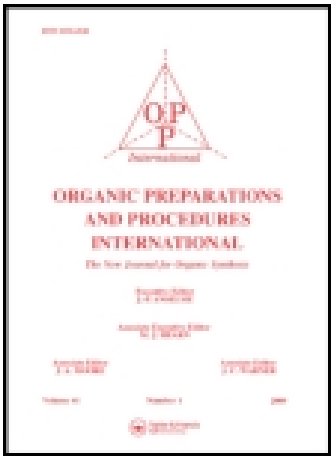

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Potassium Hydroxide-promoted Selective *N*-Monoalkylation of Chiral *t*-Butane- and *p*-Toluenesulfinamides

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Chiral sulfinamides including *t*-butanesulfinamide and *p*-toluenesulfinamide are important and versatile reagents that have extensive applications in asymmetric synthesis and catalysis, and in the synthesis of natural products.[1–3] *Chiral N*-alkylsulfinamides including *N*-alkyl *t*-butanesulfinamides and *p*-toluenesulfinamides are used as highly enantioselective organocatalysts and ligands in asymmetric syntheses. In 2006, Sun *et al*.[4] reported that *chiral N*-(2-hydroxylbenzyl) *t*-butanesulfinamide displayed excellent asymmetric induction ability in the reduction of *N*-arylketimines with trichlorosilane to produce enantiomerically enriched amines. Khiar *et al*.[5] discovered that monosulfinamides and C_2-symmetric *bis*-sulfinamides are convenient neutral chiral promoters of the allylation of *N*-acylhydrazones. Recently Jacobsen *et al*.[6] developed *chiral N*-fluoroalkyl *t*-butanesulfinamides as highly enantioselective organocatalysts for the enantioselective protonation of enol silanes. *Chiral N*-alkyl *t*-butane- and *p*-toluenesulfinamides have been used mostly as ligands in asymmetric catalysis. The use of enantiomerically pure *N*-phosphino-*N*-alkyl *t*-butane- or *p*-toluenesulfinamide ligands led to good or excellent enantioselectivities (up to 99%ee) in the cobalt-catalyzed asymmetric intermolecular Pauson-Khand reaction of norbornadiene[7,8] and rhodium(I)-catalyzed [2 + 2 + 2] cycloaddition reactions.[9]

In 2012, Xu *et al*.[10,11] reported the highly enantioselective rhodium-catalyzed 1,2-addition of arylboronic acids to α-ketoesters and α-diketones and the asymmetric conjugate addition of arylboronic acids to cyclic α,β-unsaturated carbonyl compounds using a simple chiral *N*-(sulfinyl)cinnamylamine as ligand. At nearly the same time, Khiar *et al*.[12] described the rhodium-catalyzed 1,4-addition of boronic acids to both cyclic and acyclic α,β-unsaturated carbonyl compounds. More recently, Xu *et al*.[13] developed *chiral N*-(sulfinyl)cinnamylamines as ligands for the rhodium-catalyzed asymmetric arylation of cyclic ketimines, leading to tetrasubstituted carbon stereocenters with high

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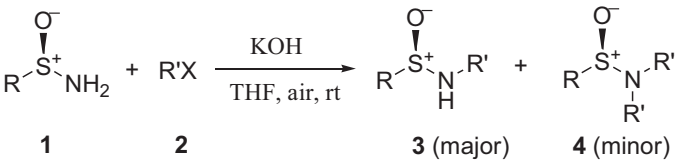
enantioselectivity. Du *et al.*[14,15] developed enantiomerically pure *N*-(substituted allyl) *t*-butanesulfinamide ligands for the rhodium-catalyzed asymmetric 1,2-addition to α-diketones and the 1,4-addition to α,β-unsaturated ketones and esters.

Chiral N-alkyl *t*-butane- and *p*-toluenesulfinamides have been obtained *via* the classical route[16–18] first developed by Ellman *et al.*,[19] in the presence of catalysts such as $Ti(OiPr)_4$ and $CuSO_4$ for example. *t*-Butanesulfinamide were condensed with aldehydes or ketones to give the corresponding sulfinylimines,[16–18] which were then reduced to the sulfinamides with sodium borohydride;[20,21] similarly, *chiral N*-sulfinylimidates have been reduced by sodium borohydride to *N*-alkyl *t*-butanesulfinamide.[22,23] In addition, nucleophilic substitution of *chiral t*-butyl *t*-butanethiosulfinate with primary amines gave *N*-alkyled products including *N*-alkyl sulfinamides.[24] Xu *et al.*[11] has used moisture-sensitive and expensive lithium *bis*(trimethylsilyl)amide as a base to perform the allylation of chiral *t*-butanesulfinamide under an inert atmosphere. As part of our on-going study of the reactions of *chiral* sulfinamides[25] and of our interest in the development of convenient synthetic methods,[26–28] we now report a simple, mild, selective and direct *N*-monoalkylation of *chiral t*-butanesulfinamide and *p*-toluenesulfinamide with allyl, primary and secondary alkyl and benzyl halides using potassium hydroxide as the base at room temperature (*Scheme* 1).



Scheme 1

2-Iodobenzyl bromide and *t*-butanesulfinamide were chosen as model substrates to optimize the reaction conditions. After examination of bases, solvents, temperature and ratios of substrates, the optimized conditions were determined. The best yield (85%) of *N*-(2-iodobenzyl) *t*-butanesulfinamide (**3a**) accompanied by 6% *N,N-bis*(2-iodobenzyl) *t*-butanesulfinamide (**4a**) was achieved under the following conditions: 1.2 mmol of (*R*)-*t*-butanesulfinamide (**1a**), 1.0 mmol of 2-iodobenzyl bromide (**2a**), 1.5 mmol of KOH in 3 mL THF for 4 hours. The monoalkylization of *chiral t*-butane- and *p*-toluenesulfinamides was then evaluated (*Table* 1). (*R*)-*t*-butanesulfinamide was used to react with various alkyl halides (*Table* 1*, Entries* 1–8). Monobenzylation of (*R*)-*t*-butanesulfinamide with benzyl bromide gave nearly the same yield as with 2-iodobenzyl bromide (*Entries* 1 and 2). However, benzyl chloride gave poorer yields of both mono- and di-alkylated products (*Entry* 3). *N*-Allyl *t*-butanesulfinamide, a good *chiral* ligand in enantioselective rhodium-catalyzed 1,4-addition to cyclic α,β-unsaturated carbonyl compounds,[10,11] was obtained in good yield (*Entry* 4). Similarly, *chiral* ligand *N*-cinnamyl *t*-butanesulfinamide, which is an excellent ligand for 1,4- and 1,2-additions,[10,11] may be prepared efficiently by this protocol (*Entry* 5); this compound has also been obtained *via* the typical Ellman two-step method involving condensation of cinnamaldehyde followed by reduction with sodium borohydride.[16–20] *N*-Propargyl *t*-butanesulfinamides was also obtained similarly (*Entry* 6). Even less sterically demanding iodomethane and bromoethane successfully and selectively

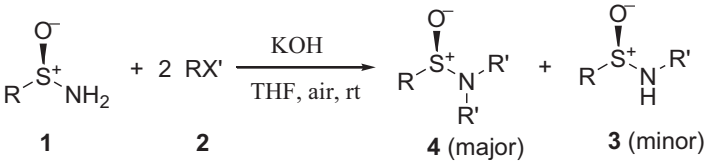
Table 1
Monoalkylation of *t*-Butanesulfinamide and *p*-Toluenesulfinamide[a]

Entry	R	R′X	Yield (%)
1[b]	*t*-Bu	2-$IC_6H_4CH_2Br$	**3a** (86), **4a** (6)
2	*t*-Bu	BnBr	**3b** (87), **4b** (5)
3	*t*-Bu	BnCl	**3b** (72), **4b** (6)
4[c]	*t*-Bu	CH_2=$CHCH_2Br$	**3c** (83), **4c** (7)
5[c]	*t*-Bu	PhCH=$CHCH_2Br$	**3d** (80), **4d** (6)
6	*t*-Bu	HC≡CCH_2Br	**3e** (84), **4e** (8)
7	*t*-Bu	CH_3I	**3f** (85), **4f** (<5)
8	*t*-Bu	CH_3CH_2Br	**3g** (87), **4g** (<5)
9	*p*-Tol	2-$IC_6H_4CH_2Br$	**3h** (86), **4h** (6)
10	*p*-Tol	2-$BrC_6H_4CH_2Br$	**3i** (89), **4i** (5)
11	*p*-Tol	BnBr	**3j** (89), **4j** (7)
12	*p*-Tol	BnCl	**3j** (82), **4j** (6)
13	*p*-Tol	CH_2=$CHCH_2Br$	**3k** (85), **4k** (6)
14	*p*-Tol	HC≡CCH_2Br	**3l** (83), **4l** (7)
15	*p*-Tol	PhCH=$CHCH_2Br$	**3m** (85), **4m** (5)
16	*p*-Tol	2-Br-5-$FC_6H_3CH_2Br$	**3n** (80), **4n** (9)
17	*p*-Tol	CH_3CH_2Br	**3o** (75), **4o** (9)
18[d]	*t*-Bu	2-$IC_6H_4CH_2Br$	**3a** (88), **4a** (6)

a) Reaction conditions: 1.0 of mmol (*R*)-*t*-butane- or *p*-toluenesulfinamide, 1.2 mmol of alkyl halide, 1.5 mmol of KOH, 3 mL THF, at room temperature for 4 hours, unless otherwise noted. b) Based on chiral HPLC analysis, 100%ee **3a** was obtained. c) Except for compounds **3c** and **3d**, the other compounds are new. d) 20 mmol of (*R*)-*t*-butanesulfinamide, 24 mmol of 2-iodobenzyl bromide, 30 mmol of KOH and 60 mL THF were used.

led to the corresponding *N*-monoalkylated *t*-butanesulfinamides (*Entries* 7 and 8). All alkyl halides reacted smoothly with *p*-toluenesulfinamide to afford good to excellent yields of *N*-monoalkylation and a minimal amount of the *N*,*N*-dialkylation products (*Table 1, Entries 9 to 16 vs. 17*). Although the representative procedure was performed on a 1.0 mmol scale, it has been scaled up to 20 mmol with nearly identified results (*Table 1, Entry 18*).

The *N*,*N*-dialkylated products of *tert*-butanesulfinamide and *p*-toluenesulfinamide may be obtained, provided two equivalents of the alkyl halides and two equivalents of KOH are used (*Scheme* 2). The results of symmetric dialkylation are listed in *Table 2 (Entry 1–15)*.



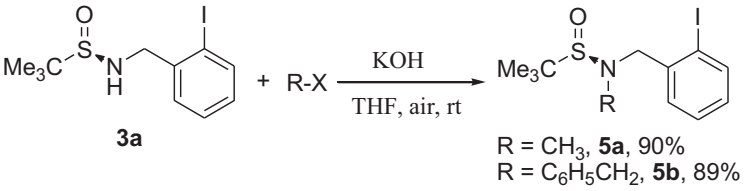
Scheme 2

Table 2
Symmetric Dialkylation of *tert*-Butanesulfinamide and *p*-Toluenesulfinamide[a]

Entry	R	R′X	Yield (%)
1[b]	*tert*-Bu	2-$IC_6H_4CH_2Br$	**3a** (4), **4a** (84)
2	*tert*-Bu	BnBr	**3b** (12), **4b** (84)
3	*tert*-Bu	BnCl	**3b** (12), **4b** (74)
4	*tert*-Bu	CH_2=$CHCH_2Br$	**3c** (11), **4c** (79)
5	*tert*-Bu	PhCH=$CHCH_2Br$	**3d** (12), **4d** (78)
6	*tert*-Bu	HC≡CCH_2Br	**3e** (14), **4e** (80)
7	*p*-Tol	2-$IC_6H_4CH_2Br$	**3h** (0), **4h** (92)
8	*p*-Tol	2-$BrC_6H_4CH_2Br$	**3i** (0),**4i** (94)
9	*p*-Tol	BnBr	**3j** (0), **4j** (91)
10	*p*-Tol	BnCl	**3j** (0), **4j** (88)
11	*p*-Tol	CH_2=$CHCH_2Br$	**3k** (0), **4k** (91)
12	*p*-Tol	HC≡CCH_2Br	**3l** (0), **4l** (92)
13	*p*-Tol	PhCH=$CHCH_2Br$	**3m** (0), **4m** (88)
14	*p*-Tol	2-Br-5-$FC_6H_3CH_2Br$	**3n** (6), **4n** (89)
15	*p*-Tol	CH_3CH_2Br	**3o** (20), **4o** (65)

(a) Reaction conditions: 2.2 mmol chiral sulfinamide, 1.0 mmol alkyl halide, 2.5 mmol KOH, 3 mL THF, under air at room temperature for 12 hours. (b) 100%ee **4a** were obtained.

Unsymmetrical dialkylated sulfinamides (**5**) are readily prepared *via* alkylation of *N*-monoalkylated sulfinamides (**3**) as illustrated by the *N*-alkylation of (*R*)-*N*-(2-iodobenzyl)-*tert*-butanesulfinamide (**3a**) shown in *Scheme 3*.



Scheme 3

In conclusion, a simple, mild and inexpensive KOH-promoted selective *N*-mono- and dialkylation of chiral *t*-butane- and *p*-toluenesulfinamides without racemization under ambient conditions has been developed.

Experimental Section

All glassware used were dried in an oven at 120°C. All chemicals were purchased and used as received. All compounds were characterized by ^{1}H NMR, ^{13}C NMR, IR spectroscopy and elemental analysis. NMR spectra were recorded on a Bruker Avance 300 MHz or 400 MHz instrument. All ^{1}H NMR experiments are reported in δ units, and were measured relative

to the residual hydrogen signals of $CDCl_3$ (δ 7.26), DMSO-d_6 (δ 2.50) or CD_3COCD_3 (δ 2.05), unless otherwise stated. All ^{13}C NMR experiments are reported in δ units, and were measured relative to the residual carbon signals of $CDCl_3$ (δ 77.23), DMSO-d_6 (δ 39.60) or CD_3COCD_3 (δ 206.88, 29.92), unless otherwise stated, and all were obtained with ^1H decoupling. All IR spectra were taken on a Bruker Tensor-27 infrared spectrometer with an OPUS workstation. Electron-spraying ionization mass spectra were recorded on an Agilent 1200 series LC/MS DVL instrument. Melting points were determined on an Electrothermal melting point apparatus and are uncorrected. Combustion analyses were performed on a Euro EA-3000 elemental analyzer (Leeman Labs Inc.). Optical rotation data were measured on a Rudolph Research Autopol IV Polarimeter (Na D line, cell long 10 cm, $\lambda = 589$ nm). Chiral HPLC analyses were performed on a liquid chromatography (n-hexane / isopropanol 90/10 or 99/1 (v/v), 0.8 mL/min, 25°C) with a Chiralcel OD-H chiral column (4.6 mm × 250 mm × 5 μm, Daicel Chemical Industries, Ltd.).

Typical Procedure of N-Monoalkylation of Chiral Sulfinamides

To an oven-dry 25 mL screwed cap tube fitted with a stir bar were added (*R*)-*t*-butanesulfinamide (0.145 g, 1.2 mmol), the alkyl halide (1.0 mmol), potassium hydroxide (0.084 g, 1.5 mmol) and anhydrous tetrahydrofuran (3 mL). The screwed tube was sealed with a rubber stopper and the reaction mixture was stirred at room temperature. After four hours, it was quenched with water (5 mL) and extracted with ethyl acetate (3 × 20 mL). The combined organic layer was washed with saturated aqueous sodium chloride, dried over anhydrous sodium sulfate and then filtered. The filtrate was evaporated *in vacuo* and the resulting crude solid product was purified by silica gel column chromatography (5:1 petroleum ether and ethyl acetate as eluent) to give (*R*)-*N*-alkyl-*t*-butanesulfinamide (**3a–3o**) and a small amount of (*R*)-*N*,*N*-dialkyl-*t*-butanesulfinamide (**4a–4o**).

General Procedure for the Dialkylation of Chiral Sulfinamides

To an oven-dry 25 mL screwed cap tube fitted with a stir bar were added (*R*)-*tert*-butane- or (*R*)-*p*-toluenesulfinamide (1.0 mmol), the alkyl halide (2.2 mmol), potassium hydroxide (0.143 g, 2.5 mmol) and anhydrous tetrahydrofuran (3 mL). The tube was sealed with a rubber stopper and the reaction mixture was stirred at room temperature. After twelve hours, it was quenched with water (5 mL) and extracted with ethyl acetate (3 × 20 mL). The combined organic layers were washed with saturated aqueous sodium chloride, dried over anhydrous sodium sulfate and then filtered. The filtrate was evaporated *in vacuo* and the resulting crude product was purified using silica gel column chromatography (petroleum ether and ethyl acetate (volume ratio 5:1) as eluent) to give (*R*)-*N*,*N*-*bis*alkyl *t*-butanesulfinamide or *p*-toluenesulfinamide (**4a–4o**).

General Procedure for Synthesis of Chiral Unsymmetrical Dialkylated Sulfinamides

To an oven-dry 25 mL screwed cap tube fitted with a stir bar were added (*R*)-*N*-(2-iodobenzyl)-*t*-butanesulfinamide (**3a**) (1.0 mmol), alkyl halide (1.2 mmol), potassium

hydroxide (0.073 g, 1.3 mmol) and anhydrous tetrahydrofuran (3 mL). The tube was sealed with a rubber stopper and the reaction mixture was stirred at room temperature. After twelve hours, it was quenched with water (5 mL) and extracted with ethyl acetate (3 × 20 mL). The combined organic layers were washed with saturated aqueous sodium chloride, dried over anhydrous sodium sulfate and then filtered and the filtrate was evaporated *in vacuo*. The resulting crude product was purified using silica gel column chromatography (petroleum ether and ethyl acetate (volume ratio 5:1) as eluent) to give (*R*)-*N*-alkyl-*N*-(2-iodobenzyl)-*t*-butanesulfinamide (**5a–5b**).

(*R*)-*N*-(2-Iodobenzyl)-*t*-butanesulfinamide (*Table* 1, *Entry* 1, 3a), white solid (0.289 g, 86%) with 100%ee (HPLC, Diacel Chiralcel OD-H column, 90:10 hexanes/2-propanol, 0.8 mL/min, 254 nm; (*R*)-form, r_t = 5.9 min; (*S*)-form, r_t = 9.7 min), mp 112–116°C, $[\alpha]_D^{29} = -13.269$ (c = 0.52, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.84 (d, J = 7.9 Hz, 1H), 7.42–7.29 (m, 2H), 6.99 (td, J = 7.6, 1.8 Hz, 1H), 4.34 (ddd, J = 21.9, 14.2, 6.5 Hz, 2H), 3.68–3.58 (m, 1H), 1.24 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 140.7, 139.6, 129.7, 129.4, 128.4, 99.3, 56.1, 53.9, 22.6. IR (KBr): 3554, 3415, 3234, 2284, 1642, 1053 cm^{-1}.

Anal. Calcd for $C_{11}H_{16}INOS$: C, 39.18; H, 4.78; N, 4.15. Found: C, 39.33; H, 4.95; N, 4.02.

(*R*)-*N*,*N*-bis(2-Iodobenzyl)-*t*-butanesulfinamide (*Table* 1, *Entry* 1, 4a), white solid (0.033 g, 6%) with 100%ee (HPLC, Diacel Chiralcel OD-H column, 99:1 hexanes/2-propanol, 0.8 mL/min, 254 nm; (*R*)-form, r_t = 14.4 min; (*S*)-form, r_t = 18.1 min), mp 102–103°C, $[\alpha]_D^{29} = +26.8$ (c = 0.45, $CHCl_3$). ^{1}H NMR (300 MHz, D_2O) δ 7.74 (d, J = 7.9 Hz, 2H), 7.50 (d, J = 7.7 Hz, 2H), 7.29 (t, J = 7.5 Hz, 2H), 6.95–6.81 (m, 2H), 4.42 (d, J = 16.2 Hz, 2H), 4.19 (d, J = 16.2 Hz, 2H), 1.17 (s, 9H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 139.5, 138.6, 129.4, 128.9, 128.3, 128.1, 99.7, 58.8, 56.6, 23.1. IR (KBr): 3664, 3475, 3414, 2284, 1612, 1431, 1012 cm^{-1}.

Anal. Calcd for $C_{18}H_{21}I_2NOS$: C, 39.08; H, 3.83; N, 2.53. Found: C, 39.29; H, 3.98; N, 2.41.

(*R*)-*N*-Benzyl-*t*-butanesulfinamide (*Table* 1, *Entry* 2, 3b), white solid (0.184 g, 87%), mp 64–66°C. $[\alpha]_D^{29} = +28.4$ (c = 0.51, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.42–7.25 (m, 5H), 4.42–4.31 (m, 1H), 4.26 (dd, J = 13.7, 7.9 Hz, 1H), 3.47 (s, 1H), 1.25 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 129.9, 128.6, 128.6, 128.1, 128.0, 127.7, 127.6, 55.9, 49.4, 49.4, 22.6. IR (KBr): 3708, 3415, 3188, 2305, 1734, 1063 cm^{-1}.

Anal. Calcd for $C_{11}H_{17}NOS$: C, 62.52; H, 8.11; N, 6.63. Found: C, 62.35; H, 8.31; N, 6.76.

(*R*)-*N*,*N*-Dibenzyl-*t*-butanesulfinamide (*Table* 1, *Entry* 2, 4b), white solid (0.015 g, 5%), mp 52–55°C, $[\alpha]_D^{12} = +35.61$ (c = 0.44, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.44–7.10 (m, 10H), 4.29 (d, J = 15.4 Hz, 2H), 4.05 (d, J = 15.4 Hz, 2H), 1.22 (s, 9H).^{13}C NMR (75 MHz, $CDCl_3$) δ 136.6, 128.5, 128.3, 127.2, 58.4, 51.3, 23.1. IR (KBr): 3704, 3475, 3411, 2294, 1612, 1401, 1013 cm^{-1}.

Anal. Calcd for $C_{18}H_{23}NOS$: C, 71.72; H, 7.69; N, 4.65. Found: C, 71.52; H, 7.88; N, 4.46.

(*R*)-*N*-Allyl-*t*-butanesulfinamide (*Table* 1, *Entry* 4, 3c),[10,11] pale yellow liquid (0.134 g, 83%), $[\alpha]_D^{12} = -79.2$ (c = 1.33, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 5.82 (ddt, J = 16.1, 10.2, 5.9 Hz, 1H), 5.12 (ddd, J = 13.7, 11.5, 1.4 Hz, 2H), 3.66 (dddd,

$J = 20.6, 14.5, 7.7, 6.7$ Hz, 2H), 3.36 (d, $J = 5.2$ Hz, 1H), 1.14 (s, 9H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 136.6, 115.8, 55.0, 46.9, 22.6. IR (KBr): 3211, 2978, 1644, 1456, 1364, 1048 cm^{-1}.

Anal. Calcd for $C_7H_{15}NOS$: C, 52.13; H, 9.38; N, 8.69. Found: C, 52.02; H, 9.54; N, 8.43.

(*R*)-*N*,*N*-Diallyl-*t*-butanesulfinamide (*Table* 1, *Entry* 4, 4c), pale yellow liquid (0.014 g, 7%), $[\alpha]_D^{12} = +35.7$ (c = 0.81, $CHCl_3$). ^{1}H NMR (400 MHz, acetone-d_6) δ 5.76–5.62 (m, 2H), 5.16–4.98 (m, 4H), 3.62 (dd, $J = 15.9, 5.1$ Hz, 2H), 3.31 (dd, $J = 15.9, 6.6$ Hz, 2H), 1.00 (s, 9H). ^{13}C NMR (101 MHz, acetone-d_6) δ 134.6, 134.5, 117.4, 117.3, 57.2, 49.4, 22.1. IR (KBr): 2977, 1642, 1454, 1361, 1074 cm^{-1}.

Anal. Calcd for $C_{10}H_{19}NOS$: C, 59.66; H, 9.51; N, 6.96. Found: C, 59.43; H, 9.72; N, 6.84.

(*R*)-*N*-Cinnamyl-*t*-butanesulfinamide (*Table* 1, *Entry* 5, 3d),[10,11] white solid (0.189 g, 80%), mp 55–60°C, $[\alpha]_D^{12} = -16.7$ (c = 0.32, $CHCl_3$). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41–7.20 (m, 5H), 6.58 (d, $J = 15.8$ Hz, 1H), 6.26 (dt, $J = 15.8, 6.4$ Hz, 1H), 4.01–3.91 (m, 1H), 3.91–3.81 (m, 1H), 3.37 (s, 1H), 1.25 (d, $J = 4.8$ Hz, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 136.4, 132.5, 128.5, 127.8, 126.4, 55.7, 47.8, 22.6. IR (KBr): 3699, 3555, 3414, 2923, 2285, 1617, 1052 cm^{-1}.

Anal. Calcd for $C_{13}H_{19}NOS$: C, 65.78; H, 8.07; N, 5.90. Found: C, 65.93; H, 8.27; N, 5.65.

(*R*)-*N*,*N*-Dicinnamyl-*t*-butanesulfinamide (*Table* 1, *Entry* 5, 4d), white solid (0.021 g, 6%), mp 50–54°C, $[\alpha]_D^{12} = +26.4$ (c = 0.60, $CHCl_3$). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.40 (d, $J = 7.5$ Hz, 4H), 7.30 (t, $J = 7.5$ Hz, 4H), 7.22 (t, $J = 7.2$ Hz, 2H), 6.54 (d, $J = 15.9$ Hz, 2H), 6.25 (dt, $J = 15.8, 6.4$ Hz, 2H), 3.84 (dd, $J = 15.8, 5.9$ Hz, 2H), 3.65–3.56 (m, 2H), 1.11 (s, 9H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 136.7, 133.0, 129.0, 128.0, 126.7, 126.3, 57.8, 49.5, 23.0. IR (KBr): 3699, 3555, 3414, 2923, 2285, 1617, 1052 cm^{-1}.

Anal. Calcd for $C_{22}H_{27}NOS$: C, 74.74; H, 7.70; N, 3.96. Found: C, 74.54; H, 7.92; N, 3.89.

(*R*)-*N*-(Prop-2-ynyl)-*t*-butanesulfinamide (*Table* 1, *Entry* 6, 3e), colorless liquid (0.133 g, 84%), $[\alpha]_D^{12} = -19.4$ (c = 0.46, $CHCl_3$). ^{1}H NMR (400 MHz, acetone-d_6) δ 4.69 (s, 1H), 3.72 (ddd, $J = 5.6, 3.9, 2.6$ Hz, 2H), 2.65 (t, $J = 2.5$ Hz, 1H), 1.06 (s, 10H). ^{13}C NMR (101 MHz, acetone-d_6) δ 81.0, 72.5, 72.4, 55.1, 33.3, 33.1, 21.9. IR (KBr): 2918, 1642, 1488, 1415, 1334, 1066 cm^{-1}.

Anal. Calcd for $C_7H_{13}NOS$: C, 52.79; H, 8.23; N, 8.80. Found: C, 52.56; H, 8.43; N, 8.67.

(*R*)-*N*,*N*-Di(prop-2-ynyl)-*t*-butanesulfinamide (*Table* 1, *Entry* 6, 4e), pale yellow liquid (0.016 g, 8%), $[\alpha]_D^{12} = +123.7$ (c = 1.80, $CHCl_3$). ^{1}H NMR (400 MHz, acetone-d_6) δ 3.87 (dd, $J = 18.2, 1.7$ Hz, 2H), 3.63 (d, $J = 18.2$ Hz, 2H), 2.74 (dd, $J = 3.1, 1.6$ Hz, 2H), 1.04 (d, $J = 1.5$ Hz, 10H). ^{13}C NMR (101 MHz, acetone-d_6) δ 78.2, 73.7, 73.7, 58.0, 35.7, 21.6. IR (KBr): 2947, 1652, 1404, 1261, 1045 cm^{-1}.

Anal. Calcd for $C_{10}H_{15}NOS$: C, 60.88; H, 7.66; N, 7.10. Found: C, 60.67; H, 7.76; N, 7.30.

(*R*)-*N*-Methyl-*t*-butanesulfinamide (*Table* 1, *Entry* 7, 3f), colorless liquid (0.115 g, 85%), $[\alpha]_D^{12} = -49.2$ (c = 0.73, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 3.17 (s, 1H),

2.80 (d, $J = 5.4$ Hz, 3H), 1.17 (s, 9H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 54.9, 30.5, 22.6. IR (KBr): 2998, 2017, 1642, 1617, 1341, 1051 cm^{-1}.

Anal. Calcd for $C_5H_{13}NOS$: C, 44.41; H, 9.69; N, 10.36. Found: C, 44.31; H, 9.90; N, 10.54.

(*R*)-*N*-Ethyl-*t*-butanesulfinamide (*Table* 1, *Entry* 8, 3g), pale yellow liquid (0.130 g, 7%), $[\alpha]_D{}^{12} = -69.2$ (c = 0.65, $CHCl_3$). ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.48 (s, 1H), 3.32–3.20 (m, 1H), 3.18–3.08 (m, 1H), 1.26–1.17 (m, 12H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 55.1, 40.2, 22.4, 16.3. IR (KBr): 3012, 2187, 1642, 1617, 1346, 1087 cm^{-1}.

Anal. Calcd for $C_6H_{15}NOS$: C, 48.28; H, 10.13; N, 9.38. Found: C, 48.38; H, 10.23; N, 9.15.

(*R*)-*N*-(2-Iodobenzyl)-*p*-toluenesulfinamide (*Table* 1, *Entry* 9, 3h), white solid (0.319 g, 86%), mp 105–109°C, $[\alpha]_D{}^{12} = -46.7$(c = 0.71, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.79 (dd, $J = 7.9$, 0.8 Hz, 1H), 7.63 (d, $J = 8.2$ Hz, 2H), 7.33–7.22 (m, 4H), 6.94 (td, $J = 7.8$, 2.1 Hz, 1H), 4.51 (t, $J = 6.3$ Hz, 1H), 4.29 (dd, $J = 14.0$, 5.9 Hz, 1H), 4.03 (dd, $J = 14.0$, 6.9 Hz, 1H), 2.40 (s, 3H). IR (KBr): 3710, 3555, 3421, 2920, 2283, 1617, 1046 cm^{-1}.

Anal. Calcd for $C_{14}H_{14}INOS$: C, 45.29; H, 3.80; N, 3.77. Found: C, 45.49; H, 3.96; N, 3.58.

(*R*)-*N*,*N*-bis(2-Iodobenzyl)-*p*-toluenesulfinamide (*Table* 1, *Entry* 9, 4h), white solid (0.035 g, 6%), mp 85–88°C, $[\alpha]_D{}^{12} = +26.3$ (c = 0.23, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.69 (dd, $J = 10.8$, 4.2 Hz, 4H), 7.33–7.19 (m, 6H), 6.84 (td, $J = 7.7$, 1.7 Hz, 2H), 4.25 (q, $J = 15.0$ Hz, 4H), 2.34 (s, 3H); ^{13}C NMR (151 MHz, DMSO-d_6) δ 141.8, 140.6, 139.5, 138.5, 130.5, 130.2, 130.0, 129.8, 128.7, 128.6, 126.0, 100.5, 56.5, 21.3. IR (KBr): 3704, 3555, 3414, 2918, 2285,1617,1431,1010 cm^{-1}.

Anal. Calcd for $C_{21}H_{19}I_2NOS$: C, 42.95; H, 3.26; N, 2.39. Found: C, 42.79; H, 3.49; N, 2.24.

(*R*)-*N*-(2-Bromobenzyl)-*p*-toluenesulfinamide (*Table* 1, *Entry* 10, 3i), white solid (0.287 g, 89%), mp 93–95°C, $[\alpha]_D{}^{12} = -32.7$ (c = 0.60, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.62 (d, $J = 8.2$ Hz, 2H), 7.51 (d, $J = 7.8$ Hz, 1H), 7.35–7.18 (m, 4H), 7.11 (ddd, $J = 8.7$, 7.8, 4.2 Hz, 1H), 4.57 (t, $J = 6.3$ Hz, 1H), 4.33 (dd, $J = 14.1$, 5.8 Hz, 1H), 4.05 (dd, $J = 14.1$, 7.1 Hz, 1H), 2.40 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 141.6, 141.1, 138.0, 132.7, 130.5, 129.9, 129.5, 128.1, 126.2, 123.1, 43.6, 21.2. IR (KBr): 3193, 3057, 2920, 1596, 1569, 1441, 1059 cm^{-1}.

Anal. Calcd for $C_{14}H_{14}BrNOS$: C, 51.86; H, 4.35; N, 4.32. Found: C, 51.76; H, 4.54; N, 4.28.

(*R*)-*N*,*N*-bis(2-Bromobenzyl)-*p*-toluenesulfinamide (*Table* 1, *Entry* 10, 4i), white solid (0.025 g, 5%), mp 81–83°C, $[\alpha]_D{}^{12} = +22.7$(c = 0.24, $CHCl_3$). ^{1}H NMR (300 MHz, D_2O) δ 7.64 (d, $J = 8.2$ Hz, 2H), 7.41 (dd, $J = 7.9$, 1.0 Hz, 2H), 7.34–7.08 (m, 7H), 7.01 (td, $J = 7.7$, 1.7 Hz, 2H), 4.36 (d, $J = 15.0$ Hz, 2H), 4.23 (d, $J = 15.0$ Hz, 2H), 2.33 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 140.6, 135.7, 132.9, 131.2, 130.1, 130.2, 129.8, 128.6, 127.9, 126.0, 123.9, 51.8, 21.3. IR (KBr): 3669, 3435, 3214, 2918, 2285,1617,1432, 1021 cm^{-1}.

Anal. Calcd for $C_{21}H_{19}Br_2NOS$: C, 51.13; H, 3.88; N, 2.84. Found: C, 51.33; H, 3.94; N, 2.73.

(*R*)-*N*-Benzyl-*p*-toluenesulfinamide (*Table* 1, *Entry* 11, 3j), white solid (0.218 g, 89%), mp 73–75°C, $[\alpha]_D^{12} = -33.3$ (c = 0.15, $CHCl_3$). ^1H NMR (300 MHz, $CDCl_3$) δ 7.64 (d, $J = 8.2$ Hz, 2H), 7.40–7.17 (m, 7H), 4.50–4.37 (m, 1H), 4.22 (dd, $J = 13.5$, 5.1 Hz, 1H), 3.88 (dd, $J = 13.5$, 7.2 Hz, 1H), 2.41 (s, 3H).^{13}C NMR (75 MHz, $CDCl_3$) δ 141.2, 140.7, 137.7, 129.5, 128.5, 128.2, 127.5, 125.9, 44.4, 21.2. IR (KBr): 3698, 3555, 3414, 2920, 2283, 1617, 1057 cm^{-1}.

Anal. Calcd for $C_{14}H_{15}NOS$: C, 68.54; H, 6.16; N, 5.71. Found: C, 68.26; H, 6.48; N, 5.93.

(*R*)-*N*,*N*-Dibenzyl-*p*-toluenesulfinamide (*Table* 1, *Entry* 11, 4j), white liquid (0.023 g, 7%), $[\alpha]_D^{12} = +21.4$ (c = 0.35, $CHCl_3$). ^1H NMR (400 MHz, $CDCl_3$) δ 7.63 (d, $J = 8.2$ Hz, 2H), 7.29 (dd, $J = 11.2$, 4.6 Hz, 6H), 7.22–7.11 (m, 4H), 4.14–4.03 (m, 4H), 2.37 (s, 3H).^{13}C NMR (101 MHz, $CDCl_3$) δ 141.4, 141.0, 136.6, 129.6, 129.0, 128.6, 128.5, 127.6, 126.2, 51.2, 21.4, 21.4. IR (KBr): 3708, 3535, 3414, 2904, 2283, 1617, 1022 cm^{-1}.

Anal. Calcd for $C_{21}H_{21}NOS$: C, 75.19; H, 6.31; N, 4.18. Found: C, 75.29; H, 6.52; N, 4.36.

(*R*)-*N*-Allyl-*p*-toluenesulfinamide (*Table* 1, *Entry* 13, 3k), colorless liquid (0.166 g, 85%), $[\alpha]_D^{12} = -14.9$ (c = 0.23, $CHCl_3$). ^1H NMR (600 MHz, DMSO-d_6) δ 7.48 (d, $J =$ 8.1 Hz, 2H), 7.33 (d, $J = 8.0$ Hz, 2H), 6.70 (t, $J = 5.7$ Hz, 1H), 5.71 (ddd, $J = 16.0$, 10.8, 5.6 Hz, 1H), 5.12 (dd, $J = 17.2$, 1.6 Hz, 1H), 5.00 (dd, $J = 10.3$, 1.4 Hz, 1H), 3.44–3.38 (m, 2H), 2.34 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 141.9, 140.8, 136.1, 129.8, 126.2, 116.5, 42.2, 21.2. IR (KBr): 3654, 3460, 3336, 2821, 1618, 1034 cm^{-1}.

Anal. Calcd for $C_{10}H_{13}NOS$: C, 61.50; H, 6.71; N, 7.17. Found: C, 61.46; H, 6.92; N, 7.32.

(*R*)-*N*,*N*-Diallyl-*p*-toluenesulfinamide (*Table* 1, *Entry* 13, 4k), colorless liquid (0.014 g, 6%), $[\alpha]_D^{12} = +18.7$ (c = 2.0, $CHCl_3$). ^1H NMR (400 MHz, acetone-d_6) δ 7.44 (d, $J = 8.2$ Hz, 2H), 7.28–7.22 (m, 2H), 5.70–5.57 (m, 2H), 5.02 (ddd, $J = 13.7$, 11.4, 1.3 Hz, 4H), 3.47 (qd, $J = 15.2$, 6.4 Hz, 4H), 2.27 (s, 3H). ^{13}C NMR (101 MHz, acetone-d_6) δ 141.9, 141.1, 134.6, 134.5, 129.4, 126.0, 117.7, 117.72, 49.8, 49.7, 49.7, 20.4, 20.3. IR (KBr): 3575, 3479, 3414, 3356, 2901, 1608, 1022 cm^{-1}.

Anal. Calcd for $C_{13}H_{17}NOS$: C, 66.34; H, 7.28; N, 5.95. Found: C, 66.65; H, 7.39; N, 5.82.

(*R*)-4-Methyl-*N*-(prop-2-ynyl)benzenesulfinamide (*Table* 1, *Entry* 14, 3l), pale yellow liquid (0.160 g, 83%), $[\alpha]_D^{12} = -20.9$ (c = 0.81, $CHCl_3$). ^1H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, $J = 8.2$ Hz, 2H), 7.32 (d, $J = 8.0$ Hz, 2H), 4.41–4.33 (m, 1H), 3.86 (ddd, $J =$ 16.8, 4.8, 2.6 Hz, 1H), 3.49 (ddd, $J = 16.8$, 7.1, 2.6 Hz, 1H), 2.42 (s, 3H), 2.28 (t, $J =$ 2.6 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 141.6, 139.9, 129.7, 125.9, 79.6, 72.8, 72.7, 29.7, 21.4, 21.4. IR (KBr): 3315, 2206, 1632, 1461, 1313, 1012 cm^{-1}.

Anal. Calcd for $C_{10}H_{11}NOS$: C, 62.15; H, 5.74; N, 7.25. Found: C, 62.32; H, 5.96; N, 7.17.

(*R*)-4-Methyl-N,*N*-di(prop-2-ynyl)benzenesulfinamide (*Table* 1, *Entry* 14, 4l), pale yellow liquid (0.016 g, 7%), $[\alpha]_D^{12} = +17.3$ (c = 0.80, $CHCl_3$). ^1H NMR (400 MHz, acetone-d_6) δ 7.42 (d, $J = 8.2$ Hz, 2H), 7.27 (d, $J = 7.9$ Hz, 2H), 3.80 (dd, $J = 6.4$, 2.3 Hz, 4H), 2.74 (t, $J = 2.1$ Hz, 2H), 2.28 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 141.8, 139.8,

129.7, 129.3, 126.1, 77.9, 74.1, 74.1, 36.6, 36.5, 36.4, 21.4, 21.3. IR (KBr): 3217, 2126, 1616, 1492, 1421, 1339, 1063 cm^{-1}.

Anal. Calcd for $C_{13}H_{13}NOS$: C, 67.50; H, 5.66; N, 6.06. Found: C, 67.22; H, 5.93; N, 6.23.

(*R*)-*N*-Cinnamyl-*p*-toluenesulfinamide (*Table* 1, *Entry* 15, 3m), light pink solid (0.230 g, 85%), mp 90–92°C, $[\alpha]_D^{12} = -23.4$ (c = 0.35, $CHCl_3$). ^1H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, $J = 8.2$ Hz, 2H), 7.35–7.19 (m, 7H), 6.48 (d, $J = 15.8$ Hz, 1H), 6.17 (dt, $J = 15.8$, 6.5 Hz, 1H), 4.30 (s, 1H), 3.88–3.79 (m, 1H), 3.62–3.54 (m, 1H), 2.40 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 141.3, 140.8, 136.4, 132.7, 129.6, 128.5, 127.7, 126.4, 125.9, 43.2, 29.7. IR (KBr): 3555, 3479, 3414, 2821, 1618, 1062 cm^{-1}.

Anal. Calcd for $C_{16}H_{17}NOS$: C, 70.81; H, 6.31; N, 5.16. Found: C, 70.63; H, 6.51; N, 5.02.

(*R*)-*N*,*N*-Dicinnamyl-*p*-toluenesulfinamide (*Table* 1, *Entry* 15, 4m), pale yellow solid (0.019 g, 5%), mp 80–85°C, $[\alpha]_D^{12} = +33.2$ (c = 0.24, $CHCl_3$). ^1H NMR (400 MHz, $CDCl_3$) δ 7.53 (d, $J = 8.2$ Hz, 2H), 7.30–7.18 (m, 10H), 7.19–7.10 (m, 2H), 6.36 (d, $J = 15.9$ Hz, 2H), 6.04 (dt, $J = 15.8$, 6.8 Hz, 2H), 3.84–3.67 (m, 4H), 2.31 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 136.7, 133.0, 129.0, 128.1, 126.7, 126.3, 57.8, 49.5, 23.0. IR (KBr): 3705, 3479, 3414, 2920, 1617, 1438, 1022 cm^{-1}.

Anal. Calcd for $C_{25}H_{25}NOS$: C, 77.48; H, 6.50; N, 3.61. Found: C, 77.28; H, 6.82; N, 3.36.

(*R*)-*N*-(2-Bromo-5-fluorobenzyl)-*p*-toluenesulfinamide (*Table* 1, *Entry* 16, 3n), white solid (0.273 g, 80%), mp 105–109°C, $[\alpha]_D^{12} = -17.2$ (c = 0.34, $CHCl_3$). ^1H NMR (400 MHz, $CDCl_3$): $\delta = 2.42$ (s, 3 H), 4.06 ($J = 14.9$, 7.1 Hz, 1 H), 4.29 (d, $J = 14.9$, 6.0 Hz, 1 H), 4.69 (bs, 1 H,), 6.86 (ddd, J = 8.8, 7.8, 3.0 Hz, 1 H), 6.97 (dd, $J = 9.1$, 3.0 Hz, 1 H), 7.31 (d, $J = 8.1$ Hz, 2 H), 7.46 (dd, $J = 8.8$, 5.3 Hz, 1 H), 7.62 (d, $J = 8.1$ Hz, 2 H,). ^{13}C NMR (100 MHz, $CDCl_3$): $\delta = 21.5$, 44.9, 116.3, 117.6, 117.8, 126.1, 129.7, 134.0, 139.7, 140.6, 141.8, 162.0. IR (KBr): 3645, 3479, 3314, 2920, 1647, 1418, 1023 cm^{-1}.

Anal. Calcd for $C_{14}H_{13}BrFNOS$: C, 49.13; H, 3.83; N, 4.09. Found: C, 49.35; H, 3.67; N, 4.23.

(*R*)-*N*,*N*-bis(2-Bromo-5-fluorobenzyl)-*p*-toluenesulfinamide (*Table* 1, *Entry* 16, 4n), white solid (0.048 g, 9%), mp 92–95°C, $[\alpha]_D^{12} = +9.2$ (c = 0.64, $CHCl_3$). ^1H NMR (300 MHz, $CHCl_3$) δ 7.66 (d, $J = 8.2$ Hz, 2H), 7.44–7.23 (m, 4H), 7.00 (dd, $J = 9.3$, 3.0 Hz, 2H), 6.77 (td, $J = 8.3$, 3.1 Hz, 2H), 4.29 (q, $J = 15.3$ Hz, 4H), 2.37 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$): $\delta = 24.5$, 48.9, 116.3, 117.6, 117.8, 126.1, 129.7, 134.5, 139.7, 140.6, 141.7, 163.2. IR (KBr): 3635, 3479, 3416, 2931, 1620, 1431, 1022 cm^{-1}.

Anal. Calcd for $C_{21}H_{17}Br_2F_2NOS$: C, 47.66; H, 3.24; N, 2.65. Found: C, 47.83; H, 3.50; N, 2.42.

(*R*)-*N*-Ethyl-*p*-toluenesulfinamide (*Table* 1, *Entry* 17, 3o), pale yellow solid (0.137 g, 75%), mp 65–70°C, $[\alpha]_D^{12} = -29.2$ (c = 3.80, $CHCl_3$). ^1H NMR (400 MHz, $CDCl_3$) δ 7.59 (d, $J = 8.2$ Hz, 2H), 7.32–7.28 (m, 2H), 4.10 (t, $J = 5.3$ Hz, 1H), 3.22–3.10 (m, 1H), 2.92–2.81 (m, 1H), 2.41 (s, 3H), 1.15 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 141.0, 140.8, 129.4, 126.2, 41.8, 21.3, 21.3, 14.4. IR (KBr): 3553, 3415, 3194, 2980, 2287, 1632, 1455, 1117 cm^{-1}.

Anal. Calcd for $C_9H_{13}NOS$: C, 58.98; H, 7.15; N, 7.64. Found: C, 58.76; H, 7.45; N, 7.37.

(*R*)-*N*,*N*-Diethyl-*p*-toluenesulfinamide (Table 1, *Entry* 17, 4o), pale yellow liquid (0.020 g, 9%), $[\alpha]_D^{12} = +21.3$ (c = 0.65, $CHCl_3$). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (d, $J = 8.1$ Hz, 2H), 7.33–7.26 (m, 2H), 3.13 (q, $J = 7.4$ Hz, 4H), 2.41 (s, 3H), 1.12 (t, $J = 7.2$ Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 141.0, 140.8, 129.4, 126.2, 41.8, 21.3, 21.3, 14.4. IR (KBr): 3693, 3415, 3214, 2880, 2287, 1632, 1325, 1017 cm^{-1}.

Anal. Calcd for $C_{11}H_{17}NOS$: C, 62.52; H, 8.11; N, 6.63. Found: C, 62.26; H, 8.36; N, 6.48.

(*R*)-*N*-(2-Iodobenzyl)-*N*-methyl-*t*-butanesulfinamide (5a), colorless oil (0.309 g, 90%), $[\alpha]_D^{17} = +31.5$ (c = 0.51, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.78 (d, $J = 7.8$ Hz, 1H), 7.42–7.23 (m, 2H), 6.92 (td, $J = 7.7$, 1.7 Hz, 1H), 4.20 (q, $J = 15.8$ Hz, 2H), 2.63 (s, 3H), 1.15 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 139.47 (s), 139.01 (s), 128.91 (d, $J = 3.9$ Hz), 128.23 (s), 99.24 (s), 61.34 (s), 58.53 (s), 29.50 (s), 23.30 (s).

Anal. Calcd for $C_{12}H_{18}INOS$: C, 41.03; H, 5.17; N, 3.99. Found: C, 41.25; H, 5.31; N, 3.76.

(*R*)-*N*-(2-Iodobenzyl)-*N*-benzyl-*t*-butanesulfinamide (5b), colorless oil (0.381 g, 89%), $[\alpha]_D^{17} = +28.5$ (c = 0.36, $CHCl_3$). ^{1}H NMR (300 MHz, $CDCl_3$) δ 7.78 (d, $J = 7.2$ Hz, 1H), 7.49 (d, $J = 6.9$ Hz, 1H), 7.39–7.21 (m, 6H), 6.93 (dd, $J = 10.8$, 4.3 Hz, 1H), 4.33 (dd, $J = 15.7$, 4.1 Hz, 2H), 4.13 (dd, $J = 39.7$, 15.7 Hz, 2H), 1.17 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$) δ 139.56 (s), 138.94 (s), 136.36 (s), 129.36 (d, $J = 19.8$ Hz), 128.87 (d, $J = 8.9$ Hz), 128.59–127.94 (m), 127.48 (s), 99.51 (s), 58.58 (s), 55.95 (s), 52.40 (s), 23.12 (s).

Anal. Calcd for $C_{18}H_{22}INOS$: C, 50.59; H, 5.19; N, 3.28. Found: C, 50.77; H, 5.34; N, 3.48.

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