Stereoselective addition of organometallic reagents to *N*-(tosyl)vinylsulfoximines

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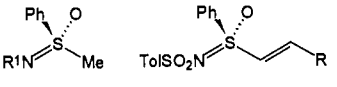
Treatment of *N*-(toluene-*p*-sulfonyl)vinylsulfoximines 3 with methyllithium at −78 °C, followed by addition of chlorotrimethylsilane, results in the efficient formation of α-silyl vinylsulfoximines 6 in good to excellent yield. Nucleophilic addition of a range of simple alkyl and aryl organometallics (lithium, copper–lithium and Grignard reagents) occurs in variable yield to give the Michael adducts 8, with organolithium reagents most effective. The degree of stereoselectivity of each of the addition reactions was determined by ^{1}H NMR of the desilylated products 9, and proved to be synthetically useful for compounds in which the starting α-silylvinylsulfoximines were branched at the γ-position, and also when phenyllithium was used as the nucleophile. The sense of stereoselectivity was determined in two cases by X-ray crystal structure analyses (of 9e and 9i). A one-pot process for the conversion of α-silylvinylsulfoximines 6 to α-substituted carboxylic acids 11 was developed, using an *in situ* phenylselenation–oxidation process following the initial conjugate addition. Use of enantiomerically pure starting materials allowed the assignment of configuration of two carboxylic acids (13e and 13h) by comparison with literature data, and hence indirectly of the relative stereochemistry of the initial Michael adducts 9e and 9h.

Synthetic applications of sulfoximines,‡ chiral analogues of sulfones, continue to be developed.[1] The usefulness of sulfoximines stems partly from the ease of their preparation in enantiomerically pure form,[2] and partly from the scope for modification of the nitrogen substituent which can have a substantial effect on the acidity of adjacent protons. Thus, the acidity of the *S*-methyl protons in *N*,*S*-dimethyl-*S*-phenylsulfoximine **1a** (pK_a 32) is very much lower than the acidity of the corresponding protons in *S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2** (pK_a 24.5).[3] We have been interested in synthetic applications of *N*-(toluene-*p*-sulfonyl)vinylsulfoximines **3**, since these compounds are significantly better Michael acceptors than the corresponding vinylsulfones, which have a wealth of synthetic application.[4] For example, reaction of lithium *tert*-butyl peroxide with simple *N*-(toluene-*p*-sulfonyl)-vinylsulfoximines **3** gives the corresponding sulfoximinooxiranes 4 with very high diastereoselectivity.[5]



1 R^1 = Me
2 R^1 = SO_2To

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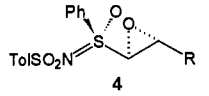

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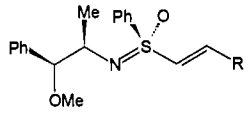
Given the high degree of stereocontrol in the addition of the lithium *tert*-butyl peroxide, we became interested in the possibility of using other lithium-based nucleophiles in stereocontrolled Michael additions. Pyne has already investigated the addition of a variety of simple organometallic reagents to *N*-(alkyl)vinylsulfoximes,[6] and also the effect of the addition of cations on the stereochemical outcome of these reactions.[7] In several instances, good levels of stereochemical control were obtained.

The substrates used incorporated an auxiliary group as the *N*-alkyl substituent (*e.g.* **5**), and required a rather involved



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synthetic sequence for their preparation. One of the main conclusions of this work was that the stereochemical outcome of the reactions was governed principally by the chirality at sulfur, rather than by that of the auxiliary group. For this reason, it seemed appropriate to investigate the addition of simple organometallic reagents to *N*-(toluene-*p*-sulfonyl)vinylsulfoximines. The required *N*-(toluene-*p*-sulfonyl)vinylsulfoximines were prepared with excellent *E*-stereoselectivity by our previously described one-pot method,[5] involving condensation of lithiated *S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine with an aldehyde, followed by *in situ* elimination.

As our initial nucleophiles, we decided to use simple alkyl- and aryl-lithium reagents. We had already established that, in contrast to the substrates used by Pyne, treatment of *N*-(toluene-*p*-sulfonyl)vinylsulfoximines **3** with alkyllithium reagents leads to removal of the acidic α-proton, rather than to conjugate addition. It is worth pointing out that simple *N*-(alkyl)vinylsulfoximines also undergo α-deprotonation on treatment with alkyllithium reagents,[8] so Pyne's substrates (perhaps due to their enhanced ability to co-ordinate lithium)

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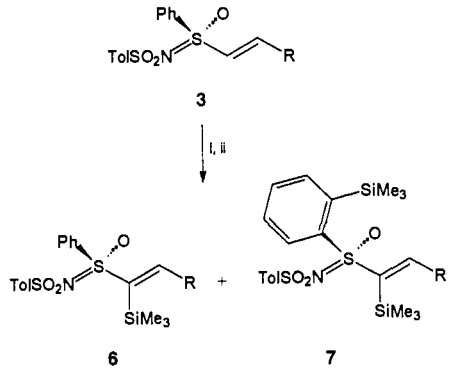
‡ The IUPAC name for compounds of type **1** is sulfoximides, however for consistency with earlier work the term sulfoximine is used throughout this paper.

are unusual in undergoing conjugate addition reactions. For this reason, we chose to use the temporary protection strategy developed by Isobe in his studies of the conjugate addition of reactive organometallic reagents to vinylsulfones,[9] in which the α-position is temporarily blocked with a trialkylsilyl group. Indeed, we had previously established the viability of the first step of this process by the preparation of the α-(trimethylsilyl)-vinylsulfoximine **6c**.[5]

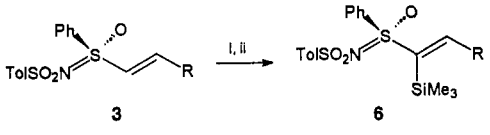
Treatment of *N*-(tosyl)vinylsulfoximines **3a–c** with butyllithium (1.2 equiv.) in tetrahydrofuran (THF) at −78 °C, followed by quenching with chlorotrimethylsilane, gave the monosilylated vinylsulfoximines **6a–c**, together with a small amount (< 10%) of the corresponding disilylated *N*-(tosyl)vinylsulfoximines **7a–c** (Scheme 1). X-Ray crystal structure analysis



Scheme 1 *Reagents and conditions:* i, BuLi (1.2 equiv.), −78 °C, 10 min; ii, Me_3SiCl, −78 °C, 10 min

of **7a** and **7c** showed the additional trimethylsilyl group was on the *ortho*-position of the phenyl ring.[10] This appears to be the first example of a sulfoximine group directed *ortho*-metallation of an aromatic.[11]

As a result of these observations it was thought that milder deprotonation conditions were needed, to reduce the possibility of *ortho*-metallation. Therefore, *N*-(tosyl)vinylsulfoximines **3** were treated with MeLi (1.05 equiv.) in THF at −78 °C, which resulted in selective lithiation at the α-position. The α-lithiated species was obtained as a bright yellow solution and was stable at −78 °C for up to 1 h. Quenching of the α-lithio anion with chlorotrimethylsilane (1.1 equiv.) occurred at −78 °C within 15 minutes to afford a range of α-silyl vinylsulfoximines **6** in good to excellent yield (Scheme 2, Table 1).

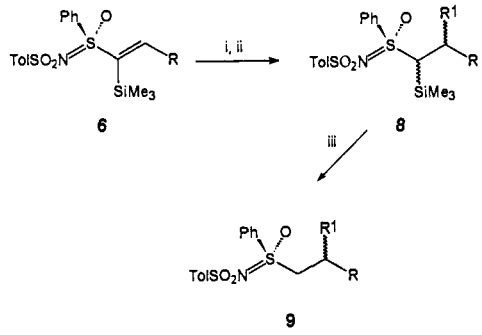


Scheme 2 *Reagents and conditions:* i, MeLi (1 equiv.), −78 °C, 10 min; ii, Me_3SiCl (1.05 equiv.), −78 °C, 10 min

Treatment of *S*-phenyl-*S*-[(*E*)-1-trimethylsilylalk-1-enyl]-*N*-(tosyl)sulfoximines **6a–f** with alkyllithiums, dialkylcopperlithiums and alkylmagnesium halides, followed by quenching with aqueous ammonium chloride gave the adducts **8a–l**. In general, lithium reagents gave substantially higher yields than the corresponding Grignard or copper–lithium reagents. The presence of three stereogenic centres in the adducts made assignment of the stereochemical outcome difficult, so the adducts were subjected to desilylation with tetrabutylammonium fluoride (TBAF) in tetrahydrofuran (THF) at room temperature to give the corresponding 2-alkylsulfoximines **9a–l** and the ratio of diastereoisomers was determined using ^1H NMR (Scheme 3, Table 2). The highest diastereoisomeric ratios were observed for adducts derived from addition of lithium reagents to the γ-branched α-trimethylsilyl vinylsulfoximines (**6c** and **6d**), and also for the addition of phenyllithium to all the

Table 1 Preparation of α-(silyl)vinylsulfoximines **6** from vinylsulfoximines **3**

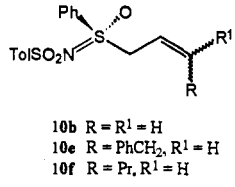
Vinylsulfoximine	R	α(silyl)vinylsulfoximine	Yield (%)
3a	Ph	**6a**	58
3b	Me	**6b**	68
3c	Pr^i	**6c**	98
3d	$c\text{-}C_6H_{11}$	**6d**	73
3e	$Ph(CH_2)_2$	**6e**	78
3f	Bu	**6f**	64



Scheme 3 *Reagents and conditions:* i, R^1M (see Experimental section for details of reaction conditions); ii, NH_4Cl (aq.); iii, TBAF (1 mol dm^{-3} in THF), room temp., 10 min

substrates (other than **6a**, which was not attempted) apart from the methyl substituted derivative **6b**.

The corresponding *S*-allyl-*N*-(tosyl)sulfoximines **10b**, **10e**

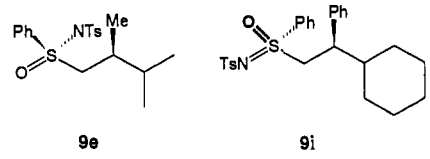


10b R = R^1 = H
10e R = $PhCH_2$, R^1 = H
10f R = Pr, R^1 = H

and **10f** were formed in low to moderate yield as by-products when the β-methyl, β-phenethyl and β-butyl systems were treated with some alkyllithiums.[12] This is presumably a reflection of the ease of removal of the allylic proton by the strongly basic alkyllithium reagents.

The age (which presumably reflects the amount of lithium alkoxide present) of alkyllithiums was a critical factor in the conjugate addition reactions of alkyllithiums to α-(silyl)vinylsulfoximines **6**. We observed that alkyllithiums with little or no alkoxide present gave moderate yields and in the cases of **6b**, **6e** and **6f** promoted the formation of the corresponding allylic sulfoximine **10**. However, aged alkyllithiums with 30–40% alkoxide present gave good to excellent yields. Although the diastereoselectivity was not affected, it is not known how the presence of the alkoxides is facilitating this reaction.

The sense of diastereofacial selectivity in the conjugate addition process was unambiguously established in two cases, **9e** (Fig. 1) and **9i** (Fig. 2) by X-ray crystal structure analysis.



Although the conformation in the crystal is different in each case as illustrated below, the stereochemical sense of nucleophilic addition was the same and, incidentally, the same as we had already established for the addition of lithium

Table 2 Addition of organometallic reagents to α-(silyl)vinylsulfoximines **6** and subsequent desilylation

Starting α-(silyl)vinylsulfoximine	R	Nucleophile	Initial adduct	Yield (%)	R^1	Desilylated sulfoximine	Yield (%)	Ratio of diastereoisomers[a]
6a	Ph	BuLi	**8a**	49	Bu	**9a**	96	1:1
6a	Ph	MeLi	**8b**	54	Me	**9b**	93	4:3
6b	Me	BuLi	**8c**	37	Bu	**9c**	97	1:1
6b	Me	Bu_2CuLi	**8c**	76	Bu	**9c**	94	4:7
6b	Me	BuMgCl	**8c**	75	Bu	**9c**	98	3:5
6b	Me	PhLi	**8b**	63	Ph	**9b**	92	4:1
6c	Pr^i	BuLi	**8d**	63	Bu	**9d**	86	10:1
6c	Pr^i	Bu_2CuLi	**8d**	15	Bu	**9d**	88	4:1
6c	Pr^i	BuMgCl	**8d**	43	Bu	**9d**	87	1:2
6c	Pr^i	MeLi	**8e**	85	Me	**9e**	86	25:1
6c	Pr^i	Me_2CuLi	**8e**	59	Me	**9e**	84	3:1
6c	Pr^i	MeMgBr	**8e**	40	Me	**9e**	79	3:2
6c	Pr^i	PhLi	**8f**	90	Ph	**9f**	92	25:1
6d	$c\text{-}C_6H_{11}$	BuLi	**8g**	80	Bu	**9g**	86	15:1
6d	$c\text{-}C_6H_{11}$	Bu_2CuLi	**8g**	23	Bu	**9g**	89	5:1
6d	$c\text{-}C_6H_{11}$	BuMgCl	**8g**	38	Bu	**9g**	91	1.6:1
6d	$c\text{-}C_6H_{11}$	MeLi	**8h**	92	Me	**9h**	92	25:1
6d	$c\text{-}C_6H_{11}$	Me_2CuLi	**8h**	63	Me	**9h**	90	2.75:1
6d	$c\text{-}C_6H_{11}$	MeMgBr	**8h**	67	Me	**9h**	91	1:1
6d	$c\text{-}C_6H_{11}$	PhLi	**8i**	73	Ph	**9i**	92	25:1
6e	$Ph(CH_2)_2$	BuLi	**8j**	63	Bu	**9j**	97	1:1
6e	$Ph(CH_2)_2$	MeLi	**8k**	73	Me	**9k**	90	3:2
6e	$Ph(CH_2)_2$	PhLi	**8l**	71	Ph	**9l**	92	25:1
6f	Bu	MeLi	**8c**	75	Me	**9c**	95	3:2
6f	Bu	PhLi	**8a**	65	Ph	**9a**	88	25:1

[a] When a diastereoisomeric ratio of 25:1 is reported, this implies that only one isomer was observed by NMR of the crude reaction mixture.

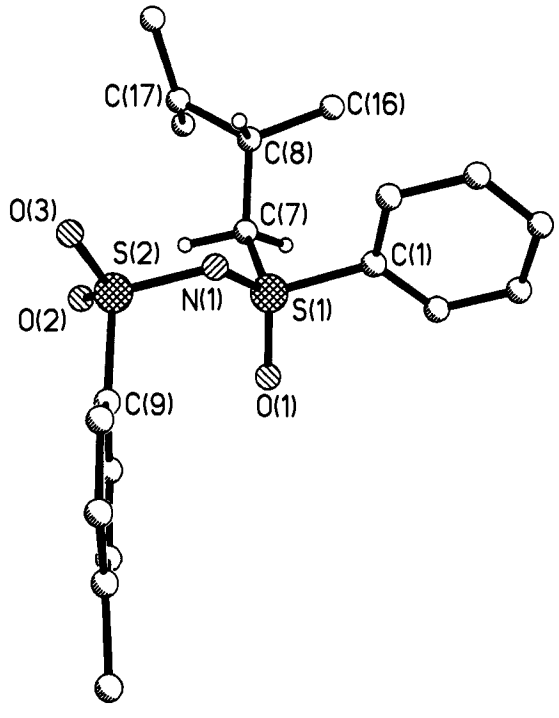


Fig. 1 Molecular structure of compound **9e**

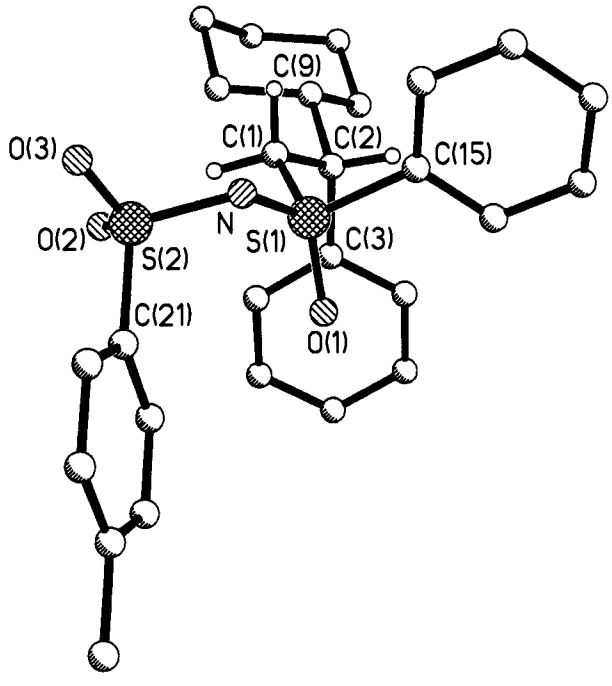


Fig. 2 Molecular structure of compound **9i**

tert-butyl peroxide to *N*-(toluene-*p*-sulfonyl)vinylsulfoximines **3**.[5]

In order to establish the sense of diastereofacial selectivity in the formation of the other Michael adducts **9a–d**, **9f–j** and **9k–l**, we needed to find a method to convert them into known compounds in optically active form. The most effective method proved to be Isobe's general procedure for the oxidation of α-(trimethylsilyl)phenylsulfones by treatment of the α-anion [formed *in situ* by addition of a nucleophile to an α-(trimethylsilyl)vinylsulfone] with phenylselenenyl chloride, followed by oxidation with hydrogen peroxide.[13]

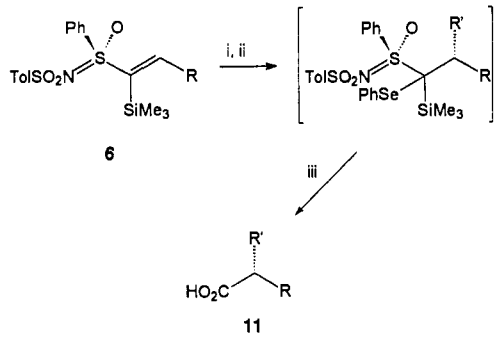
Three adducts, which had been prepared from enantiomerically pure (*S*)-(+)-*S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)-sulfoximine **2a**,§ were converted to the corresponding α-alkyl carboxylic acid **11** in moderate to good yields by the above procedure (Scheme 4, Table 3). After conversion to the corresponding methyl esters **12e**, **12g** and **12h** using diazomethane, the optical purity of the α-alkyl carboxylic acids

§ Application of IUPAC rules for the assignment of configuration of the sulfoximines **2**, **3** and **6** means that while the configuration of the vinylsulfoximine **3** derived from (*S*)-**2** is also (*S*), conversion to the corresponding α-silyl derivative **6** results in a compound of (*R*)-configuration.

Table 3 Conversion of Michael adducts **9** to α-alkyl carboxylic acid derivatives

α-(silyl)vinyl-sulfoximine	R	R'	α-alkyl carboxylic acid	Yield (%)	Observed $[\alpha]_D$	Literature $[\alpha]_D$	α-alkyl methyl ester	Enantiomeric excess (%)
6c	Pr^i	Me	**11e**	61	−22.8	−22.05 (*R*)[a]	**12e**	93[b]
6d	*c*-C_6H_{11}	Bu	**11g**	34	+9.6	—	**12g**	90[b]
6d	*c*-C_6H_{11}	Me	**11h**	63	−16.7	+18.32 (*S*)[c]	**12h**	95[d]

[a] Ref. 15. [b] Ee established with maximum error of ±5%. [c] Ref. 16. [d] Ee established with maximum error of ±0.5%.

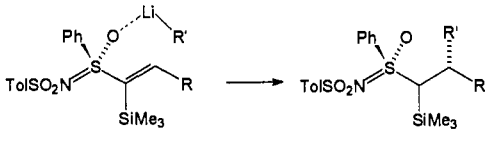


Scheme 4 *Reagents and conditions:* i, R^1Li (2 equiv.), −78 °C, 30 min.; ii PhSeCl (2 equiv.) −78 °C to room temp., 30 min; iii, H_2O_2 (30% aq.), 1 h

was determined by chiral phase gas chromatography of the methyl esters **12e**, **12g** and **12h** using the stationary phase octakis(2,6-di-*O*-methyl-3-*O*-pentyl)-γ-cyclodextrin.[14]

The absolute configuration of **11e** and **11h** was established by comparison of their $[\alpha]_D$ values with the literature values (see Table 3).[15,16] In each case, the configuration at the α-centre was (*R*). The absolute stereochemistry for acid **11e** was perfectly in accord with the relative stereochemistry already established for (racemic) **9e** (determined by an X-ray structure analysis). From these results, we confidently expect that **11g** has the same configuration as **11e** and **11h** at the α-centre, as indicated in Scheme 4. It therefore appears that (*R*)-(−)-α-(silyl)vinylsulfoximines **9** afford the corresponding (*R*)-α-alkyl carboxylic acids **11**.

Since the sense of diastereofacial selectivity was the same as had been observed in the nucleophilic epoxidation of *N*-(tosyl)vinylsulfoximines **3**, we tentatively suggest that the reaction may proceed through a similar reactive conformation of the α-(silyl)vinylsulfoximine, in which the bulky *N*-tosyl substituent is essentially *anti* to the vinyl group, and the lithium reagent is delivered from the less hindered face,[17,18] perhaps assisted by coordination from oxygen (Scheme 5). It is not clear



Scheme 5

at this point why branching of the β-substituent, or use of phenyllithium as the nucleophile, should result in the highest stereoselectivity.

In summary, we have established that nucleophilic addition of simple alkyl- and aryl-lithium reagents to α-(silyl)vinylsulfoximines **6** proceeds in good yield and, in many cases, with high stereoselectivity. The stereochemical outcome of the process at the newly created asymmetric carbon (C-2) of three of the Michael adducts **9e**, **9h** and **9i** has been established, and is the same in each case. Given the high stereoselectivity of the process when using phenyllithium as the nucleophile, it is worthwhile pointing out the potential application of this process to the synthesis of enantiomerically pure α-alkylphenylacetic acid derivatives.

Experimental

General experimental procedures and instrumentation are as previously described.[19] *J* Values are given in Hz. Light petroleum refers to that fraction with bp 40–60 °C. All organic extracts were dried over anhydrous $MgSO_4$, and solvent was removed using a rotary evaporator. Optical rotations are recorded in units of 10^{-1} deg cm^2 g^{-1}.

Resolution of *S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2**[2,3]

Racemic *S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2** (30.0 g, 0.193 mol) was dissolved in dry acetone (500 cm^3) and the mixture brought to the boil. The hot solution was removed from the heat and added to a hot solution of (*R*)-(−)-camphorsulfonic acid (44.96 g, 0.193 mol) in dry acetone (300 cm^3) (**CARE**: this is an exothermic process). The reaction mixture was refluxed for 2 min before being removed from the heat and allowed to cool slowly to room temperature under nitrogen. After 2 h the crystals which formed were filtered off and dried, giving the camphorsulfonic acid salt as white needles (39.69 g, 0.102 mol, 53%); $[\alpha]_D^{20}$ −27.3 (*c* 7.52, H_2O). The crystalline camphorsulfonic acid salt (39.69 g, 0.102 mol) was dissolved in hot acetonitrile (600 cm^3). The hot solution was allowed to cool to room temperature under nitrogen. After 2 h the flask was sealed under nitrogen and cooled in a freezer overnight. The crystals formed (34.67 g, 90.10 mmol, 46%) were filtered off and washed with acetonitrile. The optical rotation of the salt was measured; $[\alpha]_D^{20}$ −28.1 (*c* 7.55 H_2O). This material was recrystallised as above giving (30.09 g, 77.75 mmol, 80%) of the further resolved salt; $[\alpha]_D^{20}$ −28.5 (*c* 7.55, H_2O) {lit., $[\alpha]_D^{25}$ −28.8 (*c* 7.57, H_2O)}. The salt was then dissolved in water and the solution was made slightly alkaline with 1 mol dm^{-3} NaOH. The sulfoximine was extracted with dichloromethane, and the combined dichloromethane extracts were dried ($MgSO_4$), and removal of solvent under reduced pressure gave (*R*)-(−)-*S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2b** as a colourless oil which solidified on cooling to −20 °C (10.84 g, 69.97 mmol, 72% of maximum yield), $[\alpha]_D^{20}$ −18.1 (*c* 2.60, MeOH), {lit., $[\alpha]_D^{25}$ −17.9 (*c* 2.62, MeOH)}.

The remaining unresolved salt (45.76 g, 0.118 mol) was then dissolved in water and the solution was made slightly alkaline with 1 mol dm^{-3} NaOH. The sulfoximine was extracted with dichloromethane and the combined dichloromethane extracts were dried ($MgSO_4$), and removal of solvent under reduced pressure gave enriched (*S*)-(+)-*S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine as a colourless oil which solidified on cooling to −20 °C (16.5 g, 0.106 mmol). Enriched (*S*)-(+)-*S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine (16.5 g, 0.106 mmol) was dissolved in dry acetone (350 cm^3) and mixture brought to the boil. The hot solution was removed from the heat and a hot solution of (*S*)-(+)-camphorsulfonic acid (24.72 g, 0.106 mol) in dry acetone (200 cm^3) was added. The reaction mixture was refluxed for 1 min before being removed and allowed to slowly cool to room temperature under nitrogen. After 2 h the crystals which formed were filtered off and dried giving the camphorsulfonic acid salt as white needles (34.18 g, 88.33 mmol). This material was recrystallised three times as above giving the salt (26.31 g, 67.98 mmol). The optical rotation of the salt was measured; $[\alpha]_D^{20}$ 28.1 (*c* 7.5, H_2O).

Subsequent treatment of the camphorsulfonic acid salt as above afforded (*S*)-(+)-*S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2a** as a colourless oil (9.694 g, 62.54 mmol, 65%), $[\alpha]_D^{20}$ 18.0 (*c* 2.6, MeOH).

The following vinylsulfoximines were prepared by the method described in our previous publication.[5]

S-Phenyl-S-[(*E*)-2-phenylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine 3a

S-Methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2** (3.09 g, 10 mmol) gave the pure vinylsulfoximine **3a** (2.70 g, 6.8 mmol, 68%), using benzaldehyde as the aldehyde, as a white crystalline solid. Spectroscopic data were identical to those reported.[20]

(*S*)-(+)-*S*-[(*E*)-3-Methylbut-1-enyl]-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 3c

(*S*)-(+)-*S*-Methyl-*S*-phenyl-*N*-(toluene-*p*-tolylsulfonyl)sulfoximine **2a** (3.09 g, 10 mmol) gave the pure vinylsulfoximine **3c** (3.59 g, 9.9 mmol, 99%), using isobutyraldehyde as the aldehyde, as a white crystalline solid, mp 97–99 °C, $[\alpha]_D^{20}$ 101.2 (*c* 1.0 CH_2Cl_2). Spectroscopic data were identical with those reported.[5]

S-[(*E*)-2-Cyclohexylethenyl]-*S*-phenyl-*N*-(toluene-*p*-tolylsulfonyl)sulfoximine 3d

S-Methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2** (3.09 g, 10 mmol) gave the pure vinylsulfoximine **3d** (2.98 g, 7.4 mmol, 74%), using cyclohexanecarboxaldehyde as the aldehyde, as a white crystalline solid, mp 123–125 °C (Found: C, 62.3; H, 6.45; N, 3.2. $C_{21}H_{25}NO_3S_2$ requires C, 62.5; H, 6.2; N, 3.4%); ν_{max}(KBr disc)/cm^{-1} 1601, 1497, 1304, 1071, 843; δ_H(200 MHz, $CDCl_3$) 1.02–1.29 (5 H, m, aliphatic H), 1.71–1.76 (5 H, m, aliphatic H), 2.18–2.25 (1 H, br s), 2.39 (3 H, s, C*H*$_3$Ar), 6.33 (1 H, dd, ^3J 2.0 and 15.0, 1-H), 6.94 (1 H, dd, ^3J 6.5 and 15.0, 2-H), 7.22–7.27 (2 H, m, Ar-*H*), 7.49–7.69 (3 H, m, Ar-*H*), 7.80–7.85 (2 H, m, Ar-*H*), 7.90–7.95 (2 H, m, Ar-*H*); *m*/*z* (E.I.) 404 (MH^+, 0.7%), 403 (M^+, 0.7), 278 (PhSNTs, 30) (Found: MH^+, 404.1401. $C_{21}H_{26}NO_3S_2$ requires 404.1354).

(*S*)-(+)-*S*-[(*E*)-2-Cyclohexylethenyl]-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine. Prepared from (*S*)-(+)-*S*-methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2a** mp 125–126 °C, $[\alpha]_D^{20}$ 113.6 (*c* 1.0 CH_2Cl_2).

S-[(*E*)-Hex-1-enyl]-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 3f

S-Methyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **2** (3.09 g, 10 mmol) gave the pure vinylsulfoximine **3f** (2.86 g, 7.6 mmol, 76%), using pentanal as the aldehyde, as a white crystalline solid, mp 74–76 °C (Found: C, 60.3; H, 6.2; N, 3.6. $C_{19}H_{23}NO_3S_2$ requires C, 60.5; H, 6.1; N, 3.7%); ν_{max}(KBr disc)/cm^{-1} 1599, 1499, 1308, 1059, 816; δ_H(200 MHz, $CDCl_3$) 0.88 (3 H, t, ^3J 7.0, C*H*$_3$CH$_2$), 1.17–1.50 (4 H, m, 4-H$_2$ and 5-H$_2$), 2.21–2.31 (2 H, m, 3-H$_2$), 2.40 (3 H, s, C*H*$_3$-Ar), 6.41 (1 H, dt, ^3J 1.5 and 15.0, 1-H), 6.99 (1 H, dt, ^3J 6.5 and 15.0, 2-H), 7.22–7.25 (2 H, m, Ar-*H*), 7.50–7.69 (3 H, m, Ar-*H*), 7.82–7.86 (2 H, m, Ar-*H*), 7.92–7.97 (2 H, m, Ar-*H*); *m*/*z* (E.I.) 378 (MH^+, 31.5%), 377 (M^+, 20.5), 348 (M^+ − $MeCH_2$, 40) 278 (PhSNTs, 80) (Found: MH^+, 378.1208. $C_{19}H_{24}NO_3S_2$ requires 378.1197).

General procedure for preparation of *S*-phenyl-*S*-[(*E*)-1-trimethylsilylalk-1-enyl]-*N*-(toluene-p-sulfonyl)sulfoximines 6

S-[(*E*)-Alk-1-enyl]-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **3** was dissolved in dry THF (1 cm^3 per 0.2 mmol), and cooled to −78 °C. MeLi (solution in Et_2O; 1.05 equiv.) was added dropwise, at that temperature, to give a bright yellow solution which was stirred at −78 °C for 30 min. Chlorotrimethylsilane (1.2 equiv.) was then added, dropwise, at −78 °C and the reaction mixture was stirred for 20 min before being quenched with aqueous NH_4Cl (10%; 1 cm^3 per 0.25 mmol) and extracted with dichloromethane. The dichloromethane extracts were combined and dried and the solvent was removed under reduced pressure to give the crude product as a pale yellow solid/oil. The crude product was purified by flash column chromatography (5 : 1, light petroleum : ethyl acetate) to give the pure α-silylated product **6** as a white crystalline solid (or colourless oil).

S-Phenyl-S-[(*E*)-1-trimethylsilyl-2-phenylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine 6a. *S*-Phenyl-*S*-[(*E*)-2-phenylethenyl]-*N*-(toluene-*p*-sulfonyl) sulfoximine **3a** (0.397 g, 1 mmol) was converted to the α-silyl product **6a** (0.272 g, 0.58 mmol, 58%) as a white crystalline solid, mp 118–120 °C (Found: C, 61.5; H, 5.8; N, 3.0. $C_{24}H_{27}NO_3S_2Si$ requires C, 61.5; H, 6.0; N, 3.0%); ν_{max}(KBr disc)/cm^{-1} 1599, 1584, 1561, 1495, 1063, 851; δ_H(200 MHz, $CDCl_3$) 0.01 (9 H, s, Me_3Si), 2.38 (3 H, s, C*H*$_3$-Ar), 7.22–7.28 (4 H, m, Ar-*H*), 7.35–7.42 (3 H, m, Ar-*H*), 7.52–7.70 (3 H, m, Ar-*H*), 7.82–7.89 (2 H, m, Ar-*H*), 7.94–8.01 (2 H, m, Ar-*H*), 8.28 (1 H, s, 2-H); *m*/*z* (E.I.) 470 (MH^+, 0.5%), 454 (M^+ − Me, 3), 368 (M^+ − C≡C–Ph, 1), 296 (20).

S-Phenyl-S-[(*E*)-1-trimethylsilylprop-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine 6b. *S*-Phenyl-*S*-[(*E*)-prop-1-enyl]-*N*-(toluene-*p*-sulfonyl) sulfoximine **3b** (0.335 g, 1 mmol) was converted to the α-silyl product **6b** (0.277 g, 0.68 mmol, 68%) as a white crystalline solid, mp 105–107 °C (Found: C, 56.0; H, 6.2; N, 3.4. $C_{19}H_{25}NO_3S_2Si$ requires C, 55.9; H, 6.4; N, 3.4%); ν_{max}(KBr disc)/cm^{-1} 1591, 1497, 1069, 847; δ_H(200 MHz, $CDCl_3$) 0.15 (9 H, s, Me_3Si), 2.05 (3 H, d, ^3J 7.5, 3-H$_3$), 2.36 (3 H, s, C*H*$_3$Ar), 7.15–7.25 (2 H, m, Ar-*H*), 7.44–7.64 (4 H, m, Ar-*H* and 2-H), 7.74–7.86 (4 H, m, Ar-*H*); *m*/*z* (E.I.) 407 (M^-, 2.1%), 392 (M^+ − Me, 30), 335 (MH^+ − Me_3Si, 15), 278 (PhSNTs, 25) (Found: M^+, 407.1037. $C_{19}H_{25}NO_3S_2Si$ requires 407.1045).

S-Phenyl-S-[(*E*)-1-trimethylsilyl-3-methylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine 6c. *S*-[(*E*)-3-Methylbut-1-enyl]-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **3c** (0.685 g, 1.88 mmol) was converted to the α-silyl product **6c** (0.801 g, 1.84 mmol, 98%) as a white crystalline solid. Spectroscopic and physical data were identical with those reported.[5]

(*R*)-*S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-3-methylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine. Prepared from (*S*)-(+)-*S*-[(*E*)-3-methylbut-1-enyl]-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine, mp 106–107 °C, $[\alpha]_D^{20}$ 17.6 (*c* 1.0 CH_2Cl_2).

S-Phenyl-S-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl)-*N*-(toluene-*p*-sulfonyl)sulfoximine 6d. *S*-[(*E*)-2-Cyclohexylethenyl)-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **3d** (0.403 g, 1 mmol) was converted to the α-silyl product **6d** (0.347 g, 0.73 mmol, 73%) as a white crystalline solid, mp 80–82 °C (Found: C, 60.4; H, 6.8; N, 2.9. $C_{24}H_{33}NO_3S_2Si$ requires C, 60.6; H, 6.95; N, 2.95%); ν_{max}(film)/cm^{-1} 1582, 1497, 1063, 849; δ_H(200 MHz, $CDCl_3$) 0.16 (9 H, s, Me_3Si), 1.26 (5 H, br s, aliphatic H), 1.76 (5 H, br s, aliphatic H), 2.05 (1 H, br s), 2.38 (3 H, s, C*H*$_3$-Ar), 2.53 (1 H, m), 7.20–7.25 (3 H, m, Ar-*H* and 2-H), 7.47–7.66 (3 H, m, Ar-*H*), 7.78–7.85 (4 H, m, Ar-*H*); *m*/*z* (E.I.) 476 (MH^+, 7%), 475 (M^+, 2), 368 (M^+ − C≡C–C_6H_{11}, 20) (Found: MH^+, 476.1749. $C_{24}H_{34}NO_3S_2Si$ requires 476.1766).

(*R*)-*S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine. Prepared from (*S*)-(+)-*S*-[(*E*)-2-cyclohexylethenyl]-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine, mp 141–142 °C, $[\alpha]_D^{20}$ 16.0 (*c* 1.0 CH_2Cl_2).

S-Phenyl-S-[(*E*)-1-trimethylsilyl-4-phenylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine 6e. *S*-Phenyl-*S*-[(*E*)-4-phenylbut-1-enyl]-*N*-(toluene-*p*- sulfonyl)sulfoximine **3e** (0.425 g, 1 mmol) was converted to the α-silyl product **6e** (0.387 g, 0.78 mmol, 78%) as a colourless oil (Found: C, 62.6; H, 6.2; N, 2.8. $C_{26}H_{31}NO_3S_2Si$ requires C, 62.8; H, 6.2; N, 2.8%); ν_{max}(film)/cm^{-1} 1599, 1497, 1062, 850; δ_H(200 MHz, $CDCl_3$) 0.10 (9 H, s, Me_3Si), 2.38 (3 H, s, C*H*$_3$-Ar), 2.70–2.87 (4 H, m, 3-H$_2$ and 4-H$_2$), 7.12–7.34 (8 H, m, Ar-*H*), 7.39–7.62 (3 H, m, Ar-*H*), 7.68–7.72 (2 H, m, Ar-H), 7.79–7.83 (2 H, m, Ar-*H*); *m*/*z*

(E.I.) 497 (M^+, 1.1%), 482 (M^+ − Me, 3), 368 (M^+ − C=C−$(CH_2)_2$Ph, 5), 296 (10) (Found: M^+, 497.1478. $C_{26}H_{31}NO_3S_2Si$ requires 497.1515).

***S*-Phenyl-*S*-[(*E*-1-trimethylsilylhex-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine 6f.** *S*-[(*E*)-Hex-1-enyl]-*S*-phenyl-*N*-(toluene-*p*-sulfonyl) *sulfoximine* **3f** (0.377 g, 1 mmol) was converted to the α-silyl product **6f** (0.287 g, 0.64 mmol, 64%) as a white crystalline solid, mp 80–82 °C (Found: C, 58.9; H, 6.9; N, 3.2. $C_{22}H_{31}NO_3S_2Si$ requires C, 58.8; H, 6.9; N, 3.1%); ν_{max}(KBr disc)/cm^{-1} 1586, 1496, 1053, 847; δ_H(200 MHz, $CDCl_3$) 0.16 (9 H, s, Me_3Si), 0.93 (3 H, t, ^3J 7.0, 6-H_3), 1.34–1.54 (6 H, m, 3-H_2, 4-H_2 and 5-H_2), 2.38 (3 H, s, CH_3-Ar), 7.19–7.28 (2 H, m, Ar-*H*), 7.41 (1 H, t, *J* 8.0, 2-H), 7.46–7.64 (3 H, m, Ar-*H*), 7.78–7.92 (4 H, m, Ar-*H*); *m*/*z* (E.I.) 450 (MH^+, 18%), 449 (M^+, 5), 434 (M^+ − Me, 35), 278 (PhSNTs, 20) (Found: MH^+, 450.1593. $C_{22}H_{32}NO_3S_2Si$ requires 450.1592).

General procedure for conjugate addition of organolithiums (Method 1), dialkylcopperlithiums (Method 2), and alkylmagnesium halides (Method 3) to *S*-phenyl-*S*-[(*E*)-1-trimethylsilylalk-1-enyl]-*N*-(toluene-*p*- sulfonyl)sulfoximines 6

Method 1. The α-trimethylsilylvinylsulfoximine **6** was dissolved in dry THF (1 cm^3 per 0.05 mmol), and cooled to −78 °C. The appropriate organolithium (2.0 equiv.) (see Table 2) was added, dropwise, at that temperature to give a pale yellow solution, which was stirred for 30 min, before being quenched with aqueous NH_4Cl (10%, 1 cm^3 per 0.25 mmol) and extracted with dichloromethane. The combined dichloromethane extracts were dried, and solvent removed under reduced pressure to give the crude product, which was purified by flash column chromatography (5:1, light petroleum:ethyl acetate) to give the pure product **8** as a mixture of diastereoisomers.

Method 2. The appropriate alkyllithium (10 equiv.) (see Table 2) was added to a slurry of copper(I) iodide (5 equiv.) in dry diethyl ether (1 cm^3 per 0.5 mmol) at −30 °C. The cuprate solution was stirred at −30 °C for 30 min, before the appropriate α-trimethylsilyl vinylsulfoximine **6** was added. The reaction mixture was slowly warmed to 0 °C and stirred at that temperature for 75 min, after which it was warmed to room temperature and stirred for a further 30 min. After 30 min, the reaction mixture was cooled to −78 °C and quenched with aqueous NH_4Cl (10%; 1 cm^3 per 0.25 mmol). The diethyl ether layer was separated and washed twice with water. The aqueous layer was washed with ether and the ether extracts were combined, dried and solvent removed under reduced pressure giving the crude product, which was purified by flash column chromatography (5:1, light petroleum:ethyl acetate) to give the pure product **8** as a mixture of diastereoisomers.

Method 3. To a solution of the α-trimethylsilyl vinylsulfoximine **6** in dry diethyl ether (1 cm^3 per 0.02 mmol) at room temperature, the appropriate alkylmagnesium halide (3 equiv.) was added (see Table 2). The resultant mixture was stirred and followed by TLC. The reaction mixture was cooled to −78 °C and quenched with aqueous NH_4Cl (10%; 1 cm^3 per 0.25 mmol) and extracted with dichloromethane. The dichloromethane extracts were combined, dried and solvent removed under reduced pressure to give the crude product, which was purified by flash column chromatography (5:1, light petroleum:ethyl acetate) to give the pure product **8** as a mixture of diastereoisomers.

***S*-Phenyl-*S*-(1-trimethylsilyl-2-phenyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8a.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-2-phenylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6a** {and *S*-phenyl-*S*-[(*E*)-1-trimethylsilylhex-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6f**} was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-phenyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8a** as a mixture of diastereoisomers which was obtained as a white crystalline solid, mp 65–67 °C; ν_{max}(film)/cm^{-1} 1601, 1584, 1497, 1309, 1067; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.33 (9 H, s, Me_3Si), 0.73–1.46 (9 H, m, aliphatic H), 2.38 (3 H, s, CH_3-Ar), 3.17–3.35 (1 H, m), 3.53 (1 H, s), 6.69–7.00 (4 H, m, Ar-*H*), 7.13–7.30 (4 H, m, Ar-*H*), 7.38–8.06 (6 H, m, Ar-*H*); *m*/*z* (EI) 527 (M^+, 1.6%), 456 (MH^+ − Me_3Si, 3), 296 (20), 278 (PhSNTs, 15) (Found: M^+, 527.2062. $C_{28}H_{37}NO_3S_2Si$ requires 527.1984).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-phenyl)propyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8b.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilylprop-1-enyl]-*N*- (toluene-*p*-sulfonyl)sulfoximine **6a** {and *S*-phenyl-*S*-[(*E*)-1-trimethylsilyl-2-phenylethenyl]-*N*-(toluene-*p*-tolylsulfonyl)sulfoximine **6b**} was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-phenyl)propyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8b** as a mixture of diastereoisomers which was obtained as a white crystalline solid, mp 155–157 °C (Found: C, 61.3; H, 6.3; N, 2.8. $C_{25}H_{31}NO_3S_2Si$ requires C, 61.8; H, 6.4; N, 2.9%); ν_{max}(film)/cm^{-1} 1601, 1497, 1306, 1061; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.32 (9 H, s, Me_3Si), 1.57 (3 H, d, ^3J 7.0, 3-H_3), 1.68–1.75 (1 H, m, 2-H), 2.39 (3 H, s, CH_3-Ar), 3.58 (1 H, s, 1-H), 6.75–6.96 (2 H, m, Ar-*H*), 7.12–7.46 (6 H, m, Ar-*H*), 7.57–7.74 (4 H, m, Ar-*H*), 7.99–8.06 (2 H, m, Ar-*H*); *m*/*z* (EI) 486 (MH^+, 1%), 470 (M^+ − Me, 3), 413 (MH^+ − Me_3Si, 2%) (Found: MH^+, 486.1646. $C_{25}H_{32}NO_3S_2Si$ requires 486.1593).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-methyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8c.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilylprop-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6b** {and *S*-phenyl-*S*-[(*E*)-1-trimethylsilylhex-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6f**} was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-methyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8c** as a mixture of diastereoisomers which was obtained as a white crystalline solid, mp 109–111 °C (Found: C, 59.3; H, 7.5; N, 2.95. $C_{23}H_{35}NO_3S_2Si$ requires C, 59.3; H, 7.5; N, 3.0%); ν_{max}(KBr disc)/cm^{-1} 1495, 1308, 1061; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.29 (9 H, s, Me_3Si), 0.64–1.68 (13 H, m, aliphatic H), 2.38 (3 H, s, CH_3-Ar), 2.94 (1 H, s, 1-H), 7.15–7.25 (2 H, m, Ar-*H*), 7.51–7.72 (3 H, m, Ar-*H*), 7.80–8.02 (4 H, m, Ar-*H*); *m*/*z* (EI) 466 (MH^+, 6%), 465 (M^+, 0.5), 450 (M^+ − Me, 7), 296 (80) (Found: M^+, 465.1927. $C_{23}H_{35}NO_3S_2Si$ requires 465.1827).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-isopropyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8d.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-3-methylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6c** was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-isopropyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8d** as a mixture of diastereoisomers, obtained as a white crystalline solid, mp 116–118 °C (Found: C, 60.7; H, 7.8; N, 2.8. $C_{25}H_{39}NO_3S_2Si$ requires C, 60.8; H, 7.9; N, 2.8%); ν_{max}(KBr disc)/cm^{-1} 1599, 1497, 1055; δ_H(500 MHz, $CDCl_3$, major diastereoisomer) 0.30 (9 H, s, Me_3Si), 0.41 (3 H, d, ^3J 7.0, CH_3), 0.64 (3 H, d, ^3J 7.0, CH_3), 0.86 (3 H, t, ^3J 7.5, 6-H_3), 1.30–1.65 (8 H, m), 2.37 (3 H, s, CH_3-Ar), 3.01 (1 H, s, 1-H), 7.16–7.65 (5 H, m, Ar-*H*), 7.71–7.87 (4 H, m, Ar-*H*); *m*/*z* (EI) 494 (MH^+, 15%), 436 (M^+ − Bu, 10), 420 (M^+ − $SiMe_3$, 15), 278 (PhSNTs, 15%).

***S*-Phenyl-*S*-(1-trimethylsilyl-2,3-dimethyl)butyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8e.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-3-methylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6c** was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2,3-dimethyl)butyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8e** as a mixture of diastereoisomers, obtained as a white crystalline solid, mp 122–124 °C (Found: C, 58.8; H, 7.65; N, 3.0. $C_{22}H_{33}NO_3S_2Si$ requires C, 58.5; H, 7.6; N, 3.1%); ν_{max}(KBr disc)/cm^{-3} 1599, 1495, 1053; δ_H(500 MHz, $CDCl_3$, major diastereoisomer) 0.30 (9 H, s, Me_3Si), 0.37 (3 H, d, ^3J 6.0, CH_3), 0.68 (3 H, d, ^3J 6.0, CH_3), 1.15 (3 H, d, ^3J 6.0, CH_3), 1.21–1.60 (2 H, m, 2-H and 3-H), 2.38 (3 H, s, CH_3-Ar), 3.05 (1 H, s, 1-H), 7.17–7.21 (2 H, m, Ar-*H*), 7.51–7.67 (3 H, m, Ar-*H*), 7.72–7.77 (2 H, m, Ar-*H*), 7.86–7.92 (2 H, m, Ar-*H*); *m*/*z* (EI) 436 (M^+ − Me, 5%), 408 (M^+ − Me_2CH, 20), 368 (M^+, 15), 278 (PhSNTs, 10) (Found: M^+ − Me, 436.1437. $C_{21}H_{30}NO_3S_2Si$ requires 436.1437).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-phenyl-3-methyl)butyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8f.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-3-methylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine

6c was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-phenyl-3-methyl)butyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8f** as a mixture of diastereoisomers, obtained as a colourless oil (Found: C, 62.9; H, 6.3; N, 2.6. $C_{27}H_{35}NO_3S_2Si$ requires C, 63.1; H, 6.8; N, 2.7%); ν_{max}(film)/cm^{-1} 1601, 1497, 1067; δ_H(500 MHz, $CDCl_3$, major diastereoisomer) 0.24 (9 H, s, Me_3Si), 0.48 (3 H, d, ^3J 6.5, CH_3), 0.82 (3 H, d, ^3J 6.5, CH_3), 2.09 (1 H, m, 3-H), 2.37 (3 H, s, CH_3-Ar), 2.66–2.70 (1 H, m, 2-H), 3.70 (1 H, d, J 3.0, 1-H), 6.87–7.94 (14 H, Ar-*H*); *m*/*z* (EI) 514 (MH^+, 1%), 441 ($MH^+ - SiMe_3$, 40), 426 ($MH^+ - SiMe_3$, Me, 15), 296 (20) (Found: MH^+, 514.1933. $C_{27}H_{36}NO_3S_2Si$ requires 514.1906).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8g.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6d** was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl)hexyl-*N*-(toluene-*p*-tolylsulfonyl)sulfoximine **8g** as a mixture of diastereoisomers, obtained as a colourless oil; ν_{max}(film)/cm^{-1} 1599, 1496, 1319, 1062; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.29 (9 H, s, Me_3Si), 0.86 (3 H, t, ^3J 6.5, 6-H_3), 0.91–1.86 (18 H, m, aliphatic H), 2.37 (3 H, s, CH_3-Ar), 3.03 (1 H, s, 1-H), 7.14–7.25 (2 H, m, Ar-*H*), 7.45–7.73 (3 H, m, Ar-*H*), 7.77–7.84 (4 H, m, Ar-*H*); *m*/*z* (EI) 534 (MH^+, 0.5%), 518 ($M^+ - Me$, 30), 476 ($M^+ - Bu$, 57), 460 ($M^+ - Me_3Si$, 60), 363 [PhS($OSiMe_3$)NTs, 100] (Found: MH^+, 534.2637. $C_{28}H_{44}NO_3S_2Si$ requires 534.2531).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl)propyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8h.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6d** was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl)propyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8h** as a mixture of diastereoisomers, obtained as a white crystalline solid, mp 121–123 °C (Found: C, 61.1; H, 7.7; N, 3.0. $C_{25}H_{37}NO_3S_2Si$ requires C, 61.1; H, 7.5; N, 2.85%); ν_{max}(KBr disc) 1599, 1497, 1306, 1059; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.28 (9 H, s, Me_3Si), 0.89–1.62 (12 H, m, aliphatic H), 1.12 (3 H, d, ^3J 7.0, 3-H_3), 2.37 (3 H, s, CH_3-Ar), 2.91 (1 H, s, 1-H), 7.15–7.24 (2 H, m, Ar-*H*), 7.44–7.78 (3 H, m, Ar-*H*), 7.79–7.89 (4 H, m, Ar-*H*); *m*/*z* (EI) 492 (MH^+, 1%), 476 ($M^+ - Me$, 8), 408 ($M^+ - C_6H_{11}$, 8), 278 (PhSNTs, 80) (Found: MH^+, 492.2098. $C_{25}H_{38}NO_3S_2Si$ requires 492.2062).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl-2-phenyl)ethyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8i.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6d** was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl-2-phenyl)ethyl-*N*-(toluene-p-sulfonyl)sulfoximine **8i** as a mixture of diastereoisomers, obtained as a colourless oil; ν_{max}(film)/cm^{-1} 1601, 1497, 1065; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.29 (9 H, s, Me_3Si), 0.40–1.71 (11 H, m, aliphatic H), 2.37 (3 H, s, CH_3-Ar), 2.66 (1 H, m, 2-H), 3.62 (1 H, s, 1-H), 6.86–7.11 (2 H, m, Ar-*H*), 7.15–7.35 (6 H, m, Ar-*H*), 7.45–7.90 (6 H, m, Ar-*H*); *m*/*z* (EI) 554 (MH^+, 1%), 480 ($M^+ - Me_3Si$, 3), 296 (20) (found: $MH^+ - Me_3Si$, 482.1941. $C_{27}H_{32}NO_3S_2$ requires 482.1824).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-phenethyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8j.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-4-phenylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6e** was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-phenethyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8j** as a mixture of diastereoisomers, obtained as a colourless oil (Found: C, 64.3; H, 7.4; N, 2.5. $C_{30}H_{41}NO_3S_2Si$ requires C, 64.8; H, 7.4; N, 2.5%); ν_{max}(film)/cm^{-1} 1601, 1496, 1305, 1062; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.30 (9 H, s, Me_3Si), 0.65–1.93 (9 H, m, aliphatic H), 2.13–2.32 (2 H, m, aliphatic H), 2.38 (3 H, s, CH_3-Ar), 2.45–2.63 (3 H, m, aliphatic H), 2.83 (1 H, s, 1-H), 6.83–6.93 (1 H, m, Ar-*H*), 7.14–7.31 (5 H, m, Ar-*H*), 7.41–7.66 (4 H, m, Ar-*H*), 7.70–7.93 (4 H, m, Ar-*H*); *m*/*z* (EI) 556 (MH^+, 11%), 555 (M^+, 0.5), 483 ($MH^+ - Me_3Si$, 10) (Found: M^+, 555.2330. $C_{30}H_{41}NO_3S_2Si$ requires 555.2297).

***S*-Phenyl-*S*-(1-trimethylsilyl-2-methyl-4-phenyl)butyl-*N*-(toluene-*p*-tolylsulfonyl)sulfoximine 8k.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-4-phenylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6e** was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2-methyl-4-phenyl)-*N*-(toluene-*p*-sulfonyl)butylsulfoximine **8k** as a mixture of diastereoisomers, obtained as a colourless oil (Found: C, 62.9; H, 6.6; N, 2.6. $C_{27}H_{35}NO_3S_2Si$ requires C, 63.2; H, 6.6; N, 2.7%); ν_{max}(film) 1601, 1497, 1308, 1063; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.29 (9 H, s, Me_3Si), 0.95 (3 H, d, ^3J 7.0, 2-Me), 2.14–2.32 (2 H, m, 3-H_2), 2.37 (3 H, s, CH_3-Ar), 2.44–2.64 (3 H, m, 2-H and 4-H_2), 2.84 (1 H, s, 1-H), 6.82–7.07 (2 H, m, Ar-*H*), 7.12–7.32 (4 H, m, Ar-*H*), 7.36–7.74 (6 H, m, Ar-*H*), 7.80–7.85 (2 H, m, Ar-*H*); *m*/*z* (EI) 514 (MH^+, 1%), 441 ($MH^+ - Me_3Si$, 10), 296 (35), 278 (PhSNTs, 20).

***S*-Phenyl-*S*-(1-trimethylsilyl-2,4-diphenyl)butyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 8l.** *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-4-phenylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6e** was converted to *S*-phenyl-*S*-(1-trimethylsilyl-2,4-diphenyl)butyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8l** as a mixture of diastereoisomers, obtained as a colourless oil (Found: C, 67.2; H, 6.5; N, 2.4. $C_{32}H_{37}NO_3S_2Si$ requires C, 66.8; H, 6.4; N, 2.4%); ν_{max}(film)/cm^{-1} 1601, 1497, 1308, 1063; δ_H(200 MHz, $CDCl_3$, major diastereoisomer) 0.26 (9 H, s, Me_3Si), 2.15–2.36 (2 H, m, 3-H_2), 2.41 (3 H, s, CH_3-Ar), 2.53–2.72 (3 H, m, 2-H and 4-H_2), 3.56 (1 H, s, 1-H), 6.93–7.07 (7 H, m, Ar-*H*), 7.16–7.32 (7 H, m, Ar-*H*), 7.41–7.55 (3 H, m, Ar-*H*), 7.62–7.92 (2 H, m, Ar-H); *m*/*z* (EI) 576 (MH^+, 1%), 503 ($MH^+ - Me_3Si$, 4), 278 (PhSNTs, 15).

General procedure for desilylation of 1-alkyl-1-trimethylsilyl-*N*-(toluene-*p*-sulfonyl)sulfoximines 9

To 1-alkyl-1-trimethylsilyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8** in dry THF (1 cm^3 per 0.1 mmol) at room temperature, TBAF (tetrabutylammonium fluoride) (3 equiv.) was added, and the solution changed colour to orange. After 10 min the solvent was removed under reduced pressure, and the crude product was purified by flash column chromatography (3:1, light petroleum:ethyl acetate) to give a white crystalline solid–colourless oil.

The data for compounds **9** below refers to the major isomer from the most stereoselective preparation of any individual compound (see Table 3). Where NMR data is available for the other isomer (usually obtained from a separate reaction), this is listed in brackets.

***S*-Phenyl-*S*-(2-phenyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9a.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-phenyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8a** (100 mg, 0.19 mmol) was desilylated to give the corresponding product **9a** (76 mg, 0.17 mmol, 88%) as a mixture of diastereoisomers (25:1) as a colourless oil, ν_{max}(film)/cm^{-1} 1601, 1497, 1305, 1067; δ_H(500 MHz, $CDCl_3$) 0.74 (3 H, t, ^3J 7.0, 6-H_3), 0.93–1.77 (6 H, m), 2.38 (3 H, s, CH_3-Ar), 3.24 (1 H, m, 2-H), 3.74 (AB part of ABX system, 1 H, dd, ^3J 7.5 and ^2J 14.5, CH_AH_BS), 3.84 (AB part of ABX system, 1 H, dd, ^3J 6.5 and ^2J 14.5, CH_AH_BS) [minor diastereoisomer: 3.65 (AB part of ABX system, 1 H, dd, ^3J 8.5 and ^2J 14.5, CH_AH_BS), 4.10 (AB part of ABX system, 1 H, dd, ^3J 5.0 and ^2J 14.5, CH_AH_BS)], 6.93–7.18 (5 H, m, Ar-*H*); 7.20–7.25 (2 H, m, Ar-*H*), 7.36–7.67 (3 H, m, Ar-*H*), 7.75–7.87 (4 H, m, Ar-*H*); *m*/*z* (E.I.) 456 (MH^+, 9.9%), 455 (M^+, 0.1), 398 ($M^+ - Bu$, 1), 296 (62), 278 (PhSNTs, 60) (Found: MH^+, 456.1674. $C_{25}H_{30}NO_3S_2$ requires 456.1667).

***S*-Phenyl-*S*-(2-phenyl)propyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9b.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-phenyl)propyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8b** (100 mg, 0.21 mmol) was desilylated to give the corresponding product **9b** (79 mg, 0.19 mmol, 92%) as a mixture of diastereoisomers (4:1) as a colourless oil (Found: C, 63.7; H, 5.7; N, 3.4. $C_{22}H_{23}NO_3S_2$ requires C, 63.9; H, 5.6; N, 3.4%); ν_{max}(film)/cm^{-1} 1601, 1583, 1495, 1063; δ_H(500 MHz, $CDCl_3$) 1.31 (3 H, d, ^3J 7.0, 3-H_3), 2.39 (3 H, s, CH_3-Ar), 3.45 (1 H, m, 2-H), 3.72 (AB part of ABX system, 1 H, dd, ^3J 6.5 and ^2J 14.5, CH_AH_BS), 3.79 (AB part of ABX system, 1 H, dd, ^3J 7.0 and ^2J 14.5, CH_AH_BS) [minor

diastereoisomer: 3.63 (AB part of ABX system, ^{1}H, dd, ^3J 6.5 and ^2J 14.5, CH_AH_BS)], 4.02 (AB part of ABX system, 1 H, dd, ^3J 7.0 and ^2J 14.5, CH_AH_BS)] 7.01–7.18 (4 H, m, Ar-*H*), 7.22–7.24 (2 H, m, Ar-*H*), 7.36–7.47 (2 H, m, Ar-*H*), 7.54–7.75 (2 H, m, Ar-*H*), 7.80–7.84 (4 H, m, Ar-*H*); *m/z* (EI) 414 (MH^+, 5%, 296 (80), 278 (PhSNTs, 40).

***S*-(2-Methyl)hexyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9c.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-methyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8c** (100 mg, 0.22 mmol) was desilylated to give the corresponding product **9c** (82 mg, 0.21 mmol, 95%) as a mixture of diastereoisomers (3:2) as a white crystalline solid, mp 63–65 °C (Found: C, 61.2; H, 7.1; N, 3.4. $C_{20}H_{27}NO_3S_2$ requires C, 60.9; H, 7.1; N, 3.4%); ν_{max}(film)/cm^{-1} 1599, 1497, 1304, 1063; δ_H(500 MHz, $CDCl_3$) 0.83 (3 H, t, ^3J 7.0, 6-H_3), 0.88 (3 H, d, ^3J 7.0, 2-Me), 1.04–1.38 (6 H, m, aliphatic H), 2.04–2.09 (1 H, m, 2-H), 2.38 (3 H, s, CH_3-Ar), 3.30 (AB part of ABX system, 1 H, dd, ^3J 4.5 and ^2J 14.5, CH_AH_BS), 3.45 (AB part of ABX system, 1 H, dd, ^3J 8.0 and ^2J 14.5, CH_AH_BS), [minor diastereoisomer: 3.17 (AB part of ABX system, 1 H, dd, ^3J 7.5 and ^2J 14.5, CH_AH_BS), 3.53 (AB part of ABX system, ^{1}H, dd, ^3J 5.0 and ^2J 14.5, CH_AH_BS)], 7.22–7.24 (2 H, m, Ar-*H*), 7.57–7.61 (2 H, m, Ar-*H*); 7.66–7.70 (1 H, m, Ar-*H*), 7.81–7.83 (2 H, m, Ar-*H*), 7.96–7.99 (2 H, m, Ar-*H*); *m/z* (E.I.) 394 (MH^+, 5%), 393 (M^+, 0.4), 336 (M^+ − Bu, 9), 296 (80), 278 (PhSNTs, 20) (Found: M^+, 393.1423. $C_{20}H_{27}NO_3S_2$ requires 393.1432).

***S*-Phenyl-*S*-(2-isopropyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9d.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-isopropyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8d** (100 mg, 0.20 mmol) was desilylated to give the corresponding product **9d** (72 mg, 0.18 mmol, 86%) as a mixture of diastereoisomers (10:1) as a white crystalline solid, mp 56–59 °C (Found: C, 62.6; H, 7.4; N 3.1. $C_{22}H_{31}NO_3S_2$ requires C, 62.7; H, 7.4; N, 3.3%); ν_{max}(KBr disc)/cm^{-1} 1597, 1497, 1306, 1057; δ_H(500 MHz, $CDCl_3$) 0.56 (3 H, d, ^3J 7.0), 0.67 (3 H, d, ^3J 7.0), 0.85 (3 H, t, ^3J 7.0, 6-H_3), 0.90–1.70 (8 H, m, aliphatic H), 2.39 (3 H, s, CH_3-Ar), 3.27 (AB part of ABX system, 1 H, dd, ^2J_A 14.5 and ^3J 3.5, CH_AH_BS), 3.42 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 7.5, CH_AH_BS)], [minor diastereoisomer: 3.08 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 6.0, CH_AH_BS), 3.61 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 3.5, CH_AH_BS)], 7.22–7.24 (2 H, m, Ar-*H*), 7.57–7.70 (3 H, m, Ar-*H*), 7.81–7.83 (2 H, m, Ar-*H*), 7.95–7.98 (2 H, m, Ar-*H*); *m/z* (EI) 422 (MH^+, 1.3%), 378 (M^+ − Me_2CH, 10), 296 (65), 278 (PhSNTs, 10) (Found: MH^+, 422.1828. $C_{22}H_{32}NO_3S_2$ requires 422.1823).

***S*-(2,3-Dimethyl)butyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9e.** *S*-Phenyl-*S*-(1-trimethylsilyl-2,3-dimethyl)butyl-*N*-(toluene-*p*-sulfonyl)butylsulfoximine **8e** (100 mg, 0.22 mmol) was desilylated to give the corresponding product **9e** (73 mg, 0.19 mmol, 86%) as a mixture of diastereoisomers (25:1) as a white crystalline solid, mp 68–71 °C (Found: C, 60.0; H, 6.6; N, 3.6. $C_{19}H_{25}NO_3S_2$ requires C, 60.1; H, 6.6; N, 3.7%); ν_{max}(film)/cm^{-1} 1599, 1497, 1063; δ_H(500 MHz, $CDCl_3$) 0.64 (3 H, d, ^3J 7.0, 3-Me), 0.72 (3 H, d, ^3J 7.0, 4-H_3), 0.97 (3 H, d, ^3J 7.0, 2-Me), 1.31–1.91 (2 H, m, 2-H and 3-H), 2.39 (3 H, s, CH_3-Ar), 3.33 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 3.0, CH_AH_BS), 3.42 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 8.5, CH_AH_BS) [minor diastereoisomer: 3.11 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 8.5, CH_AH_BS), 3.55 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 3.5, CH_AH_BS)], 7.22–7.28 (2 H, m, Ar-*H*), 7.54–7.73 (3 H, m, Ar-*H*, 7.80–7.84 (2 H, m, Ar-*H*), 7.94–7.98 (2 H, m, Ar-*H*); *m/z* (EI) 380 (MH^+, 1.2%), 379 (M^+, 0.5), 336 (M^+ − Me_2CH, 5), 296 (80), 278 (PhSNTs, 15) (Found: M^+, 379.1289. $C_{19}H_{25}NO_3S_2$ requires 379.1303).

***S*-Phenyl-*S*-(2-phenyl-3-methyl)butyl-*N*-(toluene-*p*-sulfonyl)-sulfoximine 9f.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-phenyl-3-methyl)butyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8f** (100 mg, 0.19 mmol) was desilylated to give the corresponding product **9f** (79 mg, 0.18 mmol, 92%) as a mixture of diastereoisomers (25:1) as a colourless oil (Found: C, 64.2; H, 5.9; N, 3.0. $C_{24}H_{27}NO_3S_2$ requires C, 64.3; H, 6.1; N, 3.2%); ν_{max}(film)/cm^{-1} 1601, 1584, 1497, 1067; δ_H(500 MHz, $CDCl_3$) 0.69 (3 H, d, ^3J 6.5, 3-Me), 0.86 (3 H, d, ^3J 6.5, 4-H_3), 1.83 (1 H, m, 3-H), 2.38 (3 H, s, CH_3-Ar), 2.99–3.09 (1 H, m, 2-H), 3.94 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 10.0, CH_AH_BS), 4.07 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 3.5, CH_AH_BS), 6.85–6.94 (2 H, m, Ar-*H*), 7.04–7.11 (3 H, m, Ar-*H*), 7.20–7.37 (4 H, m, Ar-*H*), 7.45–7.54 (1 H, m, Ar-*H*), 7.65–7.70 (2 H, m, Ar-*H*), 7.77–7.84 (2 H, m, Ar-*H*), *m/z* (EI) 442 (MH^+, 1.3%), 398 (M^+ + Me_2CH, 2%), 296 (30), 278 (PhSNTs, 10) (Found: MH^+, 442.1476. $C_{24}H_{28}NO_3S_2$ requires 442.1511).

***S*-(2-Cyclohexyl)hexyl-*S*-phenyl-*N*-(toluene-p-sulfonyl)sulfoximine 9g.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8g** (100 mg, 0.20 mmol) was desilylated to give the corresponding product **9g** (85 mg, 0.19 mmol, 86%) as a mixture of diastereoisomers (15:1) as a white crystalline solid, mp 104–105 °C (Found: C, 65.5; H, 7.6; N, 2.6. $C_{25}H_{35}NO_3S_2$ requires C, 65.3; H, 7.6; N, 3.0%); ν_{max}(KBr disc)/cm^{-1} 1599, 1497, 1304, 1069; δ_H(500 MHz, $CDCl_3$) 0.85 (3 H, d, ^3J 7.0, 6-H_3), 0.71–1.63 (18 H, m, aliphatic H), 2.39 (3 H, s, CH_3-Ar), 3.32 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 4.0, CH_AH_BS), 3.41 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 7.0, CH_AH_BS) [minor diastereoisomer: 3.09 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 5.5, CH_AH_BS), 3.64 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 5.0, CH_AH_BS)], 7.22–7.24 (2 H, m, Ar-*H*), 7.54–7.61 (3 H, m, Ar-*H*), 7.67–7.84 (2 H, m, Ar-*H*), 7.88–7.99 (2 H, m, Ar-*H*); *m/z* (E.I.) 461 (M^+, 21%), 404 (M^+ − Bu, 3), 378 (M^+ − C_6H_{11}, 8), 296 (60), 278 (PhSNTs, 10) (Found: M^+ − C_6H_{11}, 378.1213. $C_{19}H_{24}NO_3S_2$ requires 378.1198).

***S*-(2-Cyclohexyl)propyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9h.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl)propyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8h** (100 mg, 0.23 mmol) was desilylated to give the corresponding product **9h** (88 mg, 0.21 mmol, 92%) as a mixture of diastereoisomers (25:1) as a white crystalline solid, mp 89–90 °C (Found: C, 62.9; H, 7.0; N, 3.3. $C_{22}H_{29}NO_3S_2$ requires C, 63.0; H, 6.9; N, 3.3%); ν_{max}(KBr disc)/cm^{-1} 1597, 1580, 1055; δ_H(200 MHz, $CDCl_3$) 0.98 (3 H, d, ^3J 7.0, 4-H_3), 0.74–1.80 (12 H, m, aliphatic H), 2.38 (3 H, s, CH_3-Ar), 3.34 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 4.0, CH_AH_BS), 3.41 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 8.0, CH_AH_BS) [minor diastereoisomer 3.13 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 8.0, CH_AH_BS), 3.58 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 3.5, CH_AH_BS)], 7.21–7.27 (2 H, m, Ar-*H*), 7.54–7.73 (3 H, m, Ar-*H*), 7.80–7.84 (2 H, m, Ar-*H*), 7.94–7.99 (2 H, m, Ar-*H*); *m/z* (EI) 420 (MH^+, 17.5%), 404 (M^+ − Me, 1), 336 (M^+ − C_6H_{11}, 20), 296 (90), 278 (PhSNTs, 25) (Found: MH^+, 420.1625. $C_{22}H_{30}NO_3S_2$ requires 420.1667).

***S*-(2-Cyclohexyl-2-phenyl)ethyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9i.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-cyclohexyl)-hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8i** (100 mg, 0.19 mmol) was desilylated to give the corresponding product **9i** (86 mg, 0.18 mmol, 92%) as a mixture of diastereoisomers (25:1) as a white crystalline solid, mp 152–154 °C (Found: C, 67.2; H, 6.3; N, 2.95. $C_{27}H_{31}NO_3S_2$ requires C, 67.4; H, 6.4; N, 2.9%); ν_{max}(KBr disc)/cm^{-1} 1601, 1584, 1497, 1065; δ_H(200 MHz, $CDCl_3$) 0.72–1.77 (11 H, m, C_6H_{11}), 2.37 (3 H, s, CH_3-Ar), 3.05 (1 H, m, 2-H), 3.94 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 10.0, CH_AH_BS), 4.13 (AB part of ABX system, 1 H, dd, ^2J 14.5 and ^3J 3.5, CH_AH_BS), 6.83–6.88 (2 H, m, Ar-*H*), 7.05–7.10 (3 H, m, Ar-*H*), 7.20–7.54 (5 H, m, Ar-*H*), 7.64–7.69 (2 H, m, Ar-*H*), 7.79–7.83 (2 H, m, Ar-*H*); *m/z* (EI) 482 (MH^+, 1%), 398 (M^+ − C_6H_{11}, 2), 296 (30), 278 (PhSNTs, 15) (Found: MH^+, 482.1761. $C_{27}H_{32}NO_3S_2$ requires 482.1823).

***S*-(2-Phenethyl)hexyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9j.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-phenethyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8j** (100 mg, 0.18 mmol) was desilylated to give the corresponding product **9j** (84 mg, 0.17

mmol, 97%) as a mixture of diastereoisomers (1:1) as a colourless oil, ν_{max}(film)/cm^{-1} 1497, 1307, 1061; δ_H(500 MHz, $CDCl_3$) 0.74 (3 H, t, ^3J 7.0, 3-H_6), 0.85–1.94 (10 H, m, aliphatic H), 2.37 (3 H, s, CH_3-Ar), 2.40–2.50 (1 H, m, 2-H), 3.30 (AB part of ABX system, 1 H, dd, ^3J 5.5 and ^2J 14.5, CH_AH$_B$S), 3.55 (AB part of ABX system, 1 H, dd, ^3J 6.0 and ^2J 14.5, CH$_A$H$_B$S) [other diastereoisomer 0.83 (3 H, t, ^3J 7.0, 3-H_6), 2.56–2.62 (1 H, m, 2-H), 3.24 (AB part of ABX system, 1 H, dd, ^3J 4.0 and ^2J 14.5, CH_AH$_B$S), 3.61 (AB part of ABX system, 1 H, dd, ^3J 6.5 and ^2J 14.5, CH$_A$H$_B$S)], 6.94–7.10 (2 H, m, Ar-*H*), 7.13–7.25 (5 H, m, Ar-*H*), 7.50–7.68 (3 H, m, Ar-*H*), (4 H, m, Ar-*H*); *m/z* (EI) 484 (MH$^+$, 14.4%), 483 (M$^+$, 1.4), 378 (M$^+$ − PhCH$_2$CH$_2$, 10), 296 (70), 278 (PhSNTs, **15**) (Found: MH$^+$, 484.2050. $C_{27}H_{34}NO_3S_2$ requires 484.1980).

***S*-(2-Methyl-4-phenyl)butyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9k.** *S*-Phenyl-*S*-(1-trimethylsilyl-2-methyl-4-phenyl)hexyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8k** (100 mg, 0.19 mmol) was desilylated to give the corresponding product **9k** (77 mg, 0.17 mmol, 90%) as a mixture of diastereoisomers (3:2) as a colourless oil, ν_{max}(film)/cm^{-1} 1496, 1306, 1062; δ_H(500 MHz, $CDCl_3$) 0.96 (3 H, d, ^3J 6.5, 2-Me), 1.48–2.08 (4 H, m, 3-H_2 and 4-H_2), 2.39 (3 H, s, CH_3-Ar), 2.45 (1 H, m, 2-H), 3.34 (AB part of ABX system, 1 H, dd, $^3J_{BX}$ 4.5 and $^2J_{AB}$ 14.5, CH_AH$_B$S), 3.50 (AB part of ABX system, 1 H, dd, ^3J 7.5 and ^2J 14.5, CH$_A$H$_B$S) [minor diastereoisomer: 1.07 (3 H, d, ^3J 6.5, 2-Me), 3.19 (AB part of ABX system, 1 H, dd, ^3J 6.5 and ^2J 14.5, CH_AH$_B$S), 3.62 (AB part of ABX system, 1 H, dd, ^3J 5.5 and ^2J 14.5, CH$_A$H$_B$S)], 6.95–7.08 (2 H, m, Ar-*H*), 7.13–7.25 (5 H, m, Ar-*H*), 7.53–7.69 (3 H, m, Ar-*H*), 7.81–7.94 (4 H, m, Ar-*H*); *m/z* (E.I.) 441 (M$^+$, 3.5%), 336 (M$^+$ − PhCH$_2$CH$_2$, 2), 296 (25) (Found: M$^+$, 441.1459. $C_{24}H_{27}NO_3S_2$ requires 441.1433).

***S*-(2,4-Diphenyl)butyl-*S*-phenyl-*N*-(toluene-*p*-sulfonyl)sulfoximine 9l.** *S*-Phenyl-*S*-(1-trimethylsilyl-2,4-diphenyl)butyl-*N*-(toluene-*p*-sulfonyl)sulfoximine **8l** (100 mg, 0.17 mmol) was desilylated to give the corresponding product **9l** (80 mg, 0.16 mmol, 92%) as a mixture of diastereoisomers (25:1) as a white crystalline solid, mp 124–125 °C (Found: C, 69.2; H, 5.8; N, 2.7. $C_{29}H_{29}NO_3S_2$ requires C, 69.2; H, 5.8; N, 2.8%); ν_{max}(film)/cm^{-1} 1601, 1584, 1495, 1305, 1064; δ_H(200 MHz, $CDCl_3$) 1.20–1.40 (2 H, m, 3-H_2), 1.80–2.20 (2 H, m, 4-H_2) 2.38 (3 H, s, CH_3-Ar), 3.27 (1 H, m, 2-H), 3.77 (AB part of ABX system, 1 H, dd, ^3J 7.0 and ^2J 14.5, CH_AH$_B$S), 3.85 (AB part of ABX system, 1 H, dd, ^3J 6.0 and ^2J 14.5, CH$_A$H$_B$S), 6.94–6.99 (2 H, m, Ar-*H*), 7.14–7.24 (8 H, m, Ar-*H*), 7.31–7.61 (4 H, m, Ar-*H*), 7.66–7.70 (1 H, m, Ar-*H*), 7.74–7.84 (4 H, m, Ar-*H*); *m/z* (E.I.) 504 (MH$^+$, 6%), 503 (M$^+$, 20), 412 (M$^+$ − PhCH$_2$, 3), 335 (M$^+$ − PhCH$_2$, Ph, 5) (Found: MH$^+$, 504.1625. $C_{29}H_{30}NO_3S_2$ requires 504.1667).

General procedure for the preparation of enantiomerically enriched 2-alkylcarboxylic acids 11

S-Phenyl-*S*-[(*E*)-1-trimethylsilylalk-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6** was dissolved in dry THF (1 cm^3 per 0.05 mmol), and cooled to −78 °C. The organolithium (2.0 equiv.) was added, dropwise, at that temperature and gave a pale yellow coloured solution, which was stirred for 30 min. After 30 min, phenylselenenyl chloride (2.2 equiv.) was added and the solution was allowed to warm to room temperature and stirred for a further 30 min, before being quenched with aqueous hydrogen peroxide (30%; 1 cm^3 per 0.5 mmol) and allowed to stir for 1 h, to give a colourless solution. The reaction mixture was diluted with water (1 cm^3 per 0.05 mmol) and Et_2O (1 cm^3 per 0.1 mmol), and adjusted to pH 11, using NaOH (1 mol dm^{-3}). The layers were separated and the aqueous layer was washed with Et_2O (1 cm^3 per 0.5 mmol). The aqueous layer was adjusted to pH 3, using HCl (1 mol dm^{-3}) and extracted with dichloromethane (3 × 1 cm^3 per 0.05 mmol). The combined dichloromethane extracts were dried, and solvent removed under reduced pressure to give the crude product, which was purified by flash column chromatography (6:1, light petroleum:diethyl ether) to give the corresponding 2-alkylcarboxylic acid **11**. In all cases, the signal for the carboxylic acid proton was too broad to be observed.

2,3-Dimethylbutanoic acid 11e. *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-3-methylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **6c** (200 mg, 0.46 mmol) gave the corresponding 2-alkylcarboxylic acid **11e** (33 mg, 0.28 mmol, 61%), using methyllithium (solution in diethyl ether) as the alkyllithium, as a colourless oil; ν_{max}(film)/cm^{-1} 3500–3200, 1705, 949; δ_H(200 MHz, $CDCl_3$) 0.91 (3 H, d, ^3J 7.0, Me), 0.94 (3 H, d, ^3J 7.0, Me), 1.11 (3 H, d, ^3J 7.0, Me), 1.96 (1 H, m, 3-H), 2.28 (1 H, p, ^3J 7, 4-H); δ_C(50.1 MHz, $CDCl_3$) 13.6, 19.1, 20.85, 30.9, 46.1, 182.8.

(2*R*)-2,3-Dimethylbutanoic acid. Prepared from (*R*)-(−)-*S*-phenyl-*S*-[(*E*)-1-trimethylsilyl-3-methylbut-1-enyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine as a colourless oil, [90% ee as determined by GLC of the methyl ester of **11e** (**12e**) using a 25 m fused silica capillary column, coated with octakis(2,6-di-*O*-methyl-3-*O*-pentyl)-γ-cyclodextrin at 45 °C, retention time 6.5 min (minor)/7 min (major), carrier-gas H_2, $[\alpha]_D^{20}$ −22.8 (*c* 2.0 MeOH) {lit.,[15] $[\alpha]_D^{20}$ −22.05 (EtOH)}.

2-Cyclohexylhexanoic acid 11g. *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl)-*N*-(toluene-*p*-sulfonyl)sulfoximine **6d** (200 mg, 0.421 mmol) gave the corresponding 2-alkylcarboxylic acid **11g** (28 mg, 0.143 mmol, 34%), using butyllithium (solution in hexanes) as the alkyllithium, as a colourless oil; ν_{max}(film)/cm^{-1} 3500–3200, 1705, 945; δ_H(200 MHz, $CDCl_3$) 0.86–1.28 (13 H, m, aliphatic H), 1.51–1.84 (7 H, m, aliphatic H), 2.13 (1 H, q, ^3J 7.5, 2-H); δ_C(50.1 MHz, $CDCl_3$) 14.5, 22.8, 29.0, 29.3, 30.1, 30.6, 31.2, 40.2, 52.0, 181.8; *m/z* (E.I.) 199 (MH$^+$, 7%), 181 (MH$^+$ − H_2O, 3.5), 169 (M$^+$ − Et, 3), 116 (MH$^+$ − *c*-C_6H_{11}, 100) (Found: MH$^+$, 199.1697. $C_{12}H_{23}O_2$ requires 199.1697).

(2*R*)-2-Cyclohexylhexanoic acid. Prepared from (*R*)-(−)-*S*-phenyl-*S*-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine as a colourless solid, [90% ee as determined by GLC of the methyl ester of **11g** (**12g**) using a 25 m fused silica capillary column, coated with octakis(2,6-di-*O*-methyl-3-*O*-pentyl)-γ-cyclodextrin at 75 °C, retention time 49 min (minor)/50 min (major), carrier-gas H_2], $[\alpha]_D^{20}$ 9.6 (*c* 1.0 MeOH).

2-Cyclohexylpropanoic acid 11h. *S*-Phenyl-*S*-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine **9d** (200 mg, 0.421 mmol) gave the corresponding 2-alkylcarboxylic acid **11h** (41 mg, 0.265 mmol, 63%), using methyllithium (solution in diethyl ether) as the alkyllithium, as a colourless solid, mp 56–57 °C; ν_{max}(film)/cm^{-1} 3500–3200, 1705, 945; δ_H(200 MHz, $CDCl_3$) 0.95–1.29 (4 H, m, aliphatic H), 1.13 (3 H, d, ^3J 7.0, 2-Me), 1.56–1.77 (7 H, m, aliphatic H), 2.28 (1 H, p, *J* 7.0, 2-H); δ_C(50.1 MHz, $CDCl_3$) 13.9, 26.5, 29.6, 31.3, 40.7, 45.4, 182.5; *m/z* (E.I.) 157 (MH$^+$, 0.5%), 156 (M$^+$, 1.2), 74 (MH$^+$ − *c*-C_6H_{11}, 100) (Found: M$^+$, 156.1152. $C_9H_{16}O_2$ requires 156.1154).

(2*R*)-2-Cyclohexylpropanoic acid. Prepared from (*R*)-(−)-*S*-phenyl-S-[(*E*)-1-trimethylsilyl-2-cyclohexylethenyl]-*N*-(toluene-*p*-sulfonyl)sulfoximine as a colourless solid, [95% ee as determined by GLC of the methyl ester of **11h** (**12h**) using a 25 m fused silica capillary column, coated with octakis(2,6-di-*O*-methyl-3-*O*-pentyl)-γ-cyclodextrin at 100 °C, retention time 9.5 min (minor)/10 min (major), carrier-gas H_2], $[\alpha]_D^{20}$ −16.7 (*c* 1.5 MeOH) [lit. for (*S*)-isomer,[16] $[\alpha]_D^{20}$ +18.32 (*c* 4 MeOH)].

X-Ray crystallographic analysis of 9e¶

Crystal data. $C_{19}H_{25}NO_3S_2$, *M* = 379.53, monoclinic, *a* =

¶ Atomic coordinates, thermal parameters and bond lengths and angles have been deposited at the Cambridge Crystallographic Data Centre (CCDC). See Instructions for Authors, *J. Chem. Soc., Perkin Trans. 1*, 1996, Issue 1. Any request to the CCDC for this material should quote the full literature citation and the reference number 207/24.

12.086(4), b = 10.756(4), c = 15.384(5) Å, β = 99.60(3)°, V = 1971(1) Å^3 (from 2θ values of 25 reflections measured at ±ω, 14.0 < 2θ < 17.3°), Z = 4, D_c = 1.278 g cm^{-3}, F(000) = 808, μ(Mo-Kα) = 0.274 mm^{-1}, λ = 0.710 69 Å, space group $P2_1/n$, T = 298 K.

Data collection and processing. Rigaku AFC7R diffractometer, crystal size 0.20 × 0.04 × 0.23 mm, $\omega/2\theta$ scan mode, $2\theta_{max}$ 54°, index ranges h: 0 to 15, k: 0 to 13, l: −19 to 19, scan width (1.42 + 0.35 tan θ)°, scan rate 16° min^{-1} (in ω), weak reflections, [$I < 25\sigma(I)$] were rescanned, (maximum of 5 scans) and the counts accumulated to ensure good counting statistics. Stationary background counts were recorded on each side of the reflection. The ratio of peak to background counting time was 2:1. No variation was observed for three standard reflections, and an empirical absorption correction based on azimuthal scans of several reflections was applied which resulted in transmission factors ranging from 0.94 to 1.00; 4758 reflections measured, 4548 unique, 1513 with $F > 6\sigma(F)$, R_{int} = 0.075.

Structure solution and refinement. Direct methods, full-matrix least-squares refinement on F, weighting $w^{-1} = \sigma^2(F_o)$, two-fold disorder for one terminal methyl of the isopropyl group (1:1 occupancy) anisotropic displacement parameters, isotropic H atoms not refined. R = 0.062, $R^1 = \{\Sigma[w(|F_o| - |F_c|)^2]/\Sigma[wF_o^2]\}^{\frac{1}{2}}$ = 0.059 for observed data only, S = 2.76 for 236 parameters, max. shift/e.s.d < 0.001, final difference electron density −0.28 to +0.37 e Å^{-3}.

X-Ray crystallographic analysis of 9i¶

Crystal data. $C_{27}H_{31}NO_3S_2$, M = 481.7, monoclinic, a = 12.656(3), b = 10.843(2), c = 18.325(3) Å, β = 101.36(2)°, V = 2465.5(9) Å^3 (from 2θ values of 31 reflections measured at ±ω, 20 < 2θ < 25°), Z = 4, D_c = 1.298 g cm^{-3}, F(000) = 1024, μ(Cu-Kα) = 2.186 mm^{-1}, λ = 1.541 84 Å, space group $P2_1/n$, T = 160 K.

Data collection and processing. Stoe-Siemens diffractometer, crystal size 0.55 × 0.39 × 0.30 mm, ω/θ scan mode with on-line profile fitting, $2\theta_{max}$ 130°, index ranges h: −14 to 14, k: 0 to 12, l 0: to 21, together with a partial set of Friedel opposites, correction for observed 7% decay in intensities of 5 standard reflections, no absorption or extinction corrections; 6234 reflections measured, 4107 unique, R_{int} = 0.0847.

Structure solution and refinement. Direct methods, full-matrix least-squares refinement on F^2, weighting $w^{-1} = \sigma^2(F_o^2)$ = (0.0611P)2 = 1.6901P, where $P = (F_o^2 + 2F_c^2)/3$, two-fold disorder for the cyclohexyl group (77:23 occupancy), anisotropic displacement parameters except for minor disorder component, isotropic H atoms riding on bonded c atoms; $R^1 = \{\Sigma[w(F_o^2 - F_c^2)^2]/\Sigma[w(F_o^2)^2]\}^{\frac{1}{2}}$ = 0.1266 for all data, conventional R = 0.0441 on F values of 3785 reflections having $F_o^2 > 2\sigma(F_o^2)$, S = 1.064 on F^2 values for 324 refined parameters, max. shift/e.s.d 0.001, final difference electron density within ±0.43 e Å^{-3}.

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References

1 For reviews see: C. R. Johnson, *Aldrichimica Acta*, 1985, **18**, 3; S. G. Pyne, *Sulfur Rep.*, 1992, **12**, 57.
2 C. S. Shiner and A. H. Berks, *J. Org. Chem.*, 1988, **53**, 5542.
3 F. G. Bordwell, J. C. Branca, C. R. Johnson and N. R. Vanier, *J. Org. Chem.*, 1980, **45**, 3884.
4 N. S. Simpkins, *Sulphones in Organic Synthesis*, Pergamon, Oxford, 1993.
5 P. L. Bailey, W. Clegg, R. F. W. Jackson and O. Meth-Cohn, *J. Chem. Soc. Perkin Trans. 1*, 1993, 343.
6 S. G. Pyne, *J. Org. Chem.*, 1986, **51**, 81.
7 S. G. Pyne, *Tetrahedron Lett.*, 1986, **27**, 1691.
8 I. Erdelmeier and H.-J. Gais, *J. Am. Chem. Soc.*, 1989, **111**, 1125.
9 M. Isobe, in *Perspectives in the Organic Chemistry of Sulphur*, ed. B. Zwanenburg and A. J. Klunder, Elsevier, Amsterdam, 1987, p. 209.
10 Full details of these X-ray crystal structure analyses will be published separately.
11 For a comprehensive review on *ortho*-metallation reactions, see: V. Snieckus, *Chem. Rev.*, 1990, **90**, 879; the sulfoximine group does not feature in the table of directed metallation groups (DMG's) on p. 881.
12 For recent applications of allylic sulfoximines, see: H.-J. Gais, H. Müller, J. Decker and R. Hainz, *Tetrahedron Lett.*, 1995, **36**, 7433 and references therein.
13 M. Isobe, Y. Ichikawa and T. Goto, *Tetrahedron Lett.*, 1981, **23**, 4287.
14 W. A. König, *Gas Chromatographic Enantiomer Separation with Modified Cyclodextrins*, Hüthig Verlag, Heidelberg, 1992.
15 L. Lardicci, R. Menicagli, A. M. Caporusso and G. Giacomelli, *Chem. Ind. (London)*, 1973, 184.
16 M. V. Rangaishenvi, B. Singaram and H. C. Brown, *J. Org. Chem.*, 1991, **56**, 3286.
17 For a discussion of π-facial selectivity in reactions of vinyl sulfoximines based on crystallographic analysis, see: Z. Dong, S. G. Pyne, B. W. Skelton and A. H. White, *Aust. J. Chem.*, 1993, **46**, 143.
18 The solid state conformations of a variety of vinyl sulfoximines have been determined, and will be the subject of a future paper.
19 M. Ashwell, W. Clegg and R. F. W. Jackson, *J. Chem. Soc., Perkin Trans. 1*, 1991, 897.
20 I. Erdelmeier and H.-J. Gais, *Tetrahedron Lett.*, 1985, **26**, 4359.

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