Brief Communications

A new method for the synthesis of aziridine derivatives

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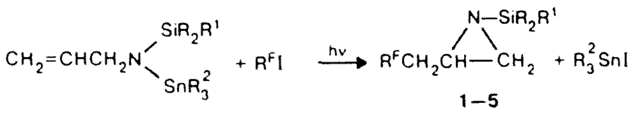
The photoinduced reaction of *N*-trialkylstannyl-*N*-triorganylsilyl-substituted allylamines with perfluorocarbonyl iodides results in the formation of 1-triorganylsilyl-2-(α,α-dihydroperfluoroalkyl)aziridines.

Key words: aziridine derivatives, *N*-trialkylstannyl-*N*-triorganylsilylallyl-substituted amines, perfluorocarbonyl iodides, photoinduced addition.

Photoinduced reactions of polyhaloalkanes with allylchalcogenostannanes $CH_2{=}CHCH_2{-}Y{-}SnR_3$ (where Y = O, S) result in the formation of the corresponding substituted three-membered heterocycles.[1—3] However, the analogous reaction of polyhaloalkanes with stannylated allylamides of carboxylic acids yields solely five-membered heterocycles — 2,5-disubstituted oxazolines-2,[4] but not the expected substituted aziridines.

In the present work it is shown that 1-triorganylsilyl-2-(α,α-dihydroperfluoroalkyl)aziridines **1—5** are formed in high yields as a result of a photoinduced reaction of *N*-trialkylstannyl-*N*-triorganylsilylallylamine with perfluoroalkyliodides.



R^2 = Et, Bu

Compound	R	R¹	R^F
1	Me	Me	C_3H_7
2	Me	Pr^i	C_3H_7
3	Me	MeO	C_3H_7
4	Me	MeO	CF_3
5	Me	MeO	C_4F_9

The reaction proceeds upon UV-irradiation of the equimolar reagents mixture (6 h at 30 °C).

New substituted aziridines, which are a new class of bioactive silicon compounds, have a low toxicity and anticonvulsive and sedative action.[5]

Experimental

The GLC-analysis was carried out on a chromatograph "Tsvet-126" using a katharometer as detector, helium as carrier

Table 1. Characteristics of aziridines **1—5**

Compound	Yield (%)	B.p./°C (*p*/Torr)	n_D^{20}	d_4^{20}
1	56	72—74(48)	1.3593	1.1884
2	71	68—70(9)	1.3805	1.1750
3	75	73—75(25)	1.3633	1.2289
4	72	70—71(50)	1.3791	1.1031
5	78.5	96—98(45)	1.3543	—

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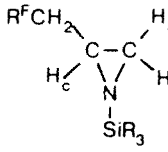
Table 2. Parameters of ^{1}H NMR spectra and mass-spectra of aziridines **1—5**

Compound		^{1}H NMR ($CDCl_3$, δ, ppm)				Mass spectrum
		R^FCH_2—CH_c— (m, 3 H)	H_a	H_b	R_3Si	(*m/z*)
	**1**	2.15	1.80 (m, 1 H)	1.59 (dd, 1 H)	0.4 (s, 9 H)	297, 178, 128, 119, 73
	2	2.13	1.79 (m, 1 H)	1.61 (m, 1 H)	0.05 (d, 6 H) 0.98 (m, 7 H)	325, 206, 141, 101
	3	2.24	1.93 (m, 1 H)	1.61 (m, 1 H)	0.11 (s, 6 H) 3.42 (s, 3 H)	313, 194, 129, 90
	4	2.15	1.92 (dd, 1 H)	1.61 (dd, 1 H)	0.1 (s, 6 H) 3.45 (s, 3 H)	213, 178, 129, 90
	5	2.21	1.90 (dd, 1 H)	1.60 (dd, 1 H)	0.08 (s, 6 H) 3.42 (s, 3 H)	363, 276, 195, 146, 130, 90

gas, glass columns 3 m × 4 mm, sorbent Chromaton-N-AW-HMDS 0.2—0.25 mm, permeated by 10 % PMS-1000.

^{1}H NMR spectra were recorded on a "Tesla BS-567 A" (100 MHz) spectrometer. The chemical shifts were measured with an accuracy of 0.01 ppm. The mass spectra were recorded on a "Varian MAT-212" spectrometer with ionization energy 70 eV.

General procedure of obtaining *N*-(triorganylsilyl)-*N*-(trialkylstannyl)allylamines. A mixture of 19.39 g (70 mmoles) of (triethylstannyl)diethylamine and 10.32 g (80 mmoles) *N*-(trimethylsilyl)allylamine was placed in a flask for distillation *in vacuo*, and the mixture was heated until distillation of diethylamine stopped. After distillation *in vacuo* 17.72 g (76 %) of *N*-(trimethylsilyl)-*N*-(triethylstannyl)allylamine with b.p. 76—78 °C (1 Torr) was isolated. ^{1}H NMR spectrum ($CDCl_3$, δ, ppm): 5.86 (m, 1 H, =CH—); 4.62 (m, 2 H, CH_2=); 3.58 (m, 2 H, N—CH_2—); 1.16 (m, 15 H, Et_3Sn); 0.05 (s, 9H, Me_3Si).

Analogously obtained: 1) ***N*-(dimethylisopropylsilyl)-*N*-(triethylstannyl)allylamine**, yield 71 %, b.p. 122—124 °C (1 Torr), ^{1}H NMR spectrum ($CDCl_3$, δ, ppm): 5.78 (m, 1 H, =CH—); 5.11 (m, 2 H, CH_2=); 3.58 (m, 2 H, N—CH_2—); 1.22—0.93 (m, 22 H, Pr^iSn and Et_3Sn); 0.0 (s, 6 H, Me_2Si);

2) ***N*-(dimethyloxysilyl)-*N*-(triethylstannyl)allylamine**, yield 84 %, b.p. 105—107 °C (5 Torr), ^{1}H NMR spectrum ($CDCl_3$, δ, ppm): 5.79 (m, 1 H, =CH—); 5.00 (m, 2 H, CH_2=); 3.58 (m, 2 H, N—CH_2—); 3.39 (s, 3 H, MeO—); 1.13 (m, 15 H, Et_3Sn); 0.05 (m, 6 H, Me_2Si);

3) ***N*-(dimethylmethoxysilyl)-*N*-(tributylstannyl)allylamine**, yield 73 %, b.p. 118—120 °C (0.5 Torr), ^{1}H NMR spectrum ($CDCl_3$, δ, ppm): 5.77 (m, 1 H, =CH—); 5.00 (m, 2 H, CH_2=); 3.57 (m, 2 H, N—CH_2—); 3.36 (s, 3 H, MeO—); 1.42—0.98 (m, 27 H, Bu^n_3Sn); 0.05 (s, 6 H, Me_2Si).

Reaction of *N*-(triorganylsilyl)-*N*-(trialkylstannyl)allylamines with perfluoroalkyliodides. A mixture of 16.7 g (50 mmoles) *N*-(trimethylsilyl)-*N*-(triethylstannyl)allylamine and 16.3 g (55 mmoles) heptafluoroiodopropane was placed in a Pyrex ampule blown through argon, and illuminated by UV-light (lamp DRT-400) for 6 h at 30 °C. 1-Trimethylsilyl-2-(α,α-dihydroperfluorobuthyl)aziridine (8.3 g) was isolated by distillation *in vacuo*.

Aziridines **2—5** were analogously obtained (Tables 1, 2).

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