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Thermal rearrangement of 2,3-diaryl-1-phthalimidoaziridines

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Abstract

2,3-Diaryl-1-phthalimidoaziridines and 2,3-diaryl-1-phthalimidoaziridine-2-carbonitriles were found to readily undergo thermal rearrangement into imines *via* 1,2-migration of the phthalimido group and accompanying C–C bond cleavage. Isomerization proceeds regioselectively with preferable migration to the electron-deficient carbon atom. Interestingly, this reaction was found to predominate even in the presence of dipolarophiles.

Keywords: aziridines, rearrangement, phthalimide, stilbenes, imines

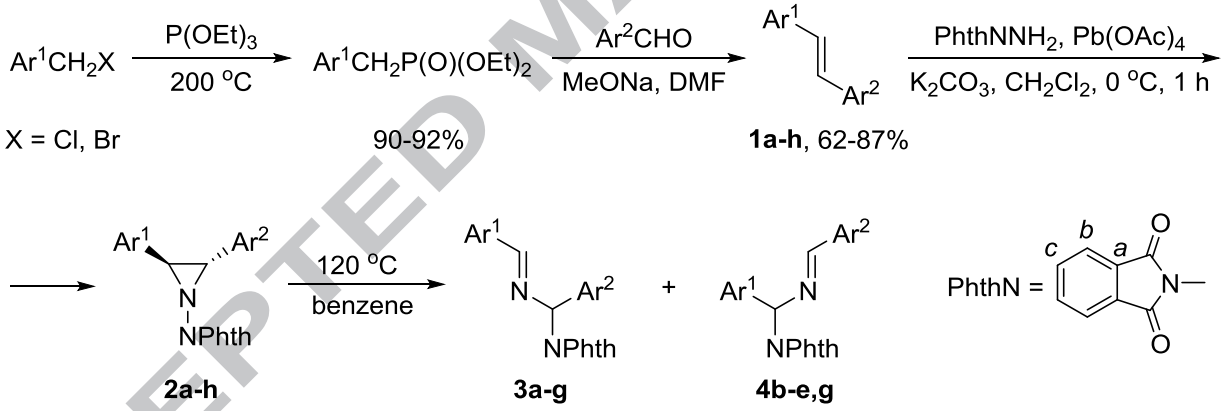
The utilization of aziridines in organic chemistry is popular due to the various transformations that they undergo.[1-3] Upon treatment with various nucleophiles[4-6] or in the presence of Lewis acids[7,8] ring-opening *via* C–N bond cleavage usually occurs, giving highly functionalized amines and the products of their subsequent transformations. On the other hand, upon thermolysis or irradiation, C–C bond cleavage occurs, proceeding as a concerted process which obeys the orbital symmetry conservation rules to afford octet-stabilized 1,3-dipoles – azomethine ylides.[9] These reactive intermediates can be involved in 1,3-dipolar cycloaddition reactions with various unsaturated bonds in both an intermolecular or intramolecular manner, allowing the formation of five-membered heterocycles in one synthetic step.[10-12]

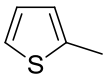
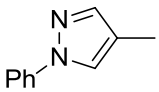
The *N*-phthalimidoaziridine motif is particularly interesting as it offers the advantage of a masked amino group on the nitrogen atom, while the phthalimido group also acts as an electron-withdrawing substituent which governs new transformations. We have previously demonstrated the utility of *N*-phthalimidoaziridines in the synthesis of a wide range of monocyclic,[13-15] polycyclic condensed[16] and spiro[17] nitrogen heterocycles *via* the 1,3-dipolar cycloaddition reaction of the corresponding thermally generated *N*-phthalimidoazomethine ylides. Additionally, we have reported that acyl substituted *N*-phthalimidoaziridines could be converted into 1,3-oxazoles with simultaneous loss of a phthalimide group, that was explored as a useful synthetic procedure.[18,19] Another general thermal reaction is isomerization to give imines, which proceeds *via* the 1,2-migration of the phthalimide fragment along with C–C bond cleavage and has been reported for di-,[20] tri- and tetrasubstituted[21] *N*-phthalimidoaziridines. Whereas for the majority of aziridines studied this rearrangement was observed as a side reaction or even suppressed during the 1,3-dipolar cycloaddition reaction, in the case of 2,3-diphenyl-1-phthalimidoaziridine, the corresponding imine – [(1*E*)-benzylidene][phenyl(phthalimid-2-yl)methyl]amine – was formed as the major product even in the presence of active dipolarophiles such as *N*-phenylmaleimide.[20] While this is unusual because examples of 'normal' cycloaddition reactions are known for *N*-alkyl-,[22-26] *N*-aryl-,[26-28] *N*-aroyl-,[29] and *N*-alkoxycarbonyl-2,3-diphenylaziridines,[24] at the same time, certain *N*-benzyl-,[30] *N*-cyclohexyl-[31] and *N*-(1,2-

di(methoxycarbonyl)vinyl)[32]-substituted aziridines have been reported to undergo similar thermal rearrangements to the corresponding imines. It is important to note that each of the afore mentioned compounds possess at least one aryl group at the aziridine carbon atoms. To explore the generality of this rearrangement for *N*-phthalimidoaziridines and the role of the substituents, we have examined the thermal behavior of 2,3-diaryl-1-phthalimidoaziridines with electron-withdrawing and electron-donating substituents on the aryl rings and report our results herein.

trans-Stilbenes **1a-h** were prepared by known methods using the Horner-Wadsworth-Emmons reaction (Table 1).[33-35] Oxidative addition of *N*-aminophthalimide gave stable, crystalline aziridines **2a-h** in good yields. Although the reactions were carried out at 0 °C, better yields were achieved by warming the reaction to room temperature. According to their ^{1}H NMR spectra at 25 °C, aziridines **2b-e,g,h** exist as mixtures of two invertomers in ratios ranging from ~1:0.23 for **2h** to ~1:0.85 for **2c**. The slow inversion on the NMR timescale of the *endo*-cyclic nitrogen atom is a common feature of *N*-phthalimidoaziridines,[36] and the high content of the minor invertomers could be explained by the similar sizes of both aromatic substituents. Symmetric aziridines **2a,f** exist as single invertomers due to degenerate inversion, but their aryl substituents showed two signal sets in the NMR spectra. The values of vicinal coupling constants between the aziridine protons (^3J = 5.5-6.0 Hz) confirmed the *trans*-orientation of the substituents on the aziridines **2a-h**.

Table 1. Preparation of aziridines **2a-h** and their isomerization into imines **3** and **4**



Entry	Stilbene	Ar^1	Ar^2	Aziridine	Isolated yield (%)	Time (h)	Imines	Ratio **3**:**4**[a]
1	**1a**	Ph	Ph	**2a**	83	6	**3a**	–
2	**1b**	Ph	4-$MeOC_6H_4$	**2b**	60	7.5	**3b**/**4b**	1:1.5
3	**1c**	Ph	4-ClC_6H_4	**2c**	56	6.5	**3c**/**4c**	1:1
4	**1d**	Ph	4-$O_2NC_6H_4$	**2d**	84	6	**3d**/**4d**	1:0.8
5	**1e**	4-$O_2NC_6H_4$	4-$MeOC_6H_4$	**2e**	60	5	**3e**/**4e**	1:2.5
6	**1f**	4-$O_2NC_6H_4$	4-$O_2NC_6H_4$	**2f**	65	8	**3f**	–
7	**1g**	Ph		**2g**	62	1	**3g**/**4g**	1:2
8	**1h**	Ph		**2h**	84	2	traces	–

[a] According to the ^{1}H NMR spectra of the crude product.

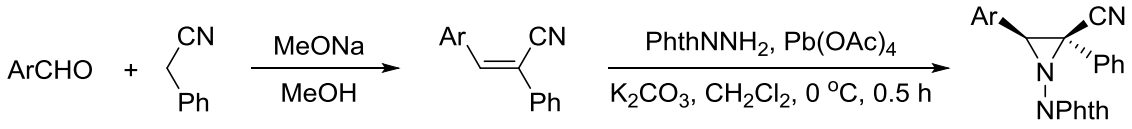
Thermolysis of *trans*-2,3-diaryl-1-phthalimidoaziridines **2b-h** was performed in a sealed glass reactor in absolute benzene at 120 °C.[20] According to the ^{1}H NMR spectra of the reaction mixtures, in all cases the rearrangement occurred in nearly quantitative conversion, giving a mixture of two products for unsymmetrical aziridines **2b-e,g** and a single product for symmetric aziridines **2a,f**. The reaction of pyrazolylaziridine **2h** resulted only in a mixture of decomposition

products. Imines **3** and **4** were found to be stable in solution, but could not be isolated by column chromatography or crystallization. Nevertheless, the ^{1}H and ^{13}C NMR spectra of the reaction mixtures showed only signals for imines **3** and **4** and were clear enough to assign all protons. The NMR spectra of imines **3** and **4** all had similar features and were comparable to the spectra of compound **3a**, of which the structure was previously established by our group.[20] The aromatic and phthalimide fragments of the initial aziridines were retained in the products, and the most characteristic signals for imines **3a-f** and **4b-e** were assigned as the NCHN (δ_H 6.83-6.99, δ_C 72.0-74.1 ppm) and CH=N (δ_H 8.36-8.50, δ_C 159.9-163.4 ppm) groups (the positions of these signals for the thienyl substituted imines **3**,**4g** were slightly shifted, see ESI for details). The HRMS data for the imines were the same as the corresponding aziridines indicating that they had undergone structural isomerization.

The ratio of products **3/4b-e,g** in the reaction mixtures was determined by ^{1}H NMR spectroscopy. The assignment of the proton signals to the isomeric imines was achieved by sequential comparison of their positions, intensities and spin-spin coupling constants. For the aromatic rings, the change of the ArCH=N position to NCH(Ar)N shifted the signals of the *ortho*-protons to a higher field by 0.25-0.30 ppm, whereas the *meta*-protons remain almost unchanged. Additionally, the structures of imines **3e** and **4e** were confirmed from the 2D NOESY H-H spectrum of the mixture. For the major isomer, cross-peaks between CH=N (8.36 ppm) and NCHN (6.84 ppm) proton signals were clearly observed and similar NOE cross-peaks were observed for the *ortho*-protons of 4-$O_2NC_6H_4$ (7.77 ppm) / NCHN and the *ortho*-protons of 4-$MeOC_6H_4$ (7.83 ppm) / CH=N pairs which confirmed the assigned structure **3e**. The corresponding correlations were also found for the minor isomer **4e** (see ESI).

Thus, for aziridines **2b-e,g** the rearrangement proceeds in a regioselective manner with preferred migration of the PhthN group to the more electron-deficient carbon atom (Table 1). However, these results contradict the work of Person *et al.*[21] who reported that the rearrangement of tri- and tetrasubstituted *N*-phthalimidoaziridines with at least one cyano group occurred quantitatively, even at room temperature in benzene or chloroform, to give a mixture of two isomeric imines with preferred migration of the phthalimide moiety to the carbon atom without the electron-withdrawing cyano group.[21] However, this information regarding the isomer ratios may be unreliable as these data[21] were opposite to those reported in a previous article[37] by the same authors (Table 2). In the case of aziridine **6b** (*vide infra*), a yield of 100% was indicated for imine **8b** whereas the characterization data were given for isomer **7b**.[21] In other cases, the NMR spectroscopic data were specified only for one of the two isomers.

We then elected to reproduce several of the reactions described in the literature.[21] 2-Arylidenephenylacetonitriles **5a-c** were prepared from substituted benzaldehydes and phenylacetonitrile using a literature procedure[38] and examined in the oxidative aminoaziridination reaction (Scheme 1). According to our standard procedure, using K_2CO_3 as base, we achieved an improved yield of aziridine **6a** (80% instead of 61%[21]). Although the decomposition point was lower (113-115 °C *vs.* 149 °C[21]), accurate HRMS data were obtained, and the chemical shift of the aziridine proton (δ 5.71 ppm) corresponded to that reported earlier.[21] The high instability of aziridine **6a** in $CDCl_3$ solutions impeded obtaining a well-resolved ^{13}C NMR spectrum. Aziridine **6c** possessed an analogous ^{1}H NMR spectrum and additionally appeared to be slightly more stable, although far less soluble in $CDCl_3$; nevertheless all characteristic signals were clearly observed in the ^{13}C NMR spectrum, confirming the assigned structure. Formation of aziridine **6b** was observed by TLC but it could not be isolated due to instability.

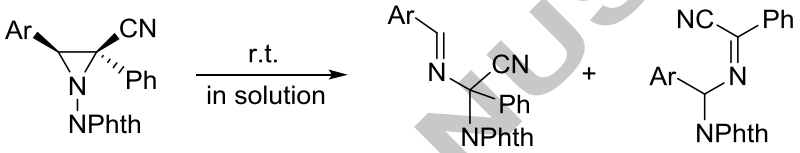


a Ar = Ph — **5a** 92% — **6a** 80%
b Ar = 4-$MeOC_6H_4$ — **b** 91% — **b** unstable
c Ar = 4-$O_2NC_6H_4$ — **c** 93% — **c** 87%

Scheme 1. Preparation of aziridines **6a-c**

Although aziridines **6a,c** appeared as stable colorless crystalline substances, they were found to decompose readily in solutions of chloroform, acetone, and benzene at room temperature. A 1,2-shift of the PhthN group appeared to be the main process and two imines were detected using NMR spectroscopy and TLC (Table 2). Pure samples of imines **7a,b** and **8a,b** could be isolated by column chromatography on silica while the mixture of imines **7c**/**8c** was inseparable.

Table 2. Rearrangement of aziridines **6** into imines **7** and **8**



6a-c **7a-c** **8a-c**

Entry	Aziridine	Ar	Previously reported ratio **7**:**8**[21]	Ratio **7**:**8** (total yield, solvent)[a]	Isolated yield (%)	
					7	**8**
1	**6a**	Ph	1:1.08 (chloroform)	1:0.75 (81%, chloroform) 1:0.44 (79%, benzene) 1:0.35 (82%, acetone)	63[b]	20[b]
2	**6b**	4-$MeOC_6H_4$	0:1 (dichloromethane)	1:0.43 (dichloromethane)[c]	51	15
3	**6c**	4-$O_2NC_6H_4$	–	1:0.77 (81%, chloroform) 1:0.62 (90%, benzene)	73 (1:0.55)[b]	

[a] According to the ^{1}H NMR spectra.
[b] Reaction in benzene.
[c] NMR yield is not indicated since aziridine **6b** was not isolated in pure form.

Signal assignment in the NMR spectra of the isomeric imines was based on similarities previously discussed for the disubstituted analogs. The aliphatic proton showed a singlet at δ 8.80-8.97 ppm for the imine position of **7a-c** and at δ 7.20-7.29 ppm for the amine position of **8a-c**, which corresponded well with the values for imines **3** and **4**. The *ortho*-protons of the phenyl ring near the cyano group in imines **8a-c** gave the most downfield signal (δ ~8.12 ppm) amongst all of the aromatic protons of both imines. In the ^{13}C NMR spectra, the signals of the carbon atom bearing the PhthN group were found at nearly the same position for both isomers (δ 76.9-77.1 ppm for imines **7a-c** and δ 71.1-72.7 ppm for imines **8a-c**) whereas the chemical shift of the C=N carbon atom was more characteristic: 161.6-164.0 ppm for imines **7a-c** and 143.6-144.0 ppm for imines **8a-c**.

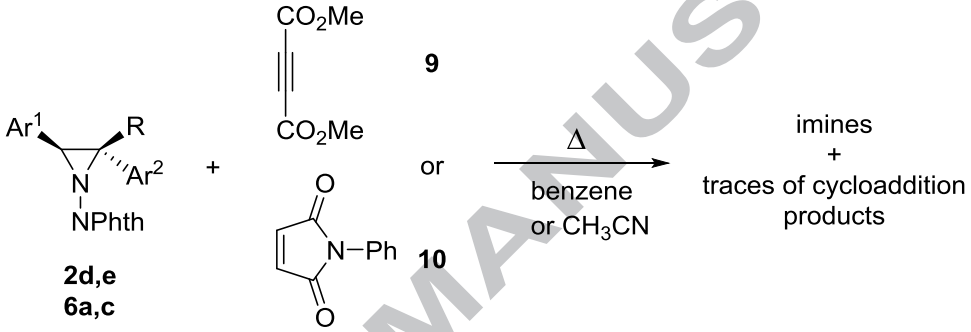
Compared to the disubstituted *N*-phthalimidoaziridines **2**, trisubstituted compounds **6** were found to be much less stable which was in agreement with the greater reactivity of tri- and tetrasubstituted aziridines.[15] However, imines **7** and **8** could be isolated from reaction mixtures as pure solid substances whereas imines **3** and **4** were very unstable.

The ratios of **7**:**8** demonstrated that the thermal isomerization of 2,3-diaryl-1-

phthalimidoaziridine-2-carbonitriles proceeded with the same regioselectivity as we had established for the analogous 2,3-diarylaziridines. The PhthN group preferably migrated to the carbon atom with the more electron-withdrawing substituent.

Finally, we examined the ability of selected 2,3-diaryl-1-phthalimidoaziridines to take part in a 1,3-dipolar cycloaddition reaction (Table 3). As we had previously reported,[20] aziridine **2a** does not react, even with an active dipolarophile – *N*-phenylmaleimide. Thermolysis of aziridines **2d,e** and **6a,c** in the presence of dimethyl acetylenedicarboxylate (**9**) or *N*-phenylmaleimide (**10**) (equimolar ratio of reagents) gave the corresponding imines as the major components of the reaction mixtures, and only trace signals of cycloaddition products were found in the crude ^{1}H NMR spectra, clearly indicating that rearrangement of these aziridines into imines predominates over the 1,3-dipolar cycloaddition reaction.

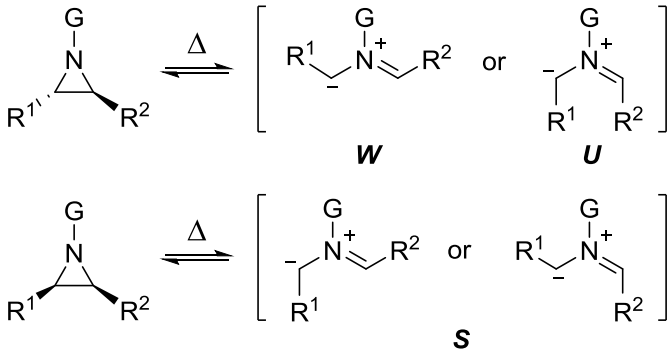
Table 3. Transformations of aziridines **2d,e** and **6a,c** in the presence of dipolarophiles **9,10**



Aziridine	Ar^1	Ar^2	R	Dipolarophile	T (°C)	Imines
2d	Ph	4-$O_2NC_6H_4$	H	**9**	120	**3d/4d**
2e	4-$O_2NC_6H_4$	4-$MeOC_6H_4$	H	**10**	120	**3e/4e**
6a	Ph	Ph	CN	**10**	25	**7a/8a**
6c	4-$O_2NC_6H_4$	Ph	CN	**10**	25	**7c/8c**

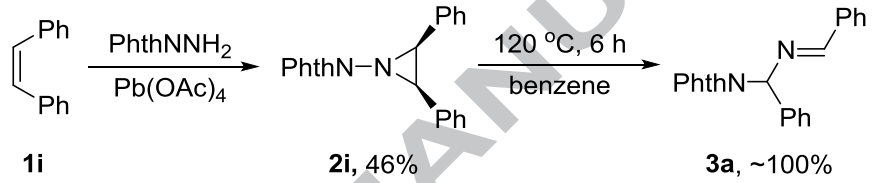
Taking into account the above mentioned examples[30-32] of 1,2-migration of the *N*-substituent, we propose that such thermal rearrangement is inherent to aziridines possessing at least one aryl group at the carbon atoms. Earlier we reported that thermolysis of a cinnamonitrile derived *N*-phthalimidoaziridine gave an imine with the PhthN group at the carbon atom containing the electron-withdrawing cyano substituent.[20] However, this aziridine successfully underwent the 1,3-dipolar cycloaddition reaction and isomerization into the imine was not the major transformation even in the absence of a dipolarophile (imine yield 23%).[20] However, for aziridines **2a-g** and **6a-c** the cumulative effect of the two aryl groups was dramatic.

On one hand, the presence of the two aryl rings together with the phthalimide group may provide a large steric hindrance for the *W*- or *U*-azomethine ylide configuration, which are usually proposed as intermediates in the thermal transformations of *trans*-substituted aziridines (Scheme 2). In this case, a *cis*-2,3-diarylaziridine can give a different result due to thermally allowed conrotatory ring-opening of the C-C bond to stereospecifically generate the less sterically crowded *S*-azomethine ylides.



Scheme 2. Thermally allowed conrotatory ring-opening of *trans*- and *cis*-aziridines into azomethine ylides

Therefore, we prepared *cis*-2,3-diphenyl-1-phthalimidoaziridine[39] (**2i**) from *cis*-stilbene (**1i**) (Scheme 3). Thermolysis in the same manner as the *trans*-isomer aziridine **2a** gave only imine **3a**, thus steric factors could not be considered as the main reason for this chemoselectivity.



Scheme 3. Preparation and thermolysis of aziridine **2i**

Person[21] proposed a concerted 1,2-shift of the phthalimide moiety from the nitrogen atom to the carbon atom in azomethine ylides as a mechanism for this process, similar to the Stevens rearrangement of tertiary ammonium salts.[40] This ignores the fact that N–N bond lies in a π-system nodal plane of the octet-stabilized azomethine ylide; therefore a concerted shift in such ylide is restricted.

We presume that the 1,2-shift of the PhthN group occurs simultaneously with (or not later than) the C–C bond cleavage without real formation of the azomethine ylide (the sluggish 1,3-dipolar cycloaddition can be considered as an additional argument) and may be facilitated by a weak N–N bond. This hypothesis can also explain the regioselectivity of the migration. If heterolytic cleavage (evidence against a radical mechanism have been discussed)[21] of the N–N bond provides a negatively charged phthalimido moiety (stabilized by two C=O groups), it should preferably migrate to the carbon atom containing the electron-withdrawing substituent as we have established. Assuming this migration in azomethine ylides, the regioselectivity should be opposite because the electron-deficient end of 1,3-dipole is better stabilized with a donating substituent.

Scheme 4. Plausible mechanism for the thermal rearrangement of *N*-phthalimidoaziridines into imines

In summary, the rearrangement into imines is a general reaction pathway in the thermal transformation of 2,3-diaryl-1-phthalimidoaziridines. The reaction includes a 1,2-shift of a

phthalimide fragment, preferably to the carbon atom with a more electron-withdrawing substituent, along with C–C bond cleavage. The presence of an aryl group(s) at the carbon atom and a PhthN group on the nitrogen atom plays a key role, whereas the configuration of the initial aziridine had no impact. This process takes place even in the presence of dipolarophiles making participation of these aziridines in synthetically useful intermolecular reactions (*e.g.*, 1,3-dipolar cycloaddition) unfavorable. Similar behavior can be anticipated for other 2,3-diarylaziridines and therefore should be taken into account in synthetic planning.

Acknowledgements

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Graphical Abstract

Thermal rearrangement of 2,3-diaryl-1-phthalimidoaziridines

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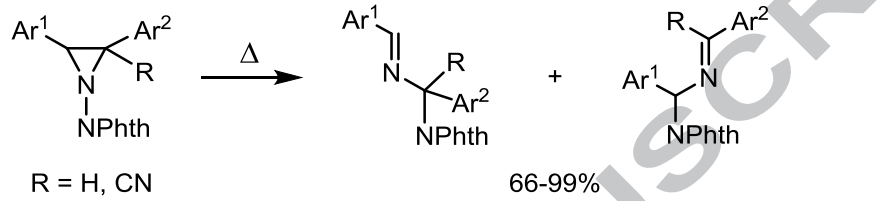

R = H, CN

66-99%