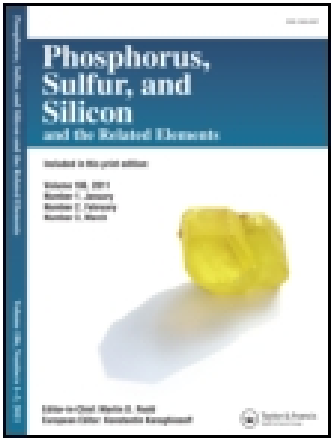


Phosphorus, Sulfur, and Silicon and the Related Elements

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# Silyl Esters of Iminosulfenic Acids

A.C.B. Lucassen & B. Zwanenburg

[a] Department of Organic Chemistry , NSR Institute for Molecular Structure, Design and Synthesis, University of Nijmegen , Toernooiveld, 6525 ED Nijmegen, The Netherlands

[b] Department of Organic Chemistry , NSR Institute for Molecular Structure, Design and Synthesis, University of Nijmegen , Toernooiveld, 6525 ED Nijmegen, The Netherlands

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# Silyl Esters of Iminosulfenic Acids

A.C.B. LUCASSEN and B. ZWANENBURG

*Department of Organic Chemistry, NSR Institute for Molecular Structure, Design and Synthesis, University of Nijmegen, Toernooiveld, 6525 ED Nijmegen, The Netherlands*

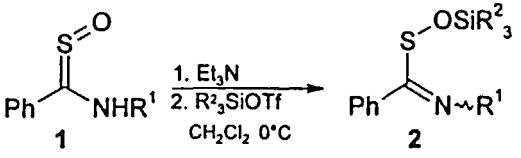
Aminosulfines (thioamide *S*-oxides) were converted to silyl esters of iminosulfenic acids by treatment with trialkylsilyl triflates in the presence of triethylamine. Reaction of the title compounds with *in situ* prepared ketenes yields the corresponding new β-lactams having a silylsulfenate moiety at the 4-position of the ring.

*Keywords:* thioamide *S*-oxides; aminosulfines; sulfenate esters; ketene-Imine cyclization; β-lactam

## INTRODUCTION

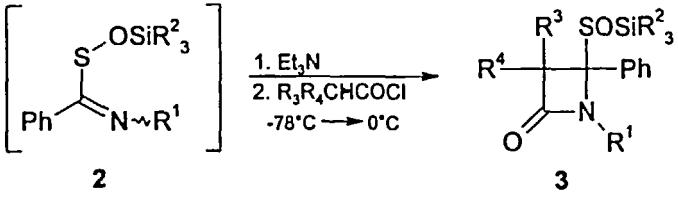
As part of the ongoing research program on sulfines (thione *S*-oxides)[1] we currently pay attention to aminosulfines (thioamide *S*-oxides). This type of sulfines is already known for quite some time[2], however, its chemistry has received little attention so far. Previously we showed that O-alkylation of appropriately substituted aminosulfines can be readily achieved using triethyloxonium tetrafluoroborate. Subsequent treatment with aqueos sodium carbonate then gives O-ethyl iminosulfenates[3].

In this communication we describe the O-silylation of a series of aminosulfines. Treatment of secondary aminosulfines **1** with a trialkylsilyl triflate in the presence of gives the expected O-trialkylsilyl iminosulfenic esters (Scheme 1), which can be isolated as such when $R^1$ is an aromatic group and $R^2$ is an isopropyl group.




SCHEME 1

The thus prepared functionalized imines were subjected to a [2+2]-cycloaddition reaction with *in situ* generated ketenes with the objective to prepare the β-lactams **3** (Scheme 2).




**2** **3**

| Entry | $R^1$ | $R^2$ | $R^3$ | $R^4$ | yield (%) |
|---|---|---|---|---|---|
| 1 | Ph | Et | H | PhtN | 72 |
| 2 | Ph | iPr | H | PhtN | 54 |
| 3 | Ph | iPr | Cl | Cl | 80 |
| 4 | allyl | Et | H | PhtN | 52 |
| 5 | (+)α-MeBn | iPr | H | PhO | 76* |

SCHEME 2

This β-lactam formation proceeds in acceptable to good yields. The four membered ring formation takes place in a regiospecific and stereospecific manner, whereby the silyl sulfenate ester group is located in the 4-position and the C-3 proton is *cis* ,with respect to the C-4 ester moiety. This was confirmed by an X-ray analysis of the compound in entry 1.

A related sulfenate substituted β-lactam has been reported before and was obtained from penicilline *S*-oxide derivatives[4].The present synthesis is the first example of a preparation from acyclic precursors.

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