (KBr) cm^{-1}: 1290, 1145 (SO_2); *Anal.* Calcd for $C_{24}H_{31}NO_2S$: C, 72.51: H, 7.86: N, 3.52. Found: C, 72.34: H, 7.89: N, 3.43.

***trans*-4-^{n}Butyl-2-cyclohexyl-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (6aa-*trans*)** White powder, mp 97-100°C; ^{1}H NMR ($CDCl_3$) δ: 0.12-0.22 (1 H, m), 0.53 (3 H, t, J= 5.4 Hz, Me), 0.66-1.73 (12 H, m), 1.87-1.94 (1 H, m), 2.11-2.25 (2 H, m), 3.15-3.18 (1 H, m, 1'-H), 4.85 (1 H, s, 3-H), 7.07-7.48 (8 H, m, ArH), 7.68 (2 H, d, J= 7.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 13.4 (q), 22.5 (t), 24.4 (t), 24.8 (t), 25.3 (t), 26.1 (t), 31.0 (t), 32.1 (t), 34.5 (t), 57.1 (d), 60.5 (d), 85.8 (s), 126.8 (d), 127.9 (d), 128.2 (d), 128.5 (d), 128.6 (d), 128.8 (d), 135.6 (s), 136.7 (s); MS (EI) *m/z* (rel. int. %): 397 (21, M^+), 250 (100);

IR ν_{max} (KBr) cm^{-1}: 1315, 1160 (SO_2); *Anal.* Calcd for $C_{24}H_{31}NO_2S$: C, 72.51: H, 7.86: N, 3.52. Found: C, 72.60: H, 7.88: N, 3.47.

***cis*-2-^{n}Butyl-4-methyl-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (6cb-*cis*)** Colorless prisms (from EtOAc-hexane), mp 93-95°C; ^1H NMR ($CDCl_3$) δ: 0.93 (3 H, t, *J*= 7.3 Hz, Me), 1.41-1.58 (2 H, m), 1.63-1.78 (2 H, m), 2.19 (3 H, s, 4-Me), 2.91-2.98 and 3.19-3.26 (each 1 H, m, NH_2), 4.34 (1 H, s, 3-H), 7.10-7.16 (8 H, m, ArH), 7.30-7.32 (2 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 13.7 (q), 20.4 (t), 24.3 (q), 30.5 (t), 45.7 (t), 66.7 (d), 84.7 (s), 127.6 (d), 127.6 (d), 127.8 (d), 128.2 (d), 128.3 (d), 133.3 (s), 133.9 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 329 (64, M^+), 264 (100); IR ν_{max} (KBr) cm^{-1}: 1305, 1165 (SO_2); *Anal.* Calcd for $C_{19}H_{23}NO_2S$: C, 69.27: H, 7.04: N, 4.25. Found: C, 68.99: H, 7.12: N, 4.19.

***trans*-2-^{n}Butyl-4-methyl-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (6cb-*trans*)** White powder, mp 80-83°C; ^1H NMR ($CDCl_3$) δ: 0.89 (3 H, t, *J*= 7.3 Hz, Me), 1.39-1.53 (2 H, m), 1.57 (3 H, s, 4-Me), 1.60-1.75 (2 H, m), 2.78-2.85 and 3.26-3.33 (each 1 H, m, NH_2), 4.74 (1 H, s, 3-H), 7.36-7.53 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 13.6 (q), 20.3 (t), 21.6 (q), 30.5 (t), 46.1 (t), 62.6 (d), 82.7 (s), 126.7 (d), 127.6 (d), 128.4 (d), 128.8 (d), 133.6 (s), 136.6 (s), two aromatic carbons are overlapped; MS (EI) *m/z* (rel. int. %): 329 (36, M^+), 264 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1165 (SO_2); *Anal.* Calcd for $C_{19}H_{23}NO_2S$: C, 69.27: H, 7.04: N, 4.25. Found: C, 69.00: H, 7.15: N, 4.12.

***cis*-3-(4-Chlorophenyl)-2-cyclohexyl-4-methyl-4-phenyl-1,2-thiazetidine 1,1-Dioxide (6ib-*cis*)** Colorless prisms (from $CHCl_3$-hexane), mp 94-95°C; ^1H NMR ($CDCl_3$) δ: 1.14-1.59 (6 H, m), 1.70-1.73 (2 H, m), 1.82 (1 H, br d, *J* = 12 Hz), 2.01 (1 H, br d, *J* = 12 Hz), 2.14 (3 H, s, 4-Me), 3.18-3.26 (1 H, m, 1'-H), 4.44 (1 H, s, 3-H), 7.11-7.26 (9 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.6 (t), 25.3 (t), 26.1 (q), 31.0 (t), 31.5 (t), 56.1 (d), 64.2 (d), 84.0 (s), 127.7 (d), 127.7 (d), 127.9 (d), 128.3 (d), 129.5 (d), 134.0 (s), 134.1 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 389 (29, M^+), 242 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1155 (SO_2); *Anal.* Calcd for $C_{21}H_{24}ClNO_2S$: C, 64.68: H, 6.20: N, 3.59. Found: C, 64.52: H, 6.31: N, 3.55.

***trans*-3-(4-Chlorophenyl)-2-cyclohexyl-4-methyl-4-phenyl-1,2-thiazetidine 1,1-Dioxide (6ib-*trans*)** Colorless prisms (from $CHCl_3$-hexane), mp 60-64°C; ^1H NMR ($CDCl_3$) δ: 1.07-1.30 (4 H, m), 1.53-1.76 (5 H, m), 1.53 (3 H, s, 4-Me), 2.16 (1 H, br d, *J* = 12 Hz), 3.21-3.26 (1 H, m, 1'-H), 4.85 (1 H, s, 3-H), 7.36-7.51 (9 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 21.8 (q), 24.4 (t), 24.8 (t), 25.3 (t), 31.1 (t), 32.2 (t), 57.4 (d), 60.2 (d), 81.8 (s), 126.7 (d), 128.5 (d), 128.8 (d), 128.8 (d), 129.1 (d), 133.9 (s), 134.5 (s), 136.6 (s); MS (EI) *m/z* (rel. int. %): 389 (17, M^+), 242 (100); IR ν_{max} (KBr) cm^{-1}: 1315, 1165 (SO_2); *Anal.* Calcd for $C_{21}H_{24}ClNO_2S$: C, 64.68: H, 6.20: N, 3.59. Found: C, 64.28: H, 6.28: N, 3.45.

***cis*- and *trans*-2-^{n}Butyl-4-ethyl-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (6cc)** Yellow oil as a mixture of stereoisomers; ^1H NMR ($CDCl_3$) δ: 0.32 and 0.88 (each 3 H, t, *J*= 7.3 Hz, *trans* Me x 2), 0.86 and 0.93 (each 3 H, t, *J*= 7.3 Hz, *cis* Me x 2), 1.32-1.65 (total 8 H, m, CH_2CH_2 x 2), 1.83-1.92 and 2.32-2.40 (each 1 H, m, *trans* 4-CH_2CH_3), 2.35-2.44 and 2.68-2.78 (each 1 H, m, *cis* 4-CH_2CH_3), 2.68-2.78 and 3.18-3.24 (each 1 H, m, *trans* NCH_2), 2.87-2.94 and 3.05-3.12 (each 1 H, m, *cis* NCH_2), 4.35 (1 H, s, *cis* 3-H), 4.64 (1 H, s, *trans* 3-H), 7.04-7.77 (total 20 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 8.5 (q, *trans*), 10.1 (q, *cis*), 13.4 (q, *cis*), 20.1 (t, *cis*), 20.2 (t, *trans*), 28.1 (t, *trans*), 30.1 (t, *cis*), 30.4 (t, *trans*), 33.1 (t, *cis*), 44.3 (t, *cis*), 45.7 (t, *trans*), 62.8 (d, *trans*), 66.3 (d, *cis*), 86.9 (s, *cis*), 90.1 (s, *trans*), 126.7 (d, *trans*), 127.1 (d, *cis*), 127.4 (d, *cis*), 127.9 (d, *trans*), 128.2 (d, *cis*), 128.4 (d, *cis*), 128.5 (d, *cis*), 128.8 (d, *trans*), 129.0 (d, *trans*), 132.7 (s, *cis*), 133.8 (s, *trans*), 134.4 (s, *cis*), 136.0 (s, *trans*), an alkyl carbon and three aromatic carbons are overlapped; MS (EI) *m/z* (rel. int. %): 343 (70, M^+), 278 (100); IR ν_{max} (NaCl) cm^{-1}: 1305, 1160 (SO_2); HRMS (EI) Calcd for $C_{20}H_{25}NO_2S$: 343.1606. Found: 343.1611.

Synthesis of 4,4-Disubstituted β-Sultams 7

General procedure. To a solution of LDA (3 mmol, prepared from 3 mmol of diisopropylamine (0.39 cm^3) and 3 mmol of ^{n}BuLi in hexane) in dry THF (10 cm^3) was added dropwise a solution of a β-sultam (1 mmol) in THF (2-4 cm^3) at -78°C under nitrogen. After 30 min., an alkyl iodide (3 mmol (1.5 mmol for 1,4-

diiodobutane)) was added to it and the whole was stirred at room temperature for several hours. Saturated aqueous NH_4Cl (4 cm^3) was added to the reaction mixture and the organic layer was separated. The water layer was extracted twice with EtOAc (10 cm^3). The organic layer and the extracts were combined, washed with saturated aqueous NaCl (20 cm^3), dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:20 - 1:10 v/v). Yields are listed in Table 3.

4,4-Butano-2-cyclohexyl-3-phenyl-1,2-thiazetidine 1,1-Dioxide (7rd) Colorless prisms (from EtOAc-hexane), mp 107-109°C; ^1H NMR ($CDCl_3$) δ: 1.07-1.74 (14 H, m), 1.88-1.96 (1 H, m), 2.12 (1 H, br d, J = 13 Hz), 2.22-2.28 (1 H, m), 2.74-2.81 (1 H, m), 3.16-3.22 (1 H, m, 1'-H), 4.21 (1 H, s, 3-H), 7.26-7.38 (5 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.3 (t), 24.4 (t), 24.7 (t), 25.1 (t), 25.5 (t), 30.5 (t), 31.0 (t), 32.2 (t), 33.4 (t), 57.1 (d), 60.7 (d), 86.2 (s), 127.2 (d), 128.6 (d), 128.7 (d), 136.5 (s); MS (EI) *m/z* (rel. int. %): 319 (21, M^+), 158 (100); IR ν_{max} (KBr) cm^{-1}: 1295, 1140 (SO_2); *Anal.* Calcd for $C_{18}H_{25}NO_2S$: C, 67.68: H, 7.89: N, 4.38. Found: C, 67.50: H, 7.89: N, 4.36.

2-Cyclohexyl-3-phenyl-4,4-dipropyl-1,2-thiazetidine 1,1-Dioxide (7re) Colorless prisms (from EtOAc-hexane), mp 108-110 °C; ^1H NMR ($CDCl_3$) δ: 0.68-2.15 (21 H, m), 1.02 (3 H, t, J= 7 Hz, Me), 3.14-3.19 (1 H, m, 1'-H), 4.10 (1 H, s, 3-H), 7.33-7.41 (5 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 14.2 (q), 14.4 (q), 17.3 (t), 17.7 (t), 24.4 (t), 24.8 (t), 25.4 (t), 30.7 (t), 30.9 (t), 32.1 (t), 34.8 (t), 56.5 (d), 62.2 (d), 82.0 (s), 127.7 (d), 128.4 (d), 128.5 (d), 136.0 (s); MS (EI) *m/z* (rel. int. %): 349 (8, M^+), 186 (100%); IR ν_{max} (KBr) cm^{-1}: 1300, 1125 (SO_2); *Anal.* Calcd for $C_{20}H_{31}NO_2S$: C, 68.73: H, 8.94: N, 4.01. Found: C, 68.50: H, 8.88: N, 3.98.

Synthesis of Trisubstituted Aldehydes 8 and 9 by Reactions of β-Sultams with $EtAlCl_2$

General procedure. To a stirred solution of a β-sultam **6** or **7** (0.2 mmol) in dry toluene (2 cm^3) was added dropwise 2 equiv of $EtAlCl_2$ in hexane under nitrogen at room temperature. The mixture was stirred at room temperature for 12-15 h. 2 *N* Sulfuric acid (3 cm^3) was added to the reaction mixture, and the whole was vigorously stirred for 2 h. The organic layer was separated and the aqueous layer was extracted with EtOAc (10 cm^3 x 2). The organic layer and the extracts were combined, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (EtOAc-hexane (1:10 v/v)) to give a trisubstituted aldehyde **8** or **9**. Yields are listed in Table 3.

2,2-Diphenylhexanal (8aa) Colorless oil; ^1H NMR ($CDCl_3$) δ: 0.84 (3 H, t, J = 7.3 Hz, Me), 1.03-1.08 and 1.23-1.29 (each 2 H, m, CH_2CH_2), 2.27 (2 H, t, J = 7.8 Hz, CH_2), 7.17-7.37 (10 H, m, ArH), 9.79 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 13.9 (q), 23.3 (t), 26.9 (t), 33.8 (t), 63.7 (s), 127.2 (d), 128.6 (d), 129.1 (d), 140.3 (s), 198.8 (d); MS (FAB) *m/z* (rel. int. %): 253 (81, M^++1), 223 (100); IR ν_{max} (NaCl) cm^{-1}: 2825, 2730, 1730 (CHO); HRMS (FAB) Calcd for $C_{18}H_{20}O$ + H: 253.1592. Found: 253.1600.

2-(4-Chlorophenyl)-2-phenylpropanal (8ib) Colorless oil; ^1H NMR ($CDCl_3$) δ: 1.76 (3 H, s, Me), 7.10 (2 H, d, J = 8 Hz, ArH), 7.15 (2 H, d, J = 7 Hz, ArH), 7.31-7.39 (5 H, m, ArH), 9.86 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 22.6 (q), 59.4 (s), 127.5 (d), 128.1 (d), 128.9 (d), 129.6 (d), 133.3 (s), 140.4 (s), 141.2 (s), 199.1 (d), an aromatic carbon is overlapped; MS (FAB) *m/z* (rel. int. %): 245 (3, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2825, 2720, 1725 (CHO); *Anal.* Calcd for $C_{15}H_{13}ClO$: C, 73.62; H, 5.35. Found: C, 73.49; H, 5.52.

2,2-Diphenylbutanal (8cc) Colorless oil; ^1H NMR ($CDCl_3$) δ: 0.75 (3 H, t, J = 7.3 Hz, Me), 2.34 (2 H, q, J = 7.3 Hz, CH_2), 7.18-7.38 (10 H, m, ArH), 9.81 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 9.2 (q), 26.7 (t), 64.1 (s), 127.2 (d), 128.6 (d), 129.2 (d), 140.0 (s), 198.8 (d); MS (FAB) *m/z* (rel. int. %): 225 (34, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2820, 2720, 1725 (CHO); *Anal.* Calcd for $C_{16}H_{16}O$: C, 85.68; H, 7.19. Found: C, 85.50; H, 7.38.

1-Phenylcyclopenatanecarbaldehyde (9rd) Colorless oil; ^1H NMR ($CDCl_3$) δ: 1.62-1.79 (4 H, m), 1.85-1.92 (2 H, m), 2.50-2.55 (2 H, m), 7.25-7.37 (5 H, m, ArH), 9.40 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 32.3 (t), 63.7 (s), 127.1 (d), 127.6 (d), 128.7 (d), 140.3 (s), 200.7 (d); MS (EI) *m/z* (rel. int. %): 174 (5, M^+), 145 (100); IR ν_{max} (NaCl) cm^{-1}: 2805, 2715, 1725 (CHO); *Anal.* Calcd for $C_{12}H_{14}O$: C, 82.72; H, 8.10. Found: C, 82.30; H, 8.16.

2-Phenyl-2-propylpentanal (9re) Colorless oil; ^{1}H NMR ($CDCl_3$) δ: 0.91 (6 H, t, *J* = 7.3 Hz, Me x 2), 1.12 (4 H, sextet, *J*= 7.3 Hz, CH_2 x 2), 1.87-1.92 (4 H, m, CH_2 x 2), 7.21-7.39 (5 H, m, ArH), 9.48 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 14.7 (q), 17.1 (t), 34.5 (t), 57.6 (s), 127.1 (d), 127.5 (d), 128.7 (d), 139.5 (s), 203.1 (d); MS (FAB) *m/z* (rel. int. %): 205 (14, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2815, 2720, 1730 (CHO); HRMS (FAB) Calcd for $C_{14}H_{20}O$ + H: 205.1592. Found: 205.1606.

Ring Transformation of β-Sultams with Aluminum Lewis Acid

General procedure. To a stirred solution of a β-sultam **10** (0.1 mmol) in dry CH_2Cl_2 (1 cm^3) was added $EtAlCl_2$ or $AlCl_3$ at 0°C or room temperature under nitrogen. The mixture was stirred at appropriate temperature for appropriate time. The reaction was quenched by addition of saturated aqueous $NaHCO_3$ (5 cm^3). The inorganic precipitate was filtered off through Celite and washed well with EtOAc or $CHCl_3$. The organic layer was separated, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (hexane-EtOAc (2:1 - 1:1 v/v)). Reaction conditions and yields are summarized in Table 4.

(2*S,4*R**,5*R**)-3-Cyclohexyl-5-phenyl-4-(3-pyridyl)-1,2,3-oxathiazolidine 2-Oxide (11aA)** Colorless prisms (from CH_2Cl_2-hexane), mp 174-181°C (dec.); ^{1}H NMR ($CDCl_3$) δ: 1.10-1.23 (4 H, m), 1.53-1.81 (4 H, m), 2.01-2.04 (2 H, m), 2.94-3.01 (1 H, m, 1'-H), 4.47 (1 H, d, *J* = 9.3 Hz, 4-H), 5.76 (1 H, d, *J* = 9.3 Hz, 5-H), 7.18-7.20 (2 H, m, ArH), 7.34-7.37 (4 H, m, ArH), 7.95-7.98 (1 H, m, ArH), 8.31 (1 H, d, *J* = 2 Hz, ArH), 8.59 (1 H, dd, *J* = 2 and 5 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 25.3 (t), 25.4 (t), 25.7 (t), 32.1 (t), 33.3 (t), 56.6 (d), 69.9 (d), 89.5 (d), 124.1 (d), 126.8 (d), 128.9 (d), 129.5 (d), 132.6 (s), 134.2 (s), 135.7 (d), 149.5 (d), 150.1 (d); MS (FAB) *m/z* (rel. int. %): 343 (14, M^++1), 154 (100); IR ν_{max} (KBr) cm^{-1}: 1165 (SO); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.48; H, 6.48; N, 8.14.

(2*R,4*R**,5*R**)-3-Cyclohexyl-5-phenyl-4-(3-pyridyl)-1,2,3-oxathiazolidine 2-Oxide (11aB)** Pale yellow solid (from Et_2O-hexane), mp 111-119°C (dec.); ^{1}H NMR ($CDCl_3$) δ: 0.83-1.28 (4 H, m), 1.40-1.88 (5 H, m), 2.08-2.11 (1 H, m), 2.76-2.83 (1 H, m, 1'-H), 4.81 (1 H, d, *J* = 9.3 Hz, 4-H), 5.21 (1 H, d, *J* = 9.3 Hz, 5-H), 7.22-7.38 (6 H, m, ArH), 7.61 (1 H, d, *J* = 7.8 Hz, ArH), 8.42 (1 H, d, *J* = 2 Hz, ArH), 8.64 (1 H, dd, *J* = 2 and 5 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 25.4 (t), 25.5 (t), 26.3 (t), 30.1 (t), 32.2 (t), 55.9 (d), 65.0 (d), 94.9 (d), 124.0 (d), 127.3 (d), 128.8 (d), 129.0 (d), 130.9 (s), 135.4 (s), 135.9 (d), 150.1 (d), 150.4 (d); MS (FAB) *m/z* (rel. int. %): 343 (18, M^++1), 154 (100); IR ν_{max} (KBr) cm^{-1}: 1150 (SO); HRMS (FAB) Calcd for $C_{19}H_{22}N_2O_2S$ + H: 343.1480. Found: 343.1476.

1-Cyclohexyl-2-phenyl-3-(3-pyridyl)aziridine (12a) Light brown oil ; ^{1}H NMR ($CDCl_3$) δ: 1.26-1.87 (10 H, m), 1.69-1.74 (1 H, m, 1'-H), 2.86 and 2.97 (each 1 H, d, *J* = 6.3 Hz, 2- and 3-H), 6.99 (1 H, dd, *J* = 4.8 and 7.8 Hz, ArH), 7.05-7.17 (5 H, m, ArH), 7.36 (1 H, d, *J* = 7.8 Hz, ArH), 8.29 (1 H, br d, *J* = 3.4 Hz, ArH), 8.46 (1 H, br s, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.3 (t), 26.2 (t), 32.2 (t), 32.3 (t), 45.3 (d), 48.1 (d), 68.5 (d), 122.5 (d), 126.7 (d), 127.8 (d), 127.9 (d), 133.1 (s), 135.2 (d), 136.4 (s), 147.7 (d), 149.7 (d), an alkyl carbon is overlapped; MS (EI) *m/z* (rel. int. %): 278 (22, M^+), 195 (100); IR ν_{max} (NaCl) cm^{-1}: 2940, 2855, 1450, 1365, 1025, 715, 700; HRMS (EI) Calcd for $C_{19}H_{22}N_2$: 278.1783. Found: 278.1785.

(2*S,4*R**,5*R**)- and (2*R**,4*R**,5*R**)-3-Cyclohexyl-5-phenyl-4-(4-pyridyl)-1,2,3-oxathiazolidine 2-Oxide (11b)** White solid as a mixture of stereoisomers (from Et_2O-hexane); ^{1}H NMR ($CDCl_3$) δ: major isomer: 1.11-1.33 (4 H, m), 1.52-1.83 (4 H, m), 1.93-2.03 (2 H, m), 2.97-3.05 (1 H, m, 1'-H), 4.42 (1 H, d, *J* = 9.3 Hz, 4-H), 5.72 (1 H, d, *J* = 9.3 Hz, 5-H), 7.18-7.43 (7 H, m, ArH), 8.59 (2 H, d, *J* = 5 Hz, ArH); minor isomer: 4.37 (1 H, d, *J* = 7 Hz, 4-H), 5.01 (1 H, d, *J* = 7 Hz, 5-H), other peaks are overlapped; ^{13}C NMR ($CDCl_3$) δ: major isomer: 25.3 (t), 25.4 (t), 25.7 (t), 32.2 (t), 33.3 (t), 56.9 (d), 71.4 (d), 89.5 (d), 122.8 (d), 127.0 (d), 128.9 (d), 129.5 (d), 134.3 (s), 146.6 (s), 150.3 (d); MS (EI) *m/z* (rel. int. %): 342 (17, M^+), 195 (100); IR ν_{max} (KBr) cm^{-1}: 1160 (SO); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.59; H, 6.43; N, 8.05.

1-Cyclohexyl-2-phenyl-3-(4-pyridyl)aziridine (12b) Yellow oil ; ^{1}H NMR ($CDCl_3$) δ: 1.25-1.39 (3 H, m), 1.51-1.73 (5 H, m), 1.83-1.89 (3 H, m), 2.82 and 3.01 (each 1 H, d, *J* = 6.8 Hz, 2- and 3-H), 7.06-7.26 (7 H, m, ArH), 8.30 (2 H, d, *J* = 6 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.3 (t), 26.2 (t), 32.2 (t),

32.3 (t), 46.9 (d), 48.7 (d), 68.4 (d), 123.0 (d), 126.8 (d), 127.8 (d), 127.9 (d), 136.1 (s), 146.8 (s), 148.8 (d), an alkyl carbon is overlapped; MS (EI) *m/z* (rel. int. %): 278 (10, M^+), 61 (100); IR ν_{max} (NaCl) cm^{-1}: 2940, 2855, 1605, 700; HRMS (EI) Calcd for $C_{19}H_{22}N_2$: 278.1783. Found: 278.1779.

(2*S,4*R**,5*R**)- and (2*R**,4*R**,5*R**)-3-Cyclohexyl-5-phenyl-4-(2-pyridyl)-1,2,3-oxathiazolidine 2-Oxide (11c)** Yellow solid as a mixture of stereoisomers (from Et_2O-hexane); ^1H NMR ($CDCl_3$) δ: major isomer: 1.08-1.26 (4 H, m), 1.51-1.79 (4 H, m), 1.98 (2 H, m), 3.07-3.12 (1 H, m, 1'-H), 4.73 (1 H, d, *J* = 8 Hz, 4-H), 5.99 (1 H, d, *J* = 8 Hz, 5-H), 7.22-7.36 (6 H, m, ArH), 7.78 (1 H, br t, *J* = 7.8 Hz, ArH), 7.96 (1 H, d, *J* = 7.8 Hz, ArH), 8.48 (1 H, d, *J* = 5 Hz, ArH); minor isomer: 4.78 (1 H, d, *J* = 9 Hz, 4-H), 5.62 (1 H, d, *J* = 9 Hz, 5-H), other peaks are overlapped; ^{13}C NMR ($CDCl_3$) δ: major isomer: 25.4 (t), 25.6 (t), 32.4 (t), 33.1 (t), 56.9 (d), 73.1 (d), 89.7 (d), 122.4 (d), 123.0 (d), 126.7 (d), 128.7 (d), 129.1 (d), 135.5(s), 137.3 (d), 149.1 (s), 158.5 (d), an alkyl carbon is overlapped; MS (EI) *m/z* (rel. int. %): 342 (1, M^+), 182 (100); IR ν_{max} (KBr) cm^{-1}: 1165 (SO); *Anal.* Calcd for $C_{19}H_{22}N_2O_2S$: C, 66.64; H, 6.48; N, 8.18. Found: C, 66.52; H, 6.52; N, 8.05.

1-Cyclohexyl-2-phenyl-3-(2-pyridyl)aziridine (12c) Pale yellow solid (from Et_2O-hexane), mp 54-57°C; ^1H NMR ($CDCl_3$) δ: 1.26-1.89 (11 H, m), 3.05 and 3.09 (each 1 H, d, *J* = 6.8 Hz, 2- and 3-H), 6.94-7.38 (8 H, m, ArH), 8.37 (1 H, d, *J* = 5 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.3 (t), 24.3 (t), 26.3 (t), 32.2 (t), 32.4 (t), 48.4 (d), 49.1 (d), 68.3 (d), 121.4 (d), 121.9 (d), 126.5 (d), 127.6 (d), 128.1 (d), 135.4 (d), 136.7 (s), 148.5 (d), 157.5 (s); MS (EI) *m/z* (rel. int. %): 278 (27, M^+), 195 (100); IR ν_{max} (KBr) cm^{-1}: 2945, 2860, 1590, 1450, 1440, 1365, 1325, 700; *Anal.* Calcd for $C_{19}H_{22}N_2$: C, 81.97; H, 7.97; N, 10.06. Found: C, 81.58; H, 7.93; N, 9.78.

(2*S,4*R**,5*R**)- and (2*R**,4*R**,5*R**)-3-Cyclohexyl-4-(4-nitorophenyl)-5-phenyl-1,2,3-oxathiazolidine 2-Oxide (11d)** Pale yellow solid as a mixture of stereoisomers (from Et_2O-hexane); ^1H NMR ($CDCl_3$) δ: major isomer: 1.14-1.28 (4 H, m), 1.52-1.83 (4 H, m), 2.01 (2 H, br d, *J* = 12 Hz), 2.98-3.05 (1 H, m, 1'-H), 4.54 (1 H, d, *J* = 9.3 Hz, 4-H), 5.74 (1 H, d, *J* = 9.3 Hz, 5-H), 7.18 (2 H, d, *J* = 8 Hz, ArH), 7.26-7.42 (3 H, m, ArH), 7.52 (2 H, d, *J* = 8 Hz, ArH), 8.19 (2 H, d, *J* = 8 Hz, ArH); minor isomer: 4.88 (1 H, d, *J* = 9.3 Hz, 4-H), 5.19 (1 H, d, *J* = 9.3 Hz, 5-H), other peaks are overlapped; ^{13}C NMR ($CDCl_3$) δ: major isomer: 25.3 (t), 25.3 (t), 25.7 (t), 32.2 (t), 33.3 (t), 57.0 (d), 71.9 (d), 89.6 (d), 124.1 (d), 126.9 (d), 128.9 (d), 129.6 (d), 134.1 (s), 144.7 (s), 148.1 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 386 (23, M^+), 239 (100); IR ν_{max} (KBr) cm^{-1}: 1520, 1345 (NO_2), 1160 (SO); HRMS (EI) Calcd for $C_{20}H_{22}N_2O_4S$: 386.1300. Found: 386.1304.

2-(4-Cyanophenyl)-1-cyclohexyl-3-phenylaziridine (12e) Light yellow oil; ^1H NMR ($CDCl_3$) δ: 1.26-1.63 (7 H, m), 1.69-1.74 (1 H, m, 1'-H), 1.83-1.87 (3 H, m), 2.89 and 3.00 (each 1 H, d, *J* = 6.8 Hz, 2- and 3-H), 7.06-7.14 (5 H, m, ArH), 7.25 and 7.38 (each 2 H, d, *J* = 8.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.3 (t), 26.2 (t), 32.2 (t), 32.3 (t), 47.4 (d), 48.8 (d), 68.4 (d), 110.0 (s), 119.1 (s), 126.8 (d), 127.8 (d), 127.9 (d), 128.5 (d), 131.4 (d), 136.2 (s), 143.3 (s), an alkyl carbon is overlapped; MS (EI) *m/z* (rel. int. %): 302 (19, M^+), 219 (100); IR ν_{max} (NaCl) cm^{-1}: 2235 (CN); HRMS (EI) Calcd for $C_{21}H_{22}N_2$: 302.1783. Found: 302.1795.

(2*S,4*R**,5*R**)-4-^{t}Butyl-3-cyclohexyl-5-phenyl-1,2,3-oxathiazolidine 2-Oxide (11fA)** Colorless needles (from EtOAc-hexane), mp 109-111°C; ^1H NMR ($CDCl_3$) δ: 1.06 (9 H, s, ^{t}Bu), 1.06-1.38 (4 H, m), 1.57-1.85 (5 H, m), 2.22-2.26 (1 H, m), 2.81-2.88 (1 H, m, 1'-H), 3.33 (1 H, d, *J* = 5.9 Hz, 4-H), 5.81 (1 H, d, *J* = 5.9 Hz, 5-H), 7.31-7.39 (5 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 25.4 (t), 26.1 (t), 26.9 (t), 27.4 (q), 32.0 (t), 34.6 (s), 34.9 (t), 62.0 (d), 79.4 (d), 86.1(d), 126.8 (d), 128.5 (d), 128.6 (d), 139.4 (s); MS (FAB) *m/z* (rel. int. %): 322 (56, M^++1), 154 (100); IR ν_{max} (KBr) cm^{-1}: 1160 (SO); *Anal.* Calcd for $C_{18}H_{27}NO_2S$: C, 67.25; H, 8.47; N, 4.36. Found: C, 67.10; H, 8.44; N, 4.40.

Reaction of Oxathiazolidine 2-Oxide 11aA with $EtAlCl_2$

General procedure. To a stirred solution of **11aA** (41 mg, 0.12 mmol) in dry CH_2Cl_2 (2 cm^3) was added 2 equiv of $EtAlCl_2$ in hexane at room temperature under nitrogen. The mixture was stirred at room temperature for 12 h. The reaction was quenched by addition of saturated aqueous $NaHCO_3$ (5 cm^3). The inorganic

precipitate was filtered off through Celite and washed well with $CHCl_3$. The organic layer was separated, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (hexane-EtOAc (1:1 v/v)) to give 17 mg (50%) of **12a**, 6 mg (15%) of **11aA** and 4 mg (10%) of **11aB**.

Hydrolysis of Oxathiazolidine 2-Oxide 11aA with Hydrochloric Acid

A solution of **11aA** (52 mg, 0.15 mmol) in 1 *N* HCl (1 cm^3) and THF (6 cm^3) was stirred at room temperature for 12 h. 0.1 *N* NaOH (15 cm^3) was added to the reaction mixture at 0°C, and the whole was extracted with Et_2O (10 cm^3 x 3). The extract was washed with saturated aqueous NaCl, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (EtOAc) to give 38 mg (84%) of (*1R*,2R**)-**14** from the first fraction and 3 mg (7%) of (*1S*,2R**)-**14** from the second fraction.

(*1R*,2R**)-2-(Cyclohexylamino)-1-phenyl-2-(3-pyridyl)ethanol ((*1R*,2R**)-**14**) Light yellow gum; ^1H NMR ($CDCl_3$) δ: 1.07-1.23 (5 H, m), 1.55-1.69 (4 H, m), 1.95-1.97 (1 H, m), 2.29-2.34 (1 H, m, 1'-H), 2.88 (2 H, br s, NH and OH), 3.77 (1 H, d, *J* = 8.8 Hz, 2-H), 4.46 (1 H, d, *J* = 8.8 Hz, 1-H), 7.04-7.24 (6 H, m, ArH), 7.35 (1 H, dd, *J* = 2 and 7.8 Hz, ArH), 8.17 (1 H, dd, *J* = 2 Hz, ArH), 8.41 (1 H, dd, *J* = 1.5 and 4.9 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.5 (t), 24.9 (t), 25.9 (t), 32.7 (t), 34.7 (t), 53.7 (d), 64.9 (d), 77.5 (d), 123.2 (d), 126.8 (d), 127.7 (d), 128.0 (d), 135.2 (d), 136.2 (s), 140.6 (s), 148.5 (d), 149.3 (d); MS (FAB) *m/z* (rel. int. %): 297 (59, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 3200 (NH and OH); HRMS (FAB) Calcd for $C_{19}H_{24}N_2O$ + H: 297.1967. Found 297.1971.

(*1S*,2R**)-2-(Cyclohexylamino)-1-phenyl-2-(3-pyridyl)ethanol ((*1S*,2R**)-**14**) Pale yellow solid (from Et_2O-hexane), mp 96-99°C; ^1H NMR ($CDCl_3$) δ: 0.86-1.25 (5 H, m), 1.55 (1 H, m), 1.65 (2 H, m), 1.76 (1 H, br d, *J* =13 Hz), 1.91 (1 H, br d, *J* =12 Hz), *ca.* 1.5-2.0 (2 H, br s, NH and OH), 2.31-2.36 (1 H, m, 1'-H), 4.12 (1 H, d, *J* = 4.9 Hz, 2-H), 4.86 (1 H, d, *J* = 4.9 Hz, 1-H), 7.01-7.03 (2 H, m, ArH), 7.14-7.21 (4 H, m, ArH), 7.39 (1 H, d, *J* = 7.8 Hz, ArH), 8.23 (1 H, s, ArH), 8.45 (1 H, d, *J* = 4.9 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.7 (t), 25.0 (t), 25.9 (t), 33.1 (t), 34.4 (t), 53.3 (d), 62.9 (d), 75.9 (d), 122.9 (d), 126.4 (d), 127.7 (d), 128.0 (d), 135.1 (s), 135.6 (d), 140.1 (s), 148.7 (d), 149.9 (d); MS (FAB) *m/z* (rel. int. %): 297 (38, M^++1), 154 (100); IR ν_{max} (KBr) cm^{-1}: 3300 (NH), 3100 (OH); HRMS (FAB) Calcd for $C_{19}H_{24}N_2O$ + H: 297.1967. Found 297.1976.

Reactions of Some β-Sultams 1 with $SnCl_4$

General procedure. To a stirred solution of a β-sultam **1** (0.1 mmol) in dry CH_2Cl_2 (1 cm^3) was added dropwise $SnCl_4$ (0.05 cm^3, 0.2 mmol) in hexane at room temperature under nitrogen. The reaction mixture was stirred at room temperature for 12 h and saturated aqueous $NaHCO_3$ (5 cm^3) was added to it. The inorganic precipitate was filtered off through Celite and washed with CH_2Cl_2. The organic layer was separated, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (hexane-EtOAc (10:1 v/v)). Yields are listed in Table 5.

1-Cyclohexyl-2,3-diphenylaziridine (13a) White solid (from hexane), mp 36-37°C; ^1H NMR ($CDCl_3$) δ: 1.27-1.87 (10 H, m), 1.65-1.70 (1 H, m, 1'-H), 2.88 (2 H, s, 2- and 3-H), 7.03-7.17 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.4 (t), 26.3 (t), 32.3 (t), 48.1 (d), 68.8 (d), 126.3 (d), 127.5 (d), 128.0 (d), 137.3 (s); MS (EI) *m/z* (rel. int. %): 277 (23, M^+), 194 (100); IR ν_{max} (KBr) cm^{-1}: 2235 (CN); *Anal.* Calcd for $C_{20}H_{23}N$: C, 86.59; H, 8.36; N, 5.05. Found: C, 86.44; H, 8.42; N, 5.08.

2-(4-Bromophenyl)-1-cyclohexyl-3-phenylaziridine (13k) Light yellow oil; ^1H NMR ($CDCl_3$) δ: 1.26-1.85 (10 H, m), 1.65-1.69 (1 H, m, 1'-H), 2.80 and 2.89 (each 1 H, d, *J* = 6 Hz, 2- and 3-H), 7.01-7.23 (9 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.3 (t), 26.2 (t), 32.3 (t), 47.3 (d), 48.2 (d), 68.6 (d), 120.2 (s), 126.5 (d), 127.7 (d), 128.9 (d), 130.0 (d), 130.6 (d), 136.5 (s), 136.8 (s); MS (EI) *m/z* (rel. int. %): 355 (22, M^+), 272 (100); IR ν_{max} (NaCl) cm^{-1}: 2950, 2870, 1495, 1455, 1370, 1015, 815, 700; *Anal.* Calcd for $C_{20}H_{22}BrN$: C, 67.42; H, 6.22; N, 3.93. Found: C, 67.26; H, 6.26; N, 3.85.

Synthesis of 4-Alkenyl-β-sultams 15 and 15'

General procedure. To a solution of LDA (1.5 mmol, prepared from 1.5 mmol of diisopropylamine (0.2 cm^3) and 1.5 mmol of ^{n}BuLi in hexane) in dry THF (10 cm^3) was added dropwise a solution of a β-sultam (1

mmol) in THF (2-4 cm^3) at -78°C under nitrogen. After 30 min., an alkyl iodide (2 mmol) was added dropwise to it and the whole was stirred at room temperature for several hours. Saturated aqueous NH_4Cl (4 cm^3) was added to the reaction mixture and the organic layer was separated. The water layer was extracted twice with EtOAc (10 cm^3). The organic layer and the extracts were combined, washed with saturated aqueous NaCl (20 cm^3), dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:20 - 1:10 v/v). Yields are listed in Table 6.

***cis*- and *trans*-2-^{n}Butyl-3,4-diphenyl-4-(2-propenyl)-1,2-thiazetidine 1,1-Dioxide (15ca, 15ca')** Yellow oil as a mixture of stereoisomers; ^{1}H NMR ($CDCl_3$) δ: 0.87 (3 H, t, *J*= 7.3 Hz, *cis* Me), 0.89 (3 H, t, *J*= 7.3 Hz, *trans* Me), 1.26-1.69 (total 8 H, m, CH_2CH_2), 2.62 (1 H, dd, *J* = 7.8 and 14.6 Hz, *trans*), 2.71-2.77 (1 H, m, *trans*), 2.91-2.98 and 3.02-3.09 (each 1H, m, *cis* NCH_2), 2.91-3.25 (2 H, m, *trans*), 3.15 (1 H, dd, *J* =7.8 and 14, *cis* CH_2–CH=), 3.32 (1 H, dd, *J* =6.4 and 14, *cis* CH_2–CH=), 4.43 (1 H, s, *cis* 3-H), 4.42-4.47 (1 H, *trans* CH=CH_2), 4.60 (1 H, d, *J* = 10 Hz, *trans* CH=CH_2), 4.69 (1 H, s, *trans* 3-H), 4.89-4.93 (1 H, m, *trans* C*H*=CH_2), 5.13 (1 H, d, *J* = 10 Hz, *cis* CH=CH_2), 5.19 (1 H, dd, *J* = 1.5 and 17 Hz, *cis* CH=CH_2), 5.59-5.69 (1 H, m, *cis* C*H*=CH_2), 6.99-7.66 (total 20 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: *cis* isomer: 13.5 (q), 20.2 (t), 30.2 (t), 44.0 (t), 44.3 (t), 65.1 (d), 88.0 (s), 120.2 (t), 127.3 (d), 127.5 (d), 128.3 (d), 128.6 (d), 128.7 (d), 128.8 (d), 131.7 (d), 132.9 (s), 134.2 (s); MS (EI) *m/z* (rel. int. %): 355 (100, M^+); IR ν_{max} (KBr) cm^{-1}: 1300, 1155 (SO_2); *Anal.* Calcd for $C_{21}H_{25}NO_2S$: C, 70.95: H, 7.09: N, 3.94. Found: C, 70.77: H, 7.16: N, 3.83.

***cis*-4-(3-Butenyl)-2-cyclohexyl-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15ab)** Colorless needles (from acetone-hexane), mp 131-133°C; ^{1}H NMR ($CDCl_3$) δ:1.10-1.97 (11 H, m), 2.05-2.22 (1 H, m), 2.33-2.44 (1 H, m), 2.70-2.81 (1 H, m), 3.15-3.26 (1 H, m, 1'-H), 4.46 (1 H, s, 3-H), 4.96 (1 H, d, *J* = 11 Hz, CH=CH_2), 4.97 (1 H, d, *J* = 17 Hz, CH=CH_2), 5.68-5.83 (1 H, m, C*H*=CH_2), 7.05-7.26 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.5 (t), 25.4 (t), 29.7 (t), 30.9 (t), 31.4 (t), 39.7 (t), 55.6 (d), 64.3 (d), 88.1 (s), 115.6 (t), 127.1 (d), 127.5 (d), 128.2 (d), 128.3 (d), 128.5 (d), 128.6 (d), 133.3 (s), 135.8 (s), 136.7 (d); MS (EI) *m/z* (rel. int. %): 395 (29, M^+), 272 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1145 (SO_2); *Anal.* Calcd for $C_{24}H_{29}NO_2S$: C, 72.87: H, 7.39:N, 3.54. Found: C, 72.84: H, 7.44: N, 3.54.

***trans*-4-(3-Butenyl)-2-cyclohexyl-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15ab')** Light yellow oil; ^{1}H NMR ($CDCl_3$) δ: 0.86-1.73 (11 H, m), 1.90-2.02 (1 H, m), 2.12 (1 H, br d, *J* = 12 Hz), 2.26-2.37 (1 H, m), 3.17-3.22 (1 H, m, 1'-H), 4.55 (1 H, dd, *J* = 1.5 and 17 Hz, CH=CH_2), 4.70 (1 H, d, *J* = 10 Hz, CH=CH_2), 4.85 (1 H, s, 3-H), 5.35 (1 H, ddt, *J* = 10, 17 and 7 Hz, C*H*=CH_2), 7.32-7.50 (8 H, m, ArH), 7.67 (2 H, d, *J* = 6.4 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.5 (t), 24.9 (t), 25.4 (t), 28.5 (t), 31.0 (t), 32.1 (t), 34.3 (t), 57.2 (d), 60.5 (d), 85.3 (s), 114.9 (t), 126.8 (d), 128.1 (d), 128.2 (d), 128.7 (d), 128.8 (d), 128.9 (d), 135.4 (s), 136.3 (s), 136.8 (d); MS (EI) *m/z* (rel. int. %): 395 (13, M^+), 248 (100); IR ν_{max} (NaCl) cm^{-1}: 1310, 1160 (SO_2); *Anal.* Calcd for $C_{24}H_{29}NO_2S$: C, 72.87: H, 7.39:N, 3.54. Found: C, 73.06: H, 7.40:, N, 3.51.

***cis*-2-Cyclohexyl-4-(4-pentenyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15ac)** Colorless prisms (from EtOAc-hexane), mp 152-154 °C; ^{1}H NMR ($CDCl_3$) δ: 1.11-1.69 (10 H, m), 1.82-2.08 (4 H, m), 2.26-2.40 (1 H, m), 2.59-2.66 (1 H, m), 3.17-3.24 (1 H, m, 1'-H), 4.44 (1 H, s, 3-H), 4.93 (1 H, d, *J* = 10 Hz, CH=CH_2), 4.96 (1 H, d, *J* = 17 Hz, CH=CH_2), 5.58 (1 H, ddt, *J* = 10, 17 and 7 Hz, C*H*=CH_2), 7.04-7.19 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.6 (t), 24.7 (t), 25.4 (t), 30.9 (t), 31.5 (t), 33.4 (t), 39.9 (t), 55.6 (d), 64.4 (d), 88.5 (s), 115.2 (t), 127.1 (d), 127.5 (d), 128.2 (d), 128.3 (d), 128.4 (d), 128.6 (d), 135.5 (s), 135.9 (s), 137.7 (d); MS (EI) *m/z* (rel. int. %): 409 (1, M^+), 158 (100); IR ν_{max} (KBr) cm^{-1}: 1290, 1140 (SO_2); *Anal.* Calcd for $C_{25}H_{31}NO_2S$: C, 73.31: H, 7.63: N, 3.42. Found: C, 73.21: H, 7.71: N, 3.62.

***trans*-2-Cyclohexyl-4-(4-pentenyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15ac')** Colorless oil; ^{1}H NMR ($CDCl_3$) δ: 0.24-0.29 (1 H, m), 0.76-0.82 (1 H, m), 1.08-1.72 (11 H, m), 1.87-1.94 (1 H, m), 2.11 (1 H, br d, *J* = 13 Hz), 2.21 (1 H, dt, *J* = 12.7 and 4.4 Hz), 3.16-3.21 (1 H, m, 1'-H), 4.69-4.76 (2 H, m, CH=CH_2), 4.84 (1 H, s, 3-H), 5.32 (1 H, ddt, *J* = 10, 17 and 7 Hz, C*H*=CH_2), 7.14-7.47 (8 H, m, ArH), 7.66 (2 H, d, *J* = 7 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 23.4 (t), 24.4 (t), 24.8 (t), 25.3 (t), 31.0 (t),

32.1 (t), 33.4 (t), 34.3 (t), 57.1 (d), 60.4 (d), 85.7 (s), 114.8 (t), 126.8 (d), 128.0 (d), 128.2 (d), 128.3 (d), 128.6 (d), 128.8 (d), 135.5 (s), 136.5 (s), 137.6 (d); MS (EI) *m/z* (rel. int. %): 409 (1, M^+), 158 (100); IR ν_{max} (NaCl) cm^{-1}: 1315, 1160 (SO_2); *Anal.* Calcd for $C_{25}H_{31}NO_2S$: C, 73.31: H, 7.63: N, 3.42. Found: C, 73.10: H, 7.66: N, 3.34.

***cis*-2-Cyclohexyl-4-(5-hexenyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15ad)** Colorless prisms (from EtOAc-hexane), mp 87-88 °C; ^1H NMR ($CDCl_3$) δ: 1.08-1.68 (12 H, m), 1.84 (1 H, br d, *J* = 12 Hz), 1.87-2.03 (3 H, m), 2.28-2.35 (1 H, m), 2.58-2.66 (1 H, m), 3.17-3.24 (1 H, m, 1'-H), 4.44 (1 H, s, 3-H), 4.89 (1 H, d, *J* = 10 Hz, CH=C*H*$_2$), 4.93 (1 H, d, *J* = 17 Hz, CH=C*H*$_2$), 5.71 (1 H, ddt, *J* = 10, 17 and 7 Hz, C*H*=CH_2), 7.03-7.20 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.3 (t), 24.8 (t), 25.0 (t), 25.4 (t), 28.7 (t), 30.9 (t), 31.5 (t), 33.2 (t), 40.3 (t), 55.6 (d), 64.4 (d), 88.5 (s), 114.6 (t), 127.1 (d), 127.5 (d), 128.2 (d), 128.3 (d), 128.5 (d), 128.7 (d), 133.6 (s), 135.9 (s), 138.4 (d); MS (EI) *m/z* (rel. int. %): 423 (1, M^+), 276 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1155 (SO_2); *Anal.* Calcd for $C_{26}H_{33}NO_2S$: C, 73.72: H, 7.85: N, 3.31. Found: C, 73.48: H, 7.80: N, 3.28.

***trans*-2-Cyclohexyl-4-(5-hexenyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15ad')** Colorless oil; ^1H NMR ($CDCl_3$) δ: 0.16-0.26 (1 H, m), 0.67-0.77 (1 H, m), 0.82-0.92 (1 H, m), 1.00-1.28 (5 H, m), 1.47-1.73 (7 H, m), 1.85-1.93 (1 H, m), 2.11 (1 H, br d, *J* = 13 Hz), 2.17-2.25 (1 H, m), 3.14-3.19 (1H, m, 1'-H), 4.73-4.48 (2 H, m, CH=C*H*$_2$), 4.84 (1 H, s, 3-H), 5.43-5.53 (1 H, m, C*H*=CH_2), 7.32-7.47 (8 H, m, ArH), 7.66 (2 H, d, *J*= 7.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 23.4 (t), 24.5 (t), 24.9 (t), 25.4 (t), 28.7 (t), 31.0 (t), 32.1 (t), 33.0 (t), 34.7 (t), 57.1 (d), 60.5 (d), 85.7 (s), 114.2 (t), 126.8 (d), 128.0 (d), 128.2 (d), 128.6 (d), 128.7 (d), 128.8 (d), 135.6 (s), 136.6 (s), 138.4 (d); MS (EI) *m/z* (rel. int. %): 423 (2, M^+), 276 (100); IR ν_{max} (NaCl) cm^{-1}: 1320, 1160 (SO_2); *Anal.* Calcd for $C_{26}H_{33}NO_2S$: C, 73.72: H, 7.85: N, 3.31. Found: C, 73.51: H, 7.89: N, 3.27.

***cis*-2-Cyclohexyl-4-(3-methyl-3-butenyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15ae)** Colorless prisms (from EtOAc-hexane), mp 140-143°C; ^1H NMR ($CDCl_3$) δ: 1.11-1.78 (9 H, m), 1.69 (3 H, s, Me), 1.84 (1 H, br d, *J* = 13 Hz), 1.96 (1 H, br d, *J* = 13 Hz), 2.06-2.14 (1 H, m), 2.39-2.46 (1 H, m), 2.76-2.84 (1 H, m), 3.18-3.25 (1 H, m, 1'-H), 4.46 (1 H, s, 3-H), 4.66 and 4.71 (each 1 H, s, olefinic H), 7.03-7.20 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 22.5 (q), 24.2 (t), 24.6 (t), 25.4 (t), 30.9 (t), 31.4 (t), 33.5 (t), 38.8 (t), 55.7 (d), 64.4 (d), 88.2 (s), 110.4 (t), 127.1 (d), 127.6 (d), 128.1 (d), 128.3 (d), 128.4 (d), 128.6 (d), 133.3 (s), 135.8 (s), 144.4 (s); MS (EI) *m/z* (rel. int. %): 409 (1, M^+), 188 (100); IR ν_{max} (KBr) cm^{-1}: 1295, 1145 (SO_2); *Anal.* Calcd for $C_{25}H_{31}NO_2S$: C, 73.31: H, 7.63: N, 3.42. Found: C, 73.46: H, 7.71: N, 3.30.

***trans*-2-Cyclohexyl-4-(3-methyl-3-butenyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15ae')** Light yellow oil; ^1H NMR ($CDCl_3$) δ: 0.80-0.90 (1 H, m), 1.35 (3 H, s, Me), 1.09-1.72 (10 H, m), 2.03-2.13 (2 H, m), 2.30-2.38 (1 H, m), 3.16-3.19 (1 H, m, 1'-H), 4.19 and 4.45 (each 1 H, s, olefinic H), 4.87 (1 H, s, 3-H), 7.33-7.48 (8 H, m, ArH), 7.68 (2 H, d, *J* = 7.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 22.0 (q), 24.4 (t), 24.9 (t), 25.3 (t), 31.0 (t), 32.1 (t), 33.4 (t), 57.1 (d), 60.3 (d), 85.4 (s), 110.2 (t), 126.8 (d), 128.1 (d), 128.2 (d), 128.7 (d), 128.8 (d), 128.9 (d), 135.5 (s), 136.3 (s), 144.2 (s), an alkyl carbon is overlapped; MS (EI) *m/z* (rel. int. %): 409 (1, M^+), 188 (100); IR ν_{max} (NaCl) cm^{-1}: 1310, 1160 (SO_2); *Anal.* Calcd for $C_{25}H_{31}NO_2S$: C, 73.31: H, 7.63: N, 3.42. Found: C, 73.44: H, 7.68: N, 3.39.

***(3R*,4R*)*-2-Cyclohexyl-4-methyl-4-(3-methyl-3-butenyl)-3-(4-methylphenyl)-1,2-thiazetidine 1,1-Dioxide (15ve)** Light yellow oil; ^1H NMR ($CDCl_3$) δ: 1.12 (3 H, s, 4-Me), 1.11-1.29 (4 H, m), 1.46-1.74 (5 H, m), 1.99 (3 H, s, Me), 1.99-2.13 (4 H, m), 2.28-2.34 (1 H, m), 2.36 (3 H, s, ArMe), 3.17-3.20 (1 H, m, 1'-H), 4.09 (1 H, s, 3-H), 4.75 and 4.78 (each 1 H, s, olefinic H), 7.18 and 7.25 (each 2 H, d, *J* = 8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 16.0 (q), 21.1 (q), 22.4 (q), 22.4 (t), 24.8 (t), 25.4 (t), 31.0 (t), 32.1 (t), 32.7 (t), 34.6 (t), 56.9 (d), 61.9 (d), 78.6 (s), 110.8 (t), 127.3 (d), 129.2 (d), 132.6 (s), 138.3 (s), 144.2 (s); MS (EI) *m/z* (rel. int. %): 361 (1, M^+), 224 (100); IR ν_{max} (NaCl) cm^{-1}: 1305, 1155 (SO_2); *Anal.* Calcd for $C_{21}H_{31}NO_2S$: C, 69.76: H, 8.64: N, 3.87. Found: C, 69.80: H, 8.89: N, 3.61.

***(3R*,4R*)*-2-Cyclohexyl-4-ethyl-4-(3-methyl-3-butenyl)-3-(4-methylphenyl)-1,2-thiazetidine 1,1-Dioxide (15ee)** Light yellow oil; ^1H NMR ($CDCl_3$) δ: 0.65 (3 H, t, *J* =7.3 Hz, Me),

1.08-1.72 (11 H, m), 1.80 (3 H, s, Me), 1.92-2.04 (5 H, m), 2.04 (3 H, s, ArMe), 3.14-3.19 (1 H, m, 1'-H), 4.12 (1 H, s, 3-H), 4.79 (2 H, br s, olefinic H), 7.18 and 7.30 (each 2 H, d, J = 7 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 8.3 (q), 21.1 (q), 21.8 (t), 22.4 (q), 24.4 (t), 24.8 (t), 25.4 (t), 30.3 (t), 30.9 (t), 32.0 (t), 56.4 (d), 61.8 (d), 81.7 (s), 110.8 (t), 127.6 (d), 129.1 (d), 132.7 (s), 138.3 (s), 144.2 (s), an alkyl carbon is overlapped; MS (EI) *m/z* (rel. int. %): 375 (1, M^+), 238 (100); IR ν_{max} (NaCl) cm^{-1}: 1305, 1160 (SO_2); *Anal.* Calcd for $C_{22}H_{33}NO_2S$: C, 70.36: H, 8.86: N, 3.73. Found: C, 70.25: H, 9.03: N, 3.52.

***cis*-2-Cyclohexyl-4-(3-methyl-3-butenyl)-3-(4-methylphenyl)-4-phenyl-1,2-thiazetidine 1,1-Dioxide (15fe)** Colorless needles (from EtOAc-hexane), mp 152-160°C; ^{1}H NMR ($CDCl_3$) δ: 1.10-1.24 (3 H, m), 1.31-1.77 (6 H, m), 1.68 (3 H, s, Me), 1.85 (1 H, br d, J = 13 Hz), 1.93 (1 H, br d, J = 13 Hz), 2.04-2.13 (1 H, m), 2.26 (3 H, s, ArMe), 2.41 (1 H, dt, J = 4.4 and 13 Hz), 2.73-2.81 (1 H, m), 3.14-3.22 (1 H, m), 4.44 (1 H, s, 3-H), 4.65 and 4.70 (each 1 H, br s, olefinic H), 6.95 (2 H, d, J = 7.8 Hz, ArH), 7.06-7.09 (7 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 21.1 (q), 22.5 (q), 24.2 (t), 24.5 (t), 25.4 (t), 30.9 (t), 31.4 (t), 33.4 (t), 39.0 (t), 55.5 (d), 64.2 (d), 88.0 (s), 110.4 (t), 127.1 (d), 127.5 (d), 128.3 (d), 128.6 (d), 128.9 (d), 132.6 (s), 133.4 (s), 138.3 (s), 144.4 (s); MS (EI) *m/z* (rel. int. %): 423 (2, M^+), 202 (100); IR ν_{max} (KBr) cm^{-1}: 1295, 1145 (SO_2); *Anal.* Calcd for $C_{26}H_{33}NO_2S$: C, 73.72: H, 7.85: N, 3.31. Found: C, 73.91: H, 7.94: N, 3.17.

***cis*-2-Cyclohexyl-4-(2-methyl-2-propenyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (15af)** Colorless prisms (from EtOAc-hexane), mp 132-138°C; ^{1}H NMR ($CDCl_3$) δ: 1.07-1.29 (4 H, m), 1.46-1.57 (2 H, m), 1.53 (3 H, s, Me), 1.65-1.75 (3 H, m), 2.07 (1 H, br d, J = 13 Hz), 3.08 and 3.48 (each 1 H, d, J = 15.6 Hz, CH_2), 3.19-3.26 (1 H, m, 1'-H), 4.43 (1 H, s, 3-H), 4.67 and 4.75 (each 1 H, br s, olefinic H), 7.00-7.17 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 23.1 (q), 24.4 (t), 24.7 (t), 25.4 (t), 31.0 (t), 31.6 (t), 45.4 (t), 56.5 (d), 64.3 (d), 87.5 (s), 115.8 (t), 127.2 (d), 127.3 (d), 128.0 (d), 128.3 (d), 128.4 (d), 128.7 (d), 132.7 (s), 135.4 (s), 139.7 (s); MS (EI) *m/z* (rel. int. %): 395 (62, M^+), 129 (100); IR ν_{max} (KBr) cm^{-1}: 1305, 1150 (SO_2); *Anal.* Calcd for $C_{24}H_{29}NO_2S$: C, 72.87: H, 7.39: N, 3.54. Found: C, 72.62: H, 7.43: N, 3.52.

Reactions of 4-Alkenyl-β-sultams 15 and 15' with $EtAlCl_2$

General procedure. To a stirred solution of a β-sultam **15** or **15'** (0.2 mmol) in dry toluene (2 cm^3) was added dropwise 2 equiv of $EtAlCl_2$ in hexane under nitrogen at room temperature. The mixture was stirred at room temperature for 12-15 h, and saturated aqueous $NaHCO_3$ (5 cm^3) was added to it. The whole was vigorously stirred for 30 min, and the inorganic precipitate was filtered off through Celite. The organic layer was separated and the aqueous layer was extracted with CH_2Cl_2 (10 cm^3 x 2). The organic layer and the extracts were combined, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (EtOAc-hexane (1:10 -1:5 v/v)). Reaction conditions and yields are summarized in Table 6.

2,2-Diphenyl-4-pentenal (17ca) Colorless oil; ^{1}H NMR ($CDCl_3$) δ: 3.08 (2 H, d, J = 6.8 Hz, 3-H), 4.94 (1 H, d, J = 10 Hz, 5-H), 4.96 (1 H, dd, J = 1.5 and 17 Hz, 5-H), 5.57 (1 H, ddt, J = 10, 17 and 6.8 Hz, 4-H), 7.16-7.40 (10 H, m, ArH), 9.82 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 38.8 (t), 63.4 (s), 118.4 (t), 127.3 (d), 128.6 (d), 129.1 (d), 133.5 (d), 139.7 (s), 198.4 (d); MS (EI) *m/z* (rel. int. %): 236 (7, M^+), 129 (100); IR ν_{max} (NaCl) cm^{-1}: 2730, 1725 (CHO); HRMS (EI): Calcd for $C_{17}H_{16}O$: 236.1201. Found: 236.1196.

3-^{n}Butyl-4,5-diphenyl-5-(2-propenyl)-1,2,3-oxathiazolidine 2-Oxide (18ca) Light yellow oil; ^{1}H NMR ($CDCl_3$) δ: 0.84 (3 H, t, J= 7.3 Hz, Me), 1.19-1.38 (2 H, m, CH_2), 1.60-1.74 (2 H, m, CH_2), 2.52-2.60 and 2.82-2.88 (each 1 H, m, NCH_2), 3.06 (2 H, d, J = 7 Hz, CH_2–CH=), 4.82 (1 H, s, 4-H), 5.17 (1 H, d, J = 10 Hz, CH=CH_2), 5.22 (1 H, dd, J = 2 and 17 Hz, CH=CH_2), 5.87 (1 H, ddt, J = 10, 17 and 7 Hz, CH=CH$_2$), 6.70 (2 H, d, J = 7.3 Hz, ArH), 7.04-7.25 (8 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 13.8 (q), 20.5 (t), 30.1 (t), 43.7 (t), 44.5 (t), 70.7 (d), 98.4 (s), 119.4 (t), 127.0 (d), 127.2 (d), 127.3 (d), 128.0 (d), 128.3 (d), 132.5 (d), 133.9 (s), 138.0 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 355 (0.3, M^+), 209 (100); IR ν_{max} (NaCl) cm^{-1}: 1165 (SO); *Anal.* Calcd for $C_{21}H_{25}NO_2S$: C, 70.95: H, 7.09: N, 3.94. Found: C, 71.00: H, 7.14: N, 3.86.

1-^{n}Butyl-2,3-diphenyl-2-(2-propenyl)aziridine (19ca) Light yellow oil; ^{1}H NMR ($CDCl_3$) δ:

0.95 (3 H, t, J = 7.3 Hz, Me), 1.48 (2 H, sextet, J = 7.3 Hz, CH_2), 1.69 (2 H, quintet, J = 7.3 Hz, CH_2), 2.63-2.69 (2 H, m), 2.71 (1 H, s, 3-H), 2.91-2.97 (1 H, m, CH_2–CH=), 3.08 (1 H, dt, J = 12 and 7.3 Hz, NCH_2), 4.97-5.01 (2 H, m, CH=CH_2), 5.75 (1 H, ddt, J = 10, 17 and 7 Hz, CH=CH_2), 6.95-7.14 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 14.1 (q), 20.7 (t), 32.8 (t), 37.2 (t), 52.6 (t), 53.1 (d), 53.9 (s), 117.0 (t), 126.0 (d), 126.1 (d), 127.2 (d), 127.3 (d), 127.3 (d), 129.2 (d), 135.2 (d), 138.4 (s), 140.1 (s); MS (EI) *m/z* (rel. int. %): 291 (27, M^+), 290 (100); IR ν_{max} (NaCl) cm^{-1}: 2970, 2945, 2860, 1605, 1495, 915, 700; HRMS (EI) Calcd for $C_{21}H_{25}N$: 291.1987. Found: 291.1977.

2,2-Diphenyl-5-hexenal (17ab) Colorless oil; ^{1}H NMR ($CDCl_3$) δ: 1.79 (2 H, br q, J = 7 Hz, 4-H), 2.35-2.40 (2 H, m, 3-H), 4.93 (1 H, d, J = 10 Hz, 6-H), 4.98 (1 H, d, J = 17 Hz, 6-H), 5.78 (1 H, ddt, J = 10, 17 and 7 Hz, 5-H), 7.18-7.38 (10 H, m, ArH), 9.81 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 29.0 (t), 33.2 (t), 63.5 (s), 114.6 (t), 127.3 (d), 128.7 (d), 129.0 (d), 138.2 (d), 139.9 (s), 198.3 (d); MS (FAB) *m/z* (rel. int. %): 251 (27, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2815, 2720, 1720 (CHO); *Anal.* Calcd for $C_{18}H_{18}O$: C, 86.36: H, 7.25. Found: C, 86.11: H, 7.31.

2,2-Diphenyl-6-heptenal (17ac) Colorless oil; ^{1}H NMR ($CDCl_3$) δ: 1.11-1.28 (2 H, m, 4-H), 2.04 (2 H, q, J = 7 Hz, 5-H), 2.04-2.07 (2 H, m, 3-H), 4.92 (1 H, d, J = 10 Hz, 7-H), 4.95 (1 H, d, J = 17 Hz, 7-H), 5.72 (1 H, ddt, J = 10, 17 and 7 Hz, 6-H), 7.17-7.37 (10 H, m, ArH), 9.80 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 24.0 (t), 33.4 (t), 34.1 (t), 63.7 (s), 114.8 (t), 127.3 (d), 128.6 (d), 129.0 (d), 138.3 (d), 140.1 (s), 198.6 (d); MS (FAB) *m/z* (rel. int. %): 265 (100, M^++1); IR ν_{max} (NaCl) cm^{-1}: 2825, 2725, 1715 (CHO); HRMS (FAB) Calcd for $C_{19}H_{20}O$ + H: 265.1592. Found: 265.1572.

2,2-Diphenyl-7-octenal (17ad) Colorless oil; ^{1}H NMR ($CDCl_3$) δ: 1.03-1.11 (2 H, m, 4-H), 1.39 (2 H, t, J = 7 Hz, 5-H), 1.98 (2 H, q, J = 7.3 Hz, 6-H), 2.26-2.30 (2 H, m, 3-H), 4.88 (1 H, d, J = 10 Hz, 8-H), 4.93 (1 H, dd, J = 1.5 and 17 Hz, 8-H), 5.72 (1 H, ddt, J = 10, 17 and 7.3 Hz, 7-H), 7.17-7.38 (10 H, m, ArH), 9.80 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 24.0 (t), 29.4 (t), 33.5 (t), 33.8 (t), 63.7 (s), 114.3 (t), 127.2 (d), 128.6 (d), 129.1 (d), 138.7 (d), 140.2 (s), 198.7 (d); MS (FAB) *m/z* (rel. int. %): 279 (18, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2820, 2720, 1730 (CHO); *Anal.* Calcd for $C_{20}H_{22}O$: C, 86.29: H, 7.97. Found: C, 86.02: H, 8.11.

***(1R*,5R*)*-7-Cyclohexyl-5-methyl-2,2-diphenyl-6,7-thiazabicyclo[3.2.1]octane 6,6-Dioxide (16ae)** Colorless prisms (from EtOAc-hexane), mp 224-226°C; ^{1}H NMR ($CDCl_3$) δ: 0.75 -1.02 (3 H, m), 1.23-1.35 (1 H, m), 1.27 (3 H, s, Me), 1.42 (1 H, d, J= 13 Hz), 1.52-1.71 (5 H, m), 1.83-1.85 (1 H, m), 2.07-2.12 (1 H, m), 2.23-2.34 (3 H, m), 2.63-2.68 (1 H, m), 3.33 (1 H, dt, J = 5.4 and 14 Hz), 4.41 (1 H, br d, J = 4.4 Hz, 1-H), 7.13 (1 H, t, J = 7.3 Hz, ArH), 7.20-7.26 (3 H, m, ArH), 7.33-7.41 (6 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 18.5 (q), 25.3 (t), 26.0 (t), 26.4 (t), 27.6 (t), 30.5 (t), 30.6 (t), 38.1 (t), 49.4 (s), 58.4 (s), 59.6 (d), 63.3 (d), 126.3 (d), 126.4 (d), 127.2 (d), 127.4 (d), 128.1 (d), 128.9 (d), 144.3 (s), 145.9 (s), an alkyl carbon is overlapped; MS (EI) *m/z* (rel. int. %): 409 (23, M^+), 178 (100); IR ν_{max} (KBr) cm^{-1}: 1280, 1115 (SO_2); *Anal.* Calcd for $C_{25}H_{31}NO_2S$: C, 73.31: H, 7.63: N, 3.42. Found: C, 73.54: H, 7.64: N, 3.41.

***(1R*,2R*,5R*)*-7-Cyclohexyl-2,5-dimethyl-2-(4-methylphenyl)-6,7-thiazabicyclo[3.2.1]octane 6,6-Dioxide (16veA)** Light yellow oil; ^{1}H NMR ($CDCl_3$) δ: 1.15-1.41 (4 H, m), 1.12 and 1.25 (each 3 H, s, 2- and 5-Me), 1.50-2.05 (9 H, m), 2.22-2.39 (3 H, m), 2.34 (3 H, s, ArMe), 3.31-3.38 (1 H, m, 1'-H), 4.12 (1 H, d, J = 6.8 Hz, 1-H), 7.16 and 7.20 (each 2 H, d, J = 8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 19.0 (q), 20.8 (q), 25.5 (t), 26.2 (t), 26.4 (t), 29.2 (t), 30.5 (t), 30.8 (t), 31.1 (q), 32.0 (t), 37.3 (t), 42.2 (s), 58.7 (s), 59.5 (d), 62.6 (d), 125.6 (d), 129.6 (d), 135.9 (s), 142.5 (s); MS (EI) *m/z* (rel. int. %): 361 (13, M^+), 132 (100); IR ν_{max} (NaCl) cm^{-1}: 1290, 1150 (SO_2); *Anal.* Calcd for $C_{21}H_{31}NO_2S$: C, 69.76: H, 8.64: N, 3.87. Found: C, 69.80: H, 8.82: N, 3.64.

***(1R*,2S*,5R*)*-7-Cyclohexyl-2,5-dimethyl-2-(4-methylphenyl)-6,7-thiazabicyclo[3.2.1]octane 6,6-Dioxide (16veB)** Colorless needles (from EtOAc-hexane), mp 198-212°C (dec.); ^{1}H NMR ($CDCl_3$) δ: 0.68-0.97 (3 H, m), 1.07-1.18 (1 H, m), 1.21-1.88 (8 H, m), 1.32 and 1.37 (each 3 H, s, 2- and 5-Me), 2.11-2.25 (4 H, m), 2.33 (3 H, s, ArMe), 2.99 (1 H, dt, J = 6.3 and 13 Hz), 3.56 (1 H, d, J = 4.4 Hz, 1-H), 7.13 and 7.27 (each 2 H, d, J = 8.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 18.9 (q),

20.8 (q), 24.7 (t), 25.3 (t), 26.0 (t), 26.3 (t), 28.2 (t), 30.0 (t), 30.4 (q), 30.7 (t), 36.5 (t), 40.1 (s), 58.4 (s), 58.7 (d), 65.0 (d), 126.0 (d), 128.8 (d), 135.9 (s), 144.5 (s); MS (EI) *m/z* (rel. int. %): 361 (21, M^+), 178 (100); IR ν_{max} (KBr) cm^{-1}: 1285, 1125 (SO_2); *Anal.* Calcd for $C_{21}H_{31}NO_2S$: C, 69.76: H, 8.64: N, 3.87. Found: C, 69.92: H, 8.74: N, 3.74.

(*1R*,2R*,5R)-7-Cyclohexyl-2-ethyl-5-methyl-2-(4-methylphenyl)-6,7-thiazabicyclo[3.2.1]octane 6,6-Dioxide (16εeA)** Colorless prisms (from EtOAc-hexane), mp 132-136°C (dec.); ^1H NMR ($CDCl_3$) δ: 0.52 (3 H, t, *J* = 7.3 Hz, Me), 1.18-1.36 (3 H, m), 1.23 (3 H, s, 5-Me), 1.50-2.04 (12 H, m), 2.14-2.39 (3 H, m), 2.34 (3 H, s, ArMe), 3.27-3.32 (1 H, m, 1'-H), 3.72 (1 H, d, *J* = 5.4 Hz, 1-H), 7.13 and 7.16 (each 2 H, d, *J* = 8.8 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 7.9 (q), 19.0 (q), 20.8 (q), 25.5 (t), 26.2 (t), 26.4 (t), 26.5 (t), 30.5 (t), 31.0 (t), 31.5 (t), 35.2 (t), 37.3 (t), 46.0 (s), 59.1 (s), 60.2 (d), 63.2 (d), 126.5 (d), 129.4 (d), 135.7 (s), 139.8 (s); MS (EI) *m/z* (rel. int. %): 375 (17, M^+), 178 (100); IR ν_{max} (NaCl) cm^{-1}: 1285, 1120 (SO_2); *Anal.* Calcd for $C_{22}H_{33}NO_2S$: C, 70.36: H, 8.86: N, 3.73. Found: C, 70.26: H, 8.98: N, 3.57.

(*1R*,2S*,5R)-7-Cyclohexyl-2-ethyl-5-methyl-2-(4-methylphenyl)-6,7-thiazabicyclo[3.2.1]octane 6,6-Dioxide (16εeB)** Colorless needles (from EtOAc-hexane), mp 253-260°C (dec.); ^1H NMR ($CDCl_3$) δ: 0.52 (3 H, t, *J* = 7.3 Hz, Me), 0.62-0.96 (3 H, m), 1.11-1.85 (11 H, m), 1.35 (3 H, s, 5-Me), 2.04-2.21 (3 H, m), 2.30 (1 H, d, *J* =12.7 Hz, 8-H), 2.32 (3 H, s, ArMe), 2.72-2.81 (1 H, m), 3.67 (1 H, d, *J* = 5.4 Hz, 1-H), 7.11 and 7.16 (each 2 H, d, *J* = 8.3 Hz, ArH); ^{13}C NMR ($CDCl_3$) δ: 9.1 (q), 18.8 (q), 20.8 (q), 23.9 (t), 25.2 (t), 26.0 (t), 26.4 (t), 27.3 (t), 29.7 (t), 30.5 (t), 30.8 (t), 35.8 (t), 44.2 (s), 58.0 (s), 58.8 (d), 65.4 (d), 126.8 (d), 128.7 (d), 135.6 (s), 141.5 (s); MS (EI) *m/z* (rel. int. %): 375 (18, M^+), 178 (100); IR ν_{max} (NaCl) cm^{-1}: 1275, 1125 (SO_2); *Anal.* Calcd for $C_{22}H_{33}NO_2S$: C, 70.36: H, 8.86: N, 3.73. Found: C, 70.28: H, 8.98: N, 3.50.

(*1R*,2S*,5R)- and (*1R*,2R*,5R**)-7-Cyclohexyl-5-methyl-2-(4-methylphenyl)-2-phenyl-6,7-thiazabicyclo[3.2.1]octane 6,6-Dioxide (16fe)** Light yellow prisms as a mixture of stereoisomers (from EtOAc-hexane); ^1H NMR ($CDCl_3$) δ: 0.75 -1.01 (total 6 H, m), 1.22-1.73 (total 14 H, m), 1.26 (6 H, s, 5-Me x 2), 1.85 (total 2 H, m), 2.06-2.11 (total 2 H, m), 2.23-2.35 (total 6 H, m), 2.25 and 2.32 (each 3 H, s, ArMe x 2), 2.61-2.65 (total 2 H, m), 3.26-3.36 (total 2 H, m), 4.39 (total 2 H, m, 1-H), 7.02-7.39 (total 18 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 18.5 (q), 20.7 (q), 20.8 (q), 25.3 (t), 26.0 (t), 26.4 (t), 26.4 (t), 27.5 (t), 27.6 (t), 30.5 (t), 30.5 (t), 30.6 (t), 30.6 (t), 38.0 (t), 49.0 (s), 58.4 (s), 59.5 (d), 59.6 (d), 63.3 (d), 63.3 (d), 126.2 (d), 126.3 (d), 127.0 (d), 127.1 (d), 127.2 (d), 127.3 (d), 128.1 (d), 128.7 (d), 128.8 (d), 129.6 (d), 135.8 (s), 136.0 (s), 141.2 (s), 142.9 (s), 144.5 (s), 146.1 (s), eight alkyl carbons are overlapped; MS (EI) *m/z* (rel. int. %): 423 (11, M^+), 194 (100); IR ν_{max} (KBr) cm^{-1}: 1290, 1115 (SO_2); *Anal.* Calcd for $C_{26}H_{33}NO_2S$: C, 73.72: H, 7.85: N, 3.31. Found: C, 73.57: H, 7.93: N, 3.20.

(*1R*,4R)-3-Cyclohexyl-1-methyl-5,5-diphenyl-2,3-thiazabicyclo[2.2.1]heptane 2,2-Dioxide (16af)** Colorless prisms (from $CHCl_3$-hexane), mp 236-242°C (dec.); ^1H NMR ($CDCl_3$) δ: 0.85-1.08 (4 H, m), 1.22-1.31 (2 H, m), 1.49-1.66 (3 H, m), 1.53 (3 H, s, 1-Me), 1.83 (1 H, br d, *J* = 12 Hz), 2.35 (1 H, dd, *J* = 2 and 11.2 Hz), 2.38 (1 H, d, *J* = 15 Hz), 2.53 (1 H, d, *J* = 11.2 Hz), 2.72-2.78 (1 H, m, 1'-H), 3.66 (1 H, dd, *J* = 2 and 15 Hz), 4.29 (1 H, s, 4-H), 7.11-7.34 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 12.7 (q), 25.3 (t), 25.6 (t), 25.7 (t), 30.3 (t), 31.2 (t), 43.2 (t), 44.0 (t), 55.6 (d), 60.0 (s), 65.5 (d), 65.9 (s), 126.1 (d), 126.2 (d), 127.2 (d), 127.7 (d), 128.6 (d), 128.9 (d), 144.1 (s), 149.9 (s); MS (EI) *m/z* (rel. int. %): 395 (24, M^+), 331 (100); IR ν_{max} (KBr) cm^{-1}: 1295, 1160 (SO_2); *Anal.* Calcd for $C_{24}H_{29}NO_2S$: C, 72.87: H, 7.39: N, 3.54. Found: C, 72.82: H, 7.49: N, 3.52.

4-Methyl-2,2-diphenyl-4-pentenal (17af) Colorless oil; ^1H NMR ($CDCl_3$) δ: 1.32 (3 H, s, Me), 31.4 (2 H, s, 3-H), 4.54 and 4.70 (each 1 H, s, 5-H), 7.21-7.36 (10 H, m, ArH), 9.86 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 24.4 (q), 42.3 (t), 63.5 (s), 115.8 (t), 127.3 (d), 128.4 (d), 129.2 (d), 140.2 (s), 141.5 (s), 198.2 (d); MS (FAB) *m/z* (rel. int. %): 251 (18, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2820, 2730, 1725 (CHO); HRMS (FAB) Calcd for $C_{18}H_{18}O$ + H: 251.1436. Found: 251.1428.

Synthesis of 4-Phenethyl-β-sultams 21

General procedure. To a solution of LDA (1.5 mmol, prepared from 1.5 mmol of diisopropylamine (0.2

cm^3) and 1.5 mmol of ^nBuLi in hexane) in dry THF (10 cm^3) was added dropwise a solution of a β-sultam (1 mmol) in THF (2-4 cm^3) at -78°C under nitrogen. After 30 min., an alkyl bromide (2 mmol) was added dropwise to it and the whole was stirred at room temperature for several hours. Saturated aqueous NH_4Cl (4 cm^3) was added to the reaction mixture and the organic layer was separated. The water layer was extracted twice with EtOAc (10 cm^3). The organic layer and the extracts were combined, washed with saturated aqueous NaCl (20 cm^3), dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by column chromatography on silica gel and eluted with EtOAc–hexane (1:20 - 1:10 v/v). Yields are listed in Scheme 12.

***cis*-2-Cyclohexyl-3,4-diphenyl-4-phenethyl-1,2-thiazetidine 1,1-Dioxide (21aa)** Colorless prisms (from EtOAc-hexane), mp 150-151°C; ^1H NMR ($CDCl_3$) δ: 1.11-1.24 (3 H, m), 1.30-1.57 (3 H, m), 1.67-1.69 (2 H, m), 1.83 (1 H, br d, *J* = 13 Hz), 1.95 (1 H, br d, *J* = 12 Hz), 2.29 (1 H, dt, *J* = 4.4 and 12.7 Hz), 2.57 (1 H, dt, *J* = 4.9 and 12.7 Hz), 2.78 (1 H, dt, *J* = 4.4 and 12.7 Hz), 2.94-3.02 (1 H, m), 3.18-3.25 (1 H, m, 1'-H), 4.43 (1 H, s, 3-H), 7.08-7.28 (15 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.6 (t), 25.4 (t), 30.9 (t), 31.4 (t), 32.0 (t), 42.7 (t), 55.7 (d), 64.5 (d), 88.2 (s), 126.2 (d), 127.3 (d), 127.7 (d), 128.2 (d), 128.3 (d), 128.4 (d), 128.5 (d), 128.6 (d), 133.3 (s), 135.7 (s), 140.9 (s), an aromatic carbon is overlapped; MS (EI) *m/z* (rel. int. %): 445 (26, M^+), 91 (100); IR ν_{max} (KBr) cm^{-1}: 1300, 1145 (SO_2); *Anal.* Calcd for $C_{28}H_{31}NO_2S$: C, 75.47: H, 7.01: N, 3.14. Found: C, 75.37: H, 7.10: N, 3.27.

***cis*-2-Cyclohexyl-4-(4-methoxyphenethyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (21ab)** White solid (from EtOAc-hexane), mp 122-124 °C; ^1H NMR ($CDCl_3$) δ: 1.11-1.54 (6 H, m), 1.67-1.69 (2 H, m), 1.83 (1 H, br d, *J* = 12 Hz), 1.95 (1 H, br d, *J* = 12 Hz), 2.19-2.27 (1 H, m), 2.54 (1 H, dt, *J* = 4.4 and 12.7 Hz), 2.72 (1 H, dt, *J* = 3.9 and 12.7 Hz), 2.90-2.98 (1 H, m), 3.18-3.24 (1 H, m, 1'-H), 3.77 (3 H, s, OMe), 4.43 (1 H, s, 3-H), 6.80 and 7.04 (each 2 H, dd, *J*= 2 and 7 Hz, ArH), 7.09-7.25 (10 H, m, ArH); ^{13}C NMR ($CDCl_3$) δ: 24.2 (t), 24.6 (t), 25.4 (t), 30.9 (t), 31.1 (t), 31.4 (t), 43.0 (t), 55.3 (q), 55.7 (d), 64.5 (d), 88.2 (s), 113.9 (d), 127.2 (d), 127.7 (d), 128.1 (d), 128.3 (d), 128.4 (d), 128.6 (d), 129.3 (d), 132.9 (s), 133.3 (s), 135.8 (s), 158.0 (s); MS (EI) *m/z* (rel. int. %): 475 (4, M^+), 121 (100); IR ν_{max} (KBr) cm^{-1}: 1295, 1145 (SO_2); *Anal.* Calcd for $C_{29}H_{33}NO_3S$: C, 73.23: H, 6.99: N, 2.94. Found: C, 72.42: H, 6.99: N, 2.82.

***cis*-2-Cyclohexyl-4-(3,4-dimethoxyphenethyl)-3,4-diphenyl-1,2-thiazetidine 1,1-Dioxide (21ac)** White foam, mp 53-55°C; ^1H NMR ($CDCl_3$) δ: 1.11-1.55 (6 H, m), 1.67 (2 H, m), 1.83 (1 H, br d, *J* = 13 Hz), 1.96 (1 H, br d, *J* = 13 Hz), 2.23 (1 H, dt, *J* = 4 and 12.7 Hz), 2.55 (1 H, dt, *J* = 4 and 12.7 Hz), 2.73 (1 H, dt, *J* = 4 and 12.7 Hz), 2.97 (1 H, dt, *J* = 4 and 12.7 Hz), 3.19-2.34 (1 H, m, 1'-H), 3.84 and 3.85 (each 3 H, s, OMe x 2), 4.44 (1 H, s, 3-H), 6.65 (1 H, s, ArH), 6.67 and 6.76 (each 1 H, d, *J* = 9 Hz, ArH), 7.09-7.19 (10 H, m, ArH) ^{13}C NMR ($CDCl_3$) δ: 24.5 (t), 24.8 (t), 25.7 (t), 31.2 (t), 31.8 (t), 32.0 (t), 43.1 (t), 56.0 (q), 56.2 (d), 56.2 (q), 64.8 (d), 88.5 (s), 111.6 (d), 112.0 (d), 120.4 (d), 127.6 (d), 128.0 (d), 128.4 (d), 128.6 (d), 128.8 (d), 128.9 (d), 133.7 (s), 133.8 (s), 136.0 (s), 147.8 (s), 149.2 (s); MS (EI) *m/z* (rel. int. %): 505 (59, M^+), 151 (100); IR ν_{max} (KBr) cm^{-1}: 1295, 1145 (SO_2); *Anal.* Calcd for $C_{30}H_{35}NO_4S$: C, 71.26: H, 6.98: N, 2.77. Found: C, 71.22: H, 7.02: N, 2.69.

Reactions of 4-Phenethyl-β-sultams 21 with $EtAlCl_2$

General procedure. To a stirred solution of a β-sultam **21** (0.2 mmol) in dry toluene (2 cm^3) was added dropwise 2.2 equiv of $EtAlCl_2$ in hexane under nitrogen at room temperature. The mixture was stirred at room temperature for 15 h, and saturated aqueous $NaHCO_3$ (5 cm^3) was added to it. The whole was vigorously stirred for 30 min - 2 h, and the inorganic precipitate was filtered off through Celite. The organic layer was separated and the aqueous layer was extracted with CH_2Cl_2 (10 cm^3 x 2). The organic layer and the extracts were combined, dried ($MgSO_4$) and evaporated under reduced pressure. The residue was purified by preparative TLC (EtOAc-hexane (1:10 -1:5 v/v). Yields are listed in Scheme 12.

2,2,4-Triphenylbutanal (22aa) Colorless oil; ^1H NMR ($CDCl_3$) δ: 2.31-2.35 and 2.57-2.61 (each 2 H, m CH_2CH_2), 7.13-7.40 (15 H, m, ArH), 9.87 (1 H, s, CHO); ^{13}C NMR ($CDCl_3$) δ: 31.3 (t), 36.2 (t), 63.7 (s), 125.9 (d), 127.4 (d), 128.3 (d), 128.4 (d), 128.8 (d), 129.0 (d), 140.0 (s), 142.2 (s), 198.2 (d); MS (FAB) *m/z* (rel. int. %): 301 (70, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2815, 2715, 1725 (CHO); *Anal.* Calcd for $C_{22}H_{20}O$: C, 87.96: H, 6.71. Found: C, 87.79: H, 6.86.

4-(4-Methoxyphenyl)-2,2-diphenylbutanal (22ab) Colorless oil; ^{1}H NMR ($CDCl_3$) δ: 2.24-2.28 and 2.53-2.57 (each 2 H, m, CH_2CH_2), 3.76 (3 H, s, OMe), 6.79 and 7.05 (each 2 H, d, J = 8.8 Hz, ArH), 7.23 (4 H, d, J = 7 Hz, ArH), 7.31 (2 H, t, J = 7 Hz, ArH), 7.37 (4 H, t, J = 7 Hz, ArH), 9.86 (1 H, s, CHO);^{13}C NMR ($CDCl_3$) δ: 30.3 (t), 36.5 (t), 55.2 (q), 63.6 (s), 113.8 (d), 127.4 (d), 128.8 (d), 129.0 (d), 129.2 (d), 134.3 (s), 140.1 (s), 157.8 (s), 198.3 (d); MS (FAB) *m/z* (rel. int. %): 331 (23, M^++1), 154 (100); IR ν_{max} (NaCl) cm^{-1}: 2725, 1725 (CHO); HRMS (FAB) Calcd for $C_{23}H_{22}O_2$: 331.1698. Found: 331.1713.

X-Ray Study of 1,2,3-Oxathiazolidine 2-Oxide 11fA

Colorless plates were mounted on a glass fiber and transferred to the diffractometer.

Crystal Data. $C_{18}H_{27}NO_2S$, M = 321.48, monoclinic, a = 9.527(4), b = 12.626(3), c = 15.640(2) Å, V = 1814.1(7) Å^3 (from setting angles of 25 centred reflections with 20.22 < 2θ < 32.39°, λ = 0.71069 Å, T = 23 °C), space group $P2_1/c$ (# 14), Z = 4, D_c = 1.177 g cm^{-3}, colorless plates 0.10 x 0.20 x 0.30 mm, μ(Mo-Kα) = 1.76 cm^{-1}.

Data Collection and Processing. Rigaku AFC-5R four-circle diffractometer with 12kW rotating anode generator, ϖ/2θ scans with ϖ scan width (1.21 + 0.30 tan θ)°, graphite-monochromated Mo-Kα X-radiation; 4613 reflections measured to $2\theta_{max}$ = 55°, giving 1704 which were retained in all calculations. No crystal decay was observed and no corrections were applied for absorption.

Structure Solution and Refinement. Automatic direct method[35] (all non-H atoms). Full-matrix least-squares refinement[36] with all non-H atoms anisotropic. The weighting scheme w = $4Fo^2/\sigma^2(Fo^2)$ gave satisfactory agreement analyses. Final R = 0.050, R_w = 0.053 for 199 refined parameters. The final ΔF synthesis showed no peaks above ± 0.23 e/Å^{-3}.

X-Ray Study of Bicyclo-γ-sultam 16ae

Colorless prisms were mounted on a glass fiber and transferred to the diffractometer.

Crystal Data. $C_{25}H_{31}NO_2S_2$, M = 409.59, orthorhombic, a = 21.307(6), b = 10.255(6), c = 9.988(7) Å, V = 2182(3) Å^3 (from setting angles of 25 centred reflections with 8.81 < 2θ < 14.84°, λ = 0.71069 Å, T = 23 °C), space group $Pca2_1$ (# 29), Z = 4, D_c = 1.247 g cm^{-3}, colorless prisms 0.20 x 0.20 x 0.30 mm, μ(Mo-Kα) = 1.61 cm^{-1}.

Data Collection and Processing. Rigaku AFC-5R four-circle diffractometer with 12kW rotating anode generator, ϖ/2θ scans with ϖ scan width (1.37 + 0.30 tan θ)°, graphite-monochromated Mo-Kα X-radiation; 2867 reflections measured to $2\theta_{max}$ = 55°, giving 1347 which were retained in all calculations. No crystal decay was observed and no corrections were applied for absorption.

Structure Solution and Refinement. Automatic direct method[35] (all non-H atoms). Full-matrix least-squares refinement[36] with all non-H atoms anisotropic. The weighting scheme w = $4Fo^2/\sigma^2(Fo^2)$ gave satisfactory agreement analyses. Final R = 0.045, R_w = 0.047 for 261 refined parameters. The final ΔF synthesis showed no peaks above ± 0.19 e/Å^{-3}.

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