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Efficient Optical Resolution of Aziridines by Complexation with Optically active host compounds

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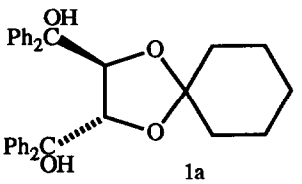
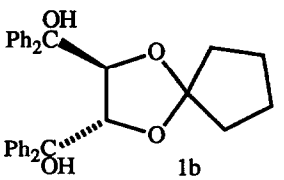
Abstract· Some aziridines are resolved efficiently by complexation with optically active host compounds which were derived from tartaric acid

Although optically active aziridines are useful synthons for optically active materials for example, polymers,[1] 3-pyrrolines,[2] and β-lactams,[3] their preparation is not easy The usual preparative method is dehydration of the optically active α-aminoalcohol which is derived from the appropriate amino acid.[4] However, efficient optical resolution methods for aziridines have not been reported We now report an efficient optical resolution of aziridines by inclusion complex formation with optically active host compounds, (-)-*trans*-2,3-bis(hydroxydiphenylmethyl)-1,4-dioxaspiro[5 4]decane (**1a**)[5] and (-)-*trans*-2,3-bis(hydroxydiphenylmethyl)-1,4-dioxaspiro[4 4]nonane (**1b**),[6] which were derived from tartaric acid This follows our successful study of the optical resolution of various compounds by inclusion complexation method with optically active host compounds [7]

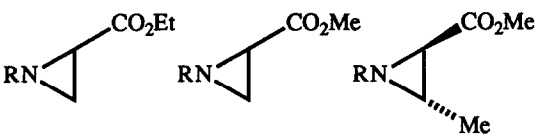
For example, when a solution of **1a** (2 5 g, 4 9 mmol) and N-ethyl-2-ethoxycarbonylaziridine (**2a**) (1 4 g, 9 8 mmol) in benzene (20 ml)-hexane (10 ml) was kept at room temperature for 5 h, a 1 1 inclusion compound of **1a** and (-)-**2a** was formed as colourless needles (1 9 g, 59 % yield, mp 127-131°C, $[\alpha]_D$ -92 3 (c 0 2 in $CHCl_3$)), which upon distillation in vacuo gave (-)-**2a** of 100 % ee (0 24 g, 34 % yield, $[\alpha]_D$ -92 3 (c 0 2 in $CHCl_3$)). The optical purity was determined by measuring the ^1H NMR spectrum in the presence of the chiral shift reagent Eu(hfc)$_3$ [8] By the same method, **2b-i** were also resolved efficiently (Table 1) Of these **2b**, **2d** and **2i** were resolved more efficiently by the host **1b**



1a



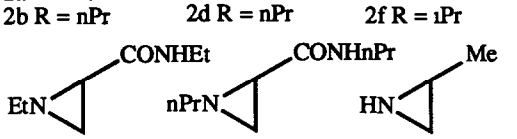
1b



2a R = Et
2b R = nPr

2c R = Et
2d R = nPr

2e R = nPr
2f R = iPr



2g

2h

2i

Table 1. Optical resolution of aziridines **2** by one complexation with **1**

		optically active **2**		
	host	$[\alpha]_D$ (c 0 5 $CHCl_3$)	yield (%)	% ee[a]
2a	**1a**	-92 3	34	100
2b	**1a**	-122 0	32	---[b]
2c	**1b**	+92.1	43	64
2d	**1b**	-121 3	44	100
2e	**1a**	-78 7	28	100
2f	**1a**	-60 0	33	100
2g	**1a**	-103 9	42	---[b]
2h	**1a**	+31 2	74	---[b]
2i	**1b**	+4 2	30	---b

[a] The purity was determined by ^1H NMR spectroscopy using $Eu(hfc)_3$ [8]
[b] Purity was not determined

Although the optical purities of the resolved **2c, 2g, 2h,** and **2i** were not determined, these were assumed to be optically pure because their $[\alpha]_D$ values did not change by repeating the complexation with **1** The (+)-**2b** of 64 % ee which had been obtained by one complexation gave the optically pure enantiomer by repeating the complexation with **1b**

References and Notes

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8 $Eu(hfc)_3$ (99+%) is available from Aldrich Co, Ltd, Milwaukee, Wisconsin, U S A