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# CONVERSION OF BICYCLIC C-AZOAZIRIDINES TO 2-SUBSTITUTED 2H-1,2,3-TRIAZOLES

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*In an acidified chloroform solution, bicyclic C-azo-N-(N-hetaryl)aziridines are converted to bicyclic 2H-1,2,3-triazoles. This transformation can occur on the surface of silica gel, and also during storage of these compounds at room temperature.*

**Keywords:** C-azoaziridines, 2H-1,2,3-triazoles.

Oxidative addition of N-aminophthalimide and 3-amino-2-methylquinazolin-4(3H)-one to a number of 1-azocyclohexenes, 1-azocyclopentenes, and 3-azocyclohexen-2-ones leads to formation of two types of compounds: C-azoaziridines **1, 2** and bicyclic 2H-1,2,3-triazoles **3** [1-4]. Since triazoles have not been obtained previously in oxidative addition of N-amino heterocycles to olefins or to azo compounds, we might hypothesize that triazole formation is connected with the characteristics of the conjugated azoalkene system. However, we note that some azoaziridines **1, 2** decompose gradually in solutions and even in the crystalline state at room temperature, yielding bicyclic triazoles **3** [4].

Azoaziridines with a 4-nitrophenyl substituent (**1c, 2c**, and **1g**) have proven to be especially unstable: in $CDCl_3$ solution, they smoothly and practically quantitatively converted to a mixture of the bicyclic triazole **3c** or **3g** and phthalimide or 2-methylquinazolin-4(3H)-one. The stability of these compounds increases in the order **1g,c, 2c**: according to NMR spectroscopy, the phthalimidoaziridine **1g** decomposed completely even while recording the carbon spectrum; the first signs of decomposition of phthalimidoaziridine **1c** appeared 10 min after preparation of the solution, and it decomposed completely after only 12 h. The appearance of decomposition products of aziridine **2c** was detected only a few hours after it was dissolved.

Conversion of azoaziridines **1, 2** to the bicyclic triazoles **3** is also possible on the surface of silica gel. Thus in the $^1$H NMR spectrum of the untreated mixture of the products of reaction between 3-amino-2-methylquinazolin-4(3H)-one and 1-nitro-4-(cyclopenten-1-ylazo)benzene, we saw the characteristic triplet from the aziridine proton at 3.93 ppm; but after it was separated on a column with silica gel, we could obtain only the corresponding bicyclic 2-(4-nitrophenyl)-2H-1,2,3-triazole (87%) and 2-methylquinazolin-4(3H)-one (82%) [4]. Finally, we note that in the reaction of 1-isopropylazocyclopentene with N-aminophthalimide and the reaction of 1-phenylazocyclohexene with 3-amino-2-methylquinazolin-4(3H)-one, along with the major products (the azoaziridines **1a** and **2b**), we isolated a small amount of the bicyclic triazoles **3a** and **3b**; and the only product from reaction of both heterocycles with 4-(cyclohexen-1-ylazo)anisole proved to be 2-(4-methoxyphenyl)-4,5,6,7-tetrahydro-2H-benzo-1,2,3-triazole, since we obtained azoaziridines **1, 2** with the rest of the azocyclohexene derivatives [4].

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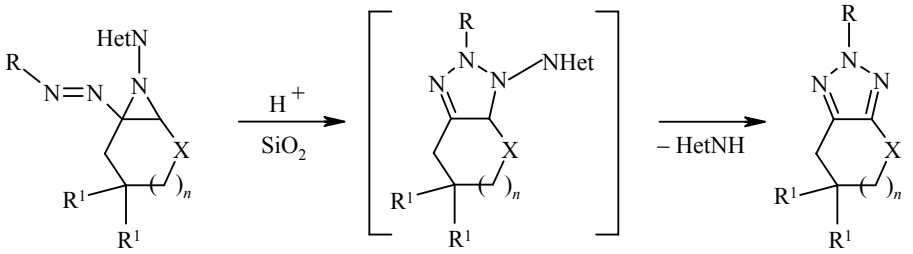
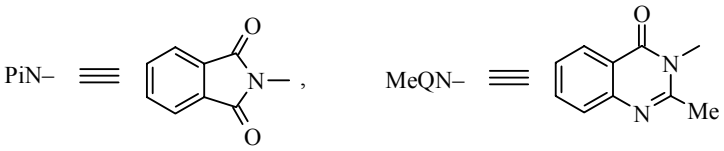
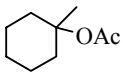


**1a–h**, **2b–d** **3a–d**,**f–h**

**1a–h** HetN = PiN; **2b–d** HetN = MeQN;



**1–3** **a** $n$ = 0, **b–h** $n$ = 1; **a** R = *i*-Pr, $R^1$ = H, X = $CH_2$; **b** R = Ph, $R^1$ = H, X = $CH_2$;
**c** R = *p*-$O_2NC_6H_4$, $R^1$ = H, X = $CH_2$; **d** R = *i*-Pr, $R^1$ = H, X = $CH_2$;

**e** R =  ; $R^1$ = H, X = $CH_2$; **f** R = Ph, $R^1$ = H, X = C=O;

**g** R = *p*-$O_2NC_6H_4$, $R^1$ = H, X = C=O; **h** R = Ph, $R^1$ = Me, X = C=O

The facts listed above suggest that the primary products of oxidative addition of N-aminophthalimide and 3-amino-2-methylquinazolin-4(3H)-one to conjugated azocycloalkenes in all cases are C-azoaziridines **1, 2**, which then can convert (rapidly or slowly) to the corresponding 2H-1,2,3-triazoles **3**. In order to test the generality of this assumption, we studied decomposition of the previously obtained [1-4] bicyclic C-azoaziridines **1, 2** under various conditions. Since it was difficult to predict beforehand what would accelerate decomposition of the azoaziridines, first we tested the effect of a protic acid and carried out decomposition of all the C-azoaziridines **1,2** at room temperature (18-23°C) in a chloroform solution containing 1% $CF_3COOH$ by volume. The extent of conversion of the azoaziridines was monitored by TLC and NMR spectroscopy.

As in pure deuterated chloroform, the adducts **1c, 2c**, and **1g** with a 4-nitrophenyl substituent on the azo group decomposed most rapidly in the presence of $CF_3COOH$; but the preparative yields of bicyclic triazoles **3c,g** proved to be appreciable lower. Phenyl azoaziridines **1b,f,h** and **2b** were converted smoothly and in good yields to the bicyclic triazoles **3b,f,h**, which shows they are less sensitive to a change in reaction conditions. But the adducts **1a,d,e** and **2d** with an alkyl substituent on the azo group did not decompose to any appreciable extent under these conditions. Raising the temperature of the reaction mixture to 50°C led to decomposition of isopropyl azoaziridines **1d, 2d**: transformation of the quinazoline derivative **2d** to form triazole **3d** occurred in very high yield (90%), while transformation of its phthalimide analog **1d** occurred in rather low yield (30%). However, even in this case we did not observe formation of triazoles from alkyl azoaziridines **1a,e**.

Since in chromatographic purification of azoaziridine **1a** we nevertheless isolated a small amount of triazole **3a**, we hypothesized that silica gel, which is a Lewis acid, would be more effective and so we carried out the decomposition of azoaziridines **1a,d,e** on the surface of silica gel. For compound **1d**, this allowed us to double the yield of triazole **3d**; in the case of 6-azabicyclohexane **1a**, we were even able to detect a small amount of triazole **3a**, but the sterically overloaded azoaziridine **1e** did not decompose even under these conditions.

We hypothesize that conversion of azoaziridines **1, 2** to 1,2,3-triazoles **3** occurs through a step involving their rearrangement to form 1,2,3-triazolines, followed by aromatization as a result of loss of a phthalimide or 2-methylquinazolin-4(3H)-one molecule. Transformation of azoaziridines to form 1,2,3-triazolines is similar to the vinylcyclopropane–cyclopentene rearrangement, which is also well known for aziridine derivatives [5-7]. It may occur both according to a concerted mechanism including a [1,3] sigmatropic shift and through biradical formation. However, harsher conditions are typical for this process than the conditions under which we observed conversion of azoaziridines to triazoles [5]. So we do not rule out the possibility that rearrangement to triazoline occurs as a 6*e*-electrocyclic reaction with participation of the unshared pair of the aziridine nitrogen atom, the π-electrons of the azo group, and the C–N σ-bond of the aziridine ring (we note that rearrangement of 1-amino-2-vinylaziridines to 1-amino-3-pyrrolines also occurs at room temperature [7]). The presence of a protic acid or a Lewis acid clearly affects the course of the reaction, but we cannot yet say anything specific about the mechanism for their effect. The inability of azoaziridine **1e** to be transformed to form the bicyclic 1,2,3-triazole is probably explained by the fact that the nitrogen atom of the azo group bearing a bulky tertiary substituent should experience more steric hindrance as it approaches the aziridine nitrogen atom.

Thus our experiments confirm that the C-azoaziridines **1,2** (obtained as a result of oxidative addition of N-aminophthalimide and 3-amino-2-methylquinazolin-4(3H)-one to conjugated azocycloalkenes) can actually be converted to bicyclic 1,2,3-triazoles **3**, analogous to those isolated in reactions with some azocyclopentenes and 1-(4-methoxyphenyl)azocyclohexene. This provides a basis for hypothesizing that oxidative addition of N-amino heterocyclic compounds to the entire series of conjugated azocycloalkenes we studied in [1-4] initially occurs at the carbon–carbon double bond to yield azoaziridines, and formation of bicyclic 1,2,3-triazoles is the result of their secondary conversions in the reaction mixture and also during isolation and purification.

## EXPERIMENTAL

The $^1$H and $^{13}$C NMR spectra were recorded on a Varian Gemini 2000 (200 MHz and 50 MHz respectively) and a Bruker DPX-300 (300 MHz and 75 MHz respectively) in $CDCl_3$; as the internal standard, we used the signals from the residual protons (δ 7.25 ppm) and the carbon atom (δ 77.0 ppm) of the solvent. We used the DEPT spectra to assign the signals for the carbon atoms. The mass spectra were obtained on Finnigan MAT 95 and MX-1303 mass spectrometers. We used ionization by electron impact (ionizing electron energy 70 eV) and the FAB method (Cs source, 20 kV, 0.2 μA) in a matrix of 3-nitrobenzyl alcohol. Elemental analysis was carried out on a Hewlett-Packard HP-185B automatic C,H,N analyzer. The melting points were measured on a Boetius heating stage with a VEB Analytik PHMK 05 microscope within 0.2°C. The composition of the reaction mixtures and the fractions obtained when the mixtures were separated and also the purity of the isolated preparations were monitored by TLC on Macherey–Nagel Polygram Sil G/UV254 and Alugram Sil G/UV254 plates.

**Decomposition of Azoaziridines 1, 2 (General Procedure).** 1% by volume of $CF_3COOH$ was added to distilled chloroform that had been washed with concentrated $H_2SO_4$ and dried with $CaCl_2$. Azoaziridine **1, 2** (1 mmol) was dissolved in this mixture (10 ml) and held for several days at room temperature. The extent of conversion was monitored by TLC and $^1$H NMR spectroscopy. At the end of the reaction, the solvent was driven off under vacuum. The residue was separated by column chromatography on 40-63 μm silica gel using eluents of different polarities.

**Decomposition of 1-Phenylazo-7-phthalimido-7-azabicyclo[4.1.0]heptane (1b).** From aziridine **1b** (211 mg, 0.61 mmol), we obtained phthalimide (64 mg, 71%) and 2-phenyl-4,5,6,7-tetrahydro-2H-benzo-1,2,3-triazole (**3b**) (97 mg, 80%), white needles; mp 94.7-95.2°C (95°C [8]; 92-94°C [9]), $R_f$ 0.67 (ether). $^1$H NMR spectrum, δ, ppm (*J*, Hz): 1.91 (4H, m, H-5,6); 2.82 (4H, m, H-4,7); 7.28 (1H, t, $J$ = 7.5, $C_6H_5$, H-4); 7.46 (2H, t, $J$ = 7.5, $C_6H_5$, H-3,5); 7.99 (2H, d, $J$ = 9, $C_6H_5$, H-2,6). $^{13}$C NMR spectrum, δ, ppm: 21.9 ($C_{(5,6)}$); 23.1 ($C_{(4,7)}$);

118.2 ($C_6H_5$, $C_{(2,6)}$); 126.5 ($C_6H_5$, $C_{(4)}$); 129.1 ($C_6H_5$, $C_{(3,5)}$); 140.1 ($C_6H_5$, $C_{(1)}$); 145.6 ($C_{(3a,7a)}$). Mass spectrum, *m*/*z* (*I*, %): 200 (15), 199 $[M]^+$ (81), 171 (6), 167 (1), 132 (4), 92 (10), 91 (100), 77 (15), 65 (5), 64(20), 63 (6), 51 (8), 41 (7), 39 (7), 27 (4). Found, %: C 72.36; H 6.53; N 20.76. $C_{12}H_{13}N_3$. Calculated, %: C 72.36; H 6.58; N 21.11.

**Decomposition of 1-(4-Nitrophenyl)azo-7-phthalimido-7-azabicyclo[4.1.0]heptane (1c).** From aziridine **1c** (27 mg, 0.07 mmol), we obtained phthalimide (4 mg, 39%) and 2-(4-nitrophenyl)-4,5,6,7-tetrahydro-2H-benzo-1,2,3-triazole (**3c**) (8.5 mg, 51%), yellow sawdust-like material; mp 219°C (208-210°C [9], 204-206°C [10]), $R_f$ 0.37 (hexane–ether, 5:1). $^1$H NMR spectrum, δ, ppm (*J*, Hz): 1.90 (4H, m, H-5,6); 2.82 (4H, m, H-4,7); 8.13 (2H, d, *J* = 9.2, Ar, H-2,6); 8.30 (2H, d, *J* = 9.4, Ar, H-3,5). $^{13}$C NMR spectrum, δ, ppm: 21.9 ($C_{(5,6)}$); 22.8 ($C_{(4,7)}$); 118.1 (Ar, $C_{(2,6)}$); 125.2 (Ar, $C_{(3,5)}$); 144.0 and 145.5 (Ar, $C_{(1,4)}$); 147.8 ($C_{(3a,7a)}$). Mass spectrum, *m*/*z* (*I*, %): 245 (15), 244 $[M^+]$ (100), 243 (2), 228 (2), 216 (9), 214 (7), 198 (7), 170 (2), 157 (1), 149 (3), 136 (16), 122 (5), 115 (4), 106 (9), 90 (36), 80 (16), 76 (10), 63 (30), 55 (8), 50 (8), 41 (10), 39 (24), 30 (32), 27 (6).

**Decomposition of 1-Isopropylazo-7-phthalimido-7-azabicyclo[4.1.0]heptane (1d).** From aziridine **1d** (339 mg, 1.09 mmol), we obtained phthalimide (119 mg, 75%) and 2-isopropyl-4,5,6,7-tetrahydro-2H-benzo-1,2,3-triazole (**3d**) (56 mg, 31%), greenish-yellow viscous liquid, $R_f$ 0.43 (ether). $^1$H NMR spectrum, δ, ppm (*J*, Hz): 1.49 (6H, d, *J* = 6.6, $2CH_3$); 1.78 (4H, m, H-5,6); 2.64 (4H, m, H-4,7); 4.67 (1H, s, *J* = 6.7, CH). $^{13}$C NMR spectrum, δ, ppm; 21.7 ($C_{(5,6)}$); 22.4 ($2CH_3$); 23.1 ($C_{(4,7)}$); 56.4 (CH); 142.9 ($C_{(3a,7a)}$). Mass spectrum, *m*/*z* (*I*, %): 165 $[M]^+$ (25), 164 (23), 151 (12), 150 (100), 123 (1), 122 (10), 110 (3), 109 (15), 104 (5), 95 (8), 94 (9), 82 (4), 76 (5), 67 (23), 56 (5), 55 (10), 54 (12), 53 (10), 52 (8), 50 (3), 43 (25), 42 (50), 41 (43), 40 (10), 39 (23).

**Decomposition of 1-(1-Acetoxycyclohexyl)azo-7-phthalimido-7-azabicyclo[4.1.0]heptane (1e).** Analysis of samples of the reaction mixture by $^1$H NMR spectroscopy after 2 days and 5 days showed that no decomposition of aziridine **1e** (98 mg, 0.24 mmol) occurs. Raising the temperature of the reaction mixture up to 50°C (withdrawing samples after 2 h, 5 h, 24 h, 48 h) also did not cause decomposition of aziridine. Silica gel (5 ml) was added to the solution and the solvent was evaporated off under vacuum. The silica gel with the supported azoaziridine was allowed to stand for 7 days at room temperature, and then was extracted several times with ether. According to TLC data, the extract contains only azoaziridine **1e**. The ether was evaporated under vacuum; the azoaziridine (92 mg) was dissolved in acidified chloroform and heated at 50°C. The extent of conversion was monitored by TLC. After 4 days, the intensity of the azoaziridine spot decreased, but signs of tar formation in the reaction mixture appeared, markedly increasing within 24 hours. The solvent was driven off under vacuum, the oily residue was separated on silica gel (10 g) using a 5:1 hexane–ether mixture as the eluent. 47 mg of azoaziridine **1e** and 7 mg of phthalimide were isolated; checking by TLC the tarry residue moved from the start as a blurred spot. We did not detect formation of bicyclic 1,2,3-triazole.

**Decomposition of 6-Phenylazo-7-phthalimido-7-azabicyclo[4.1.0]heptan-2-one (1f).** From aziridine **1f** (174 mg, 0.48 mmol), we obtained phthalimide (50 mg, 71%) and 2-phenyl-2,5,6,7-tetrahydro-4H-benzo-1,2,3-triazol-4-one (**3f**) (76 mg, 74%), white needles; mp 107-108°C, $R_f$ 0.50 (methylene chloride). $^1$H NMR spectrum, δ, ppm (*J*, Hz): 2.25 (2H, q, *J* = 6.4, H-6); 2.71 (2H, t, *J* = 6.2, H-7); 3.04 (2H, t, *J* = 6.2, H-5); 7.40 (1H, t, *J* = 7.3, $C_6H_5$, H-4); 7.49 (2H, t, *J* = 7.7, $C_6H_5$, H-3,5); 8.14 (2H, d, *J* = 8.1, $C_6H_5$, H-2,6). $^{13}$C NMR spectrum, δ, ppm: 22.4 ($C_{(6)}$); 23.8 ($C_{(7)}$); 40.3 ($C_{(5)}$); 120.4 ($C_6H_5$, $C_{(2,6)}$); 129.4 ($C_6H_5$, $C_{(4)}$); 130.0 ($C_6H_5$, $C_{(3,5)}$); 140.0 ($C_6H_5$, $C_{(1)}$); 143.3 ($C_{(7a)}$); 155.6 ($C_{(3a)}$); 192.7 ($C_{(4)}$). Mass spectrum, *m*/*z* (*I*, %): 214 (8), 213 $[M]^+$ (48), 186 (2), 185 (12), 184 (2), 156 (0.5), 128 (2), 118 (5), 105 (16), 91 (33), 77 (100), 76 (8), 65 (6), 64 (14), 63 (6), 55 (7), 51 (25), 41 (11), 39 (11).

**Decomposition of 6-(4-Nitrophenyl)azo-7-phthalimido-7-azabicyclo[4.1.0]heptan-2-one (1g).** From aziridine **1g** (141 mg, 0.35 mmol), we obtained phthalimide (20 mg, 39%) and 2-(4-nitrophenyl)-2,5,6,7-tetrahydro-4H-benzo-1,2,3-triazol-4-one (**3g**) (38 mg, 42%), orange platelets; mp 209°C, $R_f$ 0.40 (methylene chloride). $^1$H NMR spectrum, δ, ppm (*J*, Hz): 2.29 (2H, q, *J* = 6.3, H-6); 2.76 (2H, t, *J* = 6.7, H-7); 3.09 (2H, t, *J* = 5.9, H-5); 8.36 (4H, m, $C_6H_4$). $^{13}$C NMR spectrum, δ, ppm: 22.4 ($C_{(6)}$); 23.7 ($C_{(7)}$); 40.5 ($C_{(5)}$); 120.8 (Ar,

$C_{(2,6)}$); 125.9 (Ar, $C_{(3,5)}$); 143.9 and 144.5 (Ar, $C_{(1,4)}$); 148.0 ($C_{(7a)}$); 156.5 ($C_{(3a)}$); 192.4 ($C_{(4)}$). Mass spectrum, *m*/*z* (*I*, %): 259 (16), 258 $[M]^+$ (100), 243 (2), 230 (20), 212 (2), 183 (2), 150 (14), 136 (3), 123 (5), 122 (65), 106 (3), 96 (5), 93 (6), 92 (12), 90 (7), 76 (18), 75 (17), 68 (6), 64 (8), 63 (12), 55 (21), 50 (10), 41 (12), 39 (12), 30 (8).

**Decomposition of 4,4-Dimethyl-6-phenylazo-7-phthalimido-7-azabicyclo[4.1.0]heptan-2-one (1h).** From aziridine **1h** (276 mg, 0.71 mmol), we obtained phthalimide (66 mg, 64%) and 6,6-dimethyl-2-phenyl-2,5,6,7-tetrahydro-4H-benzo-1,2,3-triazol-4-one (**3h**) (107 mg, 63%), white platelets; mp 113.5°C (116-118°C [11]). $^1$H NMR spectrum, δ, ppm (*J*, Hz): 1.16 (6H, s, $2CH_3$); 2.57 (2H, m, H-7); 2.90 (2H, m, H-5); 7.39 (1H, t, *J* = 7.2, $C_6H_5$, H-4); 7.49 (2H, t, *J* = 7.7, $C_6H_5$, H-3,5); 8.14 (2H, d, *J* = 8.2, $C_6H_5$, H-2,6). $^{13}$C NMR spectrum, δ, ppm: 29.1 ($2CH_3$); 36.2 ($C_{(6,7)}$); 54.2 ($C_{(5)}$); 120.3 ($C_6H_5$, $C_{(2,6)}$);129.4 ($C_6H_5$, $C_{(4)}$); 130.0 ($C_6H_5$, $C_{(3,5)}$); 140.1 ($C_6H_5$, $C_{(1)}$); 142.6 ($C_{(7a)}$); 154.6 ($C_{(3a)}$); 192.2 ($C_{(4)}$). Found, %: C 69.72; H 6.13; N 17.61. $C_{14}H_{15}N_3O$. Calculated, %: C 69.69; H 6.26; N 17.41.

**Decomposition of 2-Methyl-3-(1-phenylazo-7-azabicyclo[4.1.0]hept-7-yl)quinazolin-4(3H)-one (2b).** From aziridine **2b** (177 mg, 0.49 mmol), we obtained quinazolinone (57 mg, 72%) and 2-phenyl-4,5,6,7-tetrahydro-2H-benzo-1,2,3-triazole (**3b**) (83 mg, 85%); mp 93.5°C.

**Decomposition of 2-Methyl-3-(1-(4-nitrophenyl)azo-7-azabicyclo[4.1.0]hept-7-yl)quinazolin-4(3H)-one (2c).** From aziridine **2c** (78 mg, 0.19 mmol), we obtained quinazolinone (18 mg, 58%) and 2-(4-nitrophenyl)-4,5,6,7-tetrahydro-2H-benzo-1,2,3-triazole (**3c**) (22 mg, 47%).

**Decomposition of 3-(1-Isopropylazo-7-azabicyclo[4.1.0]hept-7-yl)-2-methylquinazolin-4(3H)-one (2d).** From aziridine **2d** (363 mg, 1.12 mmol), we obtained quinazolinone (103 mg, 58%) and 2-isopropyl-4,5,6,7-tetrahydro-2H-benzo-1,2,3-triazole (**3d**) (168 mg, 91%).

**Decomposition of 1-Isopropylazo-6-phthalimido-6-azabicyclo[3.1.0]hexane (1a) on Silica Gel.** A solution of aziridine **1a** (78 mg, 0.26 mmol) in ether was mixed with silica gel (1 g) and then the solvent was evaporated off under vacuum. The silica gel with the applied aziridine was allowed to stand for 24 hours at room temperature. The mixture of reaction products was extracted several times with ether. Then the solvent was driven off under vacuum and the oily residue was separated on a column with silica gel (5 g) using a 7:1 petroleum ether–ether mixture as the eluent, gradually increasing the fraction of the latter up to 5:1. Liquid 2-isopropyl-2,4,5,6-tetrahydrocyclopenta-1,2,3-triazole (**3a**) (7 mg, 18%) was isolated. $^1$H NMR spectrum, δ, ppm (*J*, Hz): 1.53 (6H, d, *J* = 6.7, $2CH_3$); 2.48 (2H, q, *J* = 7.1, H-5); 2.73 (4H, t, *J* = 7.3, H-4,6); 4.72 (1H, septuplet, *J* = 6.7, CH).

**Decomposition of 1-Isopropylazo-7-phthalimido-7-azabicyclo[4.1.0.]heptane (1d) on Silica Gel.** A solution of aziridine **1d** (312 mg, 1 mmol) in ether was mixed with silica gel (2 g) and then the solvent was evaporated under vacuum. The reaction mixture was held for 4 days at room temperature. The mixture of reaction products was extracted several times with ether and the solvent was driven off under vacuum. The oily residue was dissolved in a minimal amount of ether and the precipitate formed was filtered out (phthalimide, 79 mg). The residue was separated on a column with silica gel (10 g), using a 5:1 hexane–ether mixture as the eluent. We obtained 20 mg (total yield, 99 mg (67%)) of phthalimide and compound **3d** (153 mg, 93%).

## REFERENCES


1. M. A. Kuznetsov, L. M. Kuznetsova, and J. G. Schantl, *Zh. Org. Khim.*, **36**, 836 (2000).
2. M. A. Kuznetsov, L. M. Kuznetsova, J. G. Schantl, and K. Wurst, *Eur. J. Org. Chem.*, 1309 (2001).
3. M. A. Kuznetsov, V. N. Belov, and S. M. Buchaka, *Zh. Org. Khim.*, **41**, 214 (2005).
4. S. M. Buchaka, M. A. Kuznetsov, and J. G. Schantl, *Khim. Geterotsikl. Soedin.*, 1043 (2004).
5. T. Laue and A. Plagens, *Named Organic Reactions* [in German], B. G. Teubner, Stuttgart (1995), p. 309.

6. J. March, *Advanced Organic Chemistry: Reactions, Mechanisms, and Structure*, 4th. Ed., Wiley, New York (1992), p. 1128.
7. V. N. Belov, A. N. Blandov, and M. A. Kuznetsov, *Zh. Obshch. Khim.*, **66**, 658 (1996).
8. K. Fries, W. Franke, and W. Bruns, *Liebigs Ann. Chem.*, **511**, 241 (1934).
9. R. N. Butler and L. M. Wallace, *J. Chem. Soc.*, *Perkin Trans. 1*, **24**, 4335 (2000).
10. R. N. Butler, A. M. Evans, E. M. Mac Neela, G. A. O'Hallovan, and P. D. O'Shea, *J. Chem. Soc., Perkin Trans. 1*, **9**, 2527 (1990).
11. S. Lefkopolou, J. Stephanidou-Stephanatou, C. Tsoleridis, and N. E. Alexandrou, *Helv. Chim. Acta*, **68**, 1748 (1985).