Pergamon

Tetrahedron 55 (1999) 2273–2288

TETRAHEDRON

Sodium *p*-Toluenesulfinate/Copper(II) Acetate in Free Radical Reactions of 5-Aryl Substituted Alkenes

Sheow-Fong Wang, Che-Ping Chuang*, Jia-Han Lee and Shui-Te Liu

Department of Chemistry, National Cheng Kung University, Tainan, Taiwan, 70101, R.O.C.

Received 24 August 1998; revised 7 December 1998; accepted 7 January 1999

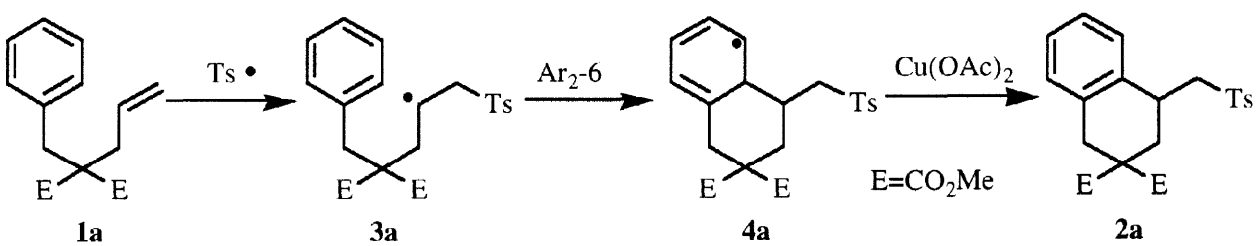
Abstract: *p*-Toluenesulfonyl radical can be generated from sodium *p*-toluenesulfinate in aqueous acetic acid or formic acid. Sulfonyl radical mediating reaction of 5-aryl sbustituted alkenes with sodium *p*-toluenesulfinate/copper(II) acetate gave *p*-toluenesulfonylmethyl substituted naphthalene and isoquinoline derivatives. This reaction proceeded much faster in aqueous formic acid than in aqueous acetic acid. The cyclization mode (Ar_2-6 *vs* Ar_1-5) of the 5-phenyl-1-butly radical is strongly dependent on the geometry of the tether of the radical intermediate.

INTRODUCTION

Recently there has been a growing interest in the application of free radical reactions in organic synthesis.[1] Free radical reactions mediated by sulfonyl radicals have been noted by several groups.[2,3,4] The intramolecular cyclization of the carbon radical onto the benzene ring offers an attractive route to naphthalene derivatives.[4a,5,6] *p*-Toluenesulfonyl radical can be generated from sodium *p*-toluenesulfinate in aqueous acetic acid and sodium *p*-toluenesulfinate is used as a *p*-toluenesulfonyl radical precursor in sulfonyl radical mediating reactions.[4,7] This report describes the results of free radical reaction of 5-aryl substituted alkenes with sodium *p*-toluenesulfinate/copper(II) acetate.

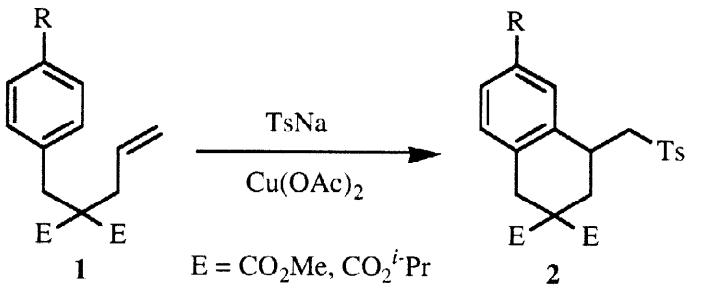
RESULTS AND DISCUSSION

We began our studies by examining the behavior of **1a**. Thus, treatment of **1a** with sodium *p*-toluenesulfinate/copper(II) acetate in 80% aqueous acetic acid at 90 °C for 72 h gave **2a** in 72% yield (Scheme 1). This free radical cyclization reaction most likely proceeded by the mechanism shown in Scheme 1. Initiation occurs by *p*-toluenesulfonyl radical addition to **1a**, followed by Ar_2-6 cyclization of **3a** and subsequent oxidation of radical intermediate **4a** to give tetrahydronaphthalene **2a**. No product derived from Ar_1-5 cyclization was observed. Similar preference of Ar_2-6 cyclization has been reported previously.[4a,5,6] Other examples of this reaction are shown in eq. 1 and Table 1 (Method A). The yields for this reaction are good but reaction is slow (48-72 h). It is known that the Thrope-Ingold effect will increase the reaction rate of cyclization.[8] To increase the reaction rate, we also performed this reaction of **1** with E=$CO_2{}^i$Pr. Indeed, the reaction time is much shorter



Scheme 1

0040-4020/99/$ - see front matter
PII: S0040-4020(99)00027-7

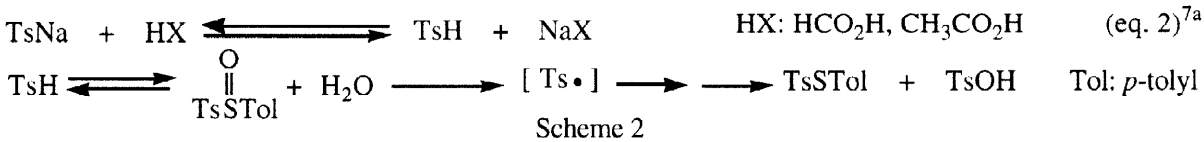


(eq. 1)

Table 1: Free Radical Reactions of 5-Aryl-1-pentenes **1**.

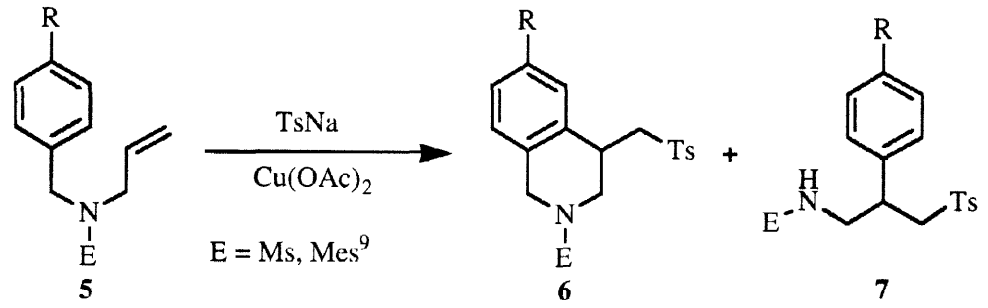
Entry	Substrate			Method[a]	Time (h)	Product (Yield)	
a	**1a**	R=H	E=CO_2Me	A	72	**2a**	72%
				B	9		89%
b	**1b**	R=H	E=$CO_2{}^{i}Pr$	A	48	**2b**	91%
				B	10		92%
c	**1c**	R=Me	E=CO_2Me	A	72	**2c**	92%
				B	10		91%
d	**1d**	R=Me	E=$CO_2{}^{i}Pr$	A	48	**2d**	90%
				B	10		94%
e	**1e**	R=Cl	E=CO_2Me	A	72	**2e**	87%
				B	10		84%
f	**1f**	R=Cl	E=$CO_2{}^{i}Pr$	A	48	**2f**	90%
				B	9		82%
g	**1g**	R=Br	E=CO_2Me	A	72	**2g**	82%
				B	10		84%
h	**1h**	R=Br	E=$CO_2{}^{i}Pr$	A	48	**2h**	98%
				B	10		92%
i	**1i**	R=OMe	E=CO_2Me	A	48	**2i**	79%
				B	8		89%
j	**1j**	R=OMe	E=$CO_2{}^{i}Pr$	A	48	**2j**	93%
				B	8		86%
k	**1k**	R=CN	E=CO_2Me	A	43	**2k**	35%
				B	8		91%
l	**1l**	R=CN	E=$CO_2{}^{i}Pr$	A	34	**2l**	53%
				B	8		88%

a. Method A: The reaction was carried out in 80% aqueous acetic acid.
Method B: The reaction was carried out in 80% aqueous formic acid.



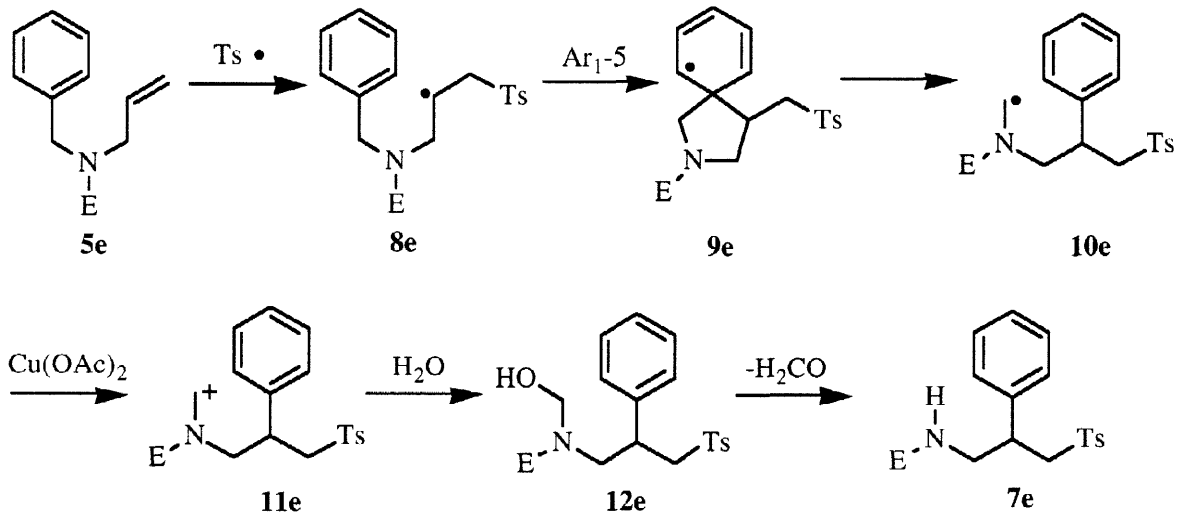
Scheme 2

with E=$CO_2{}^{i}Pr$. We also performed this reaction in 80% aqueous formic acid and it proceeded much faster. The results are also summarized in Table 1 (Method B). This can be rationalized by the higher acidity of formic acid and higher concentration of *p*-toluenesulfinic acid is present in the reaction mixture by shifting the equilibration of equation 2 to the right. Similar results have previously been reported by this laboratory.[4e]



(eq. 3)

In order to extend this study to the formation of isoquinoline derivatives. We also studied this *p*-toluenesulfonyl radical initiated reaction with **5e** (R=H, E=Ms). The reaction of **5e** with sodium *p*-toluenesulfinate/copper(II) acetate in aqueous acetic acid under similar condition resulted in the formation of **6e** (60%) and **7e** (14%) (eq. 3). The formation of **6e** presumably occurs *via* a similar reaction route to that shown in Scheme 1 and **7e** was produced *via* the mechanism shown in Scheme 3. Initiation occurs by *p*-toluenesulfonyl radical addition to **5e**, followed by Ar_1-5 cyclization of **8e** to give cyclohexadienyl radical **9e**, which is converted into **10e** by β-elimination. **10e** undergoes oxidation by copper(II) acetate, followed by addition of water and hydrolysis to produce **7e**. Results for this radical reaction are shown in Table 2 (Method A) and in most cases **6** is the major product. The reaction rate is faster with E=Mes. This can be rationalized by the bulkiness of Mes group, thus restricting the conformational freedom of radical intermediate **8**, so that the benzene ring and the carbon radical center of **8** are forced into proximity.[10] This reaction also proceeds with shorter reaction time in formic acid (Table 2, Method B). In several cases, for unknown reasons, the reaction does not reach completion. As indicated in Table 2, the product distribution of this reaction is strongly dependent on the substituents of the benzene ring. With electron donating groups on the benzene ring, it gives lower **6/7** ratios (Table 2, entries a - d), on the other hand, with electron withdrawing groups on the benzene ring, it gives much higher **6/7** ratios (Table 2, entries g - n). This suggests a preference for the Ar_2-6 over Ar_1-5 cyclization mode in the intramolecular cyclization of radical intermediate **8**, especially when electron withdrawing groups are on the benzene ring.



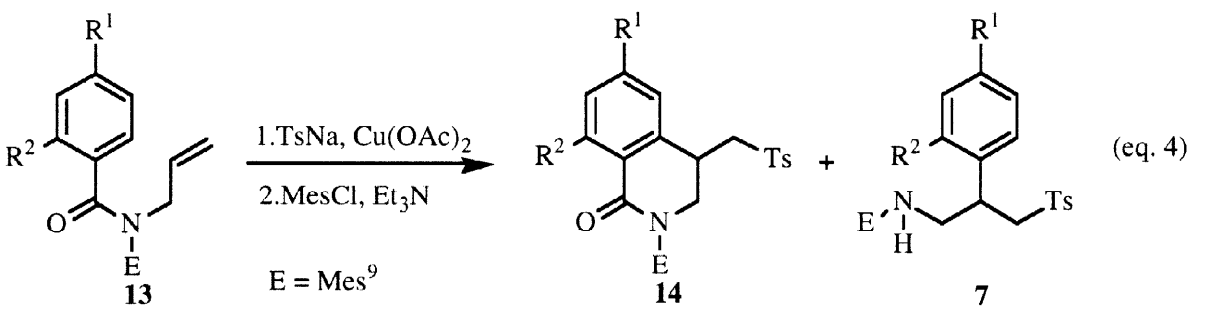
Scheme 3

Based on the results shown in Table 2, we expected that the radical cyclization of **13** having electron withdrawing groups on the benzene ring to give **14** as the major product (eq. 4). Treatment of **13a** (R_1=Me, R_2=H)with sodium *p*-toluenesulfinate/copper(II) acetate in 80% aqueous formic acid gave **7d**, **14a** and **15a** to our surprise (eq. 4 and Scheme 4). Since amine **15a** was not easy to purify, after work-up, 2,4,6-

Table 2: Free Radical Reactions of *N*-Allyl-*N*-benzylsulfonamides **5**.

Entry	Substrate			Method	Time (h)	Product (Yield)			
a	**5a**	R=OMe	E=Ms	A	72	**6a**	40%	**7a**	40%
				B	9		45%		42%
b	**5b**	R=OMe	E=Mes	A	48	**6b**	37%	**7b**	42%
				B	9		32%		37%
c	**5c**	R=Me	E=Ms	A	72	**6c**	46%	**7c**	40%
				B	10		49%		31%
d	**5d**	R=Me	E=Mes	A	48	**6d**	41%	**7d**	40%
				B	9		40%		33%
e	**5e**	R=H	E=Ms	A	72	**6e**	60%	**7e**	14%
				B	9		51%		40%
f	**5f**	R=H	E=Mes	A	72	**6f**	45%	**7f**	11%
				B	9		38%		27%
g	**5g**	R=Br	E=Ms	A	96	**6g**	72%	**7g**	0%
				B	14		75%		12%[b]
h	**5h**	R=Br	E=Mes	A	48	**6h**	44%	**7h**	0%
				B	12		40%		4%
i	**5i**	R=Cl	E=Ms	A	96	**6i**	83%	**7i**	0%
				B	12		77%		10%[c]
j	**5j**	R=Cl	E=Mes	A	48	**6j**	55%	**7j**	0%
				B	12		55%		9%
k	**5k**	R=F	E=Ms	A	72	**6k**	87%	**7k**	0%
				B	12		86%		4%
l	**5l**	R=F	E=Mes	A	48	**6l**	51%	**7l**	0%
				B	12		53%		0%
m	**5m**	R=CO_2Et	E=Ms	A	96	**6m**	68%	**7m**	7%[d]
				B	12		71%		4%[e]
n	**5n**	R=CO_2Et	E=Mes	A	48	**6n**	40%	**7n**	0%[f]
				B	12		43%		0%

a. Method A: The reaction was carried out in 80% aqueous acetic acid.
Method B: The reaction was carried out in 80% aqueous formic acid.
b. Based on 84% conversion of **5g**. c. Based on 83% conversion of **5i**.
d. Based on 78% conversion of **5m**. e. Based on 78% conversion of **5m**.
f. Based on 76% conversion of **5n**.



13 **14** **7** (eq. 4)

mesitylsulfonyl chloride and triethylamine was added to convert **15a** into **7d**, the yields of **7d** and **14a** being 53% and 16% respectively. **14a** was formed presumably *via* a similar reaction route to that shown in Scheme 1.

7d and **15a** were produced *via* the mechanism shown in Scheme 4. Initiation occurs by *p*-toluenesulfonyl radical addition to **13a**, followed by Ar_1-5 cyclization of **16a** to give cyclohexadienyl radical **17a**, which is converted into **18a** by β-elimination. **18a** undergoes either β-elimination to give isocyanate **19a**, followed by addition of water and decarboxylation to produce **15a** (path 1) or oxidation by copper(II) acetate, followed by addition of water and decarboxylation to produce **7d** (path 2). The different reaction behavior between **10a** and **18a** can be ascribed to the higher stability of **11a** over **21a** which makes the rate of converting **18a** into **21a** (path 2) slower and the β-elimination of **18a** (path 1) occurs. Generalities of this reaction are shown in Table 3, in all cases, **7** is the major (or only) product. This indicates a preference for the Ar_1-5 over Ar_2-6 cyclization mode in the intramolecular cyclization of radical intermediate **16**. Such radical Ar_1-5 cyclizations to give spiro structures were recently reported and the spiro cyclohexadiene derivatives were the major (or only) products.[11] From our results and the reports of Citterio and Zard, these suggest that the geometry of the tether of radical intermediate will effect the mode (Ar_2-6 *vs* Ar_1-5) for the intramolecular radical cyclization of carbon radical onto the benzene ring.

Table 3: Free Radical Reactions of *N*-Allylbenzamides **13**.

Entry	Substrate			Time (h)	Product (Yield)			
a	**13a**	R^1=Me	R^2=H	6	**14a**	16%	**7d**	53%
b	**13b**	R^1=Cl	R^2=H	6	**14b**	9%	**7j**	49%
c	**13c**	R^1=Cl	R^2=Cl	8	**14c**	0%	**7o**	58%
d	**13d**	R^1=CO_2Me	R^2=H	8	**14d**	2%	**7p**	50%
e	**13c**	R^1=H	R^2=Me	8	**14e**	0%	**7q**	53%

CONCLUSION

p-Toluenesulfonyl radical can be generated effectively from sodium *p*-toluenesulfinate. This sodium *p*-toluenesulfinate/copper(II) acetate reaction provides a novel route to give *p*-toluenesulfonylmethyl substituted naphthalene and isoquinoline derivatives. This reaction proceeded much faster in aqueous formic acid than in aqueous acetic acid. The cyclization mode (Ar_2-6 *vs* Ar_1-5) is strongly dependent on the geometry of the tether of the radical intermediate.

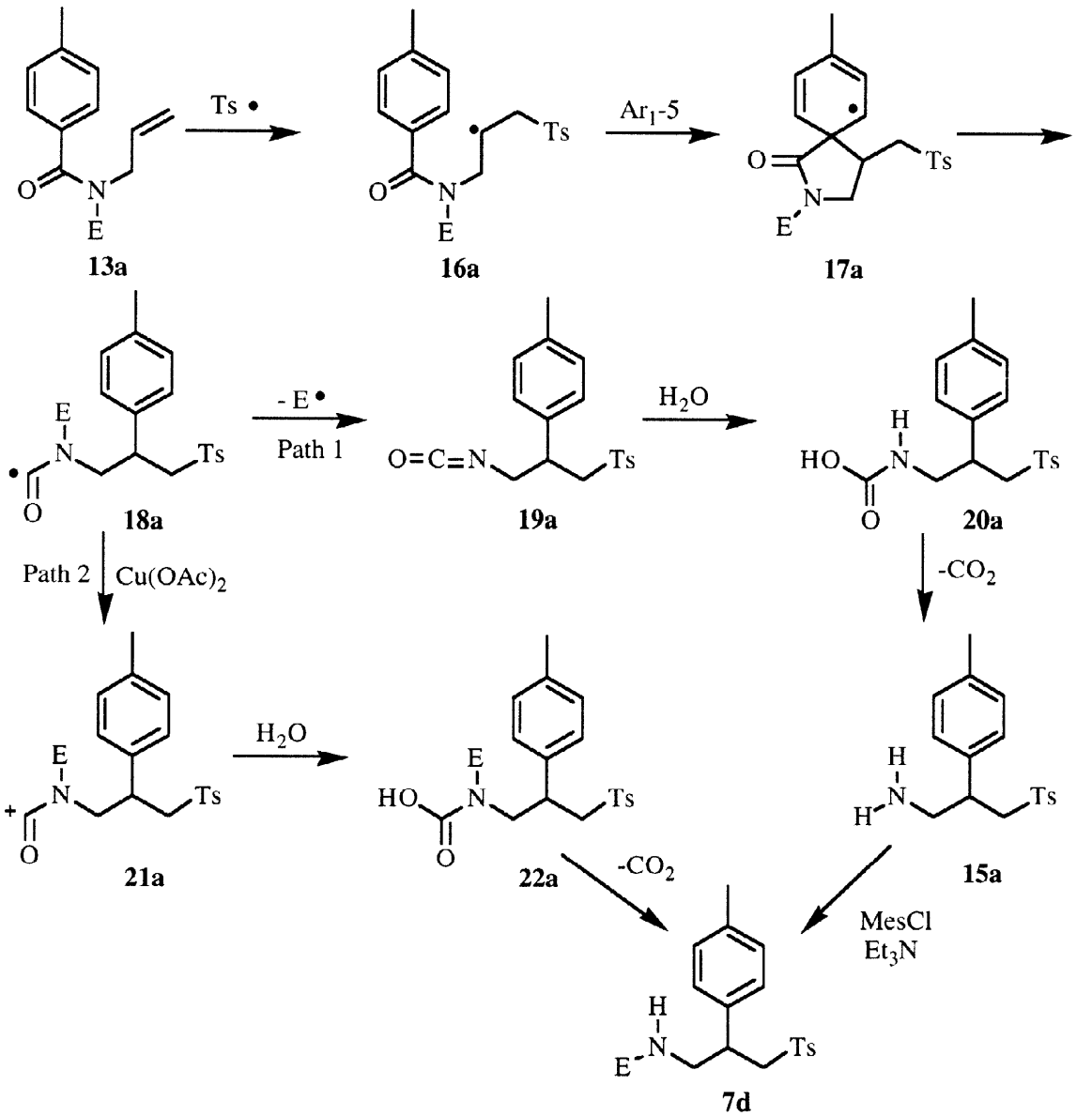
EXPERIMENTAL

Melting points were taken with a Thomas Hoover capillary melting point apparatus and are uncorrected. Infrared spectra were taken with Hitachi 260-30 spectrometer. Nuclear magnetic resonance spectra were recorded on Bruker AC-200 or AMX-400 spectrometer. Elemental analyses were performed with a Heraeus CHN-Rapid Analyzer. Mass spectra were recorded with Jeol JMS-SX/SX 102A mass spectrometer. All reactions were carried out under an atmosphere of nitrogen. Analytical thin-layer chromatography was performed by precoated silica gel 60 F-254 plates (0.25 mm thick) of EM Laboratories. The reaction mixture was purified by column chromatography over EM Laboratories silica gel (230-400 mesh) using ethyl acetate-hexane mixture as eluent.

Typical experimental procedure for the sulfonyl radical reaction with 80% aqueous acetic acid as solvent (Method A): To a solution of 112 mg (0.43 mmol) of **1a** in 10 ml of 80% aqueous acetic acid heated in a 90 °C oil bath was added 2.29 g (12.9 mmol) of sodium *p*-toluenesulfinate and 522 mg (2.61 mmol) of copper(II) acetate in three portions for every 24 h period. After heated for 72 h, the reaction mixture was diluted with 50 ml of ethyl acetate, washed with three 50-mL portions of aqueous saturated sodium bicarbonate, three 25-mL portions of water, dried (Na_2SO_4) and concentrated in vacuo. The residue was chromatographed

over 20 g of silica gel (eluted with ethyl acetate-hexane, 1:5) to give 128 mg (72%) of **2a** as a single product.

Typical experimental procedure for the sulfonyl radical reaction with 80% aqueous formic acid as solvent (Method B): A solution of 107 mg (0.41 mmol) of **1a**, 732 g (4.11 mmol) of sodium *p*-toluenesulfinate and 171 mg (0.86 mmol) of copper(II) acetate in 10 ml of 80% aqueous formic acid was heated in a 90 °C oil bath for 6 h, followed by the addition of 367 mg (2.06 mmol) of sodium *p*-toluenesulfinate and 83 mg (0.42 mmol) copper(II) acetate. The reaction mixture was heated for another 3 h and then diluted with 50 ml of ethyl acetate, washed with three 50-mL portions of aqueous saturated sodium bicarbonate, three 25-mL portions of water, dried (Na_2SO_4) and concentrated in vacuo. The residue was chromatographed over 20 g of silica gel (eluted with ethyl acetate-hexane, 1:5) to give 151 mg (89%) of **2a** as a single product.



Scheme 4

3,4-Dihydro-2,2-dimethoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2a: white crystals; mp 140-141 °C; IR ($CHCl_3$) 3030, 2955, 2925, 1730, 1600, 1320, 1300, 1270, 1235 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.11 (dd, *J*= 14.0, 9.6 Hz, 1H, CH), 2.46 (s, 3H, CH_3), 2.97 (ddd, *J*= 14.0, 6.8, 2.0

Hz, 1H, CH), 3.19 (d, *J*= 16.0 Hz, 1H, CH), 3.26-3.33 (m, 2H, CH), 3.49-3.58 (m, 1H, CH), 3.60 (dd, *J*= 14.9, 2.6 Hz, 1H, CH), 3.62 (s, 3H, OCH_3), 3.75 (s, 3H, OCH_3), 7.00-7.05 (m, 1H, ArH), 7.05-7.16 (m, 3H, ArH), 7.39 (d, *J*= 8.2 Hz, 2H, ArH), 7.86 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.5(q), 31.2(d), 34,7(t), 35.0(t), 52.6(q), 52.8(q), 53.4(s), 63.3(t), 126.8(d), 126.9(d), 127.9(d), 129.2(d), 129.9(d), 133.7(s), 135.1(s), 136.3(s), 144.8(s), 170.5(s), 171.6(s); Anal. Calcd for $C_{22}H_{24}O_6S$: C, 63.45; H, 5.81. Found: C, 63.51; H, 5.80.

3,4-Dihydro-2,2-diisopropoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2b: white crystals; mp 110-111 °C; IR ($CHCl_3$) 3025, 2985, 2925, 1725, 1600, 1455, 1315, 1300, 1230, 1150 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.07 (d, *J*= 6.2 Hz, 3H, CH_3), 1.18 (d, *J*= 6.2 Hz, 3H, CH_3), 1.23 (d, *J*= 6.2 Hz, 3H, CH_3), 1.24 (d, *J*= 6.2 Hz, 3H, CH_3), 2.04 (dd, *J*= 14.0, 9.2 Hz 1H, CH), 2.45 (s, 3H, CH_3), 2.91 (ddd, *J*= 14.0, 7.0, 1.9 Hz, 1H, CH), 3.13 (d, *J*= 15.9 Hz, 1H, CH), 3.25 (dd, *J*= 15.9, 1.9 Hz, 1H, CH), 3.33 (dd, *J*= 14.9, 9.6 Hz, 1H, CH), 3.56-3.67 (m, 2H, CH), 4.91 (septet, *J*= 6.2 Hz, 1H, CH), 5.03 (septet, *J*= 6.2 Hz, 1H, CH), 6.98-7.05 (m, 1H, ArH), 7.05-7.15 (m, 3H, ArH), 7.38 (d, *J*= 8.2 Hz, 2H, ArH), 7.86 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.2(q), 21.3(q), 21.4(q), 21.5(q), 31.3(d), 34.9(t), 35.1(t), 53.6(s), 63.7(t), 68.7(d), 69.1(d), 126.6(d), 126.8(d), 127.0(d), 127.9(d), 129.1(d), 129.9(d), 134.1(s), 135.5(s), 136.6(s), 144.7(s), 169.5(s), 170.8(s); Anal. Calcd for $C_{26}H_{32}O_6S$: C, 66.08; H, 6.82. Found: C, 66.04; H, 6.83.

3,4-Dihydro-2,2-dimethoxycarbonyl-6-methyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2c: white crystals; mp 120-121 °C; IR ($CHCl_3$) 3015, 2950, 1730, 1300, 1270, 1230, 1145 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 2.09 (dd, *J*= 13.9, 9.5 Hz, 1H, CH), 2.21 (s, 3H, CH_3), 2.46 (s, 3H, CH_3), 2.94 (ddd, *J*= 13.9, 6.9, 2.0 Hz, 1H, CH), 3.14 (d, *J*= 15.9 Hz, 1H, CH), 3.26 (dd, *J*= 15.9, 2.0 Hz, 1H, CH), 3.29 (dd, *J*= 14.8, 9.2 Hz, 1H, CH), 3.47-3.56 (m, 1H, CH), 3.60 (dd, *J*= 14.8, 2.6 Hz, 1H, CH), 3.62 (s, 3H, OCH_3), 3.74 (s, 3H, OCH_3), 6.77 (s, 1H, ArH), 6.91 (d, *J*= 7.6 Hz, 1H, ArH), 6.97 (d, *J*= 7.6 Hz, 1H, ArH), 7.38 (d, *J*= 8.4 Hz, 2H, ArH), 7.85 (d, *J*= 8.4 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.0(q), 21.6(q), 31.3(d), 34.7(t), 52.6(q), 52.8(q), 53.5(s), 63.4(t), 127.5(d), 127.7(d), 128.0(d), 129.1(d), 129.9(d), 130.7(s), 134.8(s), 136.4(s), 144.8(s), 170.6(s), 171.7(s); Anal. Calcd for $C_{23}H_{26}O_6S$: C, 64.17; H, 6.09. Found: C, 64.13; H, 6.10.

3,4-Dihydro-2,2-diisopropoxycarbonyl-6-metyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2d: white crystals; mp 96-97 °C; IR ($CHCl_3$) 3015, 2985, 2925, 1720, 1600, 1465, 1455, 1375, 1220 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.08 (d, *J*= 6.2 Hz, 3H, CH_3), 1.18 (d, *J*= 6.2 Hz, 3H, CH_3), 1.22 (d, *J*= 6.2 Hz, 3H, CH_3), 1.24 (d, *J*= 6.2 Hz, 3H, CH_3), 2.02 (dd, *J*= 13.9, 9.2 Hz, 1H, CH), 2.21 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.87 (ddd, *J*= 13.9, 6.9, 1.7 Hz, 1H, CH), 3.08 (d, *J*= 15.7 Hz, 1H, CH), 3.21 (dd, *J*= 15.7, 1.7 Hz, 1H, CH), 3.32 (dd, *J*= 14.9, 9.5 Hz, 1H, CH), 3.54-3.63 (m, 2H, CH), 4.91 (septet, *J*= 6.2 Hz, 1H, CH), 5.03 (septet, *J*= 6.2 Hz, 1H, CH), 6.76 (s, 1H, ArH), 6.90 (d, *J*= 7.8 Hz, 1H, ArH), 6.96 (d, *J*= 7.8 Hz, 1H, ArH), 7.37 (d, *J*= 8.2 Hz, 2H, ArH), 7.85 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.0(q), 21.25(q), 21.32(q), 21.4(q), 21.5(q), 31.3(d), 34.7(t), 34.9(t), 53.6(s), 63.7(t), 68.6(d), 69.0(d), 127.5(d), 127.9(d), 128.9(d), 129.9(d), 131.0(s), 135.2(s), 136.3(s), 136.7(s), 144.6(s), 169.6(s), 170.8(s); Anal. Calcd for $C_{27}H_{34}O_6S$: C, 66.64; H, 7.04. Found: C, 66.63; H, 7.03.

6-Chloro-3,4-dihydro-2,2-dimethoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2e: white crystals; mp 137-138 °C; IR ($CHCl_3$) 3025, 2955, 2925, 1730, 1600, 1320, 1300, 1270, 1210 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 2.15 (dd, *J*= 14.0, 9.4 Hz, 1H, CH), 2.46 (s, 3H, CH_3), 2.96 (ddd, *J*= 14.0, 6.7, 2.0 Hz, 1H, CH), 3.14 (d, *J*= 16.1 Hz, 1H, CH), 3.27 (dd, *J*= 16.1, 2.0 Hz, 1H, CH), 3.31 (dd, *J*= 14.9, 9.4 Hz, 1H, CH), 3.50-3.59 (m, 2H, CH), 3.64 (s, 3H, OCH_3), 3.75 (s, 3H, OCH_3), 6.94 (d, *J*= 1.7 Hz, 1H, ArH), 7.02 (d, *J*= 8.4 Hz, 1H, ArH), 7.08 (dd, *J*= 8.4, 1.7 Hz, 1H, ArH), 7.38 (d, *J*= 8.2 Hz, 2H, ArH), 7.83 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 31.4(d), 34.4(t), 34.6(t), 52.8(q), 53.0(q), 53.4(s), 62.9(t), 127.09(d), 127.11(d), 128.0(d), 130.1(d), 130.5(d), 132.4(s), 132.5(s), 136.3(s), 137.0(s), 145.0(s), 170.4(s), 171.4(s); Anal. Calcd for $C_{22}H_{23}ClO_6S$: C, 58.60; H, 5.14. Found: C, 58.62; H, 5.09.

6-Chloro-3,4-dihydro-2,2-diisopropoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2f: white crystals; mp 87-88 °C; IR ($CHCl_3$) 3025, 2985, 2930, 1725, 1600, 1490, 1300, 1270, 1240, 1145, 1100 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 1.09 (d, *J*= 6.2 Hz, 3H, CH_3), 1.19 (d, *J*= 6.2 Hz, 3H, CH_3), 1.23 (d, *J*= 6.2 Hz, 3H, CH_3), 1.24 (d, *J*= 6.2 Hz, 3H, CH_3), 2.07 (dd, *J*= 14.0, 9.4 Hz, 1H, CH), 2.46 (s, 3H, CH_3), 2.89 (ddd, *J*= 14.0, 7.0, 1.8 Hz, 1H, CH), 3.08 (d, *J*= 16.0 Hz, 1H, CH), 3.23 (dd, *J*= 16.0, 1.8 Hz, 1H, CH), 3.34 (dd, *J*= 14.6, 8.7 Hz, 1H, CH), 3.52 (dd, *J*= 14.6, 2.9 Hz, 1H, CH), 3.54-3.67 (m, 1H, CH), 4.93 (septet, *J*= 6.2 Hz, 1H, CH), 5.03 (septet, *J*= 6.2 Hz, 1H, CH), 6.93 (d, *J*= 1.9 Hz, 1H, ArH), 7.02 (d, *J*= 8.0 Hz, 1H, ArH), 7.07 (dd, *J*= 8.0, 1.9 Hz, 1H, ArH), 7.38 (d, *J*= 8.2 Hz, 2H, ArH), 7.83 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.2(q), 21.3(q), 21.4(q), 21.5(q), 31.3(d), 34.4(t), 53.4(s), 63.0(t), 68.9(d), 69.3(d), 126.8(d), 127.0(d), 127.8(d), 130.0(d), 130.3(d), 132.3(s), 132.7(s), 136.4(s), 137.3(s), 144.8(s), 169.3(s), 170.5(s); Anal. Calcd for $C_{26}H_{31}ClO_6S$: C, 61.59; H, 6.16. Found: C, 61.55; H, 6.22.

6-Bromo-3,4-dihydro-2,2-dimethoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2g: white crystals; mp 150-151 °C; IR ($CHCl_3$) 3010, 2955, 1730, 1600, 1320, 1300, 1270, 1230 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.15 (dd, *J*= 14.1, 9.4 Hz, 1H, CH), 2.46 (s, 3H, CH_3), 2.95 (ddd, *J*= 14.1, 6.8, 1.9 Hz, 1H, CH), 3.12 (d, *J*= 16.2 Hz, 1H, CH), 3.25 (dd, *J*= 16.2, 1.9 Hz, 1H, CH), 3.31 (dd, *J*= 14.8, 9.3 Hz, 1H, CH), 3.52 (dd, *J*= 14.8, 2.6 Hz, 1H, CH) 3.50-3.58 (m, 1H, CH), 3.64 (s, 3H, OCH_3), 3.75 (s, 3H, OCH_3), 6.96 (d, *J*= 8.1 Hz, 1H, ArH), 7.07 (d, *J*= 1.6 Hz, 1H, ArH), 7.22 (dd, *J*= 8.1, 1.6 Hz, 1H, ArH), 7.38 (d, *J*= 8.2 Hz, 2H, ArH), 7.82 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 31.3(d), 34.3(t), 34.5(t), 52.7(q), 52.9(q), 53.3(s), 62.7(t), 120.5(s), 127.9(d), 129.9(d), 130.0(d), 130.8(d), 132.9(s), 136.2(s), 137.3(s), 145.0(s), 170.3(s), 171.3(s); Anal. Calcd for $C_{22}H_{23}BrO_6S$: C, 53.34; H, 4.68. Found: C, 53.36; H, 4.68.

6-Bromo-3,4-dihydro-2,2-diisopropoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2h: white crystals; mp 78-79 °C; IR ($CHCl_3$) 3025, 2985, 2925, 1725, 1600, 1300, 1270, 1230, 1145 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 1.09 (d, *J*= 6.3 Hz, 3H, CH_3), 1.20 (d, *J*= 6.3 Hz, 3H, CH_3), 1.23 (d, *J*= 6.3 Hz, 3H, CH_3), 1.24 (d, *J*= 6.3 Hz, 3H, CH_3), 2.08 (dd, *J*= 14.0, 9.6 Hz, 1H, CH), 2.46 (s, 3H, CH_3), 2.88 (ddd, *J*= 14.0, 7.0, 1.9 Hz, 1H, CH), 3.06 (d, *J*= 16.0 Hz, 1H, CH), 3.21 (dd, *J*= 16.0, 1.9 Hz, 1H, CH), 3.34 (dd, *J*= 14.6, 8.7 Hz, 1H, CH), 3.51 (dd, *J*= 14.6, 2.9 Hz, 1H, CH), 3.54-3.64 (m, 1H, CH), 4.93 (septet, *J*= 6.3 Hz, 1H, CH), 5.03 (septet, *J*= 6.3 Hz, 1H, CH), 6.96 (d, *J*= 8.1 Hz, 1H, ArH), 7.05 (d, *J*= 1.6 Hz, 1H, ArH), 7.21 (dd, *J*= 8.1, 1.6 Hz, 1H, ArH), 7.38 (d, *J*= 8.2 Hz, 2H, ArH), 7.82 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.27(q), 21.33(q), 21.4(q), 21.6(q), 31.3(d), 34.4(t), 34.5(t), 53.4(s), 63.0(t), 68.9(d), 69.3(d), 120.3(s), 127.9(d), 129.8(d), 129.9(d), 130.0(d), 130.7(d), 133.3(s), 136.4(s), 137.7(s), 144.9(s), 169.3(s), 170.5(s); Anal. Calcd for $C_{26}H_{31}BrO_6S$: C, 56.63; H, 5.67. Found: C, 56.46; H, 5.67.

3,4-Dihydro-2,2-dimethoxycarbonyl-6-methoxy-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2i: white crystals; mp 131-132 °C; IR ($CHCl_3$) 3015, 2950, 1730, 1615, 1505, 1435, 1270, 1230 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.08 (dd, *J*= 14.0, 9.2 Hz, 1H, CH), 2.46 (s, 3H, CH_3), 2.93 (ddd, *J*= 14.0, 6.8, 1.7 Hz, 1H, CH), 3.11 (d, *J*= 15.9 Hz, 1H, CH), 3.24 (dd, *J*= 15.9, 1.7 Hz, 1H, CH), 3.30 (dd, *J*= 14.3, 8.8 Hz, 1H, CH), 3.50-3.53 (m, 1H, CH), 3.58 (dd, *J*= 14.3, 2.6 Hz, 1H, CH), 3.62 (s, 3H, OCH_3), 3.71 (s, 3H, OCH_3), 3.74 (s, 3H, OCH_3), 6.54 (d, *J*= 2.4 Hz, 1H, ArH), 6.68 (dd, *J*= 8.4, 2.4 Hz, 1H, ArH), 7.00 (d, *J*= 8.4 Hz, 1H, ArH), 7.38 (d, *J*= 8.2 Hz, 2H, ArH), 7.84 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.5(q), 31.5(d), 34.3(t), 34.7(t), 52.6(q), 52.8(q), 53.6(s), 55.1(q), 63.4(t), 112.3(d), 112.7(d), 125.8(s), 128.0(d), 129.9(d), 130.1(d), 136.27(s), 136.3(s), 144.8(s), 158.4(s), 170.6(s), 171.7(s); Anal. Calcd for $C_{23}H_{26}O_7S$: C, 61.87; H, 5.87. Found: C, 61.83; H, 5.95.

3,4-Dihydro-2,2-diisopropoxycarbonyl-6-methoxy-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2j: white crystals; mp 105-106 °C; IR ($CHCl_3$) 3020, 2985, 2925, 1725, 1600, 1465, 1315, 1300, 1290, 1230 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 1.08 (d, *J*= 6.2 Hz, 3H, CH_3), 1.18 (d, *J*= 6.2 Hz, 3H, CH_3), 1.22 (d, *J*= 6.2 Hz, 3H, CH_3), 1.24 (d, *J*= 6.2 Hz, 3H, CH_3), 2.01 (dd, *J*= 13.9, 9.2 Hz, 1H, CH), 2.45 (s, 3H, CH_3), 2.86 (ddd, *J*= 13.9, 7.1, 1.9 Hz, 1H, CH), 3.05 (d, *J*= 15.6 Hz, 1H, CH), 3.19 (dd, *J*=

15.6, 1.9 Hz, 1H, CH), 3.33 (dd, *J*= 14.9, 9.3 Hz, 1H, CH), 3.57 (dd, *J*= 14.9, 2.6 Hz, 1H, CH), 3.54-3.65 (m, 1H, CH), 3.70 (s, 3H, OCH_3), 4.91 (septet, *J*= 6.2 Hz, 1H, CH), 5.03 (septet, *J*= 6.2 Hz, 1H, CH), 6.53 (d, *J*= 2.5 Hz, 1H, ArH), 6.67 (dd, *J*= 8.4, 2.5 Hz, 1H, ArH), 6.99 (d, *J*= 8.4 Hz, 1H, ArH), 7.37 (d, *J*= 8.1 Hz, 2H, ArH), 7.85 (d, *J*= 8.1 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.3(q), 21.36(q), 21.42(q), 21.5(q), 31.6(d), 34.3(t), 34.9(t), 53.8(s), 55.1(q), 63.8(t), 68.7(d), 69.1(d), 112.2(d), 112.7(d), 126.2(s), 127.9(d), 129.9(d), 130.0(d), 136.6(s), 136.7(s), 144.7(s), 158.3(s), 169.6(s), 170.9(s); Anal. Calcd for $C_{27}H_{34}O_7S$: C, 64.52; H, 6.82. Found: C, 64.58; H, 6.87.

6-Cyano-3,4-dihydro-2,2-dimethoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2k: white crystals; mp 134-135 °C; IR ($CHCl_3$) 3020, 2955, 2925, 2230, 1735, 1600, 1450, 1435, 1315, 1300, 1275, 1250 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.23 (dd, *J*= 14.1, 9.7 Hz, 1H, CH), 2.48 (s, 3H, CH_3), 2.98 (ddd, *J*= 14.1, 6.8, 1.9 Hz, 1H, CH), 3.24 (d, *J*= 16.8 Hz, 1H, CH), 3.45 (dd, *J*= 14.5, 8.6 Hz, 1H, CH), 3.36 (dd, *J*= 16.8, 1.9 Hz, 1H, CH), 3.51 (dd, *J*= 14.5, 3.1 Hz, 1H, CH), 3.56-3.63 (m, 1H, CH), 3.66 (s, 3H, OCH_3), 3.77 (s, 3H, OCH_3), 7.22 (d, *J*= 7.9 Hz, 1H, ArH), 7.27 (s, 1H, ArH), 7.40 (d, *J*= 7.9 Hz, 3H, ArH), 7.82 (d, *J*= 7.9 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 31.3(d), 34.3(t), 35.2(t), 53.0(q), 53.1(s), 53.2(q), 62.4(t), 110.9(s), 118.4(s), 127.9(d), 130.2(d), 131.0(d), 136.2(s), 136.8(s), 139.8(s), 145.3(s), 170.2(s), 171.7(s); Anal. Calcd for $C_{23}H_{23}NO_6S$: C, 62.57; H, 5.25; N, 3.17. Found: C, 62.58; H, 5.20; N, 3.17.

6-Cyano-3,4-dihydro-2,2-diisopropoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-1*H*-naphthalene 2l: white crystals; mp 135-136 °C; IR ($CHCl_3$) 3010, 2985, 2925, 2850, 2230, 1725, 1600, 1465, 1455, 1275, 1250, 1210, 1145, 1105 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 1.11 (d, *J*= 6.2 Hz, 3H, CH_3), 1.22 (d, *J*= 6.2 Hz, 3H, CH_3), 1.25 (d, *J*= 6.2 Hz, 3H, CH_3), 1.26 (d, *J*= 6.2 Hz, 3H, CH_3), 2.17 (dd, *J*= 14.0, 9.6 Hz, 1H, CH), 2.48 (s, 3H, CH_3), 2.93 (ddd, *J*= 14.0, 6.9, 1.6 Hz, 1H, CH), 3.18 (d, *J*= 16.6 Hz, 1H, CH), 3.33 (dd, *J*= 16.6, 1.6 Hz, 1H, CH), 3.37 (dd, *J*= 14.6, 8.1 Hz, 1H, CH), 3.49 (dd, *J*= 14.6, 3.2 Hz, 1H, CH), 3.59-3.69 (m, 1H, CH), 4.95 (septet, *J*= 6.2 Hz, 1H, CH), 5.05 (septet, *J*= 6.2 Hz, 1H, CH), 7.22 (d, *J*= 8.0 Hz, 1H, ArH), 7.27 (d, *J*= 8.0 Hz, 1H, ArH), 7.39 (s, 1H, ArH), 7.40 (d, *J*= 8.0 Hz, 2H, ArH), 7.83 (d, *J*= 8.0 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.3(q), 21.38(q), 21.43(q), 21.6(q), 31.2(d), 34.5(t), 35.2(t), 53.2(s), 62.7(t), 69.3(d), 69.6(d), 110.7(s), 118.5(s), 127.9(d), 130.0(d), 130.1(d), 131.0(d), 136.4(s), 137.2(s), 140.2(s), 145.2(s), 169.2(s), 170.2(s); Anal. Calcd for $C_{27}H_{31}NO_6S$: C, 65.17; H, 6.28; N, 2.81. Found: C, 64.91; H, 6.31; N, 2.85.

2-Methanesulfonyl-6-methoxy-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6a: white crystals; mp 155-156 °C; IR ($CHCl_3$) 3020, 2930, 1615, 1505, 1465, 1340, 1300, 1240, 1150, 970 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.45 (s, 3H, CH_3), 2.92 (s, 3H, CH_3), 3.11 (d, *J*= 13.8 Hz, 1H, CH), 3.13 (ddm, *J*= 11.9, 2.7 Hz, 1H, CH), 3.66 (dm, *J*= 9.5 Hz, 1H, CH), 3.73 (dd, *J*= 13.8, 9.5 Hz, 1H, CH), 3.78 (s, 3H, OCH_3), 4.08 (d, *J*= 14.6 Hz, 1H, CH), 4.26 (d, *J*= 11.9 Hz, 1H, CH), 4.61 (d, *J*= 14.6 Hz, 1H, CH), 6.68 (d, *J*= 2.5 Hz, 1H, ArH), 6.78 (dd, *J*= 8.5, 2.5 Hz, 1H, ArH), 6.97 (d, *J*= 8.5 Hz, 1H, ArH), 7.37 (d, *J*= 8.1 Hz, 2H, ArH), 7.86 (d, *J*= 8.1 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 33.6(d), 35.4(q), 46.7(t), 46.8(t), 55.4(q), 60.2(t), 113.1(d), 114.3(d), 123.6(s), 127.6(d), 128.0(d), 130.0(d), 135.9(s), 136.6(s), 144.9(s), 158.9(s); Anal. Calcd for $C_{19}H_{23}NO_5S_2$: C, 55.73; H, 5.66; N, 3.42. Found: C, 55.75; H, 5.74; N, 3.47.

2-(2,4,6-Mesitylenesulfonyl)-6-methoxy-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6b: white crystals; mp 194-195 °C; IR ($CHCl_3$) 3010, 1605, 1320, 1155, 1035 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.36 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.62 (s, 6H, CH_3), 2.97 (d, *J*= 14.3 Hz, 1H, CH), 3.10 (dd, *J*= 14.3, 9.2 Hz, 1H, CH), 3.15 (dd, *J*= 12.9, 2.8 Hz, 1H, CH), 3.49 (dm, *J*= 9.2 Hz, 1H, CH), 3.74 (s, 3H, OCH_3), 3.80 (d, *J*= 12.9 Hz, 1H, CH), 4.17 (d, *J*= 14.9 Hz, 1H, CH), 4.61 (d, *J*= 14.9 Hz, 1H, CH), 6.55 (d, *J*= 2.3 Hz, 1H, ArH), 6.76 (dd, *J*= 8.5, 2.3 Hz, 1H, ArH), 6.99 (d, *J*= 8.5 Hz, 1H, ArH), 7.01 (s, 2H, ArH), 7.32 (d, *J*= 8.0 Hz, 2H, ArH), 7.57 (d, *J*= 8.0 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.0(q), 21.5(q), 22.9(q), 33.3(d), 45.0(t), 46.2(t), 55.3(q), 60.2(t), 112.9(d), 114.0(d),

124.0(s), 127.8(d), 129.8(d), 131.3(s), 132.1(d), 135.8(s), 136.1(s), 140.4(s), 142.9(s), 144.8(s), 158.7(s); Anal. Calcd for $C_{27}H_{31}NO_5S_2$: C, 63.13; H, 6.08; N, 2.73. Found: C, 63.02; H, 6.08; N, 2.81.

2-Methanesulfonyl-6-methyl-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6c: white crystals; mp 155-156 °C; IR ($CHCl_3$) 3025, 2925, 1600, 1335, 1300, 1230, 1150, 1090, 970 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.29 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.92 (s, 3H, CH_3), 3.06-3.15 (m, 2H, CH_2), 3.65 (d, *J*= 9.5 Hz, 1H, CH), 3.72 (dd, *J*= 13.7, 9.5 Hz, 1H, CH), 4.10 (d, *J*= 14.8 Hz, 1H, CH), 4.26 (d, *J*= 12.0 Hz, 1H, CH), 4.63 (d, *J*= 14.8 Hz, 1H, CH), 6.94 (d, *J*= 7.9 Hz, 1H, ArH), 6.96 (s, 1H, ArH), 7.02 (d, *J*= 7.9 Hz, 1H, ArH), 7.37 (d, *J*= 7.8 Hz, 2H, ArH), 7.86 (d, *J*= 7.8 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 20.9(q), 21.6(q), 33.3(d), 35.4(q), 46.8(t), 46.9(t), 60.2(t), 126.3(d), 127.9(d), 128.4(d), 128.6(s), 129.3(d), 130.0(d), 134.5(s), 136.6(s), 137.4(s), 144.8(s); Anal. Calcd for $C_{19}H_{23}NO_4S_2$: C, 57.99; H, 5.89; N, 3.56. Found: C, 57.91; H, 5.88; N, 3.49.

2-(2,4,6-Mesitylenesulfonyl)-6-methyl-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6d: white crystals; mp 195-196 °C; IR ($CHCl_3$) 3015, 2925, 1605, 1465, 1320, 1230, 1155, 1090, 960 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.27 (s, 3H, CH_3), 2.36 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.63 (s, 6H, CH_3), 2.95 (dm, *J*= 14.2 Hz, 1H, CH), 3.10 (dd, *J*= 14.2, 9.4 Hz, 1H, CH), 3.16 (ddm, *J*= 12.9, 3.4 Hz, 1H, CH), 3.52 (dm, *J*= 9.4 Hz, 1H, CH), 3.80 (dm, *J*= 12.9 Hz, 1H, CH), 4.20 (d, *J*= 15.2 Hz, 1H, CH), 4.64 (d, *J*= 15.2 Hz, 1H, CH), 6.87 (s, 1H, ArH), 6.97 (d, *J*= 7.9 Hz, 1H, ArH), 6.99-7.05 (m, 1H, ArH), 7.01 (s, 2H, ArH), 7.31 (d, *J*= 8.2 Hz, 2H, ArH), 7.57 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 20.9(q), 21.0(q), 21.6(q), 22.9(q), 33.0(d), 45.3(t), 46.3(t), 60.4(t), 126.6(d), 127.8(d), 128.2(d), 129.0(s), 129.1(d), 129.8(d), 131.5(s), 132.1(d), 134.5(s), 136.3(s), 137.3(s), 140.5(s), 142.9(s), 144.8(s); Anal. Calcd for $C_{27}H_{31}NO_4S_2$: C, 65.16; H, 6.28; N, 2.81. Found: C, 65.09; H, 6.27; N, 2.82.

2-Methanesulfonyl-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6e: white crystals; mp 148-149 °C; IR ($CHCl_3$) 3025, 1600, 1340, 1215, 1150, 970 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.45 (s, 3H, CH_3), 2.94 (s, 3H, CH_3), 3.10 (d, *J*= 12.8 Hz, 1H, CH), 3.16 (dm, *J*= 12.4 Hz, 1H, CH), 3.68 (dm, *J*= 9.7 Hz, 1H, CH), 3.73 (dd, *J*= 12.8, 9.7 Hz, 1H, CH), 4.15 (d, *J*= 15.1 Hz, 1H, CH), 4.28 (dm, *J*= 12.4 Hz, 1H, CH), 4.67 (d, *J*= 15.1 Hz, 1H, CH), 7.02-7.08 (m, 1H, ArH), 7.14-7.26 (m, 3H, ArH), 7.37 (d, *J*= 8.2 Hz, 2H, ArH), 7.85 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 33.4(d), 35.4(q), 46.8(t), 47.0(t), 60.2(t), 126.5(d), 127.5(d), 127.6(d), 127.9(d), 129.0(d), 130.0(d), 131.7(s), 134.7(s), 136.5(s), 144.9(s); Anal. Calcd for $C_{18}H_{21}NO_4S_2$: C, 56.97; H, 5.58; N, 3.69. Found: C, 56.94; H, 5.59; N, 3.67.

2-(2,4,6-Mesitylenesulfonyl)-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6f: white crystals; mp 172-173 °C; IR ($CHCl_3$) 3025, 2925, 1600, 1455, 1320, 1215, 1155, 1090, 955 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.36 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.63 (s, 6H, CH_3), 2.95 (d, *J*= 14.3 Hz, 1H, CH), 3.10 (dd, *J*= 14.3, 9.4 Hz, 1H, CH), 3.19 (dd, *J*= 12.9, 2.5 Hz, 1H, CH), 3.54 (dm, *J*= 9.4 Hz, 1H, CH), 3.85 (d, *J*= 12.9 Hz, 1H, CH), 4.24 (d, *J*= 15.4 Hz, 1H, CH), 4.69 (d, *J*= 15.4 Hz, 1H, CH), 7.02 (s, 2H, ArH), 7.04-7.11 (m, 2H, ArH), 7.15-7.23 (m, 2H, ArH), 7.32 (d, *J*= 8.1 Hz, 2H, ArH), 7.57 (d, *J*= 8.1 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.1(q), 21.6(q), 23.0(q), 33.1(d), 45.5(t), 46.3(t), 60.3(t), 126.7(d), 127.3(d), 127.6(d), 127.8(d), 128.8(d), 129.9(d), 131.4(s), 132.1(s), 132.2(d), 134.8(s), 136.3(s), 140.6(s), 143.0(s), 144.8(s); Anal. Calcd for $C_{26}H_{29}NO_4S_2$: C, 64.57; H, 6.04; N, 2.90. Found: C, 64.59; H, 6.08; N, 2.94.

6-Bromo-2-methanesulfonyl-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6g: white crystals; mp 174-175 °C; IR ($CHCl_3$) 3025, 1600, 1340, 1300, 1225, 1085, 970 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.45 (s, 3H, CH_3), 2.93 (s, 3H, CH_3), 3.07 (d, *J*= 13.4 Hz, 1H, CH), 3.13 (dm, *J*= 12.3 Hz, 1H, CH), 3.65 (dm, *J*= 9.3 Hz, 1H, CH), 3.70 (dd, *J*= 13.4, 9.3 Hz, 1H, CH), 4.07 (d, *J*= 15.3 Hz, 1H, CH), 4.27 (d, *J*= 12.3 Hz, 1H, CH), 4.62 (d, *J*= 15.3 Hz, 1H, CH), 6.93 (d, *J*= 8.2 Hz, 1H, ArH), 7.28 (bs, 1H, ArH), 7.32 (dm, *J*= 8.2 Hz, 1H, ArH), 7.37 (d, *J*= 7.5 Hz, 2H, ArH), 7.84 (d, *J*= 7.5 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 33.2(d), 35.8(q), 46.6(t), 46.7(t), 59.9(t), 121.1(s), 128.0(d), 128.1(d),

130.1(d), 130.7(d), 131.8(d), 136.3(s), 136.9(s), 145.1(s); Anal. Calcd for $C_{18}H_{20}BrNO_4S_2$: C, 47.17; H, 4.40; N, 3.06. Found: C, 47.11; H, 4.37; N, 2.98.

6-Bromo-2-(2,4,6-mesitylenesulfonyl)-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6h: white crystals; mp 186-187 °C; IR ($CHCl_3$) 3020, 2925, 1600, 1325, 1300, 1225, 1155, 1085, 960 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.37 (s, 3H, CH_3), 2.46 (s, 3H, CH_3), 2.63 (s, 6H, CH_3), 2.92 (d, *J*= 14.2 Hz, 1H, CH), 3.09 (dd, *J*= 14.2, 9.3 Hz, 1H, CH), 3.16 (dd, *J*= 13.1, 2.7 Hz, 1H, CH), 3.53 (dm, *J*= 9.3 Hz, 1H, CH), 3.85 (d, *J*= 13.1 Hz, 1H, CH), 4.17 (d, *J*= 15.6 Hz, 1H, CH), 4.64 (d, *J*= 15.6 Hz, 1H, CH), 6.97 (d, *J*= 8.3 Hz, 1H, ArH), 7.02 (s, 2H, ArH), 7.18 (d, *J*= 1.7 Hz, 1H, ArH), 7.30-7.35 (m, 3H, ArH), 7.58 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 100.6 MHz) δ 21.1(q), 21.7(q), 23.0(q), 33.0(d), 45.3(t), 46.2(t), 60.2(t),121.1(s), 127.9(d), 128.4(d), 130.0(d), 130.6(d), 131.2(s), 131.6(d), 132.3(d), 136.2(s), 137.1(s), 140.6(s), 143.2(s), 145.0(s); Anal. Calcd for $C_{26}H_{28}BrNO_4S_2$: C, 55.51; H, 5.02; N, 2.49. Found: C, 55.42; H, 5.05; N, 2.54.

6-Chloro-2-methanesulfonyl-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6i: white crystals; mp 159-160 °C; IR ($CHCl_3$) 3025, 1600, 1340, 1300, 1230, 1150, 1090, 970 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.46 (s, 3H, CH_3), 2.94 (s, 3H, CH_3), 3.08 (d, *J*= 13.0 Hz, 1H, CH), 3.14 (dd, *J*= 12.6, 2.8 Hz, 1H, CH), 3.66 (dm, *J*= 9.7 Hz, 1H, CH), 3.71 (dd, *J*= 13.0, 9.7 Hz, 1H, CH), 4.10 (d, *J*= 15.2 Hz, 1H, CH), 4.29 (d, *J*= 12.6 Hz, 1H, CH), 4.65 (d, *J*= 15.2 Hz, 1H, CH), 7.00 (d, *J*= 8.3 Hz, 1H, ArH), 7.15 (d, *J*= 1.9 Hz, 1H, ArH), 7.19 (dd, *J*= 8.3, 1.9 Hz, 1H, ArH), 7.38 (d, *J*= 8.2 Hz, 2H, ArH), 7.85 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 33.3(d), 35.6(q), 46.6(t), 46.7(t), 59.9(t), 127.8(d), 127.9(d), 128.0(d), 128.9(d), 130.1(d), 130.2(s), 133.2(s), 136.3(s), 136.6(s), 145.1(s); Anal. Calcd for $C_{18}H_{20}ClNO_4S_2$: C, 52.23; H, 4.87; N, 3.38. Found: C, 52.21; H, 4.93; N, 3.38.

6-Chloro-2-(2,4,6-mesitylenesulfonyl)-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6j: white crystals; mp 178-179 °C; IR ($CHCl_3$) 3025, 2925, 1600, 1325, 1210, 1155, 1090 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.37 (s, 3H, CH_3), 2.46 (s, 3H, CH_3), 2.63 (s, 6H, CH_3), 2.92 (d, *J*= 14.2 Hz, 1H, CH), 3.08 (dd, *J*= 14.2, 9.3 Hz, 1H, CH), 3.16 (ddm, *J*= 13.0, 3.3 Hz, 1H, CH), 3.52 (dm, *J*= 9.3 Hz, 1H, CH), 3.85 (d, *J*= 13.0 Hz, 1H, CH), 4.20 (d, *J*= 15.6 Hz, 1H, CH), 4.66 (d, *J*= 15.6 Hz, 1H, CH), 7.02 (s, 2H, ArH), 7.00-7.06 (m, 2H, ArH), 7.18 (dd, *J*= 8.3, 2.0 Hz, 1H, ArH), 7.33 (d, *J*= 8.2 Hz, 2H, ArH), 7.57 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 100.6 MHz) δ 21.1(q), 21.7(q), 23.0(q), 33.1(d), 45.2(t), 46.1(t), 60.1(t), 127.7(d), 127.9(d), 128.2(d), 128.7(d), 129.9(d), 130.7(s), 131.3(s), 132.2(d), 133.2(s), 136.1(s), 136.7(s), 140.6(s), 143.2(s), 145.0(s); Anal. Calcd for $C_{26}H_{28}ClNO_4S_2$: C, 60.28; H, 5.45; N, 2.70. Found: C, 60.26; H, 5.53; N, 2.69.

6-Fluoro-2-methanesulfonyl-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6k: white crystals; mp 78-79 °C; IR ($CHCl_3$) 3030, 1620, 1600, 1505, 1340, 1230, 1150 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.45 (s, 3H, CH_3), 2.94 (s, 3H, CH_3), 3.08 (d, *J*= 13.3 Hz, 1H, CH), 3.15 (dm, *J*= 12.5 Hz, 1H, CH), 3.66 (dm, *J*= 9.5 Hz, 1H, CH), 3.71 (dd, *J*= 13.3, 9.5 Hz, 1H, CH), 4.11 (d, *J*= 14.9 Hz, 1H, CH), 4.26 (d, *J*= 12.5 Hz, 1H, CH), 4.64 (d, *J*= 14.9 Hz, 1H, CH), 6.88 (dd, *J*= 9.1, 2.5 Hz, 1H, ArH), 6.94 (td, *J*= 8.4, 2.5 Hz, 1H, ArH), 7.04 (dd, *J*= 8.4, 5.5 Hz, 1H, ArH), 7.37 (d, *J*= 8.2 Hz, 2H, ArH), 7.85 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 33.4(d), 35.5(q), 46.5(t), 46.6(t), 60.0(t), 115.0(dd, $J_{C\text{-}F}$= 21.8 Hz), 115.3(dd, $J_{C\text{-}F}$= 21.5 Hz), 127.3(d, $J_{C\text{-}F}$= 3.1 Hz), 127.9(d), 128.2(dd, $J_{C\text{-}F}$= 8.2 Hz), 130.0(d), 136.3(s), 136.8(d, $J_{C\text{-}F}$= 7.1 Hz), 145.1(s), 161.6(d, $J_{C\text{-}F}$= 247.3 Hz); Anal. Calcd for $C_{18}H_{20}FNO_4S_2$: C, 54.39; H, 5.07; N, 3.52. Found: C, 54.27; H, 5.00; N, 3.46.

6-Fluoro-2-(2,4,6-mesitylenesulfonyl)-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6l: white crystals; mp 164-165 °C; IR ($CHCl_3$) 3030, 2925, 1600, 1505, 1325, 1150 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.36 (s, 3H, CH_3), 2.46 (s, 3H, CH_3), 2.63 (s, 6H, CH_3), 2.92 (d, *J*= 14.2 Hz, 1H, CH), 3.09 (dd, *J*= 14.2, 9.2 Hz, 1H, CH), 3.17 (dd, *J*= 12.9, 3.3 Hz, 1H, CH), 3.51 (dm, *J*= 9.2 Hz, 1H, CH), 3.83 (d, *J*= 12.9 Hz, 1H, CH), 4.19 (d, *J*= 15.2 Hz, 1H, ArH), 4.66 (d, *J*= 15.2 Hz, 1H, CH), 6.76 (dd, *J*= 9.2, 2.4 Hz, 1H, ArH), 6.91 (td, *J*= 8.4, 2.4 Hz, 1H, ArH), 7.02 (s, 2H, ArH), 7.06 (dd, *J*= 8.4, 5.6 Hz, 1H, ArH), 7.33 (d, *J*= 8.1 Hz, 2H, ArH), 7.58 (d, *J*= 8.1 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ

20.9(q), 21.4(q), 22.7(q), 33.0(d), 45.0(t), 45.9(t), 59.9(t), 114.7(dd, $J_{C\text{-}F}$= 21.8 Hz), 114.9(dd, $J_{C\text{-}F}$= 21.4 Hz), 127.6(d), 128.3(dd, $J_{C\text{-}F}$= 8.2 Hz), 129.8(d), 131.1(s), 132.0(d), 135.9(s), 136.6(d, $J_{C\text{-}F}$= 7.1 Hz), 140.3(s), 142.9(s), 144.8(s), 161.5(d, $J_{C\text{-}F}$= 246.7 Hz); Anal. Calcd for $C_{26}H_{28}FNO_4S_2$: C, 62.25; H, 5.63; N, 2.79. Found: C, 62.14; H, 5.71; N, 2.87.

6-Ethoxycarbonyl-2-methanesulfonyl-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6m: white crystals; mp 160-161 °C; IR ($CHCl_3$) 3025, 1715, 1600, 1340, 1280, 1150, 1105, 1090, 970 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 1.40 (t, *J*= 7.1 Hz, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.97 (s, 3H, CH_3), 3.12 (dm, *J*= 12.4 Hz, 1H, CH), 3.19 (dm, *J*= 12.6 Hz, 1H, CH), 3.67-3.80 (m, 2H, CH), 4.20 (d, *J*= 15.9 Hz, 1H, CH), 4.32-4.45 (m, 3H, CH, OCH_2), 4.74 (d, *J*= 15.9 Hz, 1H, CH), 7.14 (d, *J*= 8.1 Hz, 1H, ArH), 7.38 (d, *J*= 8.1 Hz, 2H, ArH), 7.81-7.90 (m, 4H, ArH); ^{13}C NMR ($CDCl_3$, 100.6 MHz) δ 14.3(q), 21.6(q), 33.5(d), 35.8(q), 46.5(t), 47.2(t), 59.8(t), 61.2(t), 126.7(d), 128.0(d), 128.5(d), 130.1(d), 130.3(d), 135.0(s), 136.5(s), 136.7(s), 145.0(s), 165.8(s); Anal. Calcd for $C_{21}H_{25}NO_6S_2$: C, 55.86; H, 5.58; N, 3.10. Found: C, 55.81; H, 5.62; N, 3.15.

6-Ethoxycarbonyl-2-(2,4,6-mesitylenesulfonyl)-1,2,3,4-tetrahydro-4-(*p*-toluenesulfonylmethyl)-isoquinoline 6n: white crystals; mp 82-83 °C; IR ($CHCl_3$) 3030, 2980, 1710, 1605, 1335, 1285, 1160 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 1.38 (t, *J*= 7.1 Hz, 3H, CH_3), 2.37 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.64 (s, 6H, CH_3), 2.97 (d, *J*= 14.1 Hz, 1H, CH), 3.13 (dd, *J*= 14.1, 9.9 Hz, 1H, CH), 3.21 (dd, *J*= 13.0, 2.7 Hz, 1H, CH), 3.61 (dm, *J*= 9.9 Hz, 1H, CH), 3.97 (d, *J*= 13.0 Hz, 1H, CH), 4.29 (d, *J*= 16.2 Hz, 1H, CH), 4.29-4.44 (m, 2H, OCH_2), 4.75 (d, *J*= 16.2 Hz, 1H, CH), 7.03 (s, 2H, ArH), 7.17 (d, *J*= 8.1 Hz, 1H, ArH), 7.33 (d, *J*= 8.1 Hz, 2H, ArH), 7.59 (d, *J*= 8.1 Hz, 2H, ArH), 7.73 (s, 1H, ArH), 7.86 (d, *J*= 8.1 Hz, 1H, ArH); ^{13}C NMR ($CDCl_3$, 100.6 MHz) δ 14.3(q), 21.1(q), 21.6(q), 23.0(q), 33.2(d), 45.8(t), 46.0(t), 59.9(t), 61.2(t), 127.0(d), 127.9(d), 128.3(d), 129.9(d), 130.0(s), 130.1(d), 131.3(s), 132.2(d), 135.1(s), 136.3(s), 137.2(s), 140.6(s), 143.1(s), 144.9(s), 165.8(s); Anal. Calcd for $C_{29}H_{33}NO_6S_2$: C, 62.68; H, 5.99; N, 2.52. Found: C, 62.66; H, 6.04; N, 2.45.

***N*-[2-(*p*-Anisyl)-3-(*p*-toluenesulfonyl)-propyl]-methanesulfonamide 7a**: white crystals; mp 129-130 °C; IR ($CHCl_3$) 3305, 3015, 2930, 1615, 1510, 1410, 1330, 1220, 1150, 1090, 1035, 970 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.42 (s, 3H, CH_3), 2.84 (s, 3H, CH_3), 3.32-3.48 (m, 3H, CH), 3.50-3.63 (m, 2H, CH), 3.76 (s, 3H, OCH_3), 4.56-4.65 (m, 1H, NH), 6.78 (d, *J*= 8.6 Hz, 2H, ArH), 7.00 (d, *J*= 8.6 Hz, 2H, ArH), 7.28 (d, *J*= 8.4 Hz, 2H, ArH), 7.68 (d, *J*= 8.4 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 39.9(d), 40.5(q), 47.8(t), 55.3(q), 59.0(t), 114.4(d), 127.9(d), 128.7(d), 129.8(d), 130.7(s), 136.2(s), 144.7(s), 159.0(s); Anal. Calcd for $C_{18}H_{23}NO_5S_2$: C, 54.39; H, 5.83; N, 3.52. Found: C, 54.36; H, 5.84; N, 3.47.

***N*-[2-(*p*-Anisyl)-3-(*p*-toluenesulfonyl)-propyl]-2,4,6-mesitylenesulfonamide 7b**: white crystals; mp 134-135 °C; IR ($CHCl_3$) 3370, 3010, 2935, 1605, 1510, 1405, 1325, 1250, 1215, 1155, 1090 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.29 (s, 3H, CH_3), 2.40 (s, 3H, CH_3), 2.52 (s, 6H, CH_3), 3.02-3.11 (m, 1H, CH), 3.24-3.38 (m, 3H, CH), 3.39-3.48 (m, 1H, CH), 3.75 (s, 3H, OCH_3), 4.69-4.79 (m, 1H, NH), 6.72 (d, *J*= 8.5 Hz, 2H, ArH), 6.87 (d, *J*= 8.5 Hz, 2H, ArH), 6.92 (s, 2H, ArH), 7.24 (d, *J*= 8.1 Hz, 2H, ArH), 7.61 (d, *J*= 8.1 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 20.9(q), 21.5(q), 22.8(q), 39.3(d), 47.0(t), 55.2(q), 59.2(t), 114.3(d), 127.8(d), 128.4(d), 129.7(d), 130.7(s), 131.9(d), 133.3(s), 136.2(s), 138.9(s), 142.2(s), 144.6(s), 159.0(s); Anal. Calcd for $C_{26}H_{31}NO_5S_2$: C, 62.25; H, 6.23; N, 2.79. Found: C, 62.11; H, 6.25; N, 2.67.

***N*-[3-(*p*-Toluenesulfonyl)-2-(*p*-tolyl)-propyl]-methanesulfonamide 7c**: white crystals; mp 173-174 °C; IR (KBr) 3445, 3260, 2970, 1595, 1420, 1320, 1285, 1160, 1135, 1090, 960 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.29 (s, 3H, CH_3), 2.43 (s, 3H, CH_3), 2.84 (s, 3H, CH_3), 3.37 (dd, *J*= 13.5, 4.6 Hz, 1H, CH), 3.37-3.50 (m, 2H, CH), 3.50-3.65 (m, 2H, CH), 4.40-4.55 (m, 1H, NH), 6.97 (d, *J*= 7.9 Hz, 2H, ArH), 7.07 (d, *J*= 7.9 Hz, 2H, ArH), 7.29 (d, *J*= 8.1 Hz, 2H, ArH), 7.70 (d, *J*= 8.1 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.0(q), 21.6(q), 40.1(d), 40.5(q), 47.6(t), 58.9(t), 127.5(d), 128.0(d), 129.8(d), 129.9(d), 135.8(s),

136.2(s), 137.7(s), 144.9(s); Anal. Calcd for $C_{18}H_{23}NO_4S_2$: C, 56.67; H, 6.08; N, 3.67. Found: C, 56.68; H, 6.09; N, 3.67.

***N*-[3-(*p*-Toluenesulfonyl)-2-(*p*-tolyl)-propyl]-2,4,6-mesitylenesulfonamide 7d**: white crystals; mp 142-143 °C; IR ($CHCl_3$) 3365, 3015, 2925, 1600, 1405, 1320, 1220, 1155, 1090 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.29 (s, 3H, CH_3), 2.31 (s, 3H, CH_3), 2.42 (s, 3H, CH_3), 2.53 (s, 6H, CH_3), 3.04-3.15 (m, 1H, CH), 3.24-3.50 (m, 4H, CH), 4.63 (bs, 1H, NH), 6.85 (d, *J*= 7.8 Hz, 2H, ArH), 6.93 (s, 2H, ArH), 7.02 (d, *J*= 7.8 Hz, 2H, ArH), 7.26 (d, *J*= 8.0 Hz, 2H, ArH), 7.64 (d, *J*= 8.0 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 20.9(q), 21.0(q), 21.6(q), 22.8(q), 39.7(d), 46.9(t), 59.1(t), 127.3(d), 127.9(d), 129.7(d), 129.8(d), 132.0(d), 133.3(s), 135.8(s), 136.2(s), 137.5(s), 139.0(s), 142.2(s), 144.7(s); Anal. Calcd for $C_{26}H_{31}NO_4S_2$: C, 64.30; H, 6.43; N, 2.88. Found: C, 64.27; H, 6.51; N, 2.93.

***N*-[2-Phenyl-3-(*p*-toluenesulfonyl)-propyl]-methanesulfonamide 7e**: white crystals; mp 175-176 °C; IR (KBr) 3445, 3250, 3025, 2970, 1595, 1430, 1325, 1285, 1160, 1095, 965 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.43 (s, 3H, CH_3), 2.84 (s, 3H, CH_3), 3.39 (dd, *J*= 13.6, 4.2 Hz, 1H, CH), 3.44-3.69 (m, 4H, CH), 4.49 (t, *J*= 5.8 Hz, 1H, NH), 7.10 (d, *J*= 6.6 Hz, 2H, ArH), 7.20-7.33 (m, 3H, ArH), 7.29 (d, *J*= 8.2 Hz, 2H, ArH), 7.72 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 100.6 MHz) δ 21.6(q), 40.5(d), 40.6(q), 47.6(t), 58.8(t), 127.6(d), 127.9(d), 128.0(d), 129.2(d), 130.0(d), 136.3(s), 139.1(s), 145.0(s); Anal. Calcd for $C_{17}H_{21}NO_4S_2$: C, 55.56; H, 5.76; N, 3.81. Found: C, 55.39; H, 5.82; N, 3.63.

***N*-[2-Phenyl-3-(*p*-toluenesulfonyl)-propyl]-2,4,6-mesitylenesulfonamide 7f**: white crystals; mp 131-132 °C; IR ($CHCl_3$) 3410, 3020, 2940, 1600, 1455, 1320, 1155, 1090 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.30 (s, 3H, CH_3), 2.42 (s, 3H, CH_3), 2.53 (s, 6H, CH_3), 3.07-3.19 (m, 1H, CH), 3.26-3.52 (m, 4H, CH), 4.62-4.70 (m, 1H, NH), 6.93 (s, 2H, ArH), 6.95-7.00 (m, 2H, ArH), 7.17-7.24 (m, 3H, ArH), 7.26 (d, *J*= 8.1 Hz, 2H, ArH), 7.65 (d, *J*= 8.1 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 100.6 MHz) δ 20.9(q), 21.5(q), 22.7(q), 40.1(d), 46.9(t), 59.0(t), 127.4(d), 127.7(d), 127.9(d), 129.0(d), 129.8(d), 132.0(d), 133.3(s), 136.2(s), 138.9(s), 139.0(s), 142.2(s), 144.7(s); Anal. Calcd for $C_{25}H_{29}NO_4S_2$: C, 63.67; H, 6.20; N, 2.97. Found: C, 63.56; H, 6.14; N, 2.98.

***N*-[2-(*p*-Bromophenyl)-3-(*p*-toluenesulfonyl)-propyl]-methanesulfonamide 7g**: white crystals; mp 183-184 °C; IR (KBr) 3360, 3275, 3020, 2930, 1595, 1490, 1410, 1315, 1285, 1140, 1065, 975 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.44 (s, 3H, CH_3), 2.88 (s, 3H, CH_3), 3.31-3.42 (m, 1H, CH), 3.42-3.62 (m, 4H, CH), 4.54 (bs, 1H, NH), 6.98 (d, *J*= 8.1 Hz, 2H, ArH), 7.29 (d, *J*= 8.0 Hz, 2H, ArH), 7.38 (d, *J*= 8.1 Hz, 2H, ArH), 7.67 (d, *J*= 8.0 Hz, 2H, ArH); ^{13}C NMR (DMSO-d_6, 50.3 MHz) δ 21.3(q), 39.6(d), 40.9(q), 47.6(t), 57.3(t), 120.2(s), 127.8(d), 129.7(d), 130.6(d), 131.1(d), 136.6(s), 139.0(s), 144.3(s); Anal. Calcd for $C_{17}H_{20}BrNO_4S_2$: C, 45.74; H, 4.52; N, 3.14. Found: C, 45.51; H, 4.49; N, 3.15.

***N*-[2-(*p*-Bromophenyl)-3-(*p*-toluenesulfonyl)-propyl]-2,4,6-mesitylenesulfonamide 7h**: white crystals; mp 149-150 °C; IR ($CHCl_3$) 3315, 3025, 2940, 1600, 1490, 1410, 1320, 1220, 1155, 1085, 1010 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.30 (s, 3H, CH_3), 2.42 (s, 3H, CH_3), 2.52 (s, 6H, CH_3), 3.07-3.18 (m, 1H, CH), 3.25-3.40 (m, 3H, CH, CH_2), 3.48 (dd, *J*= 13.6, 5.7 Hz, 1H, CH), 4.79-4.87 (m, 1H, NH), 6.83 (d, *J*= 8.4 Hz, 2H, ArH), 6.92 (s, 2H, ArH), 7.24 (d, *J*= 8.2 Hz, 2H, ArH), 7.27 (d, *J*= 8.4 Hz, 2H, ArH), 7.60 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 20.9(q), 21.6(q), 22.8(q), 39.9(d), 46.9(t), 58.7(t), 121.6(s), 127.8(d), 129.2(d), 129.8(d), 131.9(d), 132.0(d), 133.3(s), 136.1(s), 137.9(s), 138.9(s), 142.4(s), 144.9(s); Anal. Calcd for $C_{25}H_{28}BrNO_4S_2$: C, 54.54; H, 5.13; N, 2.54. Found: C, 54.41; H, 5.15; N, 2.56.

***N*-[2-(*p*-Chlorophenyl)-3-(*p*-toluenesulfonyl)-propyl]-methanesulfonamide 7i**: white crystals; mp 189-190 °C; IR (KBr) 3445, 3255, 3020, 2970, 1595, 1495, 1430, 1325, 1285, 1160, 1135, 1090, 965 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.44 (s, 3H, CH_3), 2.89 (s, 3H, CH_3), 3.36 (dd, *J*= 13.5, 4.9 Hz, 1H, CH), 3.42-3.63 (m, 4H, CH), 4.43-4.52 (m, 1H, NH), 7.04 (d, *J*= 8.5 Hz, 2H, ArH), 7.24 (d, *J*= 8.5 Hz, 2H, ArH), 7.30 (d, *J*= 8.3 Hz, 2H, ArH), 7.69 (d, *J*= 8.3 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.6(q), 40.1(d), 40.6(q), 47.5(t), 58.6(t), 127.9(d), 129.0(d), 129.3(d), 130.0(d), 133.9(s), 136.1(s), 137.4(s), 145.1(s); Anal. Calcd for $C_{17}H_{20}ClNO_4S_2$: C, 50.80; H, 5.02; N, 3.48. Found: C, 50.44; H, 5.13; N, 3.53.

***N*-[2-(*p*-Chlorophenyl)-3-(*p*-toluenesulfonyl)-propyl]-2,4,6-mesitylenesulfonamide 7j**: white crystals; mp 137-138 °C; IR ($CHCl_3$) 3320, 3030, 2930, 1605, 1450, 1325, 1215, 1155, 1085, 1015 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.30 (s, 3H, CH_3), 2.43 (s, 3H, CH_3), 2.53 (s, 6H, CH_3), 3.08-3.20 (m, 1H, CH), 3.24-3.42 (m, 3H, CH, CH_2), 3.42-3.54 (m, 1H, CH), 4.75 (bs, 1H, NH), 6.90 (d, *J*= 7.7 Hz, 2H, ArH), 6.93 (s, 2H, ArH), 7.15 (d, *J*= 7.7 Hz, 2H, ArH), 7.26 (d, *J*= 7.7 Hz, 2H, ArH), 7.62 (d, *J*= 7.7 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 20.9(q), 21.6(q), 22.8(q), 39.8(d), 47.0(t), 58.8(t), 127.9(d), 128.8(d), 129.1(d), 129.9(d), 132.0(d), 133.3(s), 133.6(s), 136.1(s), 137.4(s), 139.0(s), 142.4(s), 145.0(s); Anal. Calcd for $C_{25}H_{28}ClNO_4S_2$: C, 59.33; H, 5.58; N, 2.77. Found: C, 59.38; H, 5.60; N, 2.75.

***N*-[2-(*p*-Fluorophenyl)-3-(*p*-toluenesulfonyl)-propyl]-2,4,6-mesitylenesulfonamide 7k**: white crystals; mp 126-127 °C; IR (KBr) 3445, 3250, 3025, 2925, 1605, 1510, 1325, 1285, 1175, 1160, 1135, 960, 835 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.44 (s, 3H, CH_3), 2.88 (s, 3H, CH_3), 3.35 (dd, *J*= 12.8, 3.9 Hz, 1H, CH), 3.42-3.64 (m, 4H, CH, CH_2), 4.40-4.48 (m, 1H, NH), 6.97 (t, *J*= 8.6 Hz, 2H, ArH), 7.09 (dd, *J*= 8.6, 5.2 Hz, 2H, ArH), 7.31 (d, *J*= 8.2 Hz, 2H, ArH), 7.71 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR (DMSO-d_6, 50.3 MHz) δ 21.0(q), 39.4(q), 40.4(d), 47.7(t), 57.4(t), 124.8(dd, $J_{C\text{-}F}$= 21.2 Hz), 127.6(d), 129.6(d), 130.0(dd, $J_{C\text{-}F}$= 8.1 Hz), 135.7(d, $J_{C\text{-}F}$= 3.0 Hz), 136.6(s), 144.0(s), 161.2(d, $J_{C\text{-}F}$= 242.3 Hz); Anal. Calcd for $C_{17}H_{20}FNO_4S_2$: C, 52.97; H, 5.23; N, 3.63. Found: C, 52.96; H, 5.24; N, 3.66.

***N*-[2-(*p*-Ethoxycarbonylphenyl)-3-(*p*-toluenesulfonyl)-propyl]-methanesulfonamide 7m**: white crystals; mp 169-170 °C; IR (KBr) 3300, 2975, 2930, 1710, 1610, 1425, 1325, 1280, 1135, 1105, 970 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 1.39 (t, *J*= 7.1 Hz, 3H, CH_3), 2.42 (s, 3H, CH_3), 2.87 (s, 3H, CH_3), 3.34-3.45 (m, 1H, CH), 3.46-3.66 (m, 4H, CH), 4.37 (q, *J*= 7.1 Hz, 2H, OCH_2), 4.46-4.54 (m, 1H, NH), 7.18 (d, *J*= 8.3 Hz, 2H, ArH), 7.29 (d, *J*= 8.2 Hz, 2H, ArH), 7.70 (d, *J*= 8.2 Hz, 2H, ArH), 7.94 (d, *J*= 8.3 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 14.3(q), 21.6(q), 40.6(d), 40.7(q), 47.4(t), 58.3(t), 61.1(t), 127.7(d), 127.9(d), 130.0 (d), 130.1(s), 130.3(d), 136.0(s), 143.9(s), 145.1(s), 165.9(s); mass spectrum (FAB, positive), m/e (relative intensity) 440 (M+1, 23), 394 (28), 307 (25), 289 (17), 154 (100), 136 (73); exact mass calcd for $C_{20}H_{26}NO_6S_2$ m/e 440.1202, found m/e 440.1192.

***N*-[2-(2,4-Dichlorophenyl)-3-(*p*-toluenesulfonyl)-propyl]-2,4,6-mesitylenesulfonamide 7o**: white crystals; mp 156-157 °C; IR ($CHCl_3$) 3320, 3030, 2940, 1600, 1475, 1405, 1325, 1290, 1150, 1090 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.30 (s, 3H, CH_3), 2.42 (s, 3H, CH_3), 2.54 (s, 6H, CH_3), 3.23-3.42 (m, 3H, CH), 3.53 (dd, *J*= 14.5, 6.5 Hz, 1H, CH), 3.83 (quintet, *J*= 6.5 Hz, 1H, CH), 4.98 (t, *J*= 7.0 Hz, 1H, NH), 6.90 (s, 2H, ArH), 6.96 (d, *J*= 8.4 Hz, 1H, ArH), 7.02 (dd, *J*= 8.4, 1.9 Hz, 1H, ArH), 7.21 (d, *J*= 1.9 Hz, 1H, ArH), 7.26 (d, *J*= 7.7 Hz, 2H, ArH), 7.64 (d, *J*= 7.7 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 20.8(q), 21.4(q), 22.7(q), 36.9(d), 45.2(t), 57.0(t), 127.1(d), 127.7(d), 129.3(d), 129.6(d), 129.7(d), 131.8(d), 133.1(s), 133.5(s), 134.0(s), 134.4(s), 135.6(s), 138.7(s), 142.1(s), 144.7(s); Anal. Calcd for $C_{25}H_{27}Cl_2NO_4S_2$: C, 55.55; H, 5.03; N, 2.59. Found: C, 55.40; H, 5.03; N, 2.60.

***N*-[2-(*p*-Methoxycarbonylphenyl)-3-(*p*-toluenesulfonyl)-propyl]-2,4,6-mesitylenesulfonamide 7p**: white crystals; mp 190-191 °C; IR ($CHCl_3$) 3675, 3025, 2950, 1720, 1605, 1440, 1315, 1285, 1220, 1155 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.29 (s, 3H, CH_3), 2.40 (s, 3H, CH_3), 2.52 (s, 6H, CH_3), 3.18 (quintet, *J*= 6.6 Hz, 1H, CH), 3.28-3.57 (m, 4H, CH_2), 3.90 (s, 3H, OCH_3), 4.91 (bs, 1H, NH), 6.91 (s, 2H, ArH), 7.04 (d, *J*= 7.8 Hz, 2H, ArH), 7.24 (d, *J*= 7.9 Hz, 2H, ArH), 7.62 (d, *J*= 7.9 Hz, 2H, ArH), 7.83 (d, *J*= 7.8 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 20.9(q), 21.6(q), 22.8(q), 40.2(d), 46.9(t), 52.2(q), 58.6(t), 127.6(d), 127.9(d), 129.5(s), 129.9(d), 130.2(d), 132.0(d), 133.3(s), 136.1(s), 138.9(s), 142.4(s), 144.2(s), 145.0(s), 166.4(s); Anal. Calcd for $C_{27}H_{31}NO_6S_2$: C, 61.23; H, 5.90; N, 2.64. Found: C, 61.03; H, 5.93; N, 2.71.

***N*-[2-(*o*-Tolyl)-3-(*p*-toluenesulfonyl)-propyl]-2,4,6-mesitylenesulfonamide 7q**: white crystals; mp 126-127 °C; IR ($CHCl_3$) 3355, 3010, 2940, 1600, 1405, 1320, 1225, 1150, 1085 cm^{-1}; ^1H NMR ($CDCl_3$, 400 MHz) δ 2.13 (s, 3H, CH_3), 2.30 (s, 3H, CH_3), 2.42 (s, 3H, CH_3), 2.55 (s, 6H, CH_3), 3.08-3.19 (m, 1H, CH), 3.23 (dd, *J*= 14.6, 4.3 Hz, 1H, CH), 3.37-3.49 (m, 2H, CH), 3.65-3.75 (m, 1H, CH), 4.68 (dd, *J*= 13.1, 7.5 Hz, 1H, NH), 6.87 (d, *J*= 7.4 Hz, 1H, ArH), 6.94 (s, 2H, ArH), 7.01-7.12 (m, 3H, ArH), 7.28 (d,

J= 8.2 Hz, 2H, ArH), 7.67 (d, *J*= 8.2 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 19.3(q), 20.9(q), 21.6(q), 22.8(q), 35.1(d), 46.1(t), 59.3(t), 125.7(d), 126.7(d), 127.5(d), 128.0(d), 129.9(d), 131.1(d), 132.0(d), 133.2(s), 136.1(s), 136.3(s), 137.3(s), 139.1(s), 142.3(s), 144.8(s); Anal. Calcd for $C_{26}H_{31}NO_5S_2$: C, 64.30; H, 6.43; N, 2.88. Found: C, 64.24; H, 6.50; N, 2.90.

3,4-Dihydro-2-(2,4,6-mesitylenesulfonyl)-6-methyl-4-(*p*-toluenesulfonylmethyl)-2-isoquinolin-1-one 14a: white crystals; mp 240-241 °C; IR ($CHCl_3$) 3030, 2945, 1685, 1615, 1470, 1345, 1290, 1245, 1165, 1055 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 2.30 (s, 3H, CH_3), 2.38 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.64 (s, 6H, CH_3), 3.20 (dd, *J*= 14.2, 3.7 Hz, 1H, CH), 3.62 (dd, *J*= 14.2, 8.2 Hz, 1H, CH), 3.80-3.88 (m, 1H, CH), 4.01 (dd, *J*= 13.3, 3.2 Hz, 1H, CH), 4.88 (dd, *J*= 13.3, 3.1 Hz, 1H, CH), 6.97 (s, 2H, ArH), 7.14 (s, 1H, ArH), 7.15 (d, *J*= 8.5 Hz, 1H, ArH), 7.38 (d, *J*= 8.3 Hz, 2H, ArH), 7.80 (d, *J*= 8.5 Hz, 1H, ArH), 7.86 (d, *J*= 8.3 Hz, 2H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.0(q), 21.6(q), 21.7(q), 22.6(q), 33.0(d), 47.7(t), 58.1(t), 125.1(s), 127.6(d), 127.9(d), 129.3(d), 129.4(d), 130.1(d), 131.9(d), 134.0(s), 136.4(s), 140.1(s), 140.4(s), 143.3(s), 145.1(s), 145.2(s), 163.3(s); Anal. Calcd for $C_{27}H_{29}NO_5S_2$: C, 63.38; H, 5.71; N, 2.74. Found: C, 63.11; H, 5.76; N, 2.75.

6-Chloro-3,4-dihydro-2-(2,4,6-mesitylenesulfonyl)-4-(*p*-toluenesulfonylmethyl)-2-isoquinolin-1-one 14b: white crystals; mp 255-256 °C; IR (KBr) 2975, 1690, 1590, 1345, 1295, 1165, 1150, 1090 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 2.31 (s, 3H, CH_3), 2.46 (s, 3H, CH_3), 2.64 (s, 6H, CH_3), 3.22 (dd, *J*= 14.3, 4.2 Hz, 1H, CH), 3.61 (dd, *J*= 14.3, 7.8 Hz, 1H, CH), 3.82-3.89 (m, 1H, CH), 4.03 (dd, *J*= 13.4, 3.2 Hz, 1H, CH), 4.88 (dd, *J*= 13.4, 3.2 Hz, 1H, CH), 6.99 (s, 2H, ArH), 7.32 (dd, *J*= 8.8, 2.0 Hz, 1H, ArH), 7.33 (s, 1H, ArH), 7.38 (d, *J*= 8.0 Hz, 2H, ArH), 7.81-7.88 (m, 3H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.1(q), 21.7(q), 22.6(q), 33.0(d), 47.8(t), 57.9(t), 126.3(s), 127.4(d), 128.0(d), 129.0(d), 130.2(d), 130.9(d), 132.0(d), 133.6(s), 136.2(s), 140.3(s), 140.4(s), 142.0(s), 143.6(s), 145.4(s), 162.5(s); Anal. Calcd for $C_{26}H_{26}ClNO_5S_2$: C, 58.69; H, 4.93; N, 2.63. Found: C, 58.71; H, 4.97; N, 2.60.

3,4-Dihydro-2-(2,4,6-mesitylenesulfonyl)-6-methoxycarbonyl-4-(*p*-toluenesulfonylmethyl)-2-isoquinolin-1-one 14d: white crystals; mp 237-238 °C; IR (KBr) 2950, 1715, 1690, 1345, 1290, 1165, 1150, 1110, 1055 cm^{-1}; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 2.31 (s, 3H, CH_3), 2.45 (s, 3H, CH_3), 2.65 (s, 6H, CH_3), 3.25 (dd, *J*= 14.2, 3.9 Hz, 1H, CH), 3.62 (dd, *J*= 14.2, 8.2 Hz, 1H, CH), 3.92-4.00 (m, 1H, CH), 3.95 (s, 3H, OCH_3), 4.08 (dd, *J*= 13.4, 3.2 Hz, 1H, CH), 4.92 (dd, *J*= 13.4, 3.3 Hz, 1H, CH), 6.99 (s, 2H, ArH), 7.38 (d, *J*= 8.2 Hz, 2H, ArH), 7.86 (d, *J*= 8.2 Hz, 2H, ArH), 7.99 (s, 2H, ArH), 8.00 (s, 1H, ArH); ^{13}C NMR ($CDCl_3$, 50.3 MHz) δ 21.1(q), 21.7(q), 22.7(q), 33.1(d), 47.6(t), 52.7(q), 57.8(t), 128.0(d), 128.4(d), 129.3(d), 129.6(d), 130.2(d), 131.4(s), 132.1(d), 133.5(s), 134.8(s), 136.3(s), 140.4(s), 140.5(s), 143.7(s), 145.4(s), 162.5(s), 165.6(s); mass spectrum (FAB, positive), m/e (relative intensity) 556(M+1, 19), 307(22), 289 (18), 154 (100), 136 (76); exact mass calcd for $C_{28}H_{30}NO_7S_2$ m/e 556.1463, found m/e 556.1464.

Acknowledgment: We are grateful to the National Science Council, R.O.C. for financial support. (NSC-85-2113-M006-006)

REFERENCES and NOTES

1. (a) Hart, D. J. *Science (Washington, D. C.)*, **1984**, *223*, 883. (b) Neumann, W. P. *Synthesis*, **1987**, 665. (c) Curran, D. P. *Synthesis*, **1988**, 417 and 489. (d) Melikyan, G. G. *Synthesis*, **1993**, 833; (e) Iqbal, J.; Bhatia, B.; Nayyar, N. K. *Chem. Rev.* **1994**, *94*, 519.
2. (a) Cristol, S. J.; Reeder, J. A. *J. Org. Chem.* **1961**, *26*, 2182. (b) Orochov, A.; Asscher, M.; Vofsi, D. *J. Chem. Soc. (B)*, **1969**, 225. (c) Sinnerich, J.; Asscher, M. *J. Chem. Soc. Perkin I*, **1972**, 1543. (d) Fang, J. -M.; Chen, M. -Y. *Tetrahedron Lett.* **1987**, *28*, 2853. (e) Fang, J. -M.; Chen, M. -Y.; Cheng, M. -C.; Lee, G. -H.; Wang, Y.; Peng, S. -M. *J. Chem. Research (S)*, **1989**, 273 and *J. Chem. Research (M)*, **1989**, 2101.

3. (a) De Riggi, I; Surzur, J. -M.; Bertrand, M. P. *Tetrahedron*, **1988**, *44*, 7119. (b) Chuang, C. -P.; Ngoi, T. H. J. *Tetrahedron Lett.* **1989**, *30*, 6369. (c) Chuang,C. -P.; Wang, R. -Z. *J. Chinese Chem. Soc.*, **1990**, *37*, 89. (d) De Riggi, I.; Surzur, J. -M.; Bertrand, M. P. *Tetrahedron*, **1990**, *46*, 5285. (e) Chuang, C.-P. *Synlett*, **1990**, 527. (f) Chuang, C. -P. *Tetrahedron*, **1991**, *47*, 5425. (g) Chuang, C. -P.; Hou, S. -S.; Wu, R. -R. *Synth. Commun.* **1992**, *22*, 467.
4. (a) Chuang, C. -P. *Tetrahedron Lett.* **1992**, *33*, 6311. (b) Chuang. C. -P. *Synth. Commun.* **1993**, *23*, 2371. (c) Chuang, C. -P.; Wang, S. -F. *Synlett*, **1995**, 763. (d) Wang, S. -F.; Chuang, C. -P. *Synth. Commun.* **1995**, *25*. 3549. (e) Wang, S. -F.; Chuang, C. -P. *Tetrahedron Lett.* **1997**, *38*, 7597.
5. (a) Chottard, J. C.; Julia, M. *Tetrahedron*, **1972**, *28*, 5615. (b) Narasimhan, N. S.; Aidhen, I. S. *Tetrahedron Lett.* **1988**, *29*, 2987. (c) Rosa, A. M. ; Prabhakar, S.; Lobo, A. M. *Tetrahedron Lett.* **1990**, *31*, 1881.
6. (a) Oumar-Mahamat, H.; Moustrou, C.; Surzur, J. -M.; Berstrand, M. P. *J. Org. Chem.* **1989**, *54*, 5684. (b) Snider B. B.; Wan, B. Y. F.; Buckman, B. O.; Foxman, B. M. *J. Org. Chem.* **1991**, *56*, 328.
7. (a) Kice, J. L.; Hampton, D. C.; Fitzgerald, A. *J. Org. Chem.* **1965**, *30*, 882. (b) Smith, T. A. K.; Whitham, G. H. *J. Chem. Soc. Chem. Commun.* **1985**, 897. (c) Smith, T. A. K.; Whitham, G. H. *J. Chem. Soc. Perkin Trans. I*, **1989**, 319. (d) Padwa, A.; Bullock, W. H.; Dyszlewski, A. D. *J. Org. Chem.* **1990**, *55*, 955.
8. (a) Beesley, R. M.; Ingold, C. K.; Thrope, J. F. *J. Chem. Soc.* **1915**, *105*, 1080. (b) Kirby, A. J.; Lloyd, G. J. *J. Chem. Soc. Perkin Trans II*, **1976**, 1753. (c) Sammes, P. G.; Weller, D. J. *Synthesis*, **1995**, 1205.
9. Ms = methanesulfonyl, Mes = 2,4,6-mesitylenesulfonyl.
10. (a) Parker, K. A.; Adamchuk, M. R. *Tetrahedron Lett.* **1978**, 1689. (b) Choony, N.; Dadabhoy, A.; Sammes, P. G. *J. Chem. Soc. Chem. Commun.* **1997**. 513.
11. (a) Citterio, A.; Sebastiano, R.; Maronetti, A.; Santi, R.; Bergamini, F. *J. Chem. Soc. Chem. Commun.* **1994**, 1517. (b) Boivin, J.; Yousfi, M.; Zard, S. Z. *Tetrahedron Lett.* **1997**, *38*, 5985.