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CHEMISTRY OF NITROAZIRIDINES

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Abstract – This review article introduced synthetic procedures for the preparation of structurally diverse nitroaziridines. In addition, this article also summarized reactivity of nitroaziridines caused by the inherent strain and high electrophilicity of the small ring. These properties facilitate their use as a functionalized building blocks in synthetic and medicinal chemistry.

INTRODUCTION

Aziridines are an important class of nitrogen-containing heterocycles that can be found in a number of biologically active compounds, such as mitomycin, porfiromycin, and azinomycin.[1] Due to the inherent ring strain and high electrophilicity, they undergo ring-opening reactions with various nucleophiles to produce a wide range of nitrogen-containing compounds such as amino acids, amino sugars and alkaloids.[2] In contrast to epoxides, aziridines can be readily metalated and reacted with electrophiles,[3] leading to a broader range of functionalized derivatives, which serve as versatile building blocks in organic synthesis.[1,4] Indeed, a substantial number of functionalized aziridines have been transformed into useful products such as an HIV protease inhibitor,[5] communesin,[6] ceramide,[7] and oseltamivir[8] *via* rearrangement, cycloaddition, and ring expansion reactions.[4]

Among various functional groups, the nitro group is highly attractive in synthetic chemistry owing to the strongly electron-withdrawing ability and its versatility for obtaining diverse functionalized compounds by chemical conversion.[9] Considering the above features, we focused our attention on nitroaziridines, which are important synthetic intermediates.[10] Hence, the chemistry of nitroaziridines is reviewed here.

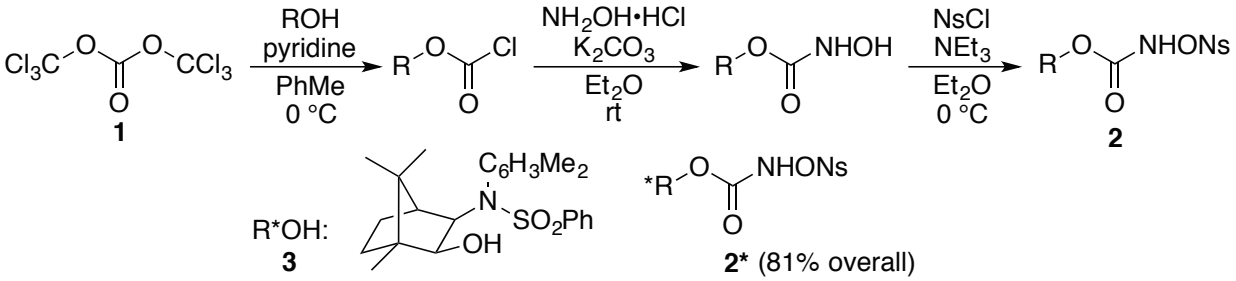
This article is dedicated to Professor Tohru Fukuyama on the occasion of his 70th birthday.

1. SYNTHESIS OF NITROAZIRIDINES

1.1. C–C + N Aziridination

1.1.1. Addition of *N*-nosyloxycarbamate

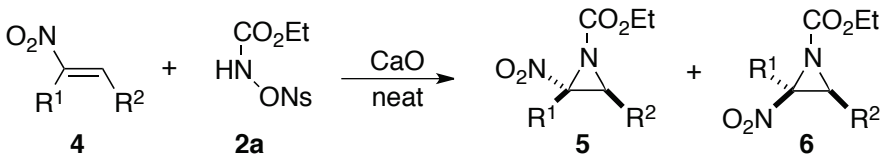
Among available preparative methods for nitroaziridines, the direct aziridination of nitroalkenes offers the most efficient and practical approach, as it requires only simple experimental manipulations. Fioravanti *et al*. employed *N*-nosyloxycarbamates (**2**), which are prepared from triphosgen (**1**) in three steps, as N1 units.[11] Moreover, they prepared chiral carbamate (**2***) by employing chiral alcohol (**3**) in the first step (Scheme 1).[11,12]



Scheme 1. Preparation of *N*-nosyloxycarbamate (**2**)

The reaction between nosyloxycarbamate (**2a**) and nitroalkenes (**4**) in the presence of calcium oxide gives a mixture of isomeric *N*-ethoxycarbonylated nitroaziridines (**5**) and (**6**).[13,14] This reaction efficiently proceeds even in the absence of solvent (Table 1).[15] Moreover, a diastereoselective aziridination is achieved by introducing a chiral group into the ester functionality of **2**[12] or into the R^2 substituent of the nitroalkene.[11]

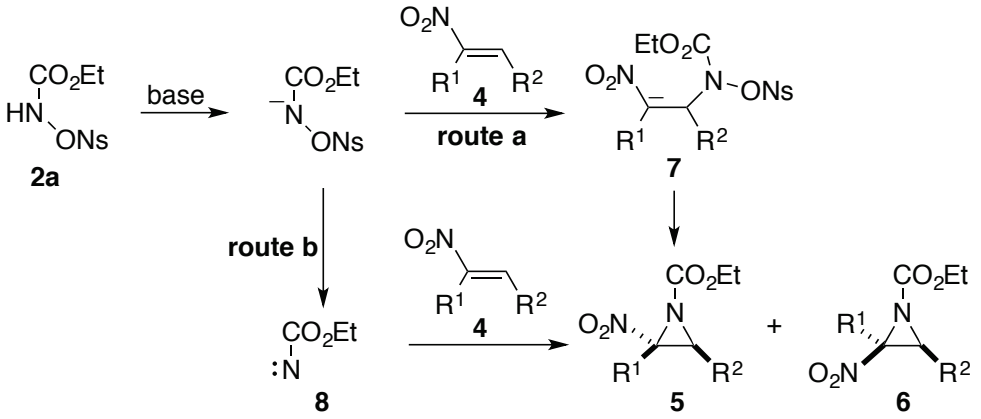
Table 1. Aziridination of nitroalkenes (**4**) using **2a**



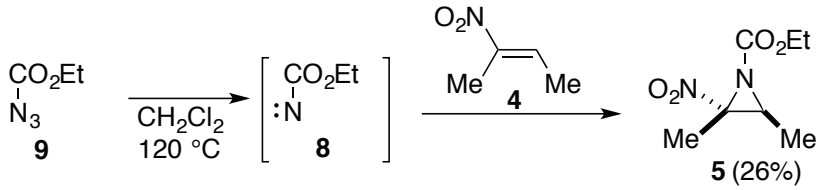
		Yield/%	
R^1	R^2	**5**	**6**
Me	Me	38	5
Me	Et	58	6
Me	*i*-Pr	63	12
Me	*c*-Hex	70	8
Me	$PhCH_2CH_2$	70	16
Me	$PhCH_2CH_2$	72	13

The two plausible pathways for the formation of aziridines (**5** and **6**) are shown in Scheme 2. This reaction is initiated by a Michael addition of the anionic carbamate to a nitroalkene (**4**). In **route a**, the formed nitronate ion (**7**) attacks the nitrogen atom intramolecularly in a so-called Michael initiated ring

closure (MIRC), which is accompanied by the elimination of the nosylate ion to afford aziridine (**5**). Another possible route that should also be considered is the direct [2 + 1] cycloaddition of nitrene intermediate (**8**) and nitroalkene (**4**) (**route b**). However, when the thermolysis of ethyl azidoformate (**9**), which is known to generate nitrene (**8**), is conducted in the presence of nitroalkene (**4**), aziridines (**5**) is formed in lower yield than that obtained in the reaction shown in Table 1 (Scheme 3). Furthermore, only a single isomer (**5**) with retained configuration is obtained. The formation of two stereoisomers (**5** and **6**) in the reaction between **2a** and nitroalkenes (**4**) suggests that the **route a** is more likely than **route b**.[15]



Scheme 2. Two plausible pathways for aziridination



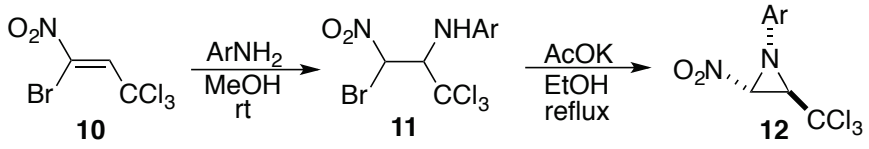
Scheme 3. Synthesis of nitroaziridine (**5**) from azidoformate (**9**)

1.1.2. Aziridination of trichloromethylated nitroalkenes

In the method described in the last section, an anionic nucleophile (**7**) possessing both an electron-withdrawing group and a leaving group is employed, resulting in the formation of *N*-ethoxycarbonylaziridine (**5**). In order to be able to modify the *N*-substituent to a simple aryl group, it is necessary to introduce a good leaving group, such as a bromo group, on the nitroalkene. However, an additional electron-withdrawing group, such as trichloromethyl should be introduced for the reactions with less reactive aromatic amines. Nitroalkene (**10**) undergoes nucleophilic addition of an aromatic amines leading to adduct (**11**). Subsequent cyclization using potassium acetate affords *N*-arylated nitroaziridines (**12**) (Table 2).[16] According to the X-ray crystallographic data, the nitro group and aromatic ring are in *trans* positions with respect to the trichloromethyl group to avoid the steric hindrance. This

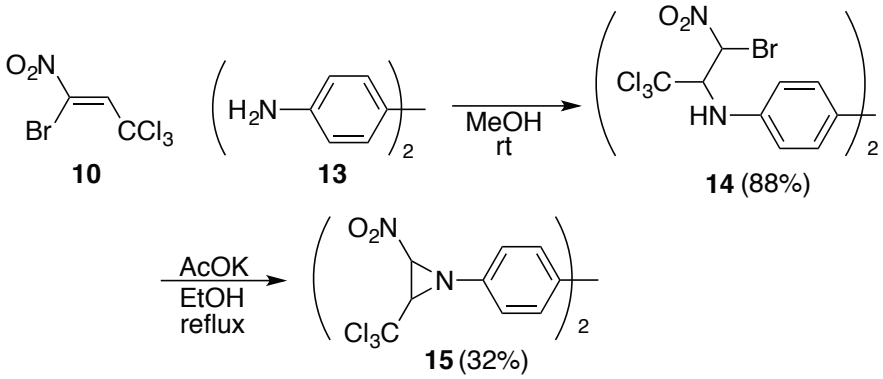
protocol is applicable to diamines such as 4,4'-diaminobiphenyl (**13**), which furnishes bis(aziridino)biphenyl (**15**) *via* **14** (Scheme 4).[17]

Table 2. Synthesis of 1-aryl-2-nitro-3-(trichloromethyl)aziridines (**12**)



Ar	Yield/%	
	11	**12**
Ph	77	25
p-MeC_6H_4	71	30
p-ClC_6H_4	67	37

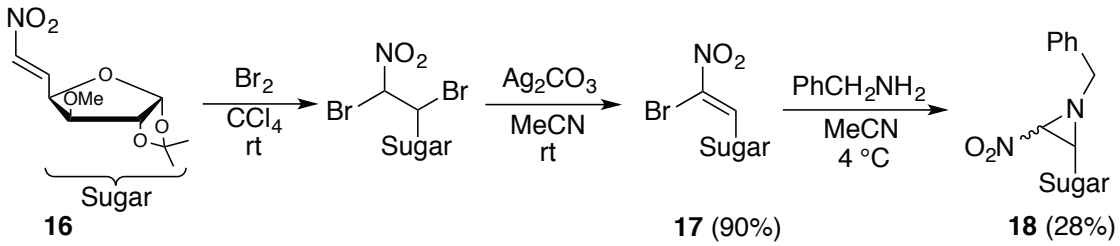
Ar	Yield/%	
	11	**12**
p-BrC_6H_4	59	36
m-$O_2NC_6H_4$	52	19
1-naphthyl	46	27



Scheme 4. Synthesis of bis(aziridino)biphenyl (**15**)

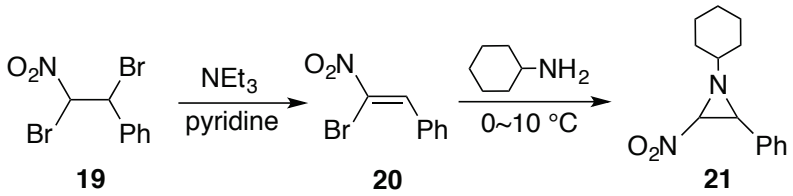
1.1.3. Indirect synthesis of *N*-alkylated aziridines from nitroalkenes *via* dibromoalkanes

Employing more reactive aliphatic amines as nucleophiles avoids the necessity to activate the nitroalkene with an electron-withdrawing group. Thus, upon treatment of α-bromonitroalkene (**17**), which is readily prepared from nitroalkene (**16**) by bromination and the subsequent dehydrobromination, with benzylamine, *N*-alkylated nitroaziridine (**18**) is obtained, though in low yield (Scheme 5).[18]



Scheme 5. Synthesis of *N*-alkylated nitroaziridine (**18**) through multi-step reactions

This protocol is applicable to simple nitroalkene (**20**), which is derived from nitrostyrene *via* **19**. The reaction of **20** with cyclohexylamine efficiently proceeds even at low temperature to afford the corresponding nitroaziridine (**21**), however, the yield of **21** was not reported (Scheme 6).[19] Moreover, the substrate scope is not further investigated, and to date, the synthesis of other *N*-alkylated nitroaziridines has not been described.[18,19]

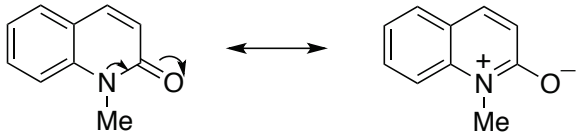


19 **20** **21**

Scheme 6. Aziridination of nitroalkene (**21**)

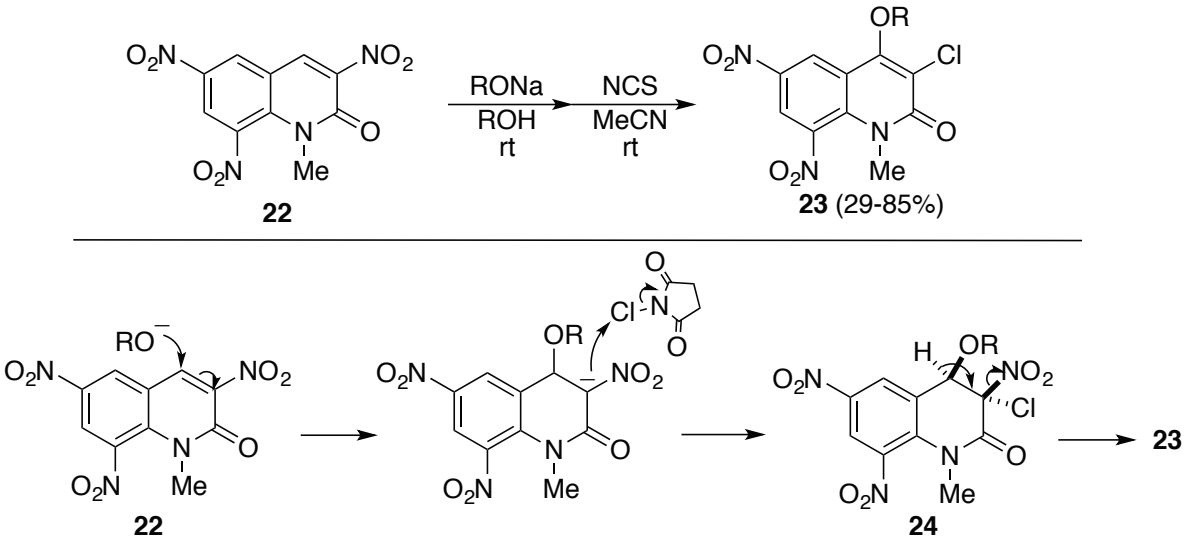
1.1.4. Synthesis of condensed aziridines bearing a quinolone framework

The 1-methyl-2-quinolone (**MeQone**) framework is often found in natural products,[20] and unnatural **MeQones** have recently drawn considerable attention of researchers, who have attempted to develop functionalization methods for the **MeQone** framework. Available methods require severe conditions[21] owing to the aromaticity of the betaine-type resonance structure of **MeQone**, which diminishes its reactivity (Scheme 7). On the other hand, the 3- and 4-positions of 1-methyl-3,6,8-trinitro-2-quinolone (**22**) are highly reactive and facilitate the direct functionalization of the **MeQone** framework.[22] This activation is caused by steric repulsion between substituents at the 1- and 8-positions.[23] Hao *et al*. achieved alkoxy-halogenation of **22** using a combination of alkoxide and *N*-chlorosuccinimide (NCS) (Scheme 8).[24] This reaction is initiated by the nucleophilic addition of the alkoxide, and the subsequent chlorination by NCS affords dihydroquinolone (**24**), from which nitrous acid is eliminated to yield alkoxy-chlorinated product (**23**).

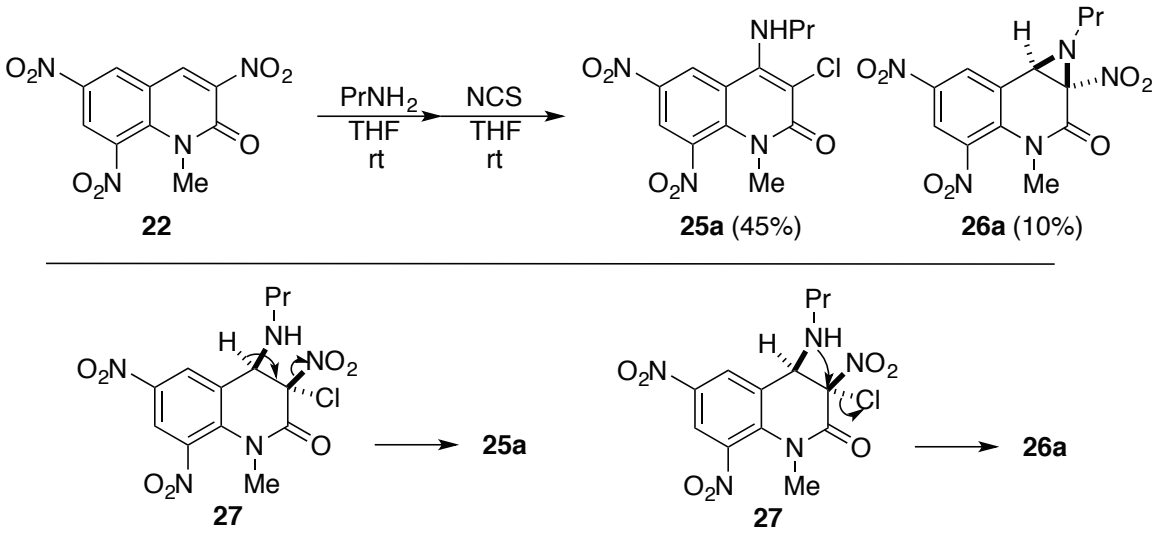


Scheme 7. Resonance structures of the MeQone framework

Similarly, employing a combination of propylamine and NCS, amino-chlorination occurs to afford **25a** as a major product. In this reaction, a small amount of condensed nitroaziridine (**26a**) is also obtained (Scheme 9).[25] Both compounds, (**25a** and **26a**), are formed from a common intermediate (**27**); while elimination of nitrous acid from **27** affords amino-chlorinated product (**25a**), intramolecular nucleophilic substitution leads to aziridine (**26a**). Another mechanism including electron transfer is also acceptable for this ring closure.



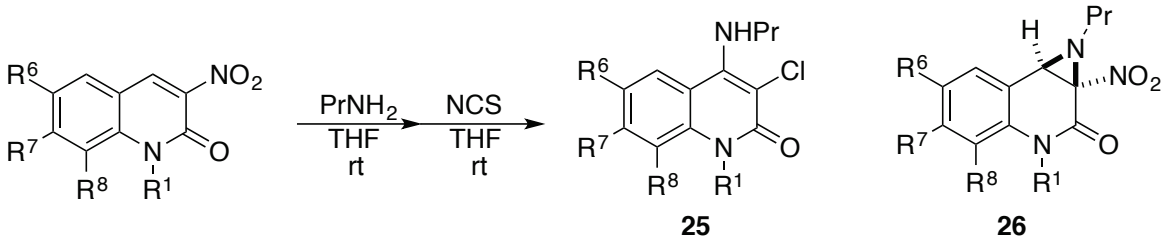
Scheme 8. Alkoxy-chlorination of trinitroquinolone (**22**)



Scheme 9. Vicinal amino-chlorination and aziridination of **22**

Other 3-nitro-2-quinolones also undergo aziridination (Table 3). Moreover, a strong electron-withdrawing nitro group is not necessary for an efficient aziridination; the yield of aziridine (**26**) increases as the electron density of the benzene ring decreases. This tendency indicates that the acidity of the hydrogen at the 4-position is crucial for determining the reaction path: an acidic hydrogen favors the elimination of nitrous acid affording amino-chlorinated product (**25**), while intramolecular nucleophilic substitution proceeds much faster with a less acidic hydrogen, facilitating aziridination predominantly. Furthermore, it is noteworthy that an *N*-methyl group is not required for the aziridination to occur, leading to **26g**.

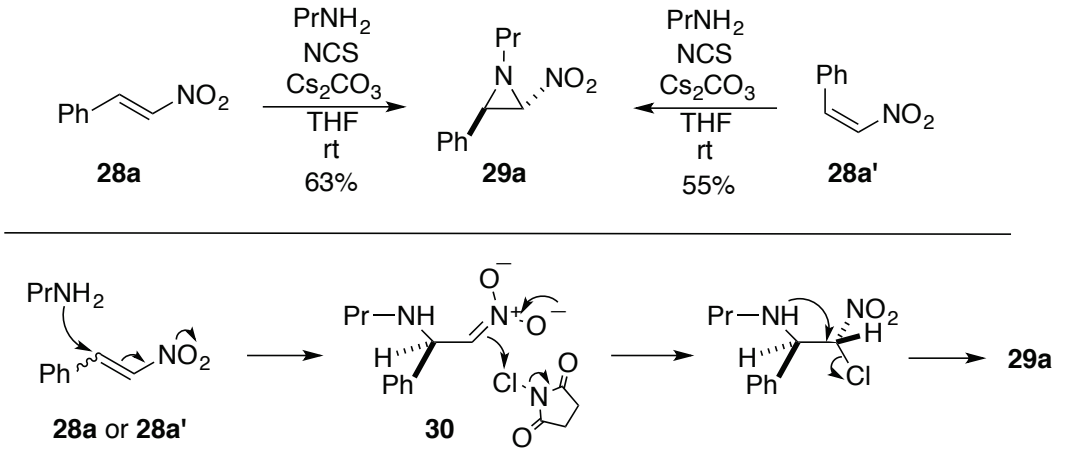
Table 3. Synthesis of bicyclic nitroaziridines (**26**)



					Yield/%	
R^1	R^6	R^7	R^8		**25**	**26**
Me	NO_2	H	Me	**b**	13	21
Me	NO_2	H	H	**c**	13	49
Me	Br	H	H	**d**	trace	68
Me	H	Br	H	**e**	0	65
Me	H	H	H	**f**	0	71
H	H	H	H	**g**	0	61

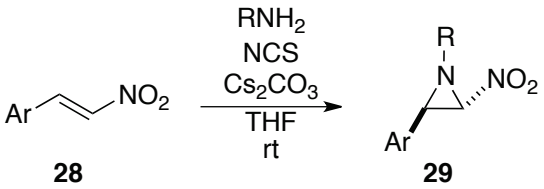
1.1.5. Direct synthesis of *N*-alkylated aziridines from nitroalkenes

As shown in the previous section, the aziridination using NCS is applicable to versatile **MeQones**, which suggests that simple nitroalkenes may also be suitable substrates, and allow the direct synthesis of *N*-alkylated nitroaziridines. Indeed, when *trans*-β-nitrostyrene (**28a**) is treated with propylamine and NCS in the presence of cesium carbonate, *trans*-1-propyl-2-nitroaziridine (**29a**) is formed in 72% yield (Scheme 10).[26] Interestingly, the reaction using *cis*-β-nitrostyrene (**28a'**) also affords *trans*-aziridine (**29a**), which indicates that both products are formed *via* common intermediate (**30**).



Scheme 10. Direct aziridination of β-nitrostyrene (**28a** and **28a'**)

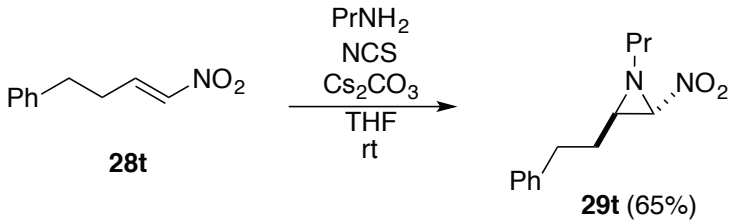
Table 4. Synthesis of *N*-alkylated nitroaziridines (**29**)



28 **29**

Ar	R		Yield/%	Ar	R		Yield/%
4-$MeOC_6H_4$	Pr	**b**	53	4-MeC_6H_4	*i*-Bu	**k**	53
2-$MeOC_6H_4$	Pr	**c**	54	4-MeC_6H_4	*s*-Bu	**l**	31
4-MeC_6H_4	Pr	**d**	72	4-MeC_6H_4	*t*-Bu	**m**	0
4-BrC_6H_4	Pr	**e**	56	4-MeC_6H_4	$PhCH_2$	**n**	41
4-NCC_6H_4	Pr	**f**	30	4-MeC_6H_4	4-$MeOC_6H_4$	**o**	0
2-naphthyl	Pr	**g**	63	4-MeC_6H_4	allyl	**p**	67
2-thienyl	Pr	**h**	34	4-MeC_6H_4	$HOCH_2CH_2$	**q**	30
2-furyl	Pr	**i**	40	4-MeC_6H_4	$HOCH_2CH_2CH_2$	**r**	35
2-pyridyl	Pr	**j**	46	4-MeC_6H_4	$NH_2CH_2CH_2$	**s**	0

This aziridination is considerably affected by the electronic nature of the aromatic group. While nitroalkenes possessing an electron-donating group undergo the aziridination efficiently, the introduction of an electron-withdrawing group markedly decreases the yield of aziridines. It is also possible to use nitroalkenes bearing a naphthyl group and a heteroaryl group to afford the corresponding aziridines (**29g–j**). Furthermore, aliphatic nitroalkene (**28t**) can be used as a substrate leading to aziridine (**29t**) (Scheme 11).



28t **29t** (65%)

Scheme 11. Aziridination of aliphatic nitroalkene (**28t**)

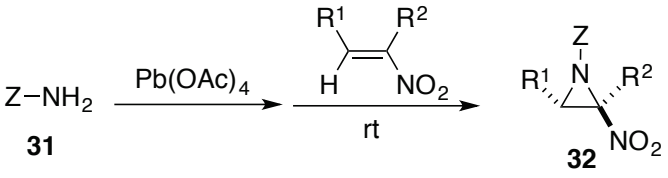
Modification of the *N*-alkyl group is easily achieved by altering the amine. Sterically hindered amines such as *sec*-butylamine and benzylamine undergo the aziridination; however, bulkier *tert*-butylamine is not suitable as nucleophile. A less reactive aromatic amine does not undergo the aziridination. Functional groups such as allyl and a hydroxy groups can be introduced into the *N*-alkyl group.

The obtained aziridines should be stored at low temperature as they are unstable at room temperature. This might explain why *N*-alkylated nitroaziridines have not been synthesized directly from nitroalkenes until now.

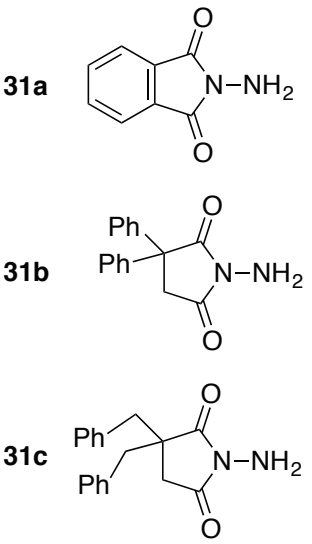
1.1.6. Oxidative aminoaziridination

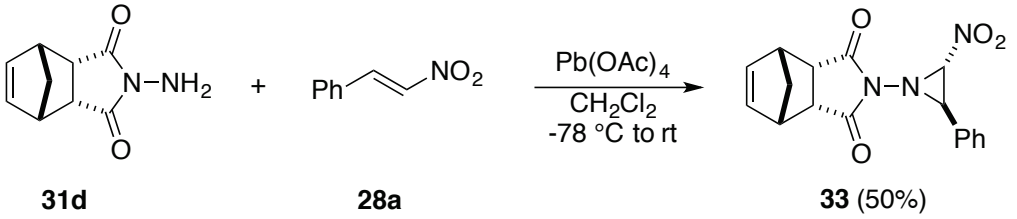
In addition to the ionic aziridination mentioned so far, the [2 + 1] cycloaddition of an N1 unit to carbon–carbon double bonds is also an effective approach for the construction of an aziridine frameworks. Person *et al*. reported the synthesis of nitroaziridines (**32**) from nitroalkenes and *N*-imidonitrene derived from *N*-aminoimides (**31**) in the presence of lead tetraacetate (Table 5).[27] This method is applicable to *endo*-type *N*-aminoimide (**31d**) despite the presence of a norbornene-type carbon–carbon double bond (Scheme 12).[28]

Table 5. Aziridination of nitroalkene using *N*-aminoimides (**31**)



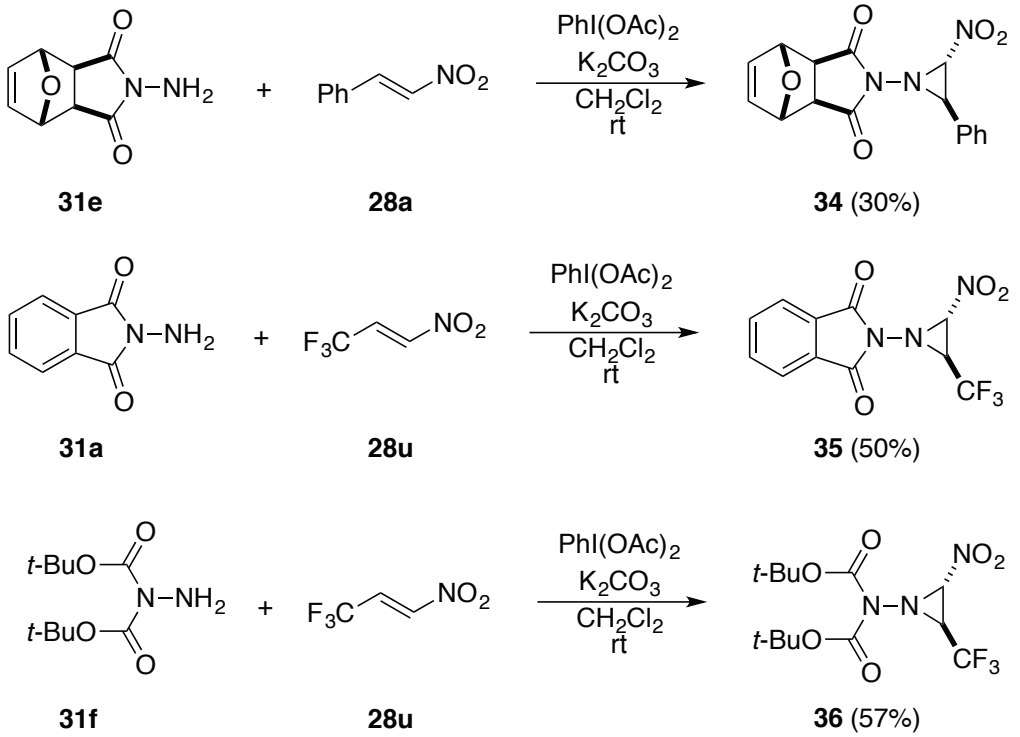
Z-NH_2	R^1	R^2	Yield/%
31a	Ph	H	90
31a	4-ClC_6H_4	H	62
31a	Me	H	72
31b	Ph	Me	60
31c	Ph	H	70
31c	4-$MeOC_6H_4$	H	41





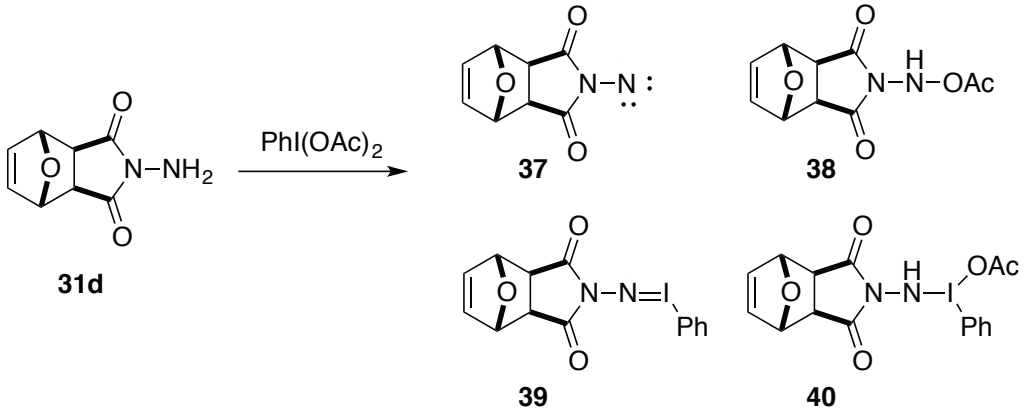
Scheme 12. Aziridination using **31d**

Che and co-workers developed another synthetic method for aziridines using (diacetoxyiodo)benzene as oxidant instead of lead(IV) tetraacetate, in which versatile alkenes are suitable substrates.[29] When nitroalkenes are used as a substrate, *N*-amino-*C*-nitroaziridines are obtained. Oxidative aziridination of *exo*-type *N*-aminoimide (**31e**) also proceeds to afford the corresponding nitroaziridine (**34**) (Scheme 13).[30] This protocol can be applied to highly electron-deficient alkene (**28u**), leading to trifluoromethylated aziridines (**35** and **36**) (Scheme 13).[31]



Scheme 13. Oxidative aziridination using *N*-aminoimide

In this reaction, *cis*-alkenes are considerably less reactive than *trans*-alkenes.[30] Some active species are considered to exist as intermediates,[32] among which nitrene (**37**) and nitrenoid (*N*-acetoxy derivative) (**38**) are not affected by steric hindrance. Hence, **39** or **40** are more plausible intermediates for this reaction (Scheme 14).



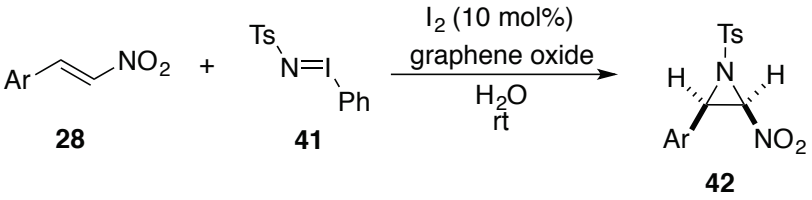
Scheme 14. Plausible intermediates for the oxidative aziridination

1.1.7. Aziridination using iminophenyliodinane

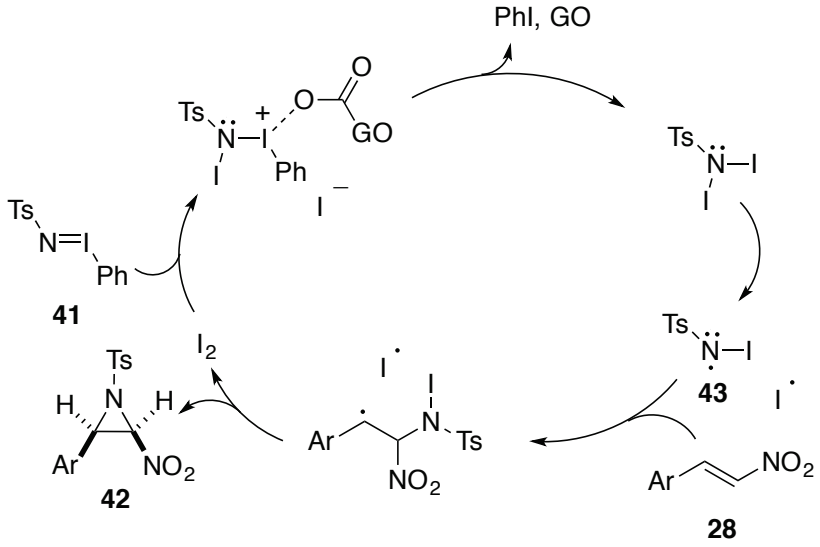
Recently, Rai *et al*. demonstrated graphene oxide-catalyzed aziridination.[33] Nitrostyrenes (**28**) react with [*N*-(*p*-toluenesulfonyl)imino]phenyliodinane (**41**) in the presence of catalytic amounts of iodine and graphene oxide in water furnishing the corresponding nitroaziridines (**42**) in high yield with high diastereomeric ratio (*Z*/*E* = 95/5~98/2) (Table 6).[34] This reaction proceeds efficiently without any

significant effect of the electronic and steric nature of the substituent on the benzene ring on the outcome of the reaction. A plausible mechanism involving amidyl radical (**43**), generated in the absence of a metal species, is shown in Scheme 15.

Table 6. Graphene oxide-catalyzed aziridination



Ar		Yield/%	Ar		Yield/%
Ph	**a**	89	4-ClC_6H_4	**w**	88
4-$MeOC_6H_4$	**b**	87	2-ClC_6H_4	**x**	88
4-MeC_6H_4	**d**	91	4-$O_2NC_6H_4$	**y**	90
3-MeC_6H_4	**v**	91			

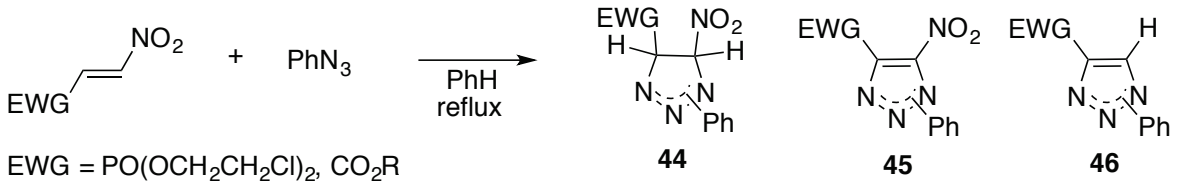


Scheme 15. A plausible mechanism for the aziridination

1.1.8. Cycloaddition using phenyl azide

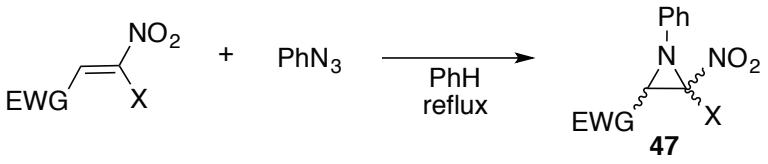
Phenyl azide serves as a 1,3-dipole and undergoes cycloaddition with alkenes. When electron-deficient nitroalkenes are reacted with phenyl azide, the cycloaddition affords a mixture of regioisomeric dihydrotriazoles (**44**) and their aromatized derivatives (**45** and **46**) as major products (Scheme 16). In this reaction, a small amount of nitroaziridine (**47**) is also formed as a mixture of diastereomers (Table 7).[35] Two pathways are possible for this aziridine formation; one is the direct addition of a nitrene intermediate

to the carbon–carbon double bond, and the other is the elimination of a nitrogen molecule from dihydrotriazole (**44**) after the 1,3-dipolar cycloaddition.



Scheme 16. Reaction of nitroalkene with phenylazide

Table 7. Aziridination in the reaction of nitroalkene and phenyl azide



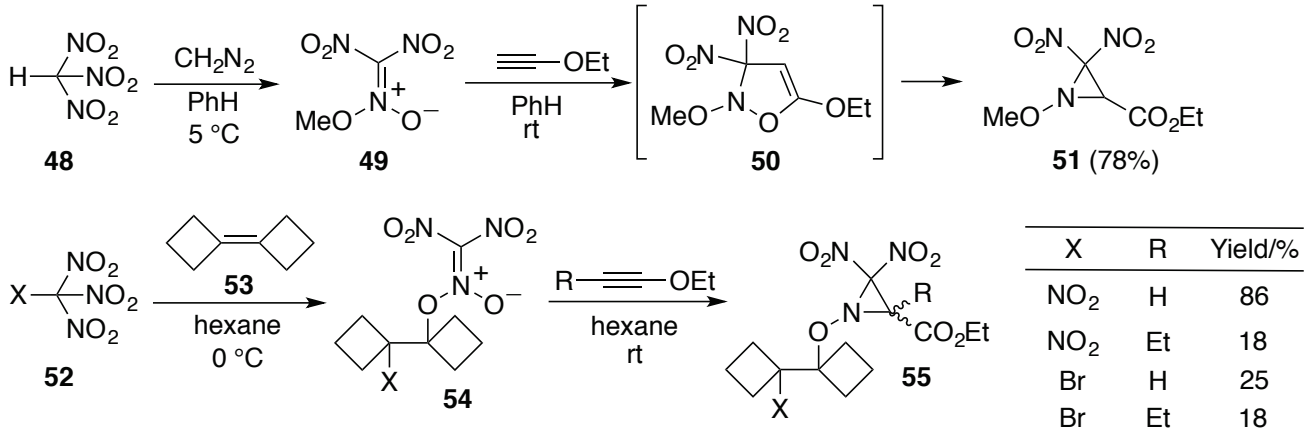
EWG	X		Yield/%
$PO(OR)_2$[a]	H	**a**	8
CO_2Et	H	**b**	7
CO_2Et	Br	**c**	7

EWG	X		Yield/%
CO_2Me	H	**d**	22
CO_2Me	Br	**e**	7

[a] R = CH_2CH_2Cl

1.1.9. Cycloaddition of dinitronitronate with alkyne

Kuznetsova and co-workers succeeded to generate unstable dinitronitronate (**49**) upon treatment of trinitromethane (**48**) with diazomethane. Cycloaddition of the generated **49** is reacted with ynol ether and subsequent ring contraction efficiently affords dinitroaziridine (**51**) *via* dinitroisoxazoline (**50**) (Scheme 17).[36]



X	R	Yield/%
NO_2	H	86
NO_2	Et	18
Br	H	25
Br	Et	18

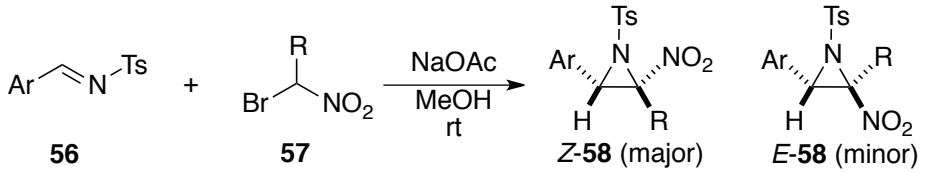
Scheme 17. Synthesis of dinitroaziridines (**51**) and (**55**)

Bis(cyclobutylidene) (**53**) is a highly reactive alkene against polynitromethanes with low reactivity as dipolarophile. Thus, **53** generates dinitronitronate (**54**) upon treatment with polynitromethanes (**52**), which undergoes cycloaddition and ring contraction to furnish the corresponding dinitroaziridines (**55**) as a mixture of diastereomers (Scheme 17).

1.2. C–N + C Aziridination

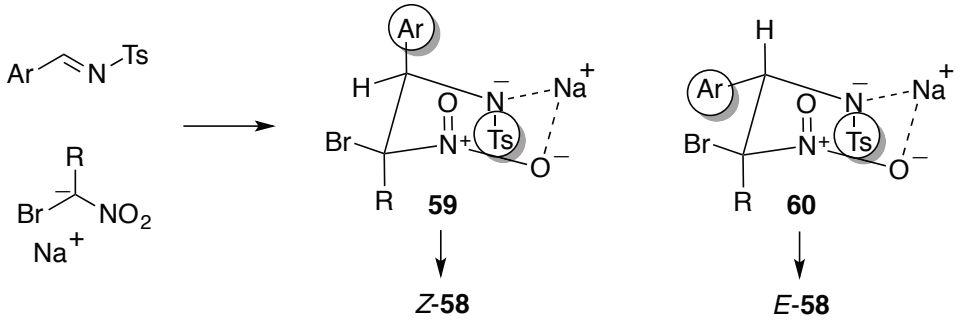
The condensation between a C–N unit and a C1 unit is also effective for the construction of the aziridine framework. Thus, the reaction between *N*-tosylimine (**56**) and α-bromonitroalkane (**57**) in the presence of sodium acetate proceeds efficiently to afford the condensation products, *N*-tosylated nitroaziridines (**58**) in high yields (Table 8).[37]

Table 8. Condensation of aldimine (**56**) and α-bromonitroalkane (**57**)



56 **57** *Z*-**58** (major) *E*-**58** (minor)

Ar	R	Yield/%	Ar	R	Yield/%	Ar	R	Yield/%
Ph	H	83	Ph	Me	81	Ph	Et	79
2-ClC_6H_4	H	85	2-ClC_6H_4	Me	83	2-ClC_6H_4	Et	80
4-ClC_6H_4	H	88	4-ClC_6H_4	Me	85	4-ClC_6H_4	Et	81
4-$MeOC_6H_4$	H	82	4-$MeOC_6H_4$	Me	80	4-$MeOC_6H_4$	Et	78
4-$NO_2C_6H_4$	H	92	4-$NO_2C_6H_4$	Me	89	4-$NO_2C_6H_4$	Et	87



Scheme 18. Comparison of two metal-chelated chair-like intermediates (**59**) and (**60**)

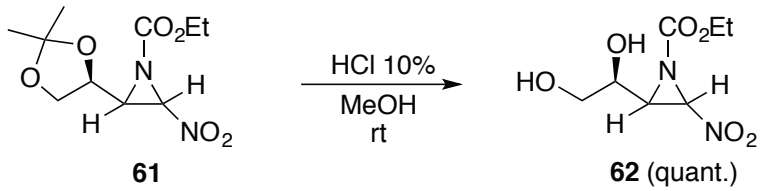
The attack of the nitronate ion to the imino carbon can generate two metal-chelated chair-like intermediates (**59** and **60**) (Scheme 18). Intermediate (**59**) possessing the bulky aryl and tosyl groups

trans to each other is more stable than **60** where these groups are *cis*. Hence, the *Z*-isomer is predominantly formed with high diastereomeric ratio (80/20~98/2).

2. REACTIVITY OF NITROAZIRIDINES

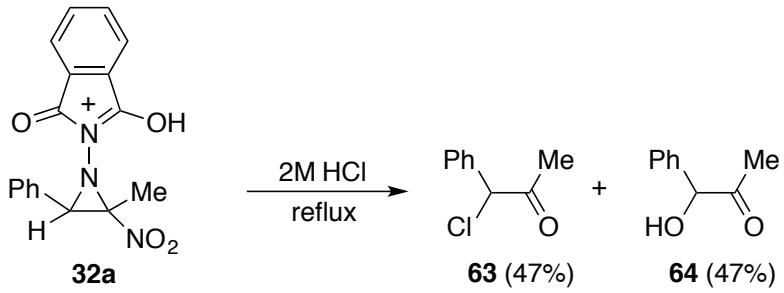
2.1. Stability of the nitroaziridine framework

The aziridine framework, with its ring strain and high electrophilicity, is likely to be unstable. However, it has been found to be stable to acidic conditions; aziridine ring in **61** remained intact when subjected to acidic conditions, under which the acetal function was chemoselectively hydrolyzed (Scheme 19).[12]



61 **62** (quant.)

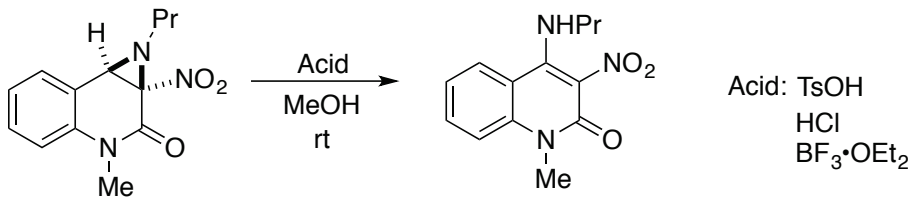
Scheme 19. Hydrolysis of the acetal function on a substituted aziridine derivative



32a **63** (47%) **64** (47%)

Scheme 20. Hydrolysis of the nitroaziridine framework

On the other hand, treatment of **32a** under more strong acidic conditions leads to ring opening and subsequent Nef reaction affords α-chloroketone (**63**) and α-hydroxyketone (**64**) (Scheme 20).[27] *N*-Alkylated nitroaziridines are more reactive. Indeed, **26f** undergoes the ring opening reaction even at room temperature in the presence of acids such as *p*-toluenesulfonic acid, hydrochloric acid, and trifluoroborane, furnishing nitroenamine (**65**) in quantitative yield (Scheme 21).[25]

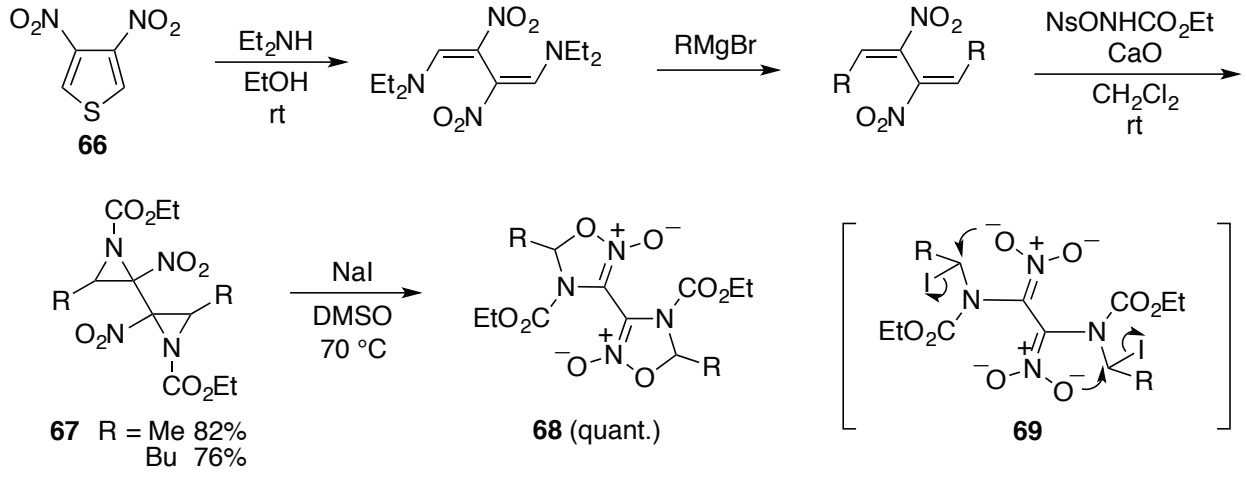


26f **65** (quant.)

Scheme 21. Ring opening reaction of the *N*-alkylated nitroaziridine (**26f**)

2.2. Ring expansion

Bis(nitroaziridine)s (**67**), which are easily prepared from 3,4-dinitrothiophene (**66**) by the successive treatment with a secondary amine, Grignard reagent, and *N*-nosyloxycarbamate, undergo ring expansion when treated with sodium iodide in DMSO, affording bis(oxadiazoline) (**68**) in quantitative yield and complete stereoselectivity (Scheme 22).[10] The ring opening reaction of the nitroaziridine framework is caused by the iodide ion, and subsequent intramolecular nucleophilic substitution results in the formation of **68**. This type of reaction is a unique to nitroaziridines as they generate an anionic intermediate (**69**) that is stabilized by the nitro groups.



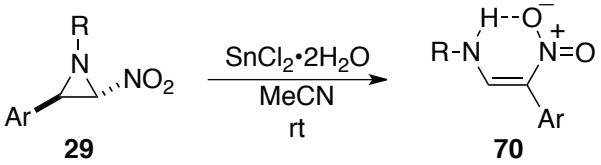
Scheme 22. Ring expansion of the bis(nitroaziridine) (**67**)

2.3. Rearrangement of an aryl group

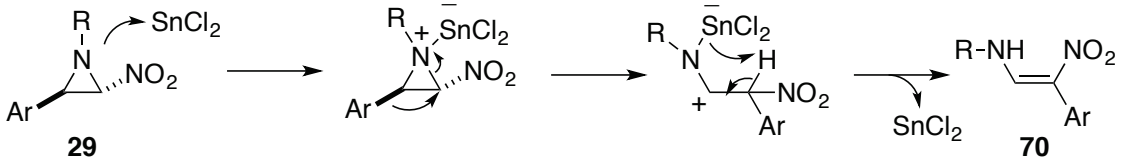
N-Alkylated nitroaziridines (**29**) are not stable at ambient temperature and decompose gradually. In particular, their decomposition is accelerated during silica gel column chromatography, which indicates that they are highly reactive under acidic conditions. When aziridines (**29**) are treated with tin(II) chloride, β-aryl-β-nitroenamines (**70**) are diastereoselectively formed in the *Z*-configuration due to an intramolecular hydrogen bond (Table 9).[26]

This reaction is significantly influenced by the electron density of the aryl group. While an electron-rich aryl group efficiently migrates to the vicinal position, electron-poor aryl groups such as 4-cyanophenyl and 2-pyridyl groups do not migrate to afford the corresponding nitroenamines (**70f** and **70j**). A plausible mechanism is shown in Scheme 23. This reaction is initiated by the coordination of the Lewis acid to the ring nitrogen of **29**, which facilitates the rearrangement of the aryl group and is accompanied by the ring opening reaction. Thus, electron-poor aryl groups cannot migrate to the adjacent position due to their low migration ability.

Table 9. Ring opening reaction and rearrangement leading to β-arylnitroenamines (**70**)



Ar	R		Yield/%	Ar	R		Yield/%
4-$MeOC_6H_4$	Pr	**b**	87	2-pyridyl	Pr	**j**	0
2-$MeOC_6H_4$	Pr	**c**	89	4-MeC_6H_4	*i*-Bu	**k**	73
4-MeC_6H_4	Pr	**d**	76	4-MeC_6H_4	*s*-Bu	**l**	80
4-BrC_6H_4	Pr	**e**	49	4-MeC_6H_4	$PhCH_2$	**n**	86
4-NCC_6H_4	Pr	**f**	0	4-MeC_6H_4	allyl	**p**	82
2-naphthyl	Pr	**g**	83	4-MeC_6H_4	$HOCH_2CH_2$	**q**	64
2-thienyl	Pr	**h**	quant.	4-MeC_6H_4	$HOCH_2CH_2CH_2$	**r**	74
2-furyl	Pr	**i**	80				



Scheme 23. Plausible mechanism for the formation of nitroenamines (**70**)

CONCLUSION

Nitroaziridines are useful building blocks due to their high reactivity caused by the ring strain and high electrophilicity. In addition, a nitro group serves not only as an activator of the aziridine framework but also as a fundamental unit of heterocyclic frameworks. The recent progress in the chemistry of nitroaziridines, which has been summarized here, will facilitate their use in the synthesis of polyfunctionalized compounds.

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