Synthesis of 2-Alkyl-3-aryloxaziridines

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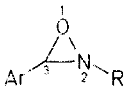
A convenient method for the synthesis of 2-alkyl-3-aryloxaziridines **1** based on the formation of stable azomethines and their oxidation with *m*-chloroperoxybenzoic acid is reported. The scope and limitation of the method proposed is illustrated with numerous examples.

Oxaziridines of a general formula **1** have been a focus of interest of many laboratories since the pioneering work of Emmons,[1,2] Krimm,[3] and Horner and Jurgens[4] was reported thirty years ago. Their chemistry has been reviewed,[5,6] and some oxaziridines have been reported as substrates[2,7-10] or oxygen-transfer agents[11-14] useful for organic synthesis.



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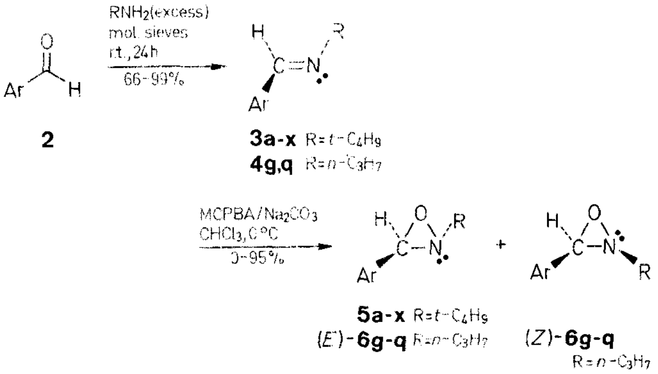
The most useful preparation of oxaziridines is the very ready oxidation of the azomethines, obtained from the appropriate aldehyde or ketone, with organic peracids. Although this method is a versatile one, its major limitations are the extremely labile nature of some oxaziridines and the instability of the azomethines.[5,7-15] Contrary to the numerous oxaziridines having alkyl substituents in both 2 and 3 positions that are known, only a limited number of oxaziridines substituted at the 3 position with aromatic or heteroaromatic groups such as phenyl, nitrophenyls, *p*-chloro-, *p*-methoxy- and *p*-methylphenyl, or 2-furyl and 2-pyridyl have been reported.[1-5,9,15-17] It is noteworthy that during the last twenty-five years the opinion that many azomethines (particularly derived from aromatic aldehydes having electron-releasing substituents) are unstable and useless for the preparation of 2-alkyl-3-aryloxaziridines remained untested.

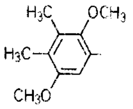
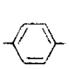
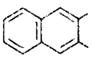
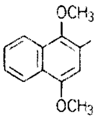
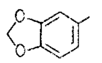
Extending our studies of compounds having small heterocyclic rings,[18-20] we modified the method for the preparation of azomethines **3** and **4**, derived from various aromatic aldehydes **2**, and oxidized them successfully to oxaziridines **5** and **6**.



The azomethines **3** and **4** were obtained from the appropriate aldehydes **2** and *tert*-butylamine or propylamine, respectively, used in excess in the presence of molecular sieves. They were oxidized with *m*-chloroperoxybenzoic acid (MCPBA) in chloroform giving oxaziridines **5** and **6**. The results of the experiments are given in Table 1. The ^{1}H-NMR data of azomethines **3** and **4**, and oxaziridines **5** and **6** are given in Table 2.

The results obtained revealed that the structure of the aromatic moiety did not play a crucial role in the formation or stability of azomethines. Azomethines **3** and **4** were obtained in high yields from aldehydes having electron-withdrawing substituents (**2b, c, d**) or π-deficient heteroaromatic systems (**2u–x**), as well

Table 1. Conversion of Aromatic and Heteroaromatic Aldehydes **2** into Azomethines **3** and **4**, and Their Oxidation to 2-Alkyl-3-aryloxaziridines **5** and **6**

Aldehyde	Ar	Azomethine	Yield (%)	m.p. (°C)	Molecular Formula[a] or Lit. Data	Oxaziridine	Yield (%)	m.p. (°C)	Molecular Formula[a] or Lit. Data
2a	4-$CH_3C_6H_4$	**3a**	99	18–19	oil[17]	**5a**	60	oil	oil[16] 67–70[b,17]
2b	4-ClC_6H_4	**3b**	93	32–33	33–35[17]	**5b**	56	66–67	68[16]
2c	4-BrC_6H_4	**3c**	97	29	$C_{11}H_{14}BrN$ (240.2)	**5c**	66	49–52	$C_{11}H_{14}BrNO$ (256.2)
2d	3-ClC_6H_4	**3d**	92	oil	$C_{11}H_{14}ClN$ (195.7)	**5d**	60	39	$C_{11}H_{14}ClNO$ (211.7)
2e	3-HOC_6H_4	**3e**	87	85–86	$C_{11}H_{15}NO$ (177.2)	**5e**	43	78	$C_{11}H_{15}NO_2$ (193.2)
2f	4-$CH_3OC_6H_4$	**3f**	85	27–29	$C_{12}H_{17}NO$ (191.3)	**5f**	66	oil	oil[16]
2g		**3g**	99	oil	$C_{15}H_{23}NO_2$ (249.3)	**5g**	57	oil	$C_{15}H_{23}NO_3$ (265.3)
		4g	96	oil	$C_{14}H_{21}NO_2$ (235.3)	**(*E*)-6g**	64	oil	$C_{14}H_{21}NO_3$ (251.3)
						(*Z*)-6g	10	61	$C_{14}H_{21}NO_3$ (251.3)
2h	2,4-$(CH_3O)_2C_6H_3$	**3h**	97	21	$C_{13}H_{19}NO_2$ (221.3)	**5h**	21[c]	oil	$C_{13}H_{19}NO_3$ (237.3)
2i	3,4-$(CH_3O)_2C_6H_3$	**3i**	92	63	$C_{13}H_{19}NO_2$ (221.3)	**5i**	59	58	$C_{13}H_{19}NO_3$ (237.3)
2j	4-$ClCH_2C_6H_4$	**3j**[d]	88	41–42	$C_{16}H_{26}N_2$ (246.4)	**5j**[e]	34	48–50	$C_{16}H_{26}N_2O$ (262.4)
2k	4-$(C_2H_5)_2NC_6H_4$	**3k**	76	23–25	$C_{15}H_{24}N_2$ (232.4)	**5k**	0	–	–
2l		**3l**	80	92	$C_{16}H_{24}N_2$ (244.4)	**5l**[f]	40	36–37	$C_{12}H_{15}NO_2$ (205.3)
						5ll[g]	36	155 (with dec.)	$C_{16}H_{24}N_2O_2$ (276.4)
2m	1-naphthyl	**3m**	98	oil	$C_{15}H_{17}N$ (211.3)	**5m**	35	oil	oil[24]
2n	2-naphthyl	**3n**	99	70–71	$C_{15}H_{17}N$ (211.3)	**5n**	53	83–84	$C_{15}H_{17}NO$ (227.3)
2o	5-acenaphthalenyl	**3o**	83	91	$C_{17}H_{19}N$ (237.3)	**5o**	62	74	$C_{17}H_{19}NO$ (253.3)
2p		**3p**	84	59–60	$C_{20}H_{26}N_2$ (294.4)	**5p**[h]	7	84–85	$C_{16}H_{17}NO_2$ (255.3)
						5pp[i]	32	116–118	$C_{20}H_{26}N_2O_2$ (326.4)
2q		**3q**	97	oil	$C_{17}H_{21}NO_2$ (271.4)	**5q**	62	oil	$C_{17}H_{21}NO_3$ (287.4)
		4q	96	42–43	$C_{16}H_{19}NO_2$ (257.3)	**(*E*)-6q**	66	59	$C_{16}H_{19}NO_3$ (273.3)
						(*Z*)-6q	6	62–63	$C_{16}H_{19}NO_3$ (273.3)
2r		**3r**	93	44	$C_{12}H_{15}NO_2$ (205.3)	**5r**	58	36–37	$C_{12}H_{15}NO_3$ (221.3)
2s	2-furyl	**3s**	88	oil	$C_9H_{13}NO$ (151.2)	**5s**	27	42	$C_9H_{13}NO_2$ (167.2)
2t	3-indolyl	**3t**	75	121–122	$C_{13}H_{16}N_2$ (200.3)	**5t**	0	–	–
2u	2-pyridyl	**3u**	90	oil	$C_{10}H_{14}N_2$ (162.2)	**5u**	43	oil	$C_{10}H_{14}N_2O$ (178.2)
2v	4-pyridyl	**3v**	66	oil	$C_{10}H_{14}N_2$ (162.2)	**5v**	37	77–78	$C_{10}H_{14}N_2O$ (178.2)
2w	6-quinolyl	**3w**	83	72–73	$C_{14}H_{16}N_2$ (212.3)	**5w**	95	44	$C_{14}H_{16}N_2O$ (228.3)
2x	7-quinolyl	**3x**	81	38–39	$C_{14}H_{16}N_2$ (212.3)	**5x**	75	66–67	$C_{14}H_{16}N_2O$ (228.3)

[a] Satisfactory microanalyses obtained: C ±0.4%, H ±0.3%, Br or Cl ±0.4%, N ±0.3%.

[b] The compound reported in Ref. 1 was not oxaziridine **5a**, but most probably the nitrone. This nitrone (**7a**), synthesized in our laboratory, melts at 65–67 °C.

[c] Nitrone 2,4-$(CH_3O)_2C_6H_3CH{=}N(O)C_4H_9$-*t* **7i**, m.p. 105–106, was also isolated in 32% yield.

[d] Ar = 4-(*t*-$C_4H_9NHCH_2)C_6H_4$.

[e] Ar = 4-(*t*-$C_4H_9NHCH_2)C_6H_4$.

[f] monooxaziridine-monocarbaldehyde.

[g] bisoxaziridine.

[h] monooxaziridine-monocarbaldehyde.

[i] bisoxaziridine.

Table 2. ^{1}H-NMR Data of Azomethines **3** and **4**, 2-Alkyl-3-aryl-oxaziridines **5** and **6**, and Nitrones **7**

Compound	^{1}H-NMR (100 MHz, $CDCl_3$/TMS)[a] δ, *J* (Hz)
3a	1.28 (s, 9H, CH_3); 2.36 (s, 3H, $ArCH_3$); 7.18 (d, 2H, *J* = 9, ArH); 7.65 (d, 2H, *J* = 9, ArH); 8.25 (s, 1H, CH=N)
5a	1.16 (s, 9H, CH_3); 2.34 (s, 3H, $ArCH_3$); 4.64 (s, 1H, CH); 7.16 (d, 2H, *J* = 9, ArH); 7.36 (d, 2H, *J* = 9, ArH)
7a	1.60 (s, 9H, CH_3); 7.24 (d, 2H, *J* = 9, ArH); 7.52 (s, 1H, CH=); 8.22 (d, 2H, *J* = 9, ArH)
3b	1.26 (s, 9H, CH_3); 7.36 (d, 2H, *J* = 9, ArH); 7.67 (d, 2H, *J* = 9, ArH); 8.20 (s, 1H, CH=N)
5b	1.14 (s, 9H, CH_3); 4.63 (s, 1H, CH); 7.34 (s, 4H, ArH)
3c	1.25 (s, 9H, CH_3); 7.48 (d, 2H, *J* = 9, ArH); 7.62 (d, 2H, *J* = 9, ArH); 8.19 (s, 1H, CH=N)
5c	1.14 (s, 9H, CH_3); 4.60 (s, 1H, CH); 7.27 (d, 2H, *J* = 9, ArH); 7.38 (d, 2H, *J* = 9, ArH)
3d	1.27 (s, 9H, CH_3); 7.20–7.82 (m, 4H, ArH); 8.19 (s, 1H, CH=N)
5d	1.16 (s, 9H, CH_3); 4.62 (s, 1H, CH); 7.27–7.46 (m, 4H, ArH)
3e	1.28 (s, 9H, CH_3); 6.74–6.88 (m, 1H, ArH); 7.14–7.22 (m, 1H, ArH); 7.96 (s, 1H, ArOH); 8.18 (s, 1H, CH=N)
5e	1.16 (s, 9H, CH_3); 4.38 (s, 1H, CH); 6.70–7.23 (m, 5H, ArH, ArOH)
3f	1.24 (s, 9H, CH_3); 3.77 (s, 3H, OCH_3); 6.88 (d, 2H, *J* = 9, ArH); 8.20 (s, 1H, CH=N)
5f	1.14 (s, 9H, CH_3); 3.75 (s, 3H, OCH_3); 4.61 (s, 1H, CH); 6.86 (d, 2H, *J* = 9. ArH)
3g	1.30 (s, 9H, CH_3); 2.16, 2.21 (s, 6H, $ArCH_3$); 3.68, 3.85 (s, 6H, $ArOCH_3$); 7.33 (s, 1H, ArH); 8.63 (s, 1H, CH=N)
4g	0.94 (t, 3H, *J* = 6, CH_3); 1.74 (sext., 2H, *J* = 6, $C\underline{H}_2CH_3$); 2.16, 2.21 (2s, 6H, $ArCH_3$); 3.61 (dt, 2H, *J* = 1, 7, =NCH_2); 3.68, 3.83 (2s. 6H, OCH_3); 7.30 (s, 1H, ArH); 8.60 (s, 1H, CH=N)
5g	1.19 (s, 9H, CH_3); 2.14, 2.21 (2s, 6H, $ArCH_3$); 3.74, 3.78 (2s, 6H, OCH_3); 5.11 (s, 1H, CH); 6.70 (s, 1H, ArH)
(*E*)-**6g**	1.03 (t, 3H, *J* = 7, CH_3); 1.78 (sext., 2H, *J* = 7, $C\underline{H}_2CH_3$); 2.60–3.14 (m, 2H, NCH_2); 3.72, 3.76 (2s, 6H, OCH_3); 4.91 (s, 1H, CH); 6.64 (s, 1H, ArH)
(*Z*)-**6g**	0.96 (t, 3H, *J* = 7, CH_3); 1.68 (sext., 2H, *J* = 7, $C\underline{H}_2CH_3$); 2.18, 2.24 (2s, 6H, $ArCH_3$); 2.16–2.60 (m, 2H, NCH_2); 3.75, 3.80 (2s, 6H, OCH_3); 5.43 (s, 1H, CH); 6.66 (s, 1H, ArH)
3h	1.26 (s, 9H, CH_3); 3.78, 3.80 (2s, 6H, OCH_3); 6.38–6.54 (m, 2H, ArH); 7.92 (d, 1H, *J* = 8, ArH); 8.60 (s, 1H, CH=N)
5h	1.16 (s, 9H, CH_3); 3.78, 3.82 (ds, 6H, OCH_3); 5.07 (s, 1H, CH); 6.44–6.52 (m, 2H, ArH); 7.20–7.30 (m, 1H, ArH)
7h	1.60 (s, 9H, CH_3); 3.83 (s, 6H, OCH_3); 6.59 (d, 1H, *J* = 2, ArH); 6.47 (dd, 1H, *J* = 8, 2, ArH); 7.96 (s, 1H, CH=); 9.45 (d, 1H, *J* = 8, ArH)
3i	1.28 (s, 9H, CH_3); 3.90, 3.95 (2s, 6H, OCH_3); 6.85 (d, 1H, *J* = 8, ArH); 7.18 (dd, 1H, *J* = 8, 2, ArH); 7.47 (d, 1H, *J* = 2, ArH); 8.20 (s, 1H, CH=N)
5i	1.28 (s, 9H, CH_3); 3.85, 3.87 (2s, 6H, OCH_3); 4.63 (s, 1H, CH); 6.78–7.10 (m, 3H, ArH)
3j	1.14, 1.26 (2s, 18H, CH_3); 3.73 (s, 2H, $ArCH_2$); 7.35 (d, 2H, *J* = 9, ArH); 7.69 (d, 2H, *J* = 9, ArH); 8.23 (s, 1H, CH=N)
5j	1.14 (s, 9H, CH_3); 3.71 (s, 2H, $ArCH_2$); 4.63 (s, 1H, CH); 7.36 (s, 4H, ArH)
3k	1.14 (t, 6H, *J* = 7, $CH_2C\underline{H}_3$); 1.26 (s, 9H, CH_3); 3.37 (q, 4H, *J* = 7, $-C\underline{H}_2CH_3$); 6.64 (d, 2H, *J* = 9, ArH); 7.80 (d, 2H, *J* = 9, ArH); 8.16 (s, 1H, CH=N)
3l	1.28 (s, 18H, CH_3); 7.76 (s, 4H, ArH); 8.26 (s, 2H, CH=N)
5l	1.18 (s, 9H, CH_3); 4.75 (s, 1H, CH); 7.61 (d, 2H, *J* = 9, ArH); 7.98 (d, 2H, *J* = 9, ArH); 10.02 (s, 1H, CHO)
5ll	1.15 (s, 18H, CH_3); 4.66 (s, 2H, CH); 7.44 (s, 4H, ArH)
3m	1.36 (s, 9H, CH_3); 7.38–7.61 (m, 3H, ArH); 7.76–7.93 (m, 3H, ArH); 8.76–8.90 (m, 3H, ArH); 8.92 (s, 1H, CH=N)
5m	1.25 (s, 9H, CH_3); 5.38 (s, 1H, CH); 7.76–7.92 (m, 6H, ArH); 8.11–8.20 (m, 1H, ArH)
3n	1.31 (s, 9H, CH_3); 7.40–7.52 (m, 2H, ArH); 7.72–8.08 (m, 5H, ArH); 8.40 (s, 1H, CH=N)
5n	1.18 (s, 9H, CH_3); 4.80 (s, 1H, CH); 7.38–7.56 (m, 2H, ArH); 7.70–7.96 (m, 3H, ArH)
3o	1.35 (s, 9H, CH_3); 3.31 (s, 4H, CH_2); 7.16–7.60 (m, 3H, ArH); 7.76 (d, 1H, *J* = 8, ArH); 8.69 (d, 1H, *J* = 8, ArH); 8.75 (s, 1H, CH=N)
5o	1.23 (s, 9H, CH_3); 3.33 (s, 4H, CH_2); 5.28 (s, 1H, CH); 7.20–7.61 (m, 4H, ArH); 7.92 (d, 1H, *J* = 8, ArH)
3p	1.34 (s, 9H, CH_3); 7.42 (dd, 2H, *J* = 7, 3, ArH); 7.89 (dd, 2H, *J* = 7, 3, ArH); 8.16 (s, 2H, CH=N); 8.94 (s, 2H, ArH)
5p	1.27 (s, 9H, CH_3); 5.81 (s, 1H, CH); 7.52–8.30 (m, 6H, ArH); 10.21 (s, 1H, CHO)
5pp	1.22 (s, 9H, CH_3); 5.27, 5.34 (2s, 2H, CH); 7.46 (dd, 2H, *J* = 7, 3, ArH); 7.82 (dd, 2H, *J* = 7, 3, ArH); 7.96 (s, 2H, ArH)
3q	1.33 (s, 9H, CH_3); 3.83, 3.93 (s, 6H, OCH_3); 7.38–7.53 (m, 3H, ArH); 7.98–8.30 (m, 2H, ArH); 8.84 (s, 1H, CH=N)
4q	0.98 (t, 3H, *J* = 8, CH_3); 1.77 (sext., 2H, *J* = 7, $C\underline{H}_2CH_3$); 3.92, 4.01 (2s, 6H, OCH_3); 3.68 (dt, 2H, *J* = 1, 7, =NCH_2); 7.38 (s, 1H, ArH); 7.46–7.56 (m, 2H, ArH); 8.05–8.30 (m, 2H, ArH); 8.81 (t, 1H, *J* = 1, CH=N)
5q	1.22 (s, 9H, CH_3); 3.96, 3.98 (s, 6H, OCH_3); 5.34 (s, 1H, CH); 6.72 (s, 1H, ArH); 7.74–7.62 (m, 2H, ArH); 8.00–8.32 (m, 2H, ArH)
(*E*)-**6q**	1.04 (t, 3H, *J* = 7, CH_3); 1.81 (sext., 2H, *J* = 7, $C\underline{H}_2CH_3$); 2.66–3.20 (m, 2H, =NCH_2); 3.94, 3.96 (2s, 6H, OCH_3); 5.15 (s, 1H, ArH); 7.48–7.58 (m, 2H, ArH); 8.00–8.32 (m, 2H, ArH)
(*Z*)-**6q**	0.93 (t, 3H, *J* = 7, CH_3); 1.70 (sext., 2H, *J* = 7, $C\underline{H}_2CH_3$); 2.37–2.70 (m, 2H, =NCH_2); 3.99 (s, 6H, OCH_3); 5.61 (s, 1H, CH); 6.33 (s, 1H, ArH); 7.52–7.64 (m, 2H, ArH); 8.06–8.35 (m, 2H, ArH)
3r	1.25 (s, 9H, CH_3); 5.95 (s, 2H, OCH_2O); 6.80 (d, 1H, *J* = 8, ArH); 7.12 (d, 1H, *J* = 8, ArH); 7.40 (s, 1H, ArH); 8.14 (s, 1H, CH=N)
5r	1.14 (s, 9H, CH_3); 4.60 (s, 1H, CH); 5.94 (s, 2H, OCH_2O); 7.74–8.02 (m, 3H, ArH)
3s	1.28 (s, 9H, CH_3); 6.46 (dd, 1H, *J* = 4, 2, ArH); 6.72 (d, 1H, *J* = 4, ArH); 7.50 (d, 1H, *J* = 2, ArH); 8.08 (s, 1H, CH=N)
5s	1.16 (s, 9H, CH_3); 4.78 (s, 1H, CH); 6.38 (dd, 1H, *J* = 4, 2, ArH); 6.62 (d, 1H, *J* = 4, ArH); 7.46 (d, 1H, *J* = 2, ArH)
3t	1.31 (s, 9H, CH_3); 7.12–7.38 (m, 4H, ArH); 8.24–8.36 (m, 1H, ArH); 8.52 (s, 1H, CH=N)
3u	1.28 (s, 9H, CH_3); 7.28 (dd, 1H, *J* = 8, 4.5, ArH); 8.11 (dt, 1H, *J* = 8, 2, ArH); 8.28 (s, 1H, CH=N); 8.59 (dd, 1H, *J* = 4.5, 2, ArH); 8.87 (d, 1H, *J* = 2, ArH)
5u	1.15 (s, 9H, CH_3); 4.75 (s, 1H, CH); 7.29 (dd, 1H, *J* = 8, 5, ArH); 7.74 (dt, 1H, *J* = 8, 2, ArH); 8.60 (dd, 1H, *J* = 5, 2, ArH); 8.71 (d, 1H, *J* = 2, ArH)
3v	1.26 (s, 9H, CH_3); 7.59 (dd, 2H, *J* = 4.5, 2, ArH); 8.19 (s, 1H, CH=N); 8.65 (dd, 2H, *J* = 4.5, 2, ArH)
5v	1.16 (s, 9H, CH_3); 4.66 (s, 1H, CH); 7.36 (dd, 2H, *J* = 5, 2, ArH); 8.63 (dd, 2H, *J* = 5, 2, ArH)
3w	1.30 (s, 9H, CH_3); 7.30 (dd, 1H, *J* = 8, 4.5, ArH); 8.10–8.34 (m, 3H, ArH); 8.38 (s, 1H, CH=N); 8.85 (dd, 1H, *J* = 4.5, 2, ArH)
5w	1.20 (s, 9H, CH_3); 4.87 (s, 1H, CH); 7.40 (dd, 1H, *J* = 8, 4.5, ArH); 7.78 (dd, 1H, *J* = 8, 2, ArH); 7.94 (d, 1H, *J* = 2, ArH); 8.15 (dd, 1H, *J* = 8, 2, ArH); 8.16 (d, 1H, *J* = 8, ArH); 8.95 (dd, 1H, *J* = 4.5, 2, ArH)
3x	1.34 (s, 9H, CH_3); 7.41 (dd, 1H, *J* = 8, 2, ArH); 7.78–8.26 (m, 4H, ArH); 8.49 (s, 1H, CH=N); 8.95 (dd, 1H, *J* = 4.5, 2, ArH)
5x	1.20 (s, 9H, CH_3); 4.90 (s, 1H, CH); 7.37–8.30 (m, 5H, ArH): 8.95 (dd, 1H, *J* = 4, 2, ArH)

as those with electron releasing substituents (**2a, e–i, k, g, r**) or π-excess heteroaromatic systems (**2s, t**). Even aldehyde **2g**, having four electron-releasing substituents, gave relatively stable azomethines **3g** and **4g**, which were successfully oxidized to oxaziridines **5g** and **6g**. Exceptionally, phthalaldehyde gave a very unstable azomethine, which could not be isolated and oxidized, in contrast to its naphthalene analog **2p** or terephtalaldehyde, which afforded stable products **4p**, **4pp** and **4l**, **4ll**, respectively, in high yields.

In the ^{1}H-NMR spectra of azomethines **3** the signal of the $-CH=N-$proton was observed as a singlet between 8.08 and 8.92 ppm. The signal of the corresponding proton in azomethines **4** is a triplet due to its weak coupling with the $=N-CH_2$-protons. These spectra gave the evidence that all the azomethines obtained were individual diastereoisomers, most probably with the *E*-configuration due to steric factors.

The majority of the azomethines underwent oxidation to oxaziridines **5** and **6**, except **3k** and **3t**. Their oxidation gave complex mixtures, in which the desired oxaziridines **5k** and **5t** were not found.

Azomethines can react with peracids by two competitive ways.[21,22] Oxidation of the azomethine group can lead to oxaziridines or to the isomeric nitrones. In our experiments, oxaziridines were accompanied by starting aldehydes (isolated in 10–46% yield), but not by nitrones, except for compound **7h**, which was isolated in significant amounts (32%). When compounds having two azomethine groups (**3ll** and **4pp**) were oxidized, a mixture containing bisoxaziridines (**5ll** or **5pp**), monooxaziridines with a formyl group (**4l**, **4p**), formed as minor products, and the corresponding dialdehydes (**2l**, **2p**) was formed. The epoxidation of azomethines as a two-step process is not stereospecific, and both *E*- and *Z*-diastereoisomers can be formed from the sterically defined azomethine.[21,23] Azomethines **3** having a *tert*-butyl substituent when oxidized with MCPBA gave only (*E*)-2-*tert*-butyl-3-aryloxaziridines **5**, since two bulky substituents make the *Z*-form unfavorable. On the other hand, azomethines **4** containing a propyl substituent gave both (*E*)- and (*Z*)-2-propyl-3-aryloxaziridines **6**, although the *E*-isomer was the major product, as confirmed by ^{1}H-NMR analysis (the singlet due to the methine proton for the *Z*-isomer was downfield from that of the *E*-isomer; a similar effect was reported for 2-alkyl-3-(p-nitrophenyl)oxaziridines[23]). For (*E*)-2-*tert*-butyl-3-aryloxaziridines **5**, the methine proton gave a signal between 4.38 and 5.35 ppm, depending on structure of the aromatic moiety, which is the same region as for (*E*)-oxaziridines **6**.

N-(Arylmethylene)alkylamines 3 and 4; General Procedure:

The appropriate arenecarbaldehyde **2** (15 mmol) is dissolved in an excess of *tert*-butyl- or propylamine (12 mL), and molecular sieves (Serva 4a; 1.0 g) are added. The reaction mixture is allowed to stand at room temperature for 24 h, and is then filtered. The molecular sieves are washed on the filter with dry $CHCl_3$ (15 mL). The $CHCl_3$ and excess amine are evaporated from the filtrate *in vacuo* at a water-bath temperature < 40 °C. The residue is dissolved in hexane (10 mL), and the solution shaken with active carbon and filtered through celite. The celite is washed with hexane (10 mL), and the solvent is evaporated from filtrate in the same manner as above. The residue is pure azomethine.

The IR spectra of all azomethines **3** and **4** exhibit a strong absorption band $\nu_{C=N}$ between 1616 and 1639 cm^{-1}, which is not observed in the spectra of the oxaziridines **5** and **6**.

Oxidation of Azomethines 3 and 4 to 2-Alkyl-3-aryloxaziridines 5 and 6; General Procedure:

To an ice/salt-cooled (ca. −15 °C) solution of azomethine **3** or **4** (5 mmol) in $CHCl_3$ (25 mL), anhydrous Na_2CO_3 (1.06 g, 10 mmol) is added. A solution of 90% MCPBA (1.15 g, 6 mmol) in $CHCl_3$ (50 mL) is added dropwise with vigorous stirring during 1.5 h (for the compounds **2l** and **2p**, double amounts of Na_2CO_3 and MCPBA are used), and the mixture is stirred at −15 to 0 °C for 3 h more. The peroxycompounds are removed by filtration for the reaction mixture through basic alumina. The filtrate is washed with satd. aq. $NaHCO_3$, decolorized with active carbon and dried (K_2CO_3). Chloroform is removed *in vacuo* at a water-bath temperature < 40 °C, and the residue is separated on a silica gel (Merck 0.063–0.2 mm) column.

Compounds **5a, h, i o r, s** are eluted with hexane/i-Pr_2O (1 : 1); **5b–d, f, l, p** with hexane/i-Pr_2O (2 : 1); **5m, n, q** with hexane/i-Pr_2O (3 : 1); **5g** with hexane/i-Pr_2O (3 : 2); **5e** with hexane/acetone (1 : 1); **5u–x** with hexane/EtOAc (1 : 2); and **5j** with MeOH.

The compounds **6g** are separated on a silica gel column using hexane/i-Pr_2O (1 : 1) as eluent to give pure isomer (*E*)-**6g** and a mixture of (*E*)-**6g**, (*Z*)-**6g** and **2g**. From this mixture, pure isomer (*Z*)-**6g** is isolated using $CHCl_3$/EtOAc (50 : 1) as eluent.

The compounds **6q** are separated on a silica gel column using hexane/i-Pr_2O (2 : 1) as eluent to give pure isomer (*E*)-**6q** and a mixture of (*Z*)-**6q** and **2q**, from which isomer (*Z*)-**6q** is isolated with $CHCl_3$/EtOAc (25 : 1) as eluent.

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