CHAPTER-3

Molybdenumhexacarbonyl mediated imino-carbonylative acylation of *NH*-sulfoximines with aryl iodides under catalyst-free conditions

3.1 Introduction

Sulfoximines are unique structural motifs received increasing interest in chemistry and biology over the past few decades (Figure **3.1**) [1-13]. Structural diversity, high metabolic stability, interesting physicochemical properties, hydrogen-bonding capability, etc. makes sulfoximine as an important pharmacophore in drug discovery [1-4]. On the other hand, sulfoximine is also important functional group in organic chemistry and have been explored as building blocks, chiral ligands, organocatalysts, directing groups, etc., [5-11]. Among the different reactions of sulfoximines, *N*-functionalization reactions received considerable interest in chemistry and biology. For instance, *N*-arylation [14, 15], alkylation [16, 17], vinylation [18], alkynylation [19], cyanation [20], thiocyanation [21], trifluoromethylation [22], thiotrifluoromethylation [23] etc., [8] have been successfully demonstrated.

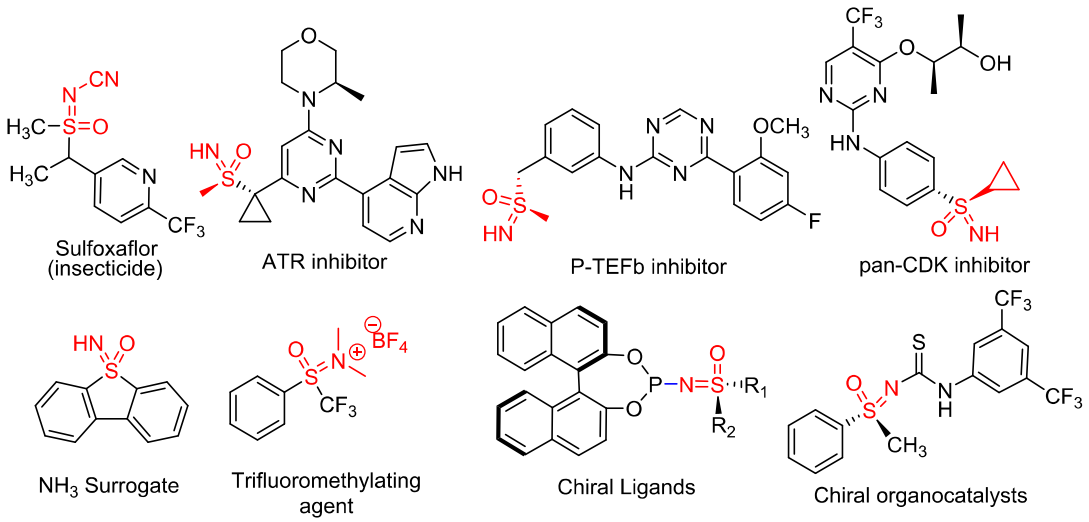
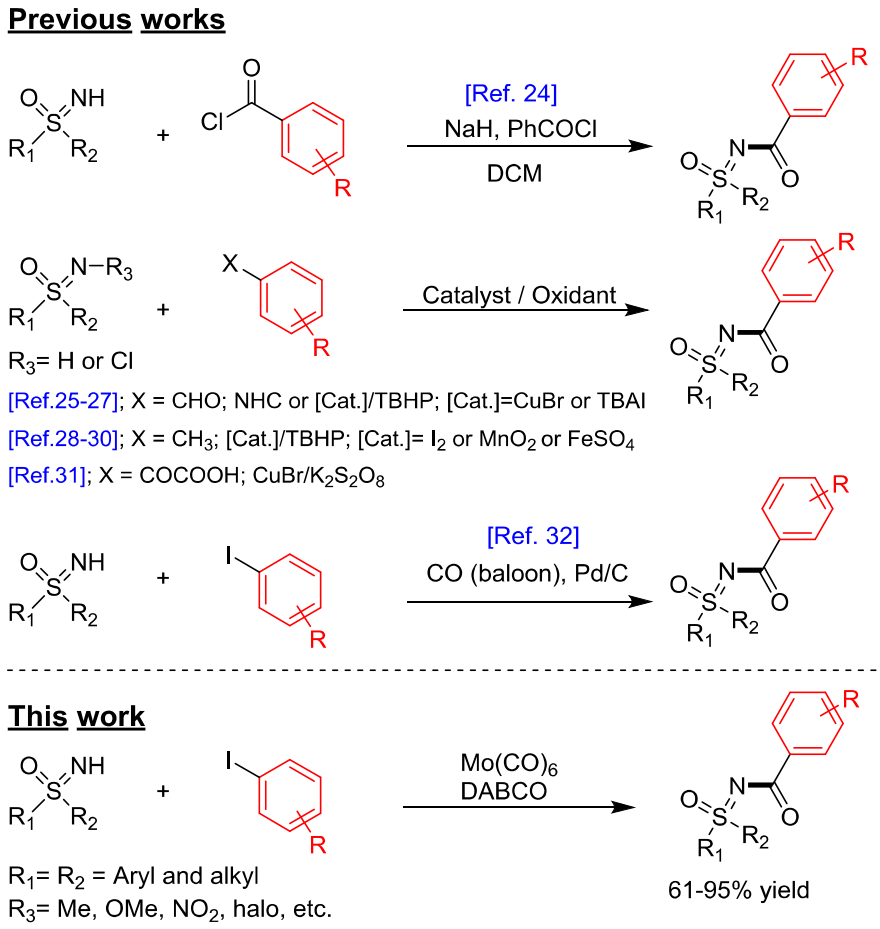


Figure 3.1: Structures of some biologically and chemically relevant sulfoximines.

In this context, *N*-acylation of sulfoximines has received considerable interest in recent years [24-31]. The *N*-acylation of sulfoximines is typically achieved using acyl chlorides in the presence of a base [24]. On the other hand, as shown in scheme **3.1** oxidative coupling of sulfoximine with arylaldehyde [25-27], methyl arenes [28-30] or aryl glyoxylic acid [31]

also provides *N*-acylated sulfoximines (Scheme **3.1**). Alternatively, palladium catalyzed gaseous carbon monoxide insertion between sulfoximines and aryl halides was also demonstrated [32]. Although few of these methods are efficient, there are some drawbacks including use of hazardous materials, inconvenient reaction conditions, longer reaction time, low yields, etc. enforce the development of alternative routes for the preparation of *N*-acyl sulfoximines.



Scheme 3.1: *N*-Acylation of sulfoximines using $Mo(CO)_6$.

Metal carbonyl compounds have been widely used as a source of solid carbon monoxide in organic synthesis [33, 34], Unlike gasses carbon monoxide, metal carbonyl compounds are stable, which can be conveniently handled and stored. In this context,

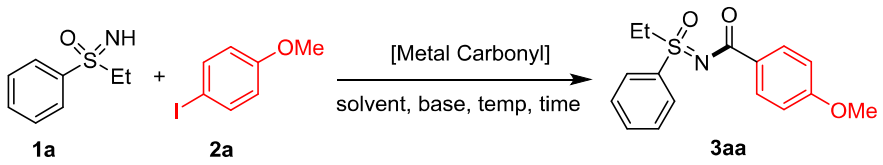
molybdenumhexacarbonyl mediated *N*-acylation of different amines was previously reported with aryl halides in the presence [35-40] as well as absence [41, 42] of palladium catalysts. To the best of our knowledge, *N*-acylation of sulfoximines or any other imines in the presence of metal carbonyl compounds was not explored. Recently, our group have demonstrated copper promoted *N*-alkylation [43] and *N*-arylation [44] of sulfoximines using aryl and alkyl boronic acids respectively under mild conditions. In continuation of previous chapter work on *N*-arylation of sulfoximines with aryl diazonium salts [45], here we report imino-carbonylative acylation of sulfoximines with aryl iodides in the presence of molybenumhexacarbonyl under catalyst-free condition (Scheme **3.1**).

3.2 Results and Discussion

At the outset, optimization of the reaction condition was performed using *S*,*S*-phenylethyl sulfoximine (**1a**) and 4-iodoanisol (**2a**) as model substrates in the presence of molybedunumhexacarbonyl (Table **3.1**). The reaction was performed in different solvents including 1,4 dioxane, *m*-xylene, toluene, diglyme and tetrahydrofuran (THF) at 100 °C for 12 hours in the absence of any additives (Table **3.1**, entries **1-5**). Among them, 1,4-dioxane was found to be the best solvent providing the desired product in 53% yield while other solvents gave low yields. Further, the effect of temperature was investigated and observed that the reaction provides the desired product in 70% yield at 150 °C in 3 hours (Table **3.1**, entries **6, 7**). Moreover, there was no much difference in the yield with an extended time of reaction (Table **3.1**, entry **8**). The low yield of the product might be attributed to the less nucleophilicity of nitrogen in sulfoximines. Hence, the optimization was performed with different organic and inorganic bases (1.0 eq.) including triethylamine, *N,N*-diisopropylethylamine (*i*-Pr_2NEt), 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), 1,4-

diazabicyclo[2.2.2]octane (DABCO), caesium carbonate and potassium carbonate (Table **3.1**, entries **9-14**). Among them, DABCO was found to be a suitable combination with $Mo(CO)_6$, providing the desired product in 92% yield (Table **3.1**, entry **12**).

Table 3.1: Optimization of the reaction conditions for imino-carbonylation.[a,b]



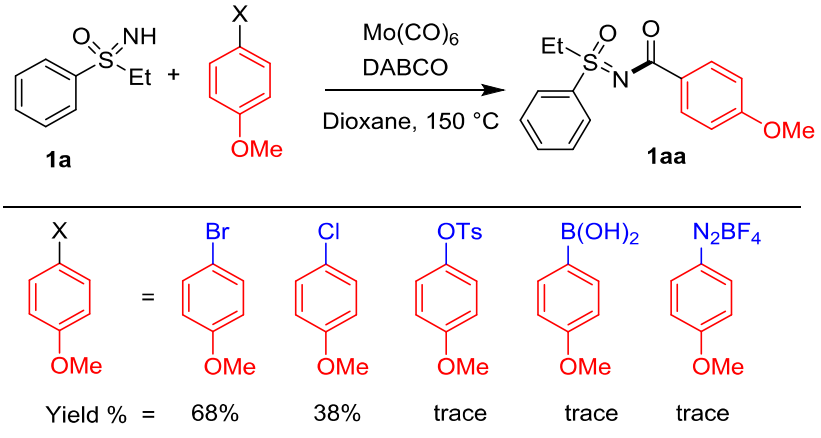
1a **2a** **3aa**

Entry	Metal carbonyl	Solvent	Base (1.0 eq.)	Catalyst (10 mol%)	Temp. (°C)	Time (h)	Yield[b] (%)
1	$Mo(CO)_6$	1,4-Dioxane			100	12	53
2	$Mo(CO)_6$	*m*-Xylene			100	12	32
3	$Mo(CO)_6$	Toluene			100	12	35
4	$Mo(CO)_6$	Diglyme			100	12	40
5	$Mo(CO)_6$	THF			100	12	38
6	$Mo(CO)_6$	1,4-Dioxane			120	12	65
7	$Mo(CO)_6$	1,4-Dioxane			150	3	70
8	$Mo(CO)_6$	1,4-Dioxane			150	6	73
9	$Mo(CO)_6$	1,4-Dioxane	Et_3N		150	3	77
10	$Mo(CO)_6$	1,4-Dioxane	*i*-Pr_2NEt		150	3	65
11	$Mo(CO)_6$	1,4-Dioxane	DBU		150	3	75
12	**$Mo(CO)_6$**	**1,4-Dioxane**	**DABC**		**150**	**3**	**92**
13	$Mo(CO)_6$	1,4-Dioxane	Cs_2CO_3		150	3	54
14	$Mo(CO)_6$	1,4-Dioxane	K_2CO_3		150	3	58
15	$Mo(CO)_6$	1,4-Dioxane	DABCO	$Pd(OAc)_2$	100	3	65
16	$Mo(CO)_6$	1,4-Dioxane	DABCO	$Pd(OAc)_2$	120	3	80
17	$Mo(CO)_6$	1,4-Dioxane	DABCO	$Pd(OAc)_2$	150	3	93
18	$Mo(CO)_6$	1,4-Dioxane		$Pd(OAc)_2$	150	3	77
19	$Co_2(CO)_8$	1,4-Dioxane	DABCO		150	3	30
20	$Cr(CO)_6$	1,4-Dioxane	DABCO		150	3	10

[a]*S*,*S*-phenylethyl sulfoximine (50 mg, 0.3 mmol), 4-iodoanisol (88 mg, 0.38 mmol), molybdenumhexacarbonyl (80 mg, 0.3 mmol) and solvent (2 mL). [b]Isolated yield.

Further, the reaction was performed in the presence of a catalytic amount of palladium acetate (10 mol%) at 100 °C, 120 °C and 150 °C. The intention of using catalyst i.e. $Pd(OAc)_2$ is that the reaction may provide higher yield of the desired product in lower temperature. However, there was only slight increment in the yield observed when compared with catalyst free condition (Table **3.1**, entries **15-18** *vs* **6-8**). Moreover, it is also clear that the base (i.e. DABCO) has some role in the reaction. For instance, the absence of DABCO, the reaction provided relatively low yields, i.e. with or without catalyst (Table **3.1**, entries **8** and **18**). Further, the carbonylation reaction was attempted in the presence of cobalt and chromium carbonyl compounds. These metal carbonyls gave the desired product in lower yields when compared with molybdenumhexacarbonyl (Table **3.1**, entries **19** and **20**).

Tabel 3.2: Scope of aryl source for $Mo(CO)_6$-mediated carbamoylation reaction.[a,b]



[a]*S*,*S*-phenylethyl sulfoximine (50 mg, 0.3 mmol), aryl source (0.38 mmol, 1.25eq) DABCO (34 mg, 0.3 mmol), $Mo(CO)_6$ (80 mg, 0.3 mmol) and dioxane (2 mL). [b]Isolated yield.

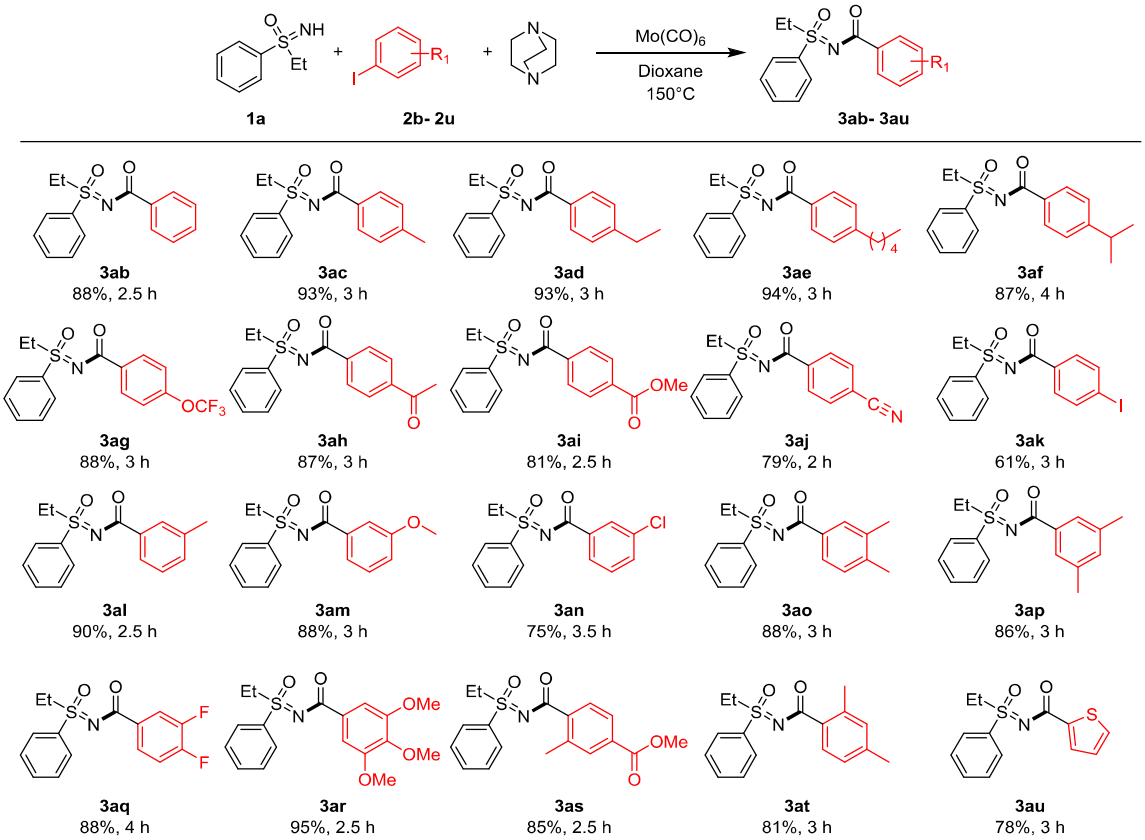
Encouraged by our initial findings, a different readily accessible aryl sources were examined for the coupling reactions instead of aryl iodide (Table **3.2**). 4-Bromo and 4-chloroanisole gave the desired product in good to moderate yields while 4-methoxyphenyl tosylate, boronic acid and diazonium salt gave the desired product only in a trace amount (Scheme **3.2**). Overall, the optimization study suggests that *N*-acyl sulfoximines can be

obtained efficiently from *NH*-sulfoximines in the presence of aryl iodides and molybdenum hexacarbonyl at 150 °C under catalyst-free conditions.

3.3 Substrates scope

Having established the optimized conditions, the scope of different aryl iodides in the carbonylation reaction was investigated with *S,S*-phenylethyl sulfoximine (**1a**). Aryl iodides bearing electron donating (e.g. Me, Et) and withdrawing groups (e.g. OCF_3, CN, $COCH_3$) at the *para*-position underwent carbonylative coupling with *S,S*-phenylethyl sulfoximine in 61-94% yields (Table **3.3**, **3ab– 3ak**).

Table 3.3: *N*-Acylation of sulfoximine with various aryl iodides.[a,b]



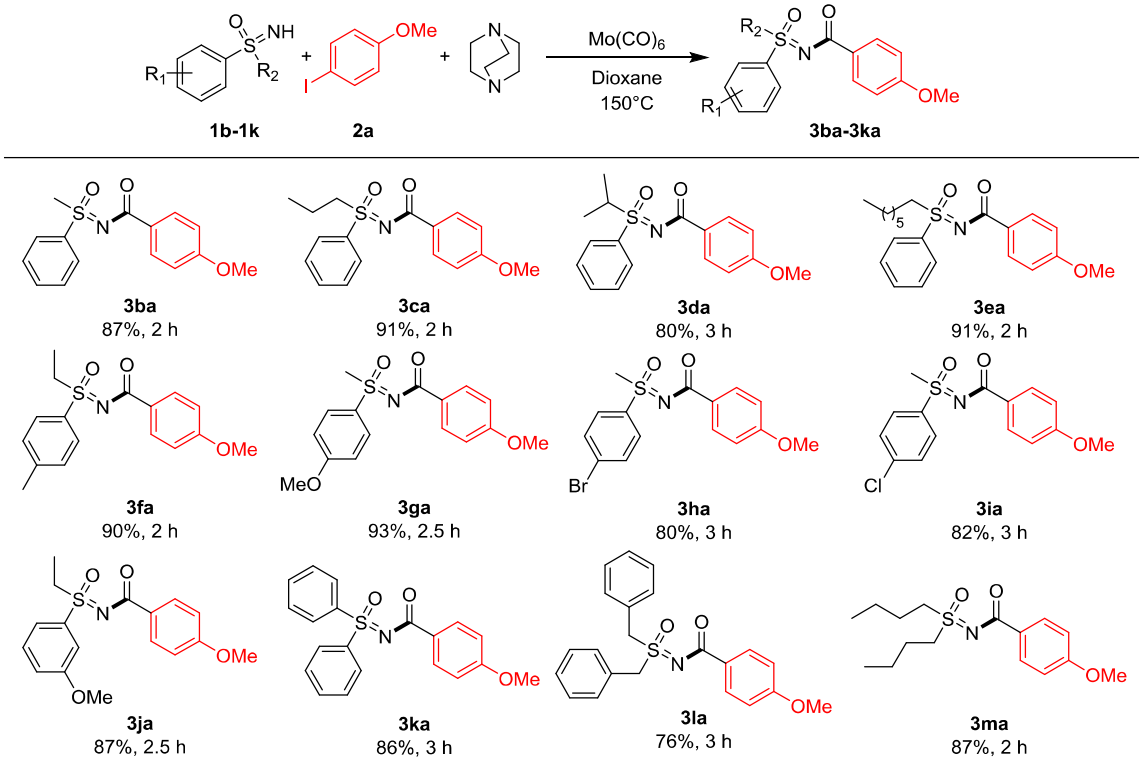
[a]*S,S*-phenylethyl sulfoximine (170 mg, 1 mmol, 1eq.), aryl iodide (1.25 mmol), molebdenum hexacarbonyl (264 mg, 1 mmol), DABCO (112 mg, 1 mmol) and 1,4- dioxane (4 mL). [b]Isolated yield.

However, the electron withdrawing groups bearing aryl iodides required a slightly longer time for the coupling process while the desired products were obtained in good yields. Further, a different *meta*-functionalized aryl iodides were subjected to the coupling reactions with *S*,*S*-phenylethylsulfoximine (**1a**) under optimized conditions. To our delight, *m*-methyl, methoxy and fluoro-substituted iodobenzenes gave the desired *N*-acyl sulfoximines **3al-3ar** in good to excellent yields. It is also interesting to note that *meta*- as well as sterically hindered *ortho*-substituted aryl iodides were also participated in the coupling reaction very efficiently and provided the desired products **3as-3at** in excellent yields. Moreover, heterocylic halide, *i.e.* 2- iodothiophene underwent carbonylative coupling reaction with sulfoximine **1a** smoothly and provided the desired product **3au** in 78% yield.

Encouraged, we further examined the scope of sulfoximines (**1b–1k**) in the carbonylative coupling reactions in the presence of 4-iodoanisol and molybdenumhexacarbonyl under optimized conditions (Table **3.4**). Phenylsulfoximines bearing linear and branched alkyl chains underwent *N*-acylation in excellent yields (Table **3.4**, **3ba–3ea**). It is worth noting that sterically hindered *S,S-iso*-propylphenylsulfoximine also provided the desired products in 80% yield (Table **3.3**, **3da**). Further, *N*-acylation of sulfoximines bearing different substitutions on the aryl ring (i.e. methyl, methoxy, bromo and chloro groups) was investigated. These sulfoximines underwent coupling reaction with 4-iodoanisol and provided the desired *N*-acyl sulfoximines in 80-93% yields (Table **3.3**, **3fa–3ja**). Moreover, diphenyl sulfoximine, dibenzyl sulfoximine and dibutyl sulfoximine also participated in the coupling reaction very efficiently and gave the corresponding *N*-acyl sulfoximines in 76-87% yields (Table **3.3**, **3ka-3ma**). Overall, it was observed that the substituents on the sulfur as well as in the aryl ring played only a minor role in terms of yield.

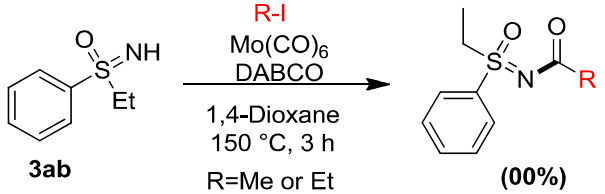
Moreover, functional groups such as ester, ether, ketone, nitrile as well as halides were well tolerated under the reaction conditions.

Table 3.4: *N*-Acylation of various sulfoximine with 4-iodoanisol.[a,b]



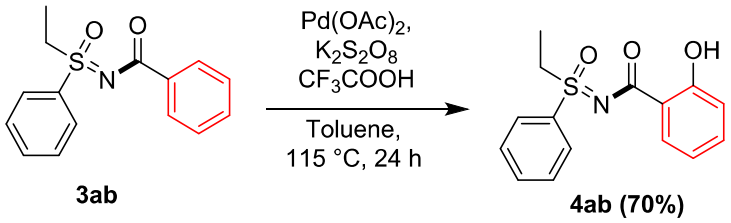
[a]Sulfoximine (1 mmol, 1eq), 4-iodoanisol (292 mg, 1.25 mmol), molybdenumhexacarbonyl (264 mg, 1 mmol), DABCO (112 mg, 1 mmol) and 1,4-dioxane (3mL).[b]Isolated Yield.

Having studied the scope of different aryl iodides, we have investigated suitability of alkyl iodides (e.g. MeI and EtI) for the coupling reaction under optimized condition. However, unfortunately the reaction failed to yield the desired product while starting material **3ab** was recovered quantitatively (Scheme **3.2**).



Scheme 3.2: *N*-Acylation of various sulfoximine with alkyl iodides.

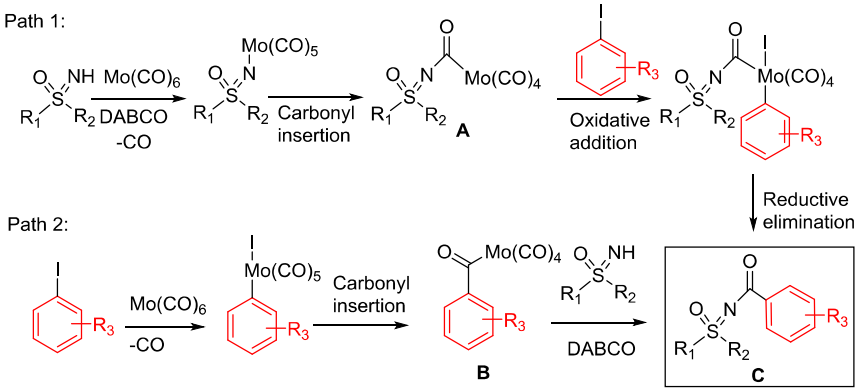
N-Acyl sulfoximines have found wide synthetic applications in organic chemistry [7, 24, 46-51]. Here we have demonstrated one of the established transformations, i.e. *ortho*-hydroxylation of *N*-acyl ring was successfully achieved in the presence of palladium acetate and potassium persulfate in 70% yield (Scheme **3.3**) [25].



Scheme 3.3: *Ortho*-hydroxylation of *N*-benzoyl sulfoximine.

3.4 Plausible Reaction Mechanism

Based on the literature reports [41, 42], a plausible mechanism for the imino-carbonylation is shown in Scheme **3.4.** Imine or aryl iodide undergoes substitution followed by carbonyl insertion to provide the intermediates **A** or **B**, respectively (Path **1** and **2**). The intermediate **A** undergoes oxidative addition with aryl iodide followed by reductive elimination to provide the desired product **C**. Alternatively the intermediate **B** undergoes nucleophilic displacement with sulfoximine in the presence of DABCO to provide the desired product **C**.



Scheme 3.4: Plausible mechanism for carbonylative acylation of sulfoximine.

3.5 Conclusion

We have demonstrated a convenient and efficient method for the direct *N*-acylation of *NH*-sulfoximines with aryl iodides using $Mo(CO)_6$ under catalyst-free conditions. This protocol affords different *N*-acyl sulfoximines in good to excellent yields while several functional groups were well tolerated. This straight forward approach might serve as a practical alternative to the existing methods for the preparation of a wide range of *N*-acyl sulfoximines.

3.6 Experimental Section

3.6.1 Experimental procedure for the optimization table

S,S-Methylphenylsulfoximine (50 mg, 0.32 mmol), iodoanisole (88 mg, 0.38 mmol), molybdenumhexacarbonyl [$Mo(CO)_6$] (80 mg, 0.3 mmol) and solvent (2 mL) were taken in a teflon screw capped pressure tube [with or without base and catalyst] and stirred at appropriate temperature. The progress of the reaction was monitored by thin-layer chromatography. After completion of the reaction (or appropriate time), the reaction mixture was diluted with ethyl acetate and washed with water and brine solution. The organic layer was dried over anhydrous sodium sulfate and evaporated to dryness. The crude product was purified on silica-gel (100-200 mesh) column chromatography using a mixture ethyl acetate/hexane as eluent to obtain **3aa**. R_f (40 % EA/hexane) 0.54.

3.6.2 Optimized experimental procedure for the acylation of sulfoximines

Sulfoximine (1 mmol), $Mo(CO)_6$ (264 mg, 1 mmol), aryl iodide (1.25 mmol), DABCO (112 mg, 1 mmol) and 1,4-dioxane (4 mL) were added into 15 mL teflon screw capped pressure tube and refluxed at 150 °C on oil bath with magnetic stirrer for appropriate time. The progress of the reaction was monitored by thin-layer chromatography using 40% ethyl

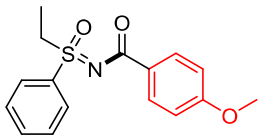
acetate (EA) and hexane (hex) as eluent. After completion, the reaction mixture was diluted with ethyl acetate and washed with water and brine solution. The organic layer was dried over anhydrous sodium sulfate and evaporated to dryness. The crude product was further purified on silica-gel (100–200 mesh) column chromatography using a mixture of ethyl acetate/hexane as eluent.

3.6.3 Experimental procedure for the *ortho*-hydroxylation of acylated sulfoximine [25]

N-Benzoyl-*S,S*-methylphenylsulfoximine **3aa** (0.55 mmol, 150 mg), $K_2S_2O_8$ (1.1 mmol, 270 mg), $Pd(OAc)_2$ (0.05 mmol,11.2 mg), toluene (2 mL) and CF_3COOH (1 mmol, 0.076 mL) were taken into 25 ml round bottom flask and refluxed at 115 ^oC for 24h. The reaction mixture was diluted with ethyl acetate and washed with water and brine solution. The organic layer was dried over anhydrous sodium sulfate and evaporated to dryness. The crude product was further purified on silica-gel (100–200 mesh) column chromatography using a mixture of ethyl acetate/hexane as eluent.

3.7 Analytical data for *N*-acyl sulfoximines

3.7.1 **_N_-(4-methoxybenzoyl)-_S_-ethyl-_S_-phenyl sulfoximine (3aa):**

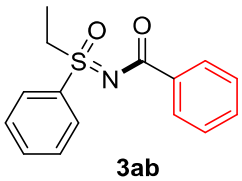


3aa

The reaction was carried out using 50 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 83 mg, 92% yield, m.p. 135–136 °C. The residue was isolated by silica-gel column chromatography using 20% etheyl acetate: hexane as an eluent, R_f 0.54 (40% EA: hex). ^1H NMR (500 MHz, $CDCl_3$) δ 8.16–8.11 (m, 2H), 7.99 (d, J = 8.1 Hz, 2H), 7.69–7.64 (m, 1H), 7.59 (t, J = 7.7 Hz, 2H), 6.91–6.88 (m, 2H), 3.85 (s, 3H), 3.59

(q, J = 7.4 Hz, 2H), 1.29 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.8, 162.9, 136.8, 133.7, 131.5, 129.6, 128.5, 128.1, 113.3, 55.5, 50.7, 7.4. HRMS (ESI-TOF) calcd. for $C_{16}H_{18}NO_3S$ $[M+H]^+$ 304.1007; found 304.0998.

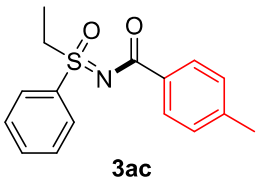
3.7.2 ***N*-benzoyl-*S*-ethyl-*S*-phenyl sulfoximine (3ab):**



3ab

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 238 mg, 88% yield, m.p. 132–135 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20–8.17 (m, 2H), 8.0 (dt, J = 3.3, 1.9 Hz, 2H), 7.67 (ddd, J = 6.7, 3.9, 1.2 Hz, 1H), 7.62–7.59 (m, 2H), 7.52–7.49 (m, 1H), 7.43–7.40 (m, 2H), 3.61 (q, J = 7.4 Hz, 2H), 1.31 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.2, 136.7, 135.8, 133.8, 132.2, 129.6 (d, J = 16.9 Hz), 128.1, 50.7, 7.3. HRMS (ESI-TOF) calcd. for $C_{15}H_{16}NO_2S$ $[M+H]^+$ 274.0902; found 274.0887.

3.7.3 ***N*-(4-Methylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ac):**

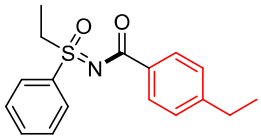


3ac

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 266 mg, 93% yield, m.p. 123–126 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent, R_f 0.6 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.07 (d, J = 8.2 Hz, 2H), 8.00 (dd, J = 8.3, 1.0 Hz,

2H), 7.66 (d, J = 7.5 Hz, 1H), 7.60 (t, J = 7.6 Hz, 2H), 7.21 (d, J = 8.0 Hz, 2H), 3.61 (q, J = 7.4 Hz, 2H), 2.40 (s, 3H), 1.30 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.3, 142.7, 136.8, 133.8, 133.2, 129.68 (d, J = 2.0 Hz), 128.8, 128.1, 50.7, 21.7, 7.4. HRMS (ESI-TOF) calcd. for $C_{16}H_{18}NO_2S$ $[M+H]^+$ 288.1058; found 288.1049.

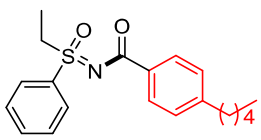
3.7.4 ***N*-(4-ethylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ad):**



3ad

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 280 mg, 93% yield, m.p. 85–86 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.6 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.11–8.09 (m, 2H), 8.0–7.98 (m, 2H), 7.68–7.65 (m, 1H), 7.58 (dd, J = 10.5, 4.7 Hz, 2H), 7.24 (d, J = 8.3 Hz, 2H), 3.60 (q, J = 7.4 Hz, 2H), 2.69 (q, J = 7.6 Hz, 2H), 1.29 (t, J = 7.4 Hz, 3H), 1.25 (t, J = 7.6 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.2, 148.9, 136.7, 133.7, 133.3, 129.68 (d, J = 7.1 Hz), 128.1, 127.6, 50.7, 29.0, 15.4, 7.3. HRMS (ESI-TOF) calcd. for $C_{17}H_{20}NO_2S$ $[M+H]^+$ 302.1215; found 302.1204.

3.7.5 ***N*-(4-pentylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ae):**

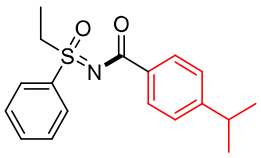


3ae

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 320 mg, 94% yield, m.p. 102–103 °C. The residue was

isolated by silica-gel column chromatography using 16% ethyl acetate:hexane as an eluent, R_f 0.62 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.10–8.08 (m, 2H), 8.0–7.98 (m, 2H), 7.67–7.63 (m, 1H), 7.59–7.56 (m, 2H), 7.21 (d, J = 8.2 Hz, 2H), 3.59 (q, J = 7.4 Hz, 2H), 2.66–2.63 (m, 2H), 1.65–1.59 (m, 2H), 1.31 (ddd, J = 14.8, 9.3, 5.0 Hz, 7H), 0.88 (t, J = 6.9 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.2, 147.6, 136.6, 133.7, 133.2, 129.58 (d, J = 5.3 Hz), 128.09 (d, J = 13.7 Hz), 50.6, 35.9, 31.4, 30.9, 22.5, 14.0, 7.3. HRMS (ESI-TOF) calcd. for $C_{20}H_{26}NO_2S$ $[M+H]^+$ 344.1684; found 344.1671.

3.7.6 ***N*-(4-isopropylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3af):**

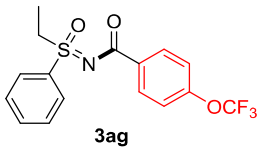


3af

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 274 mg, 87% yield, m.p. 64–65 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent, R_f 0.54 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.12–8.10 (m, 2H), 8.0–7.99 (m, 2H), 7.68–7.65 (m, 1H), 7.59 (dd, J = 8.1, 7.2 Hz, 2H), 7.28 (s, 1H), 7.26 (d, J = 1.5 Hz, 1H), 3.60 (q, J = 7.4 Hz, 2H), 2.96 (dt, J = 13.8, 6.9 Hz, 1H), 1.29 (d, J = 7.4 Hz, 3H), 1.27 (d, J = 1.6 Hz, 3H), 1.26 (d, J = 1.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.2, 153.5, 136.7, 133.7, 133.5, 129.69 (d, J = 8.7 Hz), 128.1, 126.2, 50.7, 34.3, 23.9, 7.3. HRMS (ESI-TOF) calcd. for $C_{18}H_{22}NO_2S$ $[M+H]^+$ 316.1371; found

316.1362.

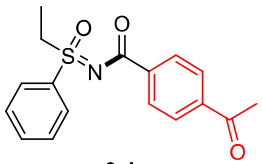
3.7.7 *N*-(4-trifloromethoxybenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ag):



3ag

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 314 mg, 88% yield, m.p. 74–75 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent, R_f 0.5 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.23–8.20 (m, 2H), 7.98 (dd, J = 5.3, 4.1 Hz, 2H), 7.71–7.68 (m, 1H), 7.63–7.60 (m, 2H), 7.24 (dd, J = 9.4, 8.6 Hz, 2H), 3.64–3.56 (m, 2H), 1.32 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 172.9, 152.1, 136.4, 134.2, 134.0, 131.4, 129.8, 128.0, 120.0, 50.8, 7.3; ^{19}F NMR (500 MHz, $CDCl_3$) δ -57.5. HRMS (ESI-TOF) calcd. for $C_{16}H_{15}F_3NO_3S$ $[M+H]^+$ 358.0725; found 358.0711.

3.7.8 *N*-(4-acetylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ah):

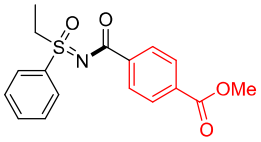


3ah

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 274 mg, 87% yield, m.p. 132–133 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.24–8.23 (m, 2H), 8.00–7.95 (m, 4H), 7.70–7.67 (m, 1H), 7.61 (t, J = 7.7 Hz, 2H), 3.61 (qq, J = 14.4, 7.4 Hz, 2H),

2.62 (s, 3H), 1.31 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 198.0, 173.2, 139.68 (d, J = 8.4 Hz), 136.3, 134.0, 133.1, 129.75 (d, J = 8.8 Hz), 129.2, 128.6, 128.07 (d, J = 4.6 Hz), 50.7, 27.0, 7.2. HRMS (ESI-TOF) calcd. for $C_{17}H_{18}NO_3S$ $[M+H]^+$ 316.1007; found 316.0995.

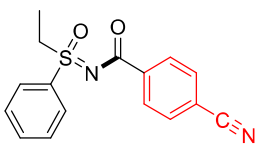
3.7.9 ***N*-(methyl 4-benzoatebenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ai):**



3ai

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 268 mg, 81% yield, m.p. 134–135 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.22 (d, J = 8.5 Hz, 2H), 8.07 (d, J = 8.4 Hz, 2H), 8.00–7.98 (m, 2H), 7.68 (d, J = 7.4 Hz, 1H), 7.61 (t, J = 7.7 Hz, 2H), 3.93 (s, 3H), 3.62 (dt, J = 14.7, 7.1 Hz, 2H), 1.32 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.3, 166.8, 139.6, 136.3, 134.0, 133.1, 129.8, 129.44 (d, J = 8.8 Hz), 128.0, 52.4, 50.7, 7.3. HRMS (ESI-TOF) calcd. for $C_{17}H_{18}NO_4S$ $[M+H]^+$ 332.0957; found 332.0946.

3.7.10 ***N*-(4-cyanobenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3aj):**

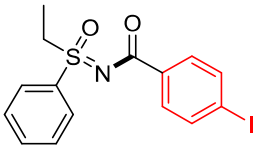


3aj

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 235 mg, 79% yield, m.p. 86–88 °C. The residue was isolated

by silica-gel column chromatography using 20% ethyl acetate: hexane as an eluent, R_f 0.53 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.25 (d, J = 8.2 Hz, 2H), 7.99–7.97 (m, 2H), 7.72 (dd, J = 10.7, 4.5 Hz, 3H), 7.63 (t, J = 7.7 Hz, 2H), 3.68–3.55 (m, 2H), 1.33 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 172.4, 139.6, 136.0, 134.2, 132.0, 129.95 (d, J = 15.1 Hz), 128.0, 118.6, 115.4, 50.8, 7.2. HRMS (ESI-TOF) calcd. for $C_{16}H_{15}N_2O_2S$ $[M+H]^+$ 299.0854; found 299.0845.

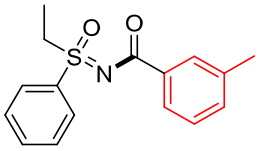
3.7.11 ***N*-(4-iodobenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ak):**



3ak

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 244 mg, 61% yield, m.p. 142–143 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent, R_f 0.52 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (ddd, J = 8.6, 3.3, 1.7 Hz, 2H), 7.89–7.86 (m, 2H), 7.77–7.74 (m, 2H), 7.69–7.66 (m, 1H), 7.62–7.58 (m, 2H), 3.62–3.58 (m, 2H), 1.31–1.28 (m, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.5, 137.3, 136.4, 135.3, 133.9, 131.1, 129.7, 128.0, 99.8, 50.7, 7.30. HRMS (ESI-TOF) calcd. for $C_{15}H_{15}INO_2S$ $[M+H]^+$ 399.9868; found 399.9855.

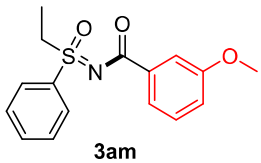
3.7.12 ***N*-(3-methylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3al):**



3al

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 258 mg, 90% yield, m.p. 88-90 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent, R_f 0.54 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (s, 1H), 8.00–7.98 (m, 3H), 7.69–7.66 (m, 1H), 7.60 (dd, J = 10.5, 4.8 Hz, 2H), 7.33–7.29 (m, 2H), 3.61 (q, J = 7.4 Hz, 2H), 2.40 (s, 3H), 1.31 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.5, 137.8, 136.7, 135.7, 133.8, 133.0, 130.1, 129.7, 128.10 (d, J = 10.0 Hz), 126.7, 50.7, 21.4, 7.3. HRMS (ESI-TOF) calcd. for $C_{16}H_{18}NO_2S$ $[M+H]^+$ 288.1058; found 288.1052.

3.7.13 ***N*-(3-methoxybenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3am):**

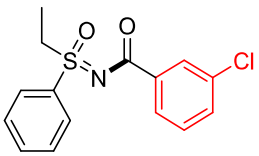


3am

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 266 mg, 88% yield, m.p. 102–103 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent, R_f 0.55 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, J = 7.4 Hz, 2H), 7.80 (d, J = 7.6 Hz, 1H), 7.70–7.66 (m, 2H), 7.60 (t, J = 7.8 Hz, 2H), 7.32 (t, J = 7.9 Hz, 1H), 7.06 (dd, J = 8.1, 2.6 Hz, 1H), 3.84 (s, 3H), 3.60 (q, J = 7.4 Hz, 2H), 1.31 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.1, 159.5, 137.3, 136.7, 133.8, 129.7, 129.1, 128.1, 122.1, 118.7, 113.9, 55.5, 50.7, 7.3. HRMS (ESI-TOF) calcd. for $C_{16}H_{18}NO_3S$ $[M+H]^+$

304.1007; found 304.1002.

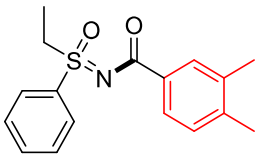
3.7.14 ***N*-(3-chlorobenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3an):**



3an

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 230 mg, 75% yield, m.p. 103–105 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. R_f 0.53 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.15 (t, J = 1.7 Hz, 1H), 8.03 (dd, J = 7.7, 1.1 Hz, 1H), 8.00–7.96 (m, 2H), 7.70 (dd, J = 10.7, 4.1 Hz, 1H), 7.62 (t, J = 7.6 Hz, 2H), 7.47 (ddd, J = 7.9, 2.0, 1.0 Hz, 1H), 7.35 (t, J = 7.8 Hz, 1H), 3.66–3.52 (m, 2H), 1.32 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 172.9, 137.6, 136.3, 134.2, 134.0, 132.1, 129.75 (d, J = 14.2 Hz), 129.4, 128.0, 127.6, 50.8, 7.3. HRMS (ESI-TOF) calcd. for $C_{15}H_{15}ClNO_2S$ $[M+H]^+$ 308.0512; found 308.0504.

3.7.15 ***N*-(3,4-dimethylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ao):**

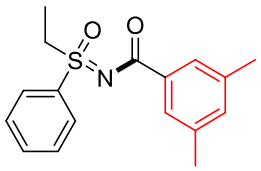


3ao

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 264 mg, 88% yield, m.p. 117–118 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.99 (d, J = 7.9 Hz, 2H), 7.94–7.91 (m, 2H), 7.66 (t, J = 7.4 Hz, 1H), 7.59 (t, J = 7.7 Hz, 2H), 7.17 (d, J = 7.8 Hz, 1H), 3.60 (q, J = 7.4 Hz, 2H), 2.31 (t, J = 5.3 Hz, 6H), 1.30 (t, J = 7.4 Hz,

3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.5, 141.4, 136.7, 136.3, 133.7, 133.4, 130.6, 129.6, 129.4, 128.1, 127.2, 50.7, 20.0, 19.8, 7.3. HRMS (ESI-TOF) calcd. for $C_{17}H_{20}NO_2S$ $[M+H]^+$ 302.1215; found 302.1203.

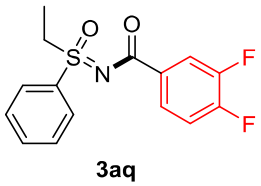
3.7.16 ***N*-(3,5-dimethylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ap):**



3ap

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 256 mg, 86% yield, m.p. 142–143 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.02–7.96 (m, 2H), 7.79 (s, 2H), 7.69–7.63 (m, 1H), 7.62–7.56 (m, 2H), 7.14 (s, 1H), 3.60 (q, J = 7.4 Hz, 2H), 2.35 (s, 6H), 1.30 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 174.6, 137.6, 136.6, 135.6, 133.81 (d, J = 13.4 Hz), 129.6, 128.0, 127.2, 50.6, 21.3, 7.2. HRMS (ESI-TOF) calcd. for $C_{17}H_{20}NO_2S$ $[M+H]^+$ 302.1215; found 302.1203.

3.7.17 ***N*-(3,4-Diflorobenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3aq):**

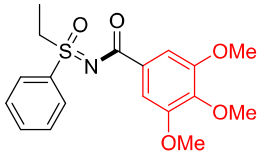


3aq

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 269 mg, 88% yield, m.p. 88–87 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.52 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.03–7.99 (m, 3H), 7.97–7.94 (m, 1H), 7.74–7.70

(m, 1H), 7.64 (dd, J = 10.6, 4.7 Hz, 2H), 7.22–7.17 (m, 1H), 3.68–3.55 (m, 2H), 1.34 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 171.9, 154.1, 152.10 (d, J = 13.1 Hz), 150.85 (d, J = 13.0 Hz), 148.88 (d, J = 13.2 Hz), 136.1, 133.9, 132.8, 129.7, 127.9, 126.15 (dd, J = 7.3, 3.5 Hz), 118.68 (d, J = 18.2 Hz), 116.77 (d, J = 17.6 Hz), 50.7, 7.1. ^{19}F NMR (500 MHz, $CDCl_3$) δ -57.5, -62.8. HRMS (ESI-TOF) calcd. for $C_{15}H_{14}F_2NO_2S$ $[M+H]^+$ 310.0713; found 310.0706.

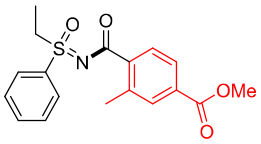
3.7.18 ***N*-(3,4,5-trimethoxybenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3ar):**



3ar

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 348 mg, 95% yield, m.p. 157–158 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.96–7.94 (m, 2H), 7.65 (t, J = 7.4 Hz, 1H), 7.57 (t, J = 7.6 Hz, 2H), 7.43 (s, 2H), 3.86 (d, J = 1.5 Hz, 9H), 3.63–3.51 (m, 2H), 1.28 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.5, 152.6, 141.5, 136.5, 133.7, 130.9, 129.6, 127.8, 106.6, 60.8, 56.1, 50.6, 7.1. HRMS (ESI-TOF) calcd. for $C_{18}H_{22}NO_5S$ $[M+H]^+$ 364.1219; found 364.1203.

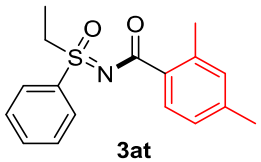
3.7.19 ***N*-(methyl-2-methyl-4-benzoate-benzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3as):**



3as

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 293 mg, 85% yield, m.p. 130–131 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.03 (d, J = 8.4 Hz, 1H), 7.96 (d, J = 7.9 Hz, 2H), 7.84 (d, J = 6.7 Hz, 2H), 7.67–7.64 (m, 1H), 7.60–7.57 (m, 2H), 3.89 (d, J = 1.1 Hz, 3H), 3.54 (dt, J = 14.3, 7.0 Hz, 2H), 2.58 (s, 3H), 1.28 (t, J = 7.3 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 175.9, 166.7, 139.8, 138.9, 136.1, 133.9, 132.4, 131.5, 130.2, 129.7, 127.9, 126.5, 52.2, 50.7, 21.4, 7.1. HRMS (ESI-TOF) calcd. for $C_{18}H_{20}NO_4S$ $[M+H]^+$ 346.1113; found 346.1102.

3.7.20 ***N*-(2,5-dimethylbenzoyl)-*S*-ethyl-*S*-phenyl sulfoximine (3at):**

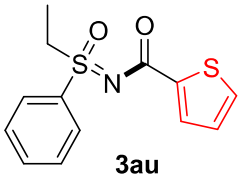


3at

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 244 mg, 81% yield, m.p. 75 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.03 (d, J = 7.8 Hz, 1H), 8.02–7.96 (m, 2H), 7.67–7.64 (m, 1H), 7.61–7.58 (m, 2H), 7.04–6.99 (m, 2H), 3.55 (q, J = 7.4 Hz, 2H), 2.57 (s, 3H), 2.34 (s, 3H), 1.29 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 176.4, 141.3, 139.6, 136.7, 133.7, 132.50 (d, J = 4.9 Hz), 131.0, 129.6, 128.0, 126.1, 50.7, 22.0, 21.4, 7.3. HRMS (ESI-TOF)

calcd. for $C_{17}H_{20}NO_2S$ $[M+H]^+$ 302.1215; found 302.1223.

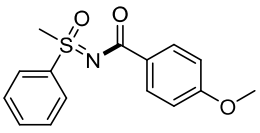
3.7.21 ***N*-(2-thienylacetyl)-*S*,*S*-methylphenyl sulfoximines (3au):**



3au

The reaction was carried out using 169 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 217 mg, 78% yield m.p. 88–87 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent, R_f 0.6 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01–8.00 (m, 2H), 7.80 (dd, J = 3.6, 1.1 Hz, 1H), 7.69 (t, J = 7.4 Hz, 1H), 7.61 (t, J = 7.7 Hz, 2H), 7.48 (dd, J = 4.9, 1.0 Hz, 1H), 7.07 (dd, J = 4.9, 3.8 Hz, 1H), 3.61 (q, J = 7.4 Hz, 2H), 1.30 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 168.9, 141.3, 136.4, 133.9, 132.2, 131.6, 129.7, 128.1, 127.8, 50.8, 7.3. **HRMS** (ESI-TOF) calcd. for $C_{13}H_{14}NO_2S_2$ $[M+H]^+$ 280.0466; found 280.0458.

3.7.22 ***N*-(4-methoxybenzoyl)-*S*-methyl-*S*-phenyl sulfoximine (3ba):**

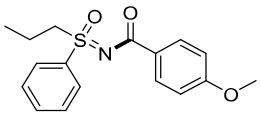


3ba

The reaction was carried out using 155 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 250 mg, 87% yield, m.p. 137–138 °C. The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.14–8.11 (m, 2H), 8.06–8.04 (m, 2H), 7.68–7.61 (m, 1H), 7.61 (t, J = 7.8 Hz, 2H), 6.90 (d, J = 8.6 Hz, 2H), 3.85 (s, 3H), 3.46 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.9, 163.0,

139.3, 133.8, 131.6, 129.7, 128.4, 127.3, 113.3, 55.5, 44.5. HRMS (ESI-TOF) calcd. for $C_{15}H_{16}NO_3S$ $[M+H]^+$ 290.0851; found 290.0852.

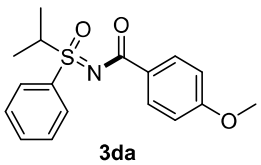
3.7.23 ***N*-(4-methoxybenzoyl)-*S*-phenyl-*S*-propyl- sulfoximine (3ca):**



3ca

The reaction was carried out using 183 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 288 mg, 91% yield, m.p. 92–93 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.58 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.13 (d, J = 8.8 Hz, 2H), 8.00 (d, J = 7.5 Hz, 2H), 7.66 (t, J = 7.4 Hz, 1H), 7.59 (t, J = 7.6 Hz, 2H), 6.90 (d, J = 8.8 Hz, 2H), 3.85 (s, 3H), 3.54 (dddd, J = 34.7, 14.0, 10.8, 5.3 Hz, 2H), 1.80 (tdd, J = 11.0, 7.6, 3.6 Hz, 1H), 1.72–1.69 (m, 1H), 1.00 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.8, 162.9, 137.6, 133.7, 131.6, 129.6, 128.6, 128.0, 113.3, 57.7, 55.5, 16.4, 12.9. HRMS (ESI-TOF) calcd. for $C_{17}H_{20}NO_3S$ $[M+H]^+$ 318.1164; found 292.0759.

3.7.24 ***N*-(4-methoxybenzoyl)-*S*-phenyl-*S*-iso-propyl- sulfoximine (3da):**

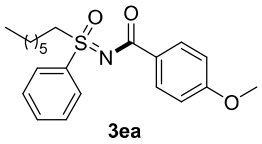


3da

The reaction was carried out using 183 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 253 mg, 80% yield, m.p. 120–121 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500

MHz, $CDCl_3$) δ 8.15–8.12 (m, 2H), 7.93–7.91 (m, 2H), 7.65 (t, J = 7.4 Hz, 1H), 7.57 (t, J = 7.7 Hz, 2H), 6.91–6.88 (m, 2H), 3.85 (s, 3H), 3.74 (dq, J = 13.7, 6.8 Hz, 1H), 1.45 (d, J = 6.8 Hz, 3H), 1.30 (d, J = 6.8 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.7, 162.8, 134.9, 133.6, 131.5, 129.4, 128.9, 128.7, 113.2, 56.3, 55.4, 15.9, 15.4. HRMS (ESI-TOF) calcd. for $C_{17}H_{20}NO_3S$ $[M+H]^+$ 318.1164; found 318.1149.

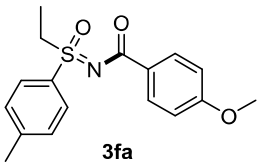
3.7.25 ***N*-(4-methoxybenzoyl)-*S*-heptyl-*S*-phenyl sulfoximine (3ea):**



3ea

The reaction was carried out using 239 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 339 mg, 91% yield, m.p. 87–88 °C. The residue was isolated by silica-gel column chromatography using 16% ethyl acetate:hexane as an eluent, R_f 0.59 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.12 (t, J = 5.8 Hz, 2H), 7.99 (d, J = 7.5 Hz, 2H), 7.65 (t, J = 7.4 Hz, 1H), 7.58 (t, J = 7.6 Hz, 2H), 6.89 (d, J = 8.9 Hz, 2H), 3.83 (d, J = 6.9 Hz, 3H), 3.54 (dddd, J = 40.9, 13.9, 11.2, 5.1 Hz, 2H), 1.78–1.60 (m, 2H), 1.38–1.31 (m, 2H), 1.27–1.17 (m, 6H), 0.84 (t, J = 7.0 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.7, 162.9, 137.5, 133.6, 131.5, 129.6, 128.5, 127.9, 113.2, 56.0, 55.4, 31.4, 28.7, 28.1, 22.52 (d, J = 6.1 Hz), 14.0. HRMS (ESI-TOF) calcd. for $C_{21}H_{28}NO_3S$ $[M + H]^+$ 374.1790; found 374.1791.

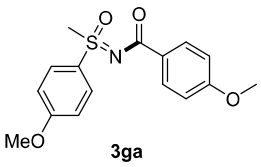
3.7.26 ***N*-(4-methoxybenzoyl)-*S*-ethyl-*S*-(4-methylphenyl) sulfoximine (3fa):**



3fa

The reaction was carried out using 183 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 285 mg, 90% yield, m.p. 117–118 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.56 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.14–8.11 (m, 2H), 7.85 (d, J = 8.3 Hz, 2H), 7.37 (d, J = 8.2 Hz, 2H), 6.89–6.87 (m, 2H), 3.83 (s, 3H), 3.59–3.54 (m, 2H), 2.43 (s, 3H), 1.27 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.7, 162.8, 144.7, 133.6, 131.5, 130.2, 128.5, 128.0, 113.2, 55.4, 50.7, 21.6, 7.3. HRMS (ESI-TOF) calcd. for $C_{17}H_{20}NO_3S$ $[M+H]^+$ 318.1164 found 318.1154.

3.7.27 *N*-(4-methoxybenzoyl)-*S*-methyl-*S*-(4-methoxyphenyl) sulfoximine (3ga):

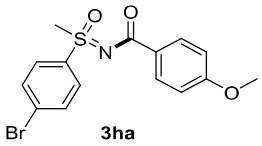


3ga

The reaction was carried out using 185 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 295 mg, 93% yield, m.p. 122–123 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.57 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.10 (dd, J = 5.7, 5.3 Hz, 2H), 7.8 (dd, J = 8.9, 1.2 Hz, 2H), 7.02 (dd, J = 8.9, 1.2 Hz, 2H), 6.88–6.86 (m, 2H), 3.84 (d, J = 1.5 Hz, 3H), 3.82 (d, J = 1.2 Hz, 3H), 3.42 (d, J = 0.9 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.8, 163.8, 162.8, 131.4, 130.2, 129.3, 128.5, 114.9, 113.2, 55.8, 55.4, 44.7. HRMS (ESI-TOF)

calcd. for $C_{18}H_{18}NO_4S$ $[M+H]^+$ 320.0957 found 320.0945.

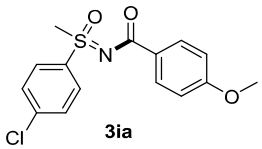
3.7.28 *N*-(4-methoxybenzoyl)-*S*-methyl-*S*-(4-bromophenyl) sulfoximine (3ha):



3ha

The reaction was carried out using 234 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 293 mg, 80% yield, m.p. 212–214 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.54 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.10 (d, J = 8.7 Hz, 2H), 7.90 (d, J = 8.5 Hz, 2H), 7.74 (d, J = 8.6 Hz, 2H), 6.89 (d, J = 8.8 Hz, 2H), 3.85 (s, 3H), 3.43 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.8, 163.1, 138.4, 133.1, 131.6, 129.2, 128.8, 128.0, 113.4, 55.5, 44.5. HRMS (ESI-TOF) calcd. for $C_{15}H_{15}BrNO_3S$ $[M+H]^+$ 367.9956 found 367.9935.

3.7.29 *N*-(4-methoxybenzoyl)-*S*-methyl-*S*-(4-chlorophenyl) sulfoximine (3ia):

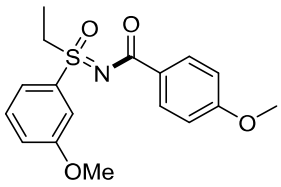


3ia

The reaction was carried out using 189 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 265 mg, 82% yield, m.p. 155–157 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.52 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.09 (d, J = 8.8 Hz, 2H), 7.96 (d, J = 8.6 Hz, 2H), 7.56 (d, J = 8.6 Hz, 2H), 6.89 (d, J = 8.8 Hz, 2H), 3.84 (s, 3H), 3.43 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.8, 163.1, 140.6, 137.8,

131.6, 130.0, 128.8, 128.0, 113.3, 55.5, 44.5. HRMS (ESI-TOF) calcd. for $C_{15}H_{15}ClNO_3S$ $[M+H]^+$ 324.0461; found 324.0471.

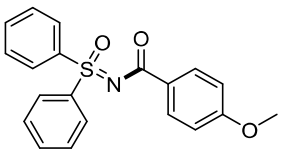
3.7.30 *N*-(4-methoxybenzoyl)-*S*-ethyl-*S*-(3-methoxyphenyl) sulfoximine (3ja):



3ja

The reaction was carried out using 119 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 290 mg, 87% yield, m.p. 102–103 °C. The residue was isolated by silica-gel column chromatography using 18% ethyl acetate:hexane as an eluent, R_f 0.55 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.15–8.12 (m, 2H), 7.55–7.47 (m, 3H), 7.18–7.16 (m, 1H), 6.93–6.85 (m, 2H), 3.87 (s, 3H), 3.85 (s, 3H), 3.58 (qd, J = 7.3, 2.6 Hz, 2H), 1.31 (t, J = 7.4 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 173.8, 162.9, 160.4, 138.1, 131.6, 130.7, 128.5, 120.0, 120.0, 113.3, 112.9, 55.8, 55.5, 50.8, 7.4. HRMS (ESI-TOF) calcd. for $C_{19}H_{20}NO_4S$ $[M+H]^+$ 334.1113 found 334.1102.

3.7.31 *N*-(4-methoxybenzoyl)-*S*,*S*-diphenyl sulfoximine (3ka):

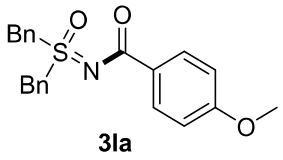


3ka

The reaction was carried out using 217 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 301 mg, 86% yield, m.p. 148–149 °C. The residue was isolated by silica-gel column chromatography using 16% ethyl acetate:hexane as an eluent, R_f 0.61 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.23–8.20 (m, 2H), 8.07–8.05 (m, 4H), 7.59–7.51 (m, 6H), 6.94–6.92 (m, 2H), 3.86 (s, 3H); ^{13}C NMR (125 MHz,

$CDCl_3$) δ 173.5, 163.0, 140.2, 133.2, 131.7, 129.6, 128.6, 127.7, 113.3, 55.5. HRMS (ESI-TOF) calcd. for $C_{20}H_{18}NO_3S$ $[M+H]^+$ 352.1007; found 352.1000.

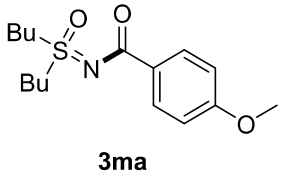
3.7.32 ***N*-(4-methoxybenzoyl)-*S,S*-dibenzyl sulfoximine (3la):**



3la

The reaction was carried out using 245 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 288 mg, 76% yield, m.p. 134–135 °C. The residue was isolated by silica-gel column chromatography using 16% ethyl acetate:hexane as an eluent, R_f 0.61 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.05 (d, J = 8.8 Hz, 2H), 7.49 – 7.29 (m, 10H), 6.88 (d, J = 8.8 Hz, 2H), 4.79 (d, J = 13.5 Hz, 2H), 4.63 (d, J = 13.5 Hz, 2H), 3.85 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 173.7, 163.0, 131.5, 129.5, 129.1, 128.4, 126.5, 113.3, 56.9, 55.5. HRMS (ESI-TOF) calcd. for $C_{15}H_{16}NO_3S$ $[M+H]^+$ 279.1242; found 279.1246.

3.7.33 ***N*-(4-methoxybenzoyl)-*S,S*-dibutyl sulfoximine (3ma):**

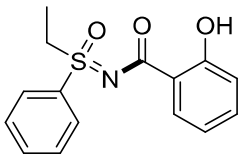


3ma

The reaction was carried out using 177 mg of sulfoximine according to general procedure. The title compound was obtained as white solid, 255 mg, 82% yield, m.p. 35-37 °C. The residue was isolated by silica-gel column chromatography using 16% ethyl acetate:hexane as an eluent, R_f 0.61 (40% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.02–7.96 (m, 2H), 6.79 (d, J = 8.7 Hz, 2H), 3.75 (s, 3H), 3.52–3.44 (m, 2H), 3.31–3.24 (m, 2H), 1.77–1.72 (m, 4H), 1.39 (dd, J = 15.0, 7.5 Hz, 4H), 0.86 (t, J = 7.4 Hz, 6H). ^{13}C NMR (125

MHz, $CDCl_3$) δ 173.4, 162.9, 131.4, 128.5, 113.3, 55.5, 51.4, 29.8, 23.6, 21.8, 13.7. HRMS (ESI-TOF) calcd. for $C_{15}H_{16}NO_3S$ $[M+H]^+$ 311.1555; found 311.1552.

3.7.34 ***N*-(2-Hydroxybenzoyl)-*S,S*-ethylphenylsulfoximine (4ab):**



4ab

The reaction was carried out using 150 mg of *N*-Benzoyl-*S,S*-methylphenylsulfoximine **3ab** according to mentioned procedure. The title compound was obtained as colorless viscous oil (111 mg, 0.38mmol, 70%). The residue was isolated by silica-gel column chromatography using 45% ethyl acetate:hexane as an eluent, R_f 0.3 (80% EA: hex). ^{1}H NMR (500 MHz, $CDCl_3$) δ 11.87 (s, 1H), 8.12 (dd, J = 7.9, 1.7 Hz, 1H), 8.02–7.95 (m, 2H), 7.72 (dd, J = 10.6, 4.3 Hz, 1H), 7.63 (dd, J = 10.6, 4.9 Hz, 2H), 7.44–7.37 (m, 1H), 6.92 (d, J = 8.3 Hz, 1H), 6.89–6.84 (m, 1H), 3.59 (ddt, J = 25.7, 14.2, 7.2 Hz, 2H), 1.34 (t, J = 7.4 Hz, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 178.2, 162.2, 136.1, 135.0, 134.2, 131.2, 129.9, 128.0, 118.6, 117.6, 76.9, 51.2, 7.2. HRMS (ESI-TOF) calcd. for $C_{15}H_{16}NO_3S$ $[M+H]^+$ 290.0851; found 290.0845.

3.8 Spectral data of product

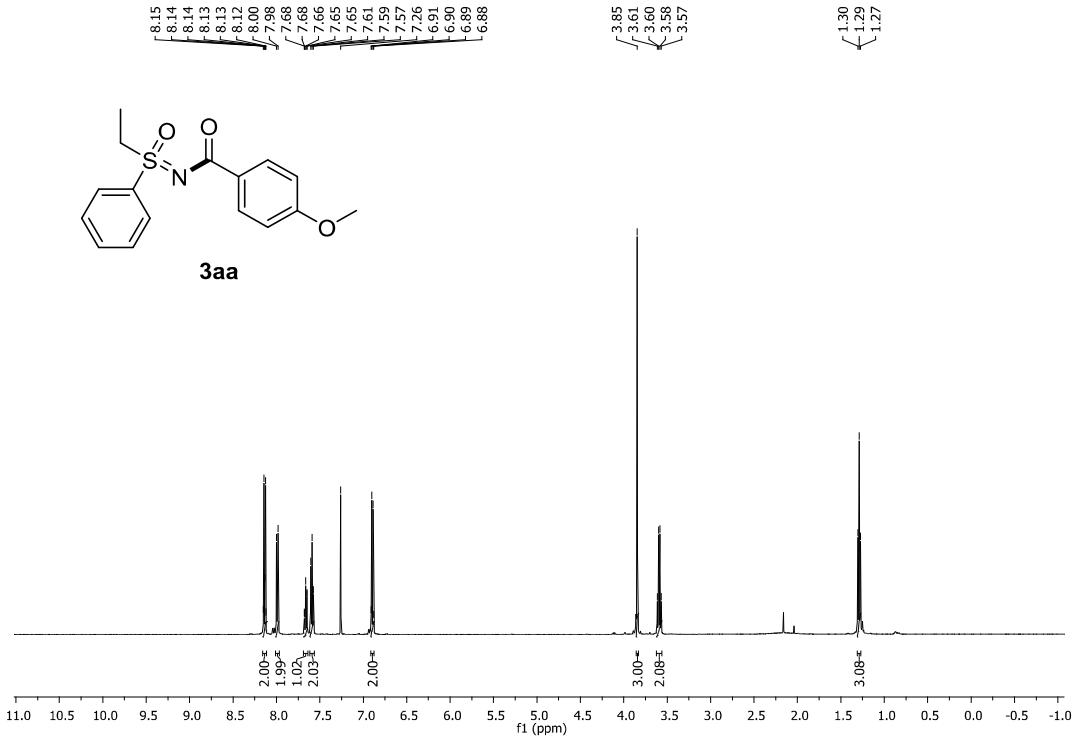


Figure 3.2: ^{1}H NMR for 3aa

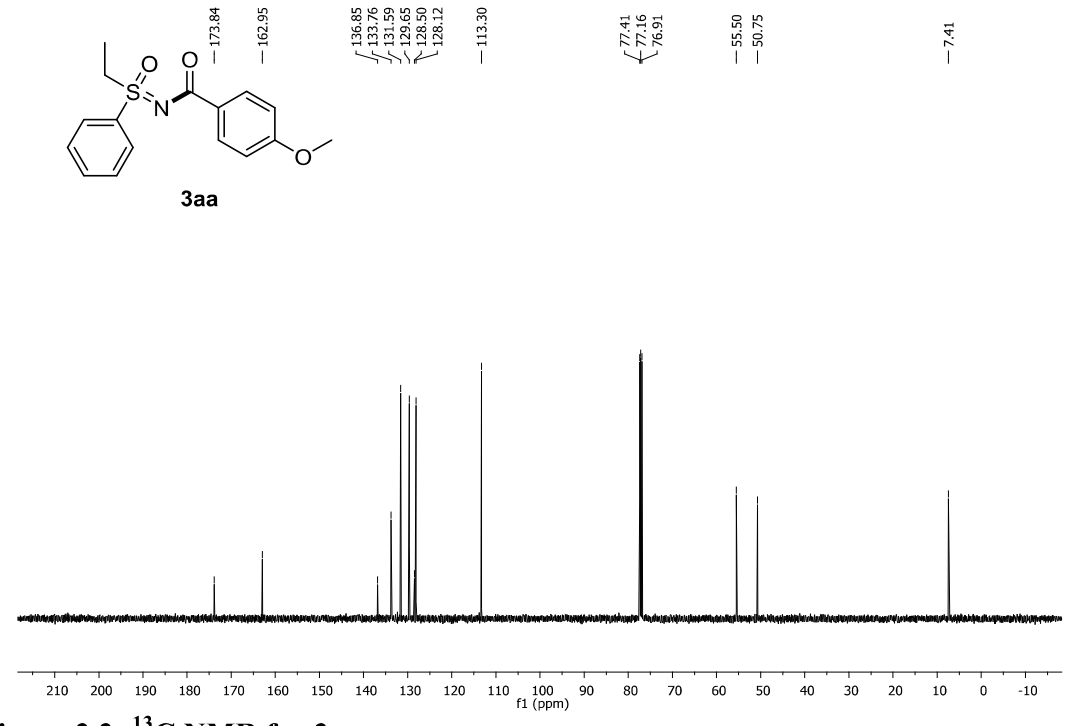


Figure 3.3: ^{13}C NMR for 3aa

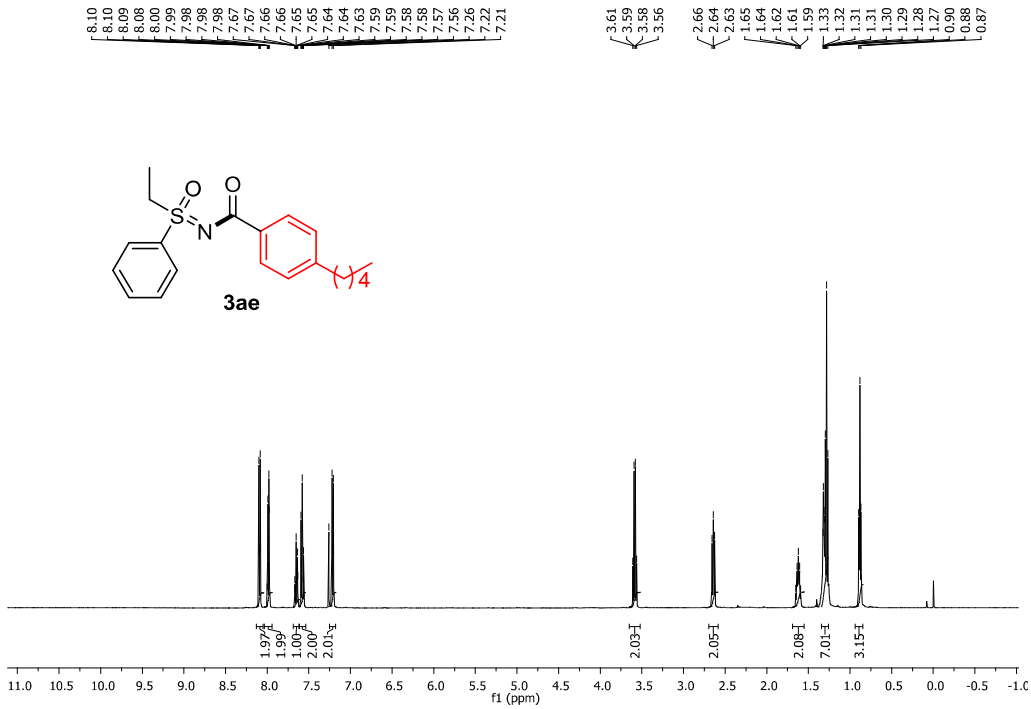


Figure 3.4: ^{1}H NMR for 3ae

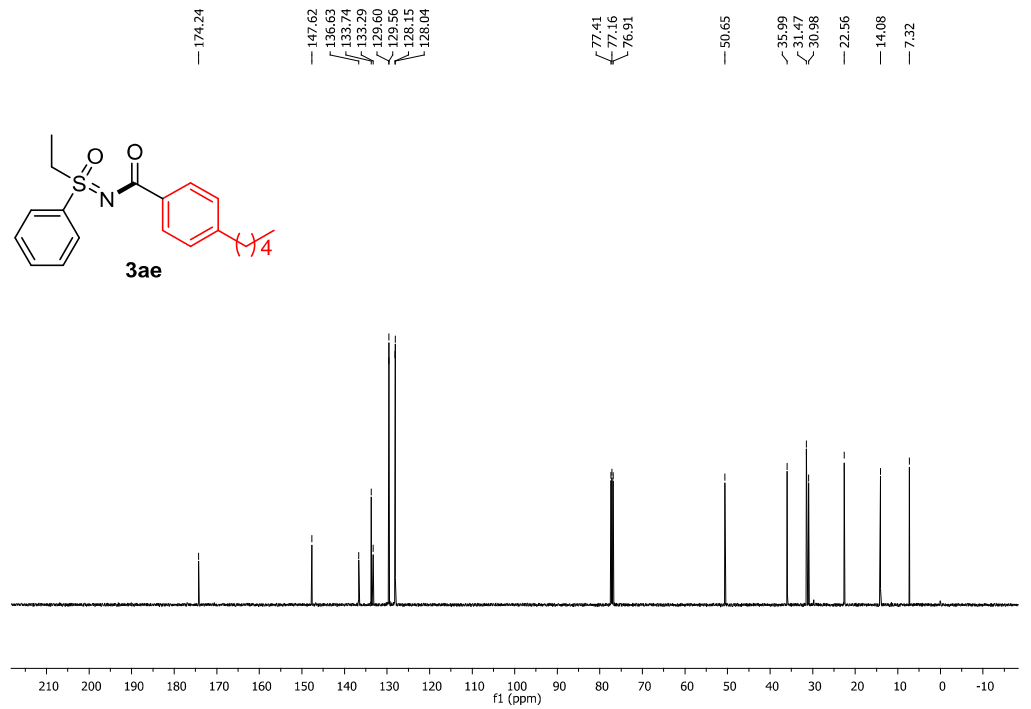


Figure 3.5: ^{13}C NMR for 3ae

3.9 References

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