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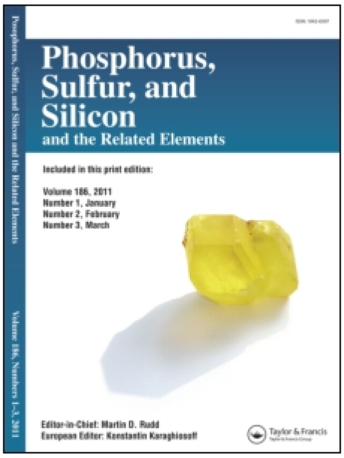

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A. C. B. Lucassen; B. Zwanenburg

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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

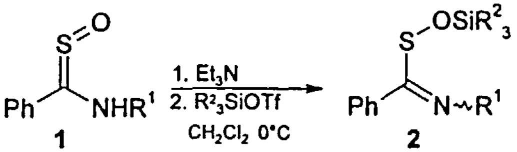
Aminosulfines (thioamide *S*-oxides) were converted to silyl esters of iminosulfenic acids by treatment with trialkylsilyl triflates in the presence of triethylamine. Reaction of the title compounds with *in situ* prepared ketenes yields the corresponding new β-lactams having a silylsulfenate moiety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

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

INTRODUCTION

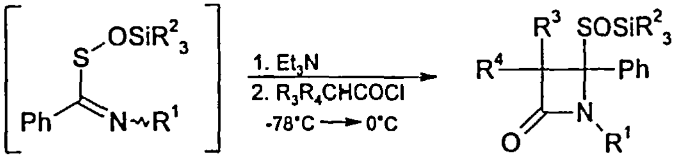
As part of the ongoing research program on sulfines (thione *S*-oxides)[1] we currently pay attention to aminosulfines (thioamide *S*-oxides). This type of sulfines is already known for quite some time[2], however, its chemistry has received little attention so far. Previously we showed that O-alkylation 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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