CHAPTER-4

Selenium Dioxide Promoted α-Keto *N*-Acylation of Sulfoximines Under Mild Reaction Conditions

4.1 Introduction

α-Ketoamides are ubiquitous structural motifs embedded in many natural products [1, 2], drugs [3-6] and drug candidates (Figure **4.1**, **A-C**) [7-10]. Moreover, recently α-ketoamides were explored as hydrogen peroxide responsive prodrug elements [11, 12], photo-affinity labeling (PAL) probes [13] etc., (Figure **4.1**, **D-E**). On the other hand, sulfoximines have emerged as new and valuable pharmacophore in drug discovery (Figure **4.1**) [14-18]. At present, some sulfoximine compounds are in different phases of clinical trials for various diseases. Moreover, sulfoximines were also explored in organic synthesis as building blocks, organocatalysts, ligands, chiral auxiliaries and directing groups, etc, [19-28].

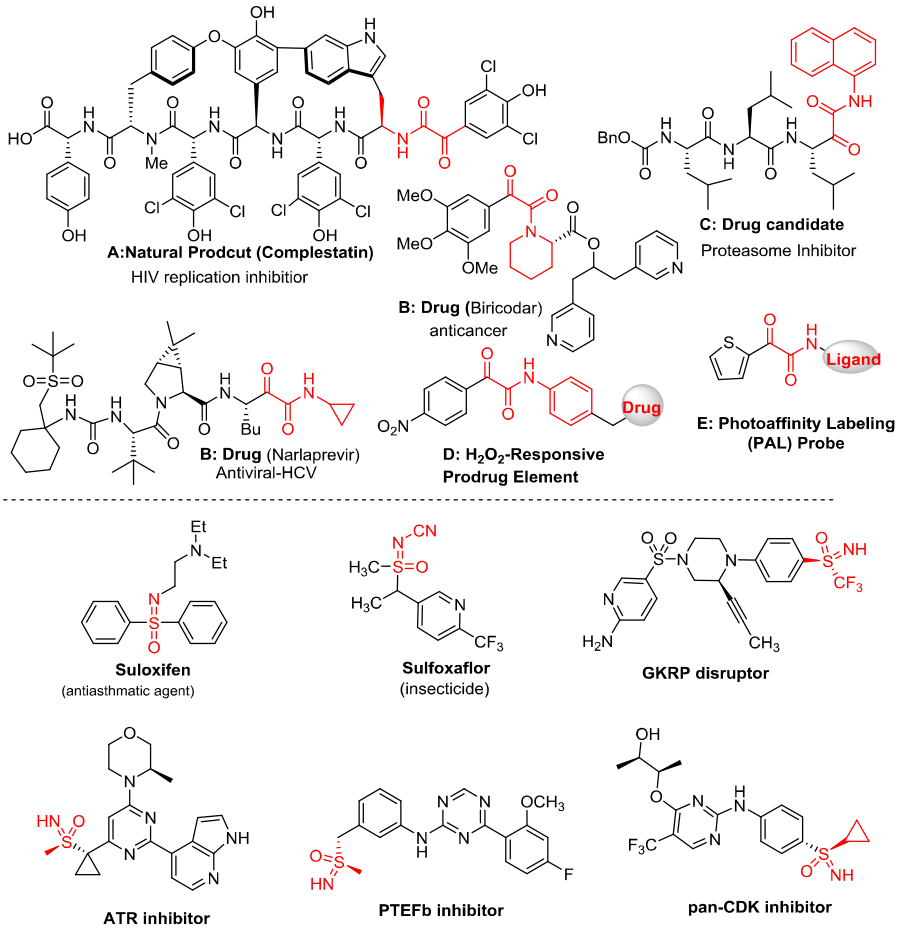
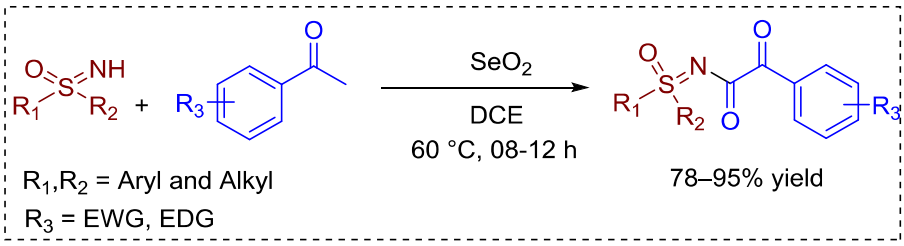


Figure 4.1: Structures of some bioactive α-ketoamides and sulfoximines.

Synthesis of α-ketoamides from amines *via* oxidative acylation reaction received significant interest in organic chemistry [29, 30]. Acetophenones, arylglyoxals and terminal arylalkynes are the common substrates employed in the oxidative acylation of amines in the presence of different oxidants. As far as sulfoximine is concerned, α-keto *N*-acylation was achieved using acetophenones in the presence of copper and I_2 catalysts with different co-oxidants [31-33]. In addition to these reports, limited examples of ruthenium catalyzed transformation of *N*-alkynyl and *N*-vinyl sulfoximines to α-keto-*N*-acylsulfoximines [34,35] as well as copper catalyzed oxidative coupling of phenylglyoxal with sulfoximine [36] were demonstrated by Bolm *et al.* The same group was also recently demonstrated the visible-light promoted coupling reaction of sulfoximidoyl-containing hypervalent iodine reagents with aryl alkynes to afford α-keto *N*-acyl sulfoximines [37].

However, each of these developed methods has its advantages and disadvantages with respect to yields, reaction conditions, cost-effect, etc. Hence, the development of new and more efficient methods is of great interest. Selenium(IV) dioxide (SeO_2) is a powerful oxidizing agent and it has been used for the allylic oxidation/hydroxylation, active methylene group oxidation, conversion of oxime to nitrile, aromatization of substituted cyclohexenes and cyclohexadienes, α-oxidation of acetophenones and terminal aryl alkynes to phenylglyoxal, etc, [38-44]. Moreover, SeO_2-mediated preparation of α-ketoamides from arylglyoxals and amines *via* oxidative coupling reactions has been demonstrated [45, 46]. Following these report, Jain *et al.* reported the SeO_2 mediated synthesis of α-ketoamides from secondary amines and acetophenone/ terminal arylalkynes/phenylacetaldehydes under mild reaction conditions [47].



Scheme 4.1: α-Ketoacylation of sulfoximines in the presence of SeO_2.

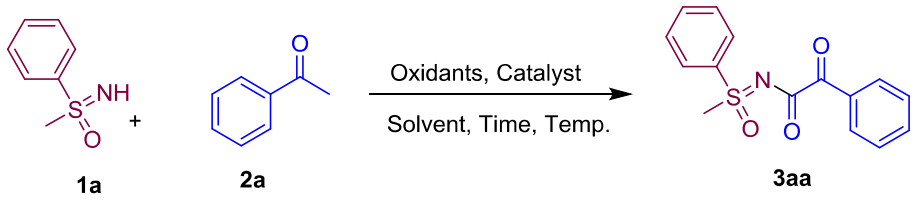
To the best of our knowledge, oxidative α-ketoacylation of sulfoximines promoted by SeO_2 is not yet explored. In continuation of our previous chpater works on sulfoximines [48, 49], here we report SeO_2-mediated α-Keto *N*-acylation of *NH*-sulfoximines using acetophenones in the absence of any additives under mild reaction conditions (Scheme **4.1**).

4.2 Results and Discussion

At the outset, *S*,*S*-methylphenyl sulfoximine (**1a**) and acetophenone (**2a**) were chosen as the model substrates for the optimization study (Table **4.1**). Initially, the oxidative coupling reaction was tested in different solvents, including acetonitrile (ACN), toluene, tetrahydrofuran (THF), *N*,*N*-dimethylformamide (DMF), 1,4-dioxane and 1,2 dichloroethane (DCE) in the presence of 1.0 eq. selenium dioxide (SeO_2) at 60 °C for 8 hours (Table **4.1**, entries **1-6**). To our delight, the reaction proceeded in most of the solvents and provided the desired product **3aa** in 25-37% yields. Among the solvents used in this study, DCE was found to be an efficient medium to give the desired product in 37% yield (Table **4.1**, entry **6**). Further, it was also observed that increasing the temperature or reaction timings, or the addition of base (i.e. DABCO) did not provide much difference in the yield of **3aa** (Table **4.1**, entries **7-9**). Hence, the amount of oxidant SeO_2 was increased while the reaction was carried out in DCE at 60 °C for 12 hours (Table **4.1**, entries **10** and **11**). To our delight, in the

presence of 2.0 eq. of SeO_2, the α-keto-*N*-acylsulfoximine **3aa** was obtained in 94% of yield (Table **4.1**, entry **11**).

Table 4.1: Optimization of the reaction conditions for α-ketoacylation of sulfoximine.[a]



1a 2a 3aa

Entry	Solvent	Oxidant (eq.)	Additive (1 eq.)	Time (h)	Temp. (°C)	Yield (%)[b]
1	ACN	SeO_2(1.0 eq.)	----	08 h	60	33
2	Toluene	SeO_2(1.0 eq.)	----	08 h	60	29
3	THF	SeO_2(1.0 eq.)	----	08 h	60	27
4	DMF	SeO_2(1.0 eq.)	----	08 h	60	25
5	Dioxane	SeO_2(1.0 eq.)	----	08 h	60	36
6	DCE	SeO_2(1.0 eq.)	----	08 h	60	37
7	DCE	SeO_2(1.0 eq.)	----	08 h	75	39
8	DCE	SeO_2 (1.0 eq.)	----	12 h	60	41
9	DCE	SeO_2 (1.0 eq.)	DABCO	08 h	60	35
10	DCE	SeO_2 (1.5 eq.)	----	12 h	60	64
11	**DCE**	**SeO_2 (2.0 eq.)**	**----**	**12 h**	**60**	**94**
12	DCE	SeO_2 (0.1 eq.)	UHP	12 h	60	trace
13	DCE	SeO_2 (0.1 eq.)	TBHP	12 h	60	trace
14	DCE	SeO_2 (0.1 eq.)	DTBP	12 h	60	trace
15	DCE	SeO_2 (0.1 eq.)	$K_2S_2O_8$	12 h	60	trace

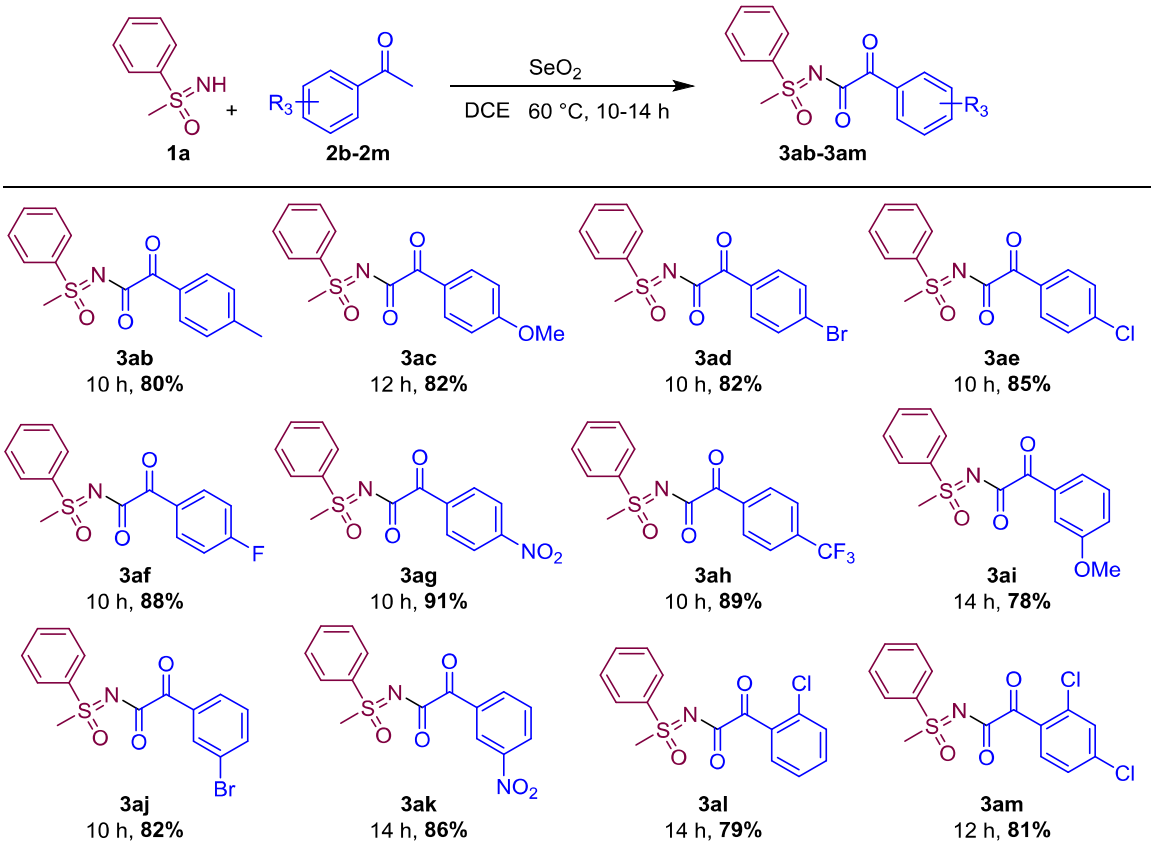
[a]Reaction condition: *S*,*S*-Methylphenylsulfoximine (50 mg, 0.32 mmol, 1 eq.), acetophenone (42 µL, 1.1 eq.), SeO_2 and solvents (2 mL). [b]Isolated yields.

Further, the reaction was performed using SeO_2 as the catalyst in the presence of different co-oxidants, including urea-H_2O_2 (UHP), *tert*-Butyl hydroperoxide (TBHP), di-*tert*-butyl peroxide (DTBP) and potassium persulfate ($K_2S_2O_8$). However, these reactions provided the desired product only in a trace amount (Table **4.1**, entries **12-15**).

4.3 Substrates Scope

After the establishment of optimized conditions, α-ketoacylation of *S*,*S*-methylphenyl sulfoximine (**1a**) with different acetophenones was investigated (Table **4.2**). In order to study the electronic effects, initially the acetophenones having *para*-substitution was subjected to the coupling reactions under optimized condition. To our delight, acetophenones bearing electron donating and withdrawing groups such as methyl, methoxy, halo, nitro and trifluoromethyl underwent coupling reactions smoothly to provide the corresponding α-keto *N*-acylsulfoximines in 80-91% yields (Table **4.2**; **3ab-3ah**).

Table 4.2: α-Ketoacylation of *S*,*S*-methylphenylsulfoximine with various acetophenones.[a,b]

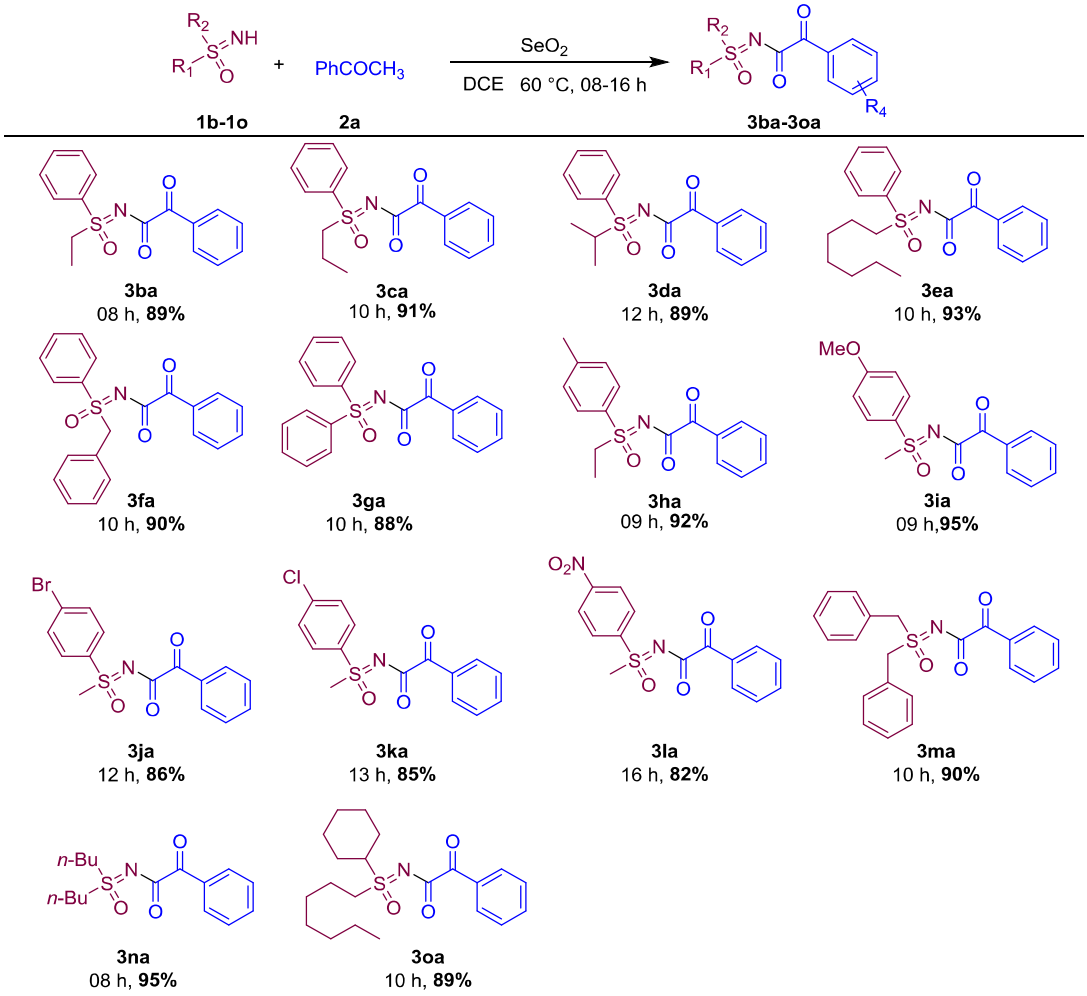


[a]Reaction condition: *S*,*S*-Methylphenylsulfoximine (125 mg, 0.8 mmol, 1 eq.), acetophenone (1.1 eq.), SeO_2 (180 mg, 2.0 eq.) and DCE (4 mL). [b]Isolated yields.

In particular, electron withdrawing groups such as 4-F, 4-NO_2 and 4-CF_3 functionalized acetophenones gave the desired products in excellent yields. Moreover, coupling of *S*,*S*-methylphenyl sulfoximine (**1a)** with acetophenones bearing *meta*- and sterically hindered *ortho*- substituents, were successfully accomplished in good yields (Table **4.2**; **3ai-3am**).

After exploring the scope of acetophenones, α-ketoacylation of different sulfoximines was investigated with acetophenone (**2a**) (Table **4.3**).

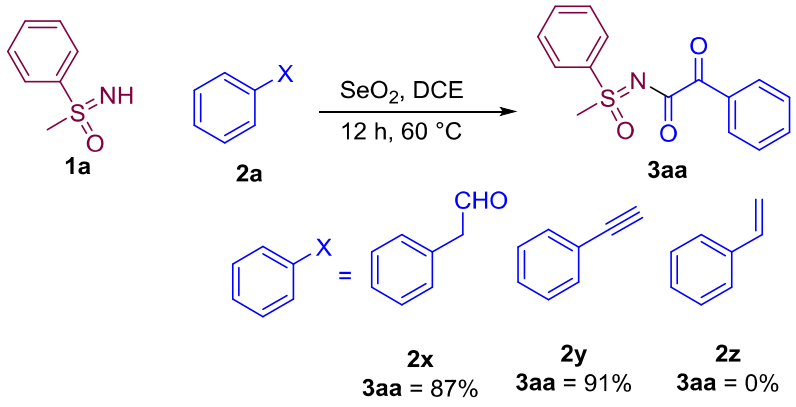
Table 4.3: α-Ketoacylation of various sulfoximines with acetophenone.[a,b]



[a]Reaction condition: Sulfoximine (0.5 mmol, 1 eq.), acetophenone (65 µL, 1.1 eq.), SeO_2 (111 mg, 2.0 eq.) and DCE (4 mL). [b]Isolated yields.

Phenylsulfoximines bearing linear and branched alkyl chains, including sterically hindered *iso*-propyl group, underwent α-keto *N*-acylation in excellent yields (Table **4.3**, **3ba-3ea**). In addition, phenylbenzylsulfoximine and diphenyl sulfoximine were also provided the acylated products up to 90% yields (Table **4.3**, **3fa-3ga**). Further, α-ketoacylation of sulfoximines bearing different substitutions on the aryl rings was investigated. Electron donating and withdrawing groups such as methyl, methoxy, bromo, chloro and nitro group functionalized sulfoximines actively participated in the acylation reaction to provide the α-keto *N*-acylsulfoximines in 82-95% yields (Table **4.3**, **3ha-3la**). Moreover, dialkyl sulfoximines such as dibenzyl, dibutyl and cyclohexyl-*n*-heptyl sulfoximines were also participated in α-ketoamidation reaction very efficiently and gave corresponding acylated products in 89-95% yields (Table **4.3**, **3ma-3oa**).

After exploring the scope of sulfoximines and acetophenones, we investigated the possibility of phenylacetaldehyde, phenylacetylene and styrene as a source of α-ketoacyl group (in the place of acetophenone) in the presence of SeO_2 under optimized condition (Scheme **4.2**).

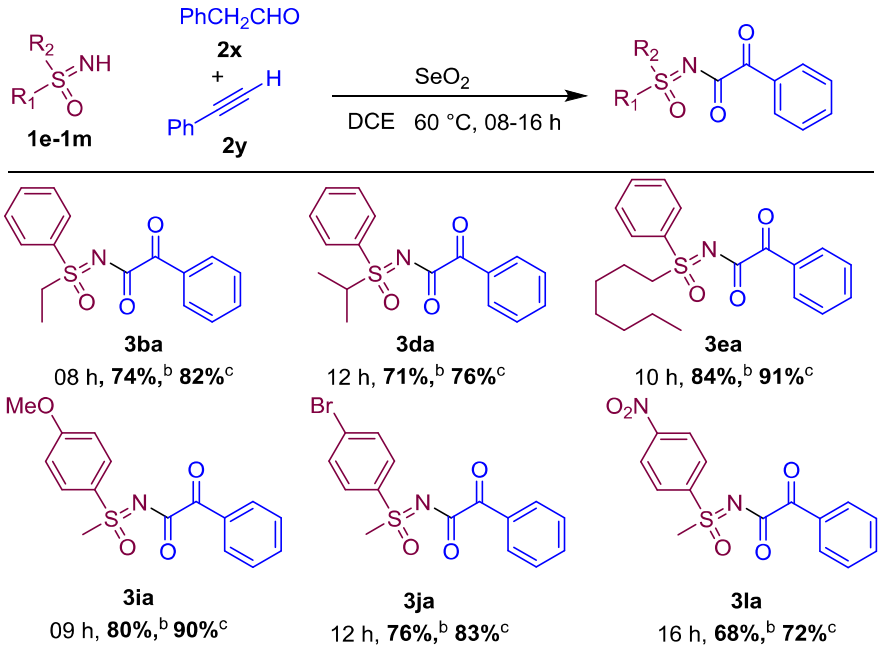


Scheme 4.2: α-Ketoacylation of *S*,*S*-methylphenylsulfoximine (**1a**) with different acyl sources.

To our surprise, the optimized reaction condition was well suited to the task of α-ketoacylation of sulfoximine with phenylacetaldehyde and phenylacetylene and gave the desired product **3aa** in 87% and 91% yields, respectively. However, styrene failed to provide the desired product under the optimized condition.

We further extended our investigation on the coupling of phenylacetaldehyde (**2x**) and phenylacetylene (**2y**) with selected sulfoximines under optimized conditions (Table **4.4**). To our delight, these reactions provided the desired products in 68-91% yields within the period of 8-16 hours. In particular, phenyl acetylene gave the desired products in comparable yields to that of acetophenone, while relatively low yields were obtained with phenylacetaldehyde. It might be due to the poor stability of phenyl acetaldehyde at the higher temperature.

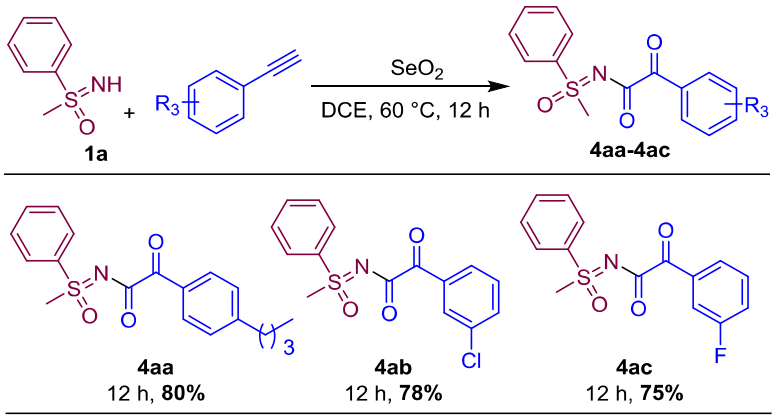
Table 4.4: α-Ketoacylation of selected sulfoximines with phenylacetaldehyde and phenylacetylene.[a,b,c]



[a]Reaction condition: Sulfoximine (0.5 mmol, 1 eq.), **2x** (61 µL, 1.1 eq.) or **2y** (60 µL, 1.1 eq.), SeO_2 (111 mg, 2.0 eq.) and DCE (4 mL). [b]Isolated yields obtained from **2x**. [c]Isolated yields obtained from **2y**.

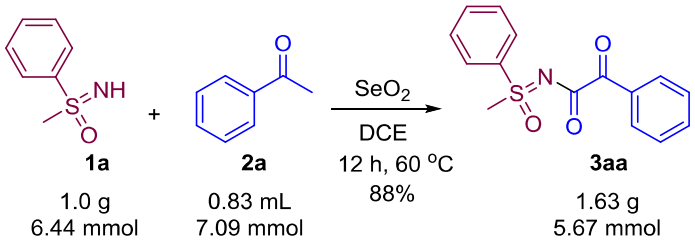
In continuation, coupling of sulfoximine **1a** with different phenylacetylenes bearing alkyl and halo groups were investigated. These reactions provided the desired products in 75-80% yields under optimized conditions (Table **4.5**; **4aa-4ac**).

Table 4.5: α-Ketoacylation of *S*,*S*-methylphenylsulfoximine (**1a**) with arylacetylenes.[a,b]



[a]Reaction condition: *S*,*S*-Methylphenylsulfoximine (125 mg, 0.8 mmol, 1 eq.), arylacetylene (1.1 eq.), SeO_2 (180 mg, 2.0 eq.) and DCE (4 mL). [b]Isolated yields.

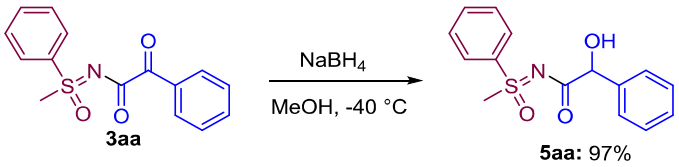
A gram-scale coupling reaction was conducted between sulfoximine (**1a**) and acetophenone (**2a**) under optimized conditions. This reaction provided the desired product in 88% yield (Scheme **4.3**). No significant effect on the yield was seen by increasing the reaction scale.



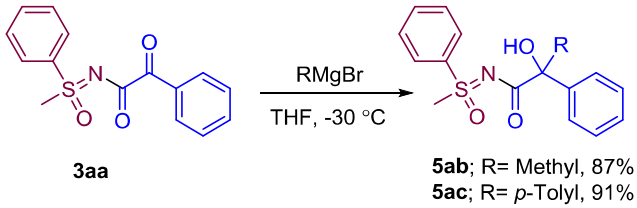
Scheme 4.3: Gram-scale coupling reaction

Having generated a library of α-ketoamides, some transformations of synthesized products were investigated. As shown in Scheme **4.4**, reduction of α-ketoamide **3aa** with sodium borohydride gave a diastereomeric mixture of α-hydroxy amide **5aa** (<5% de) in 97% yield. Further, α-ketoamide **3aa** was subjected to Grignard reaction with *p*-tolyl and methyl

magnesium bromides in THF. These reactions provided desired α-hydroxy amides **5ab** and **5ac** in 87% and 91% yields, respectively (Scheme **4.5**).



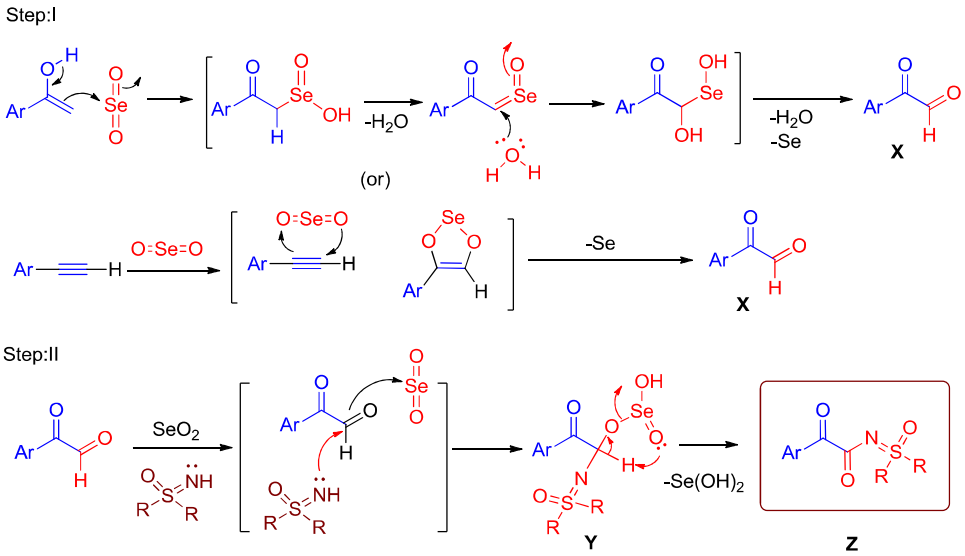
Scheme 4.4: Reduction of α-ketoamide **3aa** with $NaBH_4$.



Scheme 4.5: Grignard reaction of α-ketoamide **3aa**.

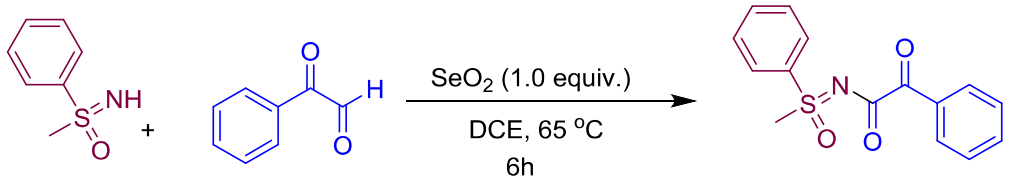
4.4 Plausible Reaction Mechanism

A plausible reaction mechanism is shown in scheme **4.6** [38-47]. In the first step, acetophenone or phenylacetylene gets oxidized to arylglyoxal (**X**) in the presence of stoichiometric amount of selenium dioxide *via* organoselenium intermediates. In the second step, arylglyoxal undergo oxidative amidation *via* intermediate **Y** to afford α-ketoamides **Z**.



Scheme 4.6: Plausible reaction mechanism.

Further, to shed light on the proposed mechanism, a coupling reaction of phenylglyoxal with sulfoximine was performed in the presence of 1.0 eq. of SeO_2. This reaction provides the desired product **3aa** in 97% yields within 6 hours indicating that mechanism of the reaction might proceed through arylglyoxal intermediate.



1a **3aa** (97%)

Scheme 4.7: α-Ketoacylation of sulfoximine with phenylglyoxal.

4.5 Conclusions

In conclusion, we have developed a practical method for the preparation of α-ketoamides of sulfoximines from *NH*-sulfoximine and acetophenone under mild conditions using selenium dioxide as an oxidant. Moreover, the optimized condition was found to be compatible for the α-ketoacylation of sulfoximines with phenylacetaldehyde and arylacetylenes. All the reactions proceeded at 60 °C in DCE and provided the desired α-ketoamides in good to excellent yields. This method does not require any additives, including bases. Selective reduction of ketone in α-keto *N*-acylsulfoximine with sodium borohydride, and Grignard reactions of α-keto *N*-acylsulfoximines provided α-hydroxy *N*-acylsulfoximines in good yields.

4.6 Experimental Section

4.6.1 Experimental procedure for the optimization table

S,S-Methylphenylsulfoximine (50 mg, 0.32 mmol), acetophenone (42.3 mg, 0.35 mmol), oxidants, additives and solvent (2 mL) were taken in a round bottom glass pressure tube and stirred at appropriate temperature. The progress of the reaction was monitored by thin-layer

chromatography. After completion of the reaction, 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 by column chromatography on on silica-gel (100-200 mesh) using a mixture ethyl acetate/hexane as eluent to obtain **3aa**. R_f (40 % EA/hexane) 0.41.

4.6.2 General Experimental procedure for the α-keto *N*-acylation of sulfoximines using acetophenone

Sulfoximine (0.8 mmol, 1 eq.), acetophenone (1.1 eq.), SeO_2 (2 eq.) and 1,2-dichloroethane (4 mL) were added into oven dried, N_2 purged 50 mL round bottom glass pressure tube and stirred at 60 °C on oil bath 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 by column chromatography on silica-gel (100–200 mesh) using a mixture of ethyl acetate/hexane as eluent to afford α-keto *N*-acyl sulfoximines .

4.6.3 Experimental procedure for the α-keto *N*-acylation of sulfoximines with phenyl acetaldehyde and phenyl acetylene

The reaction was carriedout as mentioned above procedure by using phenyl acetaldehyde (1.1 eq.) or phenyl acetylene (1.1 eq.), instead of acetophenone.

4.6.4 Experimental procedure for gram scale synthesis

S,S-Methylphenylsulfoximine **1a** (1.0 g, 6.44 mmol, 1 eq.), acetophenone (0.83 mL, 1.1 eq.), SeO_2 (1.45 g, 2 eq.) and 1,2-dichloroethane (10 mL) were added into oven dried, N_2 purged

100 mL round bottom glass vessel and heated at 65 °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 by column chromatography on silica-gel (100–200 mesh) using a mixture of ethyl acetate/hexane as eluent. The product was obtained as crystalline 1.63 g (88%).

4.6.5 Experimental procedure for reduction of 3aa with sodium borohydride

In an oven dried and N_2 purged long neck 50 mL round bottom flask, 125 mg of **3aa** was taken with 4 mL of dried MeOH and kept at -40 °C for 10 min. Further sodium borohydride (2 eq.) was added and allowed to stir at room temperature. After 2 h, the reaction mixture was diluted with ethyl acetate and washed with water and brine. The organic layer was dried over anhydrous sodium sulfate and evaporated to dryness. The crude product was further purified by column chromatography on silica-gel (100–200 mesh) using a mixture of ethyl acetate/hexane as eluent.

4.6.6 Experimental procedure for the Grignard reactions with 3aa

In an oven dried and N_2 purged long neck 50 mL round bottom flask, 125 mg of **3aa** was taken with 4 mL of dried THF solvent and cooled to -30 °C. Further Grignard reagent (2 eq.) was added dropwise under dry condition. After 30 min. the reaction temperature was brought to 0 °C and then to room temperature. The reaction mixture was stirred for overnight and was monitored by TLC. 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

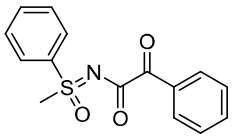
sulfate and evaporated to dryness. The crude product was further purified by column chromatography on silica-gel (100–200 mesh) using a mixture of ethyl acetate/hexane as eluent.

4.6.7 Experimental procedure for the α-keto *N*-acylation of sulfoximine 1a with phenylglyoxal

Sulfoximine (125 mg, 0.8 mmol, 1 eq.), phenylglyoxal monohydrate (122 mg, 1.0 eq.), SeO_2 (1 eq.) and 1,2-dichloroethane (4 mL) were added into oven dried, N_2 purged 50 mL round bottom glass pressure tube and stirred at 60 °C on oil bath 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 by column chromatography on silica-gel (100–200 mesh) using a mixture of ethyl acetate/hexane as eluent to afford **3aa** in 97% (223 mg) yield .

4.7 Analytical data for *N*-acyl sulfoximines

4.7.1 *N*-(2-Oxo-2-phenylacetyl)-*S*,*S*-methylphenyl sulfoximine (3aa):.

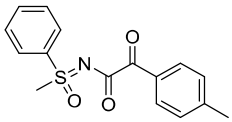


3aa

The reaction was carried out using 50 mg (0.32 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 87 mg, 94% yield, m.p. 102–105 °C, R_f 0.41 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.02 (ddd, J = 9.4, 7.9, 3.5 Hz, 4H), 7.72–7.64 (m, 1H), 7.65–7.53 (m, 3H), 7.43 (dd, J = 10.8, 4.8 Hz, 2H), 3.45 (s, 3H); **^{13}C**

NMR (125 MHz, $CDCl_3$) δ 190.2, 173.3, 137.6, 134.5, 134.2, 132.7, 130.1, 129.9, 128.7, 127.1, 44.8. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{14}NO_3S$ $[M + H]^+$ 288.0694; found 288.0688.

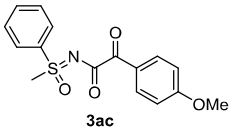
4.7.2 *N*-[2-Oxo-2-(4-methylphenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3ab):



3ab

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 193 mg, 80% yield, m.p. 160–165 °C, R_f 0.40 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.08 (dd, J = 8.5, 1.1 Hz, 2H), 7.95 (d, J = 8.2 Hz, 2H), 7.76–7.71 (m, 1H), 7.66 (dd, J = 11.0, 4.4 Hz, 2H), 7.28 (s, 1H), 7.27 (s, 1H), 3.49 (s, 3H), 2.42 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 189.9, 173.6, 145.5, 137.8, 134.5, 130.38 (d, J = 13.5 Hz), 130.0, 129.5, 127.3, 44.9, 21.9. **HRMS** (ESI-TOF) calcd. for $C_{16}H_{16}NO_3S$ $[M + H]^+$ 302.0851; found 302.0850.

4.7.3 *N*-[2-Oxo-2-(4-methoxyphenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3ac):

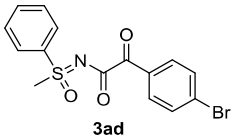


3ac

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 208 mg, 82% yield, m.p. 155–160 °C, R_f 0.33 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.06 (dd, J = 8.3, 0.9 Hz, 2H), 8.04–8.00 (m, 2H), 7.73–7.68 (m, 1H), 7.62 (dd, J = 10.6, 4.8 Hz, 2H), 6.99–6.88 (m, 2H), 3.86 (s,

3H), 3.46 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.9, 173.7, 164.6, 137.9, 134.4, 132.7, 130.0, 127.3, 125.8, 114.1, 55.6, 44.9. **HRMS** (ESI-TOF) calcd. for $C_{16}H_{16}NO_4S$ $[M + H]^+$ 318.0800; found 318.0795.

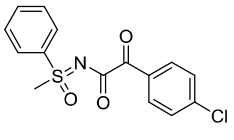
4.7.4 *N*-[2-Oxo-2-(4-bromophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3ad):



3ad

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 240 mg, 82% yield, m.p. 125–130 °C, R_f 0.45 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.05 (d, J = 8.1 Hz, 2H), 7.94–7.88 (m, 2H), 7.75–7.70 (m, 1H), 7.63 (dd, J = 10.6, 4.9 Hz, 2H), 7.62–7.57 (m, 2H), 3.47 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 189.0, 172.6, 137.6, 134.6, 132.1, 131.7, 130.0, 129.7, 127.2, 44.9. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}BrNO_3S$ $[M + H]^+$ 365.9800; found 365.9794.

4.7.5 *N*-[2-Oxo-2-(4-chlorophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3ae):

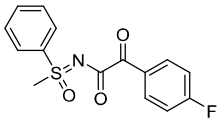


3ae

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 218 mg, 85% yield, m.p. 142–145 °C, R_f 0.43 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.04 (d, J = 7.4 Hz, 2H), 7.98 (dd, J = 8.6, 2.6 Hz, 2H), 7.70 (d, J = 7.4 Hz, 1H), 7.63 (t, J = 7.8 Hz, 2H), 7.42 (dd, J = 8.7, 2.1 Hz,

2H), 3.47 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.8, 172.7, 140.8, 137.6, 134.6, 131.6, 131.3, 130.0, 129.1, 127.2 44.8. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}ClNO_3S$ $[M + H]^+$ 322.0305; found 322.0290.

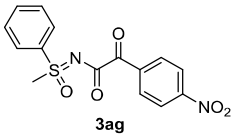
4.7.6 *N*-[2-Oxo-2-(4-flourophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3af):



3af

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 215 mg, 88% yield, m.p. 125–130 °C, R_f 0.4 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.10–8.03 (m, 4H), 7.75–7.69 (m, 1H), 7.67–7.60 (m, 2H), 7.16–7.09 (m, 2H), 3.47 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.5, 172.9, 166.5 ($J_{C\text{-}F}$=256.3 Hz), 137.7, 134.6, 133.0 (d, $J_{C\text{-}F}$ = 9.6 Hz), 130.0, 129.3 (d, $J_{C\text{-}F}$ = 2.9 Hz), 127.2, 116.0 ($J_{C\text{-}F}$= 22.6 Hz), 44.9. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}FNO_3S$ $[M + H]^+$ 306.0600; found 306.0595.

4.7.7 *N*-[2-Oxo-2-(4-nitrophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3ag):

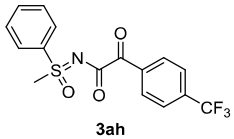


3ag

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 238 mg, 91% yield, m.p. 137–140 °C, R_f 0.38 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.33–8.26 (m, 2H), 8.24–8.17 (m, 2H), 8.05 (dt, J = 8.7, 1.7 Hz, 2H), 7.77–7.72 (m, 1H), 7.69–7.62 (m, 2H), 3.49 (s, 3H); **^{13}C**

NMR (125 MHz, $CDCl_3$) δ 188.0, 171.6, 150.8, 137.6, 137.3, 134.8, 131.3, 130.1, 127.1, 123.8, 44.9. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}N_2O_5S$ $[M + H]^+$ 333.0545; found 333.0533.

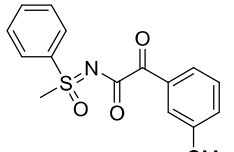
4.7.8 *N*-[2-Oxo-2-(4-trifluoromethylphenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (**3ah**):



3ah

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 238 mg, 89% yield, m.p. 120–123 °C, R_f 0.66 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.17 (d, J = 8.5 Hz, 2H), 8.09–8.05 (m, 2H), 7.78–7.71 (m, 3H), 7.66 (t, J = 7.8 Hz, 2H), 3.49 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.9, 172.3, 137.6, 135.8, 135.5, 135.2, 134.7, 130.6, 130.1, 127.3, 125.8 (q, $J_{C\text{-}F}$ = 3.8 Hz),, 45.0. **HRMS** (ESI-TOF) calcd. for $C_{16}H_{13}F_3NO_3S$ $[M + H]^+$ 356.0568; found 356.0571.

4.7.9 *N*-[2-Oxo-2-(3-methoxyphenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (**3ai**):

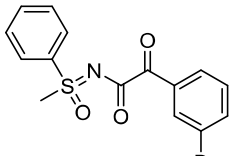


3ai

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 238 mg, 78% yield, R_f 0.36 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.05 (dd, J = 5.2, 3.4 Hz, 2H), 7.73–7.68 (m, 1H), 7.65–7.58 (m, 3H), 7.54 (dd, J = 2.5, 1.5 Hz, 1H), 7.35 (t, J = 7.9 Hz, 1H), 7.13 (ddd, J =

8.3, 2.7, 0.8 Hz, 1H), 3.82 (s, 3H), 3.46 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.1, 173.3, 159.8, 137.7, 134.5, 134.0, 130.0, 129.7, 127.2, 123.4, 121.4, 113.3, 55.5, 44.9. **HRMS** (ESI-TOF) calcd. for $C_{16}H_{16}NO_4S$ $[M + H]^+$ 318.0800; found. 318.0807

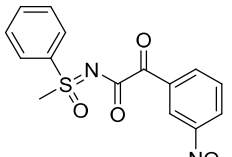
4.7.10 *N*-[2-Oxo-2-(3-bromophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3aj):



3aj

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 238 mg, 82% yield, R_f 0.42 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.13 (d, J = 1.4 Hz, 1H), 8.04 (d, J = 7.5 Hz, 2H), 7.95 (d, J = 7.8 Hz, 1H), 7.78–7.59 (m, 4H), 7.32 (t, J = 7.9 Hz, 1H), 3.46 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.5, 172.2, 137.4, 137.0, 134.61 (d, J = 9.9 Hz), 132.7, 130.2, 130.13 (d, J = 35.6 Hz), 128.8, 127.1, 122.8, 44.7. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}BrNO_3S$ $[M + H]^+$ 365.9800; found 365.9789.

4.7.11 *N*-[2-Oxo-2-(3-nitrophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3ak):

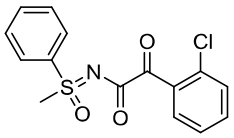


3ak

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 230 mg, 86% yield, m.p. 92–93 °C, R_f 0.35 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.87–8.81 (m, 1H), 8.47–8.34 (m, 2H), 8.09–8.03 (m, 2H),

7.77–7.72 (m, 1H), 7.67 (td, J = 7.7, 2.0 Hz, 3H), 3.50 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 187.4, 171.5, 148.3, 137.3, 135.8, 134.7, 134.4, 130.10 (d, J = 14.6 Hz), 128.2, 127.1, 124.9, 44.8. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}N_2O_5S$ $[M + H]^+$ 333.0545; found 333.0530.

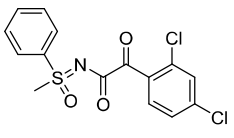
4.7.12 *N*-[2-Oxo-2-(2-chlorophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3al):



3al

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 203 mg, 79% yield, R_f 0.48 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.04 (d, J = 7.5 Hz, 2H), 7.74 (d, J = 7.6 Hz, 1H), 7.70 (t, J = 7.4 Hz, 1H), 7.62 (t, J = 7.7 Hz, 2H), 7.48–7.42 (m, 1H), 7.39 (d, J = 8.0 Hz, 1H), 7.35 (t, J = 7.5 Hz, 1H), 3.50 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.3, 171.4, 137.8, 134.47 (d, J = 11.5 Hz), 133.55 (d, J = 11.2 Hz), 131.8, 130.4, 129.9, 127.3, 127.0, 44.3. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}ClNO_3S$ $[M + H]^+$ 322.0305; found 322.0299.

4.7.13 *N*-[2-Oxo-2-(2,4-dichlorophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (3am):

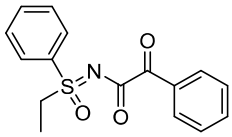


3am

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 230 mg, 81% yield, m.p. 140–145 °C, R_f 0.53 (40% EA: hex). The residue was isolated by silica-gel column chromatography

using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.08–7.98 (m, 2H), 7.73–7.67 (m, 2H), 7.62 (td, J = 8.1, 4.4 Hz, 2H), 7.44–7.39 (m, 1H), 7.36–7.30 (m, 1H), 3.48 (d, J = 4.4 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 189.2, 171.1, 139.3, 137.6, 134.61 (d, J = 6.5 Hz), 132.8, 132.6, 130.4, 129.9, 127.5, 127.2, 44.3. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{12}Cl_2NO_3S$ $[M + H]^+$ 355.9915; found 355.9910.

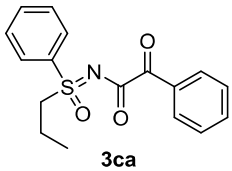
4.7.14 *N*-(2-Oxo-2-phenylacetyl)-*S*,*S*-ethylphenyl sulfoximine (3ba):



3ba

The reaction was carried out using 85 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 159 mg, 89% yield, R_f 0.46 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.03 (dd, J = 11.3, 8.2 Hz, 4H), 7.71 (t, J = 7.3 Hz, 1H), 7.62 (ddd, J = 31.1, 15.9, 7.7 Hz, 3H), 7.50–7.43 (m, 2H), 3.69–3.50 (m, 2H), 1.31 (t, J = 7.3 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.4, 173.5, 135.4, 134.5, 134.3, 132.9, 130.3, 129.9, 128.7, 128.1, 51.3, 7.0. **HRMS** (ESI-TOF) calcd. for $C_{16}H_{16}NO_3S$ $[M + H]^+$ 302.0851; found 302.0850.

4.7.15 *N*-(2-Oxo-2-phenylacetyl)-*S*,*S*-*n*-proylphenyl sulfoximine (3ca):

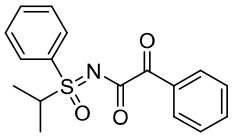


3ca

The reaction was carried out using 92 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 143 mg, 91% yield, R_f 0.71 (40% EA: hex).

The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.10–7.98 (m, 4H), 7.72–7.67 (m, 1H), 7.65–7.56 (m, 3H), 7.44 (dd, J = 10.7, 4.9 Hz, 2H), 3.52 (m, 2H), 1.85–1.66 (m, 2H), 0.98 (t, J = 7.5 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.3, 173.4, 136.0, 134.4, 134.2, 132.8, 130.2, 129.9, 128.7, 127.9, 58.1, 16.1, 12.6. **HRMS** (ESI-TOF) calcd. for $C_{17}H_{18}NO_3S$ $[M + H]^+$ 316.1007; found 316.1001.

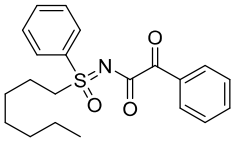
4.7.16 *N*-(2-Oxo-2-phenylacetyl)-*S*,*S*-*iso*-proylphenyl sulfoximine (3da):



3da

The reaction was carried out using 92 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 141 mg, 89% yield, m.p. 76–77 °C, R_f 0.64 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.05 (dt, J = 8.4, 1.4 Hz, 2H), 7.99 (dt, J = 8.6, 1.7 Hz, 2H), 7.74–7.69 (m, 1H), 7.66–7.61 (m, 2H), 7.61–7.56 (m, 1H), 7.48–7.44 (m, 2H), 3.77–3.66 (m, 1H), 1.44 (d, J = 6.8 Hz, 3H), 1.29 (d, J = 6.8 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.4, 173.5, 134.2, 134.1, 133.5, 132.8, 130.1, 129.6, 128.7, 128.6, 57.0, 15.6, 15.0. **HRMS** (ESI-TOF) calcd. for $C_{17}H_{18}NO_3S$ $[M + H]^+$ 316.1007; found 316.0996.

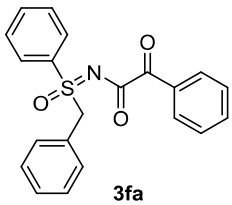
4.7.17 *N*-(2-Oxo-2-phenylacetyl)-*S*,*S*-*n*-heptylphenyl sulfoximine (3ea):



3ea

The reaction was carried out using 140 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 170 mg, 93% yield, R_f 0.35 (20% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.10–7.98 (m, 2H), 7.741(dd, J = 10.9, 3.9 Hz, 1H), 7.64 (t, J = 7.8 Hz, 2H), 7.59 (td, J = 7.5, 0.7 Hz, 1H), 7.46 (t, J = 7.7 Hz, 2H), 3.55 (dddd, J = 62.8, 14.1, 11.5, 4.9 Hz, 2H), 1.88–1.55 (m, 3H), 1.40–1.12 (m, 9H), 0.84 (t, J = 7.0 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.4, 173.4, 136.0, 134.36 (d, J = 19.3 Hz), 132.9, 130.3, 129.9, 128.7, 127.9, 56.5, 31.4, 28.6, 28.0, 22.5, 22.1, 14.0. **HRMS** (ESI-TOF) calcd. for $C_{21}H_{26}NO_3S$ $[M + H]^+$ 372.1633; found 372.1626.

4.7.18 *N*-(2-Oxo-2-phenylacetyl)-*S*-benzyl-*S*-phenyl sulfoximine (3fa):

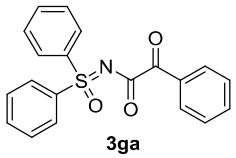


3fa

The reaction was carried out using 115 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 163 mg, 90% yield, m.p. 156–158 °C, R_f 0.77 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.06 (d, J = 7.3 Hz, 2H), 7.70 (d, J = 7.5 Hz, 2H), 7.65 (t, J = 7.5 Hz, 1H), 7.60 (t, J = 7.4 Hz, 1H), 7.48 (dd, J = 15.2, 7.4 Hz, 4H), 7.34 (t, J = 7.4 Hz, 1H), 7.24 (d, J = 7.6 Hz, 2H), 7.02 (d, J = 7.4 Hz, 2H), 4.95 (d, J = 13.8 Hz, 1H), 4.85 (d, J = 13.8 Hz, 1H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.4, 173.8, 134.64–134.24 (m), 132.8,

131.4, 130.3, 129.6, 129.4, 128.95–128.55 (m), 126.3, 63.0. **HRMS** (ESI-TOF) calcd. for $C_{21}H_{18}NO_3S$ $[M + H]^+$ 364.1007; found 364.1001.

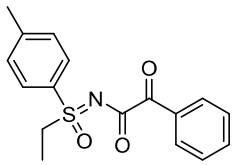
4.7.19 *N*-(2-Oxo-2-phenylacetyl)-*S*,*S*-diphenyl sulfoximine (3ga):



3ga

The reaction was carried out using 108 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 154 mg, 88% yield, R_f 0.75 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.08 (ddd, J = 7.1, 3.1, 1.9 Hz, 5H), 8.05 (d, J = 1.3 Hz, 1H), 7.63–7.52 (m, 7H), 7.48–7.44 (m, 2H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.2, 173.2, 138.9, 134.3, 133.9, 132.9, 130.3, 129.8, 128.7, 127.7. **HRMS** (ESI-TOF) calcd. for $C_{20}H_{16}NO_3S$ $[M + H]^+$ 350.0851; found 350.0848.

4.7.20 *N*-(2-Oxo-2-phenylacetyl)-*S*-ethyl-*S*-(4-methylphenyl) sulfoximine (3ha):

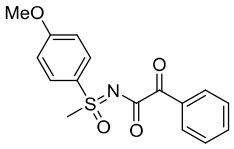


3ha

The reaction was carried out using 92 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 145 mg, 92% yield, R_f 0.39 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.06–8.02 (m, 2H), 7.88 (d, J = 8.3 Hz, 2H), 7.58 (t, J = 7.4 Hz, 1H), 7.45 (t, J = 7.8 Hz, 2H), 7.41 (d, J = 8.4 Hz, 2H), 3.56 (ddt, J = 25.3, 14.2, 7.2 Hz, 2H), 2.45 (s, 3H), 1.29 (s, 3H); **^{13}C NMR** (125 MHz,

$CDCl_3$) δ 190.4, 173.4, 145.7, 134.2, 132.9, 132.1, 130.5, 130.2, 128.7, 128.0, 51.3, 21.7, 7. **HRMS** (ESI-TOF) calcd. for $C_{17}H_{18}NO_3S$ $[M + H]^+$ 316.1007; found 316.1002.

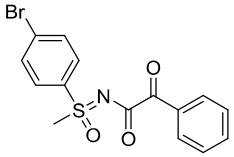
4.7.21 *N*-(2-Oxo-2-phenylacetyl)-*S*-methyl-*S*-(4-methoxyphenyl) sulfoximine (3ia):



3ia

The reaction was carried out using 92 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 148 mg, 95% yield, m.p. 131–133 °C, R_f 0.38 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.02 (dd, J = 8.1, 0.9 Hz, 2H), 7.99–7.93 (m, 2H), 7.58 (t, J = 7.4 Hz, 1H), 7.45 (t, J = 7.7 Hz, 2H), 7.09–7.03 (m, 2H), 3.87 (s, 3H), 3.45 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.4, 173.3, 164.4, 134.2, 132.8, 130.2, 129.5, 128.64 (d, J = 18.9 Hz), 115.2, 55.9, 45.2. **HRMS** (ESI-TOF) calcd. for $C_{16}H_{16}NO_4S$ $[M + H]^+$ 318.0800; found 318.0780.

4.7.22 *N*-(2-Oxo-2-phenylacetyl)-*S*-methyl-*S*-(4-bromophenyl) sulfoximine (3ja):

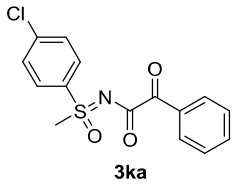


3ja

The reaction was carried out using 117 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 157 mg, 86% yield, m.p. 148–150 °C, R_f 0.61 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.04–7.97 (m, 2H), 7.91 (d, J = 8.7 Hz, 2H), 7.75 (d, J = 8.7 Hz, 2H), 7.59 (t, J = 7.4 Hz, 1H), 7.45 (t, J = 7.8 Hz, 2H), 3.45 (s,

3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.0, 173.2, 136.7, 134.4, 133.3, 132.6, 130.2, 130.0, 128.79 (d, J = 2.4 Hz), 44.8. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}BrNO_3S$ $[M + H]^+$ 365.9800; found 365.9794.

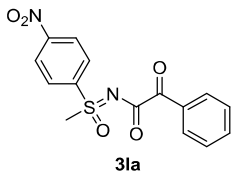
4.7.23 *N*-(2-Oxo-2-phenylacetyl)-*S*-methyl-*S*-(4-chlorophenyl) sulfoximine (3ka):



3ka

The reaction was carried out using 95 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 135 mg, 85% yield, m.p. 145 °C, R_f 0.57 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.06–7.91 (m, 4H), 7.62–7.53 (m, 3H), 7.44 (t, J = 7.8 Hz, 2H), 3.45 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.0, 173.1, 141.3, 136.1, 134.3, 132.6, 130.21 (d, J = 15.4 Hz), 128.7, 44.7. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}ClNO_3S$ $[M + H]^+$ 322.0305; found 322.0298.

4.7.24 *N*-(2-Oxo-2-phenylacetyl)-*S*-methyl-*S*-(4-nitrophenyl) sulfoximine (3la):

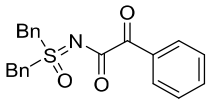


3la

The reaction was carried out using 100 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as viscous liquid, 135 mg, 82% yield, R_f 0.50 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.59–8.44 (m, 2H), 8.37–8.24 (m, 2H), 8.09–7.99 (m, 2H), 7.62 (t, J = 7.4 Hz, 1H), 7.52–7.46 (m, 2H), 3.51 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 189.6, 173.0, 151.3, 143.7, 134.6, 132.5, 130.3, 128.96 (d, J = 11.0 Hz), 125.2, 44.6. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}N_2O_5S$

$[M + H]^+$ 333.0545; found 333.0530.

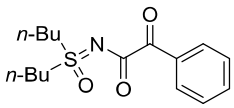
4.7.25 *N*-(2-Oxo-2-phenylacetyl)-*S*,*S*-dibenzyl sulfoximine (3ma):



3ma

The reaction was carried out using 122 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 168 mg, 90% yield, m.p. 107–108 °C, R_f 0.79 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.86 (d, J = 7.3 Hz, 2H), 7.56 (t, J = 7.4 Hz, 1H), 7.48–7.41 (m, 10H), 7.38 (t, J = 7.8 Hz, 2H), 4.80 (d, J = 13.7 Hz, 2H), 4.66 (d, J = 13.7 Hz, 2H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.5, 173.0, 134.2, 132.8, 131.5, 130.2, 129.9, 129.3, 128.6, 125.5, 57.5. **HRMS** (ESI-TOF) calcd. for $C_{22}H_{20}NO_3S$ $[M + H]^+$ 378.1164; found 378.1148.

4.7.26 *N*-(2-Oxo-2-phenylacetyl)-*S*,*S*-dibutyl sulfoximine (3na):

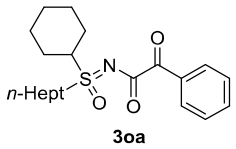


3na

The reaction was carried out using 89 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 148 mg, 95% yield, R_f 0.78 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.08–7.97 (m, 2H), 7.58 (t, J = 7.4 Hz, 1H), 7.45 (t, J = 7.7 Hz, 2H), 3.53 (ddd, J = 14.0, 10.2, 6.2 Hz, 2H), 3.42 (ddd, J = 13.9, 10.0, 6.2 Hz, 2H), 1.90–1.79 (m, 4H), 1.52–1.44 (m, 4H), 0.95 (t, J = 7.4 Hz, 6H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.5, 173.2, 134.2, 132.8, 130.0, 128.6, 51.7, 23.7, 21.6, 13.5. **HRMS** (ESI-TOF) calcd. for

$C_{16}H_{24}NO_3S$ $[M + H]^+$ 310.1477; found 310.1471.

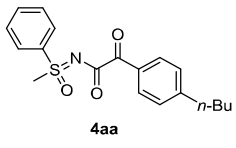
4.7.27 *N*-(2-Oxo-2-phenylacetyl)-*S*-cyclohexyl-*S*-*n*-heptyl sulfoximine (3oa):



3oa

The reaction was carried out using 123 mg (0.5 mmol) of sulfoximine according to general procedure. The title compound was obtained as colourless viscous liquid, 165 mg, 89% yield, R_f 0.3 (20% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.09–7.98 (m, 2H), 7.60 (t, J = 7.4 Hz, 1H), 7.47 (t, J = 7.7 Hz, 2H), 3.56 (m, 2H), 3.40 (m, 1H), 2.28 (m, 1H), 2.19 (m, 1H), 1.98 (m, 2H), 1.94–1.88 (m, 2H), 1.76 (m, 2H), 1.67–1.61 (m, 2H), 1.50–1.43 (m, 2H), 1.39–1.33 (m, 4H), 1.29 (m, 4H), 0.89 (t, J = 6.7 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.7, 173.3, 134.1, 133.0, 130.2, 128.7, 61.4, 48.6, 31.5, 28.8, 28.5, 25.43–25.09 (m), 24.9, 24.7, 22.6, 21.6, 14.1. **HRMS** (ESI-TOF) calcd. for $C_{21}H_{32}NO_3S$ $[M + H]^+$ 378.2103; found 378.2091.

4.7.28 *N*-[2-Oxo-2-(4-*n*-butylphenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (4aa):

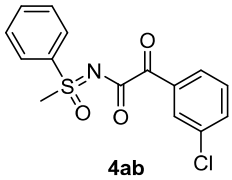


4aa

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 218 mg, 80% yield, m.p. 132–135 °C, R_f 0.58 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.11–8.02 (m, 2H), 7.95 (d, J = 8.2 Hz, 2H), 7.72 (t, J = 7.4 Hz, 1H), 7.63 (dd, J = 10.6, 4.8 Hz, 2H), 7.27 (s, 1H), 7.25 (s, 1H),

3.47 (s, 3H), 2.69–2.62 (m, 2H), 1.63–1.56 (m, 2H), 1.34 (dd, *J* = 15.0, 7.4 Hz, 2H), 0.91 (t, *J* = 7.4 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.0, 173.6, 150.4, 137.8, 134.5, 130.4, 130.0, 128.9, 127.3, 44.9, 35.9, 33.2, 22.4, 14.0; **HRMS** (ESI-TOF) calcd. for $C_{19}H_{22}NO_3S$ $[M + H]^+$ 344.1320; found 344.1315.

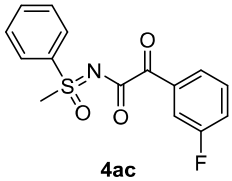
4.7.29 *N*-[2-Oxo-2-(3-chlorophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (4ab):



4ab

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as viscous liquid, 138 mg, 78% yield, R_f 0.52 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.10–8.05 (m, 2H), 8.02 (t, *J* = 1.8 Hz, 1H), 7.95–7.92 (m, 1H), 7.76–7.72 (m, 1H), 7.66 (dd, *J* = 10.6, 4.9 Hz, 2H), 7.56 (ddd, *J* = 8.0, 2.1, 1.0 Hz, 1H), 7.41 (t, *J* = 7.9 Hz, 1H), 3.48 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.7, 172.5, 137.6, 135.0, 134.63 (d, *J* = 17.5 Hz), 134.2, 130.09 (d, *J* = 8.5 Hz), 128.5, 127.3, 44.9. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}ClNO_3S$ $[M + H]^+$ 322.0305; found 322.0309.

4.7.30 *N*-[2-Oxo-2-(3-fluorophenyl)acetyl]-*S*,*S*-methylphenyl sulfoximine (4ac):

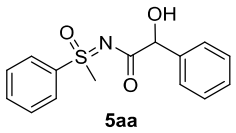


4ac

The reaction was carried out using 125 mg (0.8 mmol) of sulfoximine according to general procedure. The title compound was obtained as viscous liquid, 171 mg, 75% yield, R_f 0.48 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.07

(dd, J = 8.4, 1.1 Hz, 2H), 7.87–7.83 (m, 1H), 7.77–7.71 (m, 2H), 7.69–7.63 (m, 2H), 7.45 (td, J = 8.0, 5.4 Hz, 1H), 7.30 (tdd, J = 8.3, 2.6, 0.9 Hz, 1H), 3.48 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.8, 172.6, 162.8 (d, $J_{C\text{-}F}$=256.3 Hz), 134.9, 134.6, 130.51 (d, $J_{C\text{-}F}$= 7.7 Hz), 130.1, 127.3, 126.3, 121.4 (d, $J_{C\text{-}F}$= 21.7 Hz) , 121.3, 116.7 (d, $J_{C\text{-}F}$= 22.6 Hz), 44.9. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{13}FNO_3S$ [M + H]$^+$ 306.0600; found 306.0604.

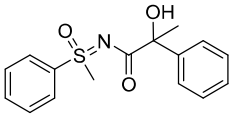
4.7.31 *N*-(2-hydroxy-2-phenylacetyl)-*S*,*S*-methylphenyl sulfoximine (5aa):



5aa

The reaction was carried out using 125 mg (0.43 mmol) of 3aa according to general procedure. The title compound was obtained as white solid, 122 mg, 97% yield, m.p. 115–118 °C, R_f 0.33 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.76 (d, J = 7.9 Hz, 1H), 7.64 (dd, J = 24.9, 7.4 Hz, 1H), 7.52 (ddd, J = 12.1, 11.2, 6.2 Hz, 3H), 7.44 (dd, J = 14.2, 7.1 Hz, 2H), 7.40–7.29 (m, 3H), 5.17 (d, J = 4.0 Hz, 1H), 3.23 (d, J = 51.3 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 181.1, 181.0, 140.30 (d, J = 16.0 Hz), 138.0, 137.5, 134.18 (d, J = 13.3 Hz), 129.71 (d, J = 3.1 Hz), 128.31 (d, J = 4.5 Hz), 127.8, 127.1, 127.02–126.80 (m), 77.19 (d, J = 32.0 Hz), 75.7, 75.5, 44.7, 44.0. **HRMS** (ESI-TOF) calcd. for $C_{15}H_{16}NO_3S$ [M + H]$^+$ 290.0851; found 390.0836.

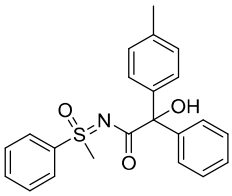
4.7.32 *N*-(2-hydroxy-2,2-methylphenylacetyl)-*S*,*S*-methylphenyl sulfoximine (5ab):



5ab

The reaction was carried out using 125 mg (0.43 mmol) of sulfoximine according to general procedure. The title compound was obtained as viscous liquid, 115 mg, 87% yield, R_f 0.48 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.73 (d, J = 8.1 Hz, 1H), 7.65 (dt, J = 17.7, 8.6 Hz, 3H), 7.54 (t, J = 8.7 Hz, 2H), 7.45 (t, J = 7.7 Hz, 1H), 7.35 (t, J = 7.6 Hz, 2H), 7.32–7.27 (m, 1H), 3.24 (d, J = 27.8 Hz, 3H), 1.85 (d, J = 26.0 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 183.8, 144.4, 134.23 (d, J = 10.2 Hz), 129.8, 128.1, 127.3, 127.1, 126.9, 125.90 (d, J = 19.9 Hz), 44.6, 44.0, 26.7, 26.3. **HRMS** (ESI-TOF) calcd. for $C_{16}H_{18}NO_3S$ [M + H]$^+$ 304.1007 found 304.0991.

4.7.33 *N*-(2-hydroxy-2,2-tolylphenylacetyl)-*S*,*S*-methylphenyl sulfoximine (5ac):



5ac

The reaction was carried out using 125 mg (0.43 mmol) of sulfoximine according to general procedure. The title compound was obtained as white solid, 150 mg, 91% yield, m.p. 132–135 °C, R_f 0.63 (40% EA: hex). The residue was isolated by silica-gel column chromatography using 20% ethyl acetate:hexane as an eluent. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.59 (dddd, J = 10.3, 7.3, 5.6, 4.1 Hz, 5H), 7.52–7.41 (m, 4H), 7.37–7.28 (m, 3H), 7.15 (t, J = 8.2 Hz, 2H), 3.25 (d, J = 8.5 Hz, 3H), 2.36 (d, J = 4.9 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 182.0, 143.6, 143.4, 140.6, 137.7, 137.12 (d, J = 4.4 Hz), 134.1, 129.6, 128.53 (d, J = 8.9 Hz), 128.10–127.64 (m), 127.40 (d, J = 2.1 Hz), 127.04 (d, J = 4.8 Hz), 82.2, 44.29 (d, J = 6.7 Hz), 21.14 (d, J = 1.8 Hz). **HRMS** (ESI-TOF) calcd. for $C_{22}H_{22}NO_3S$ [M + H]$^+$ 380.1320; found 380.1324.

4.8 Spectral Data of Product

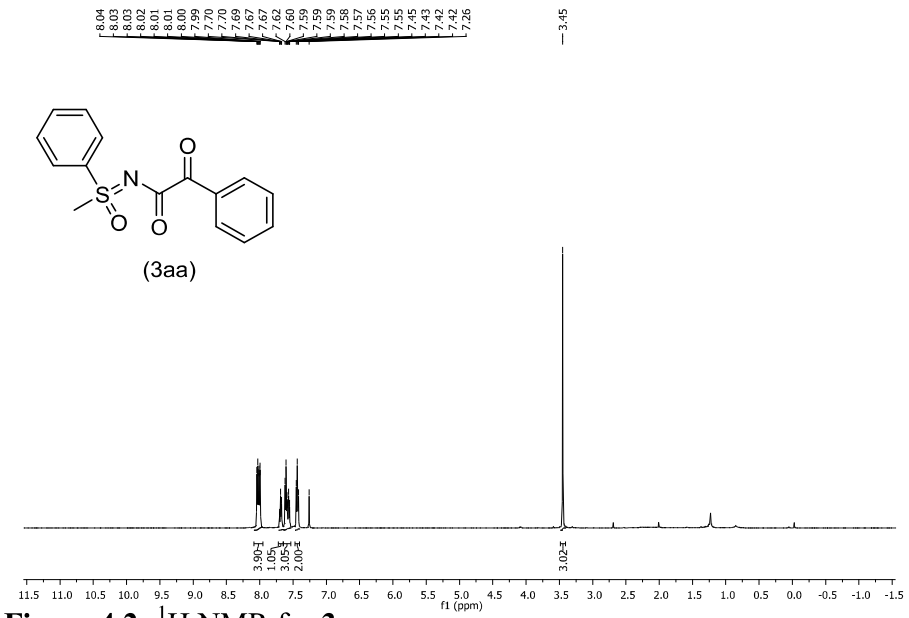


Figure 4.2: ^{1}H NMR for **3aa.**

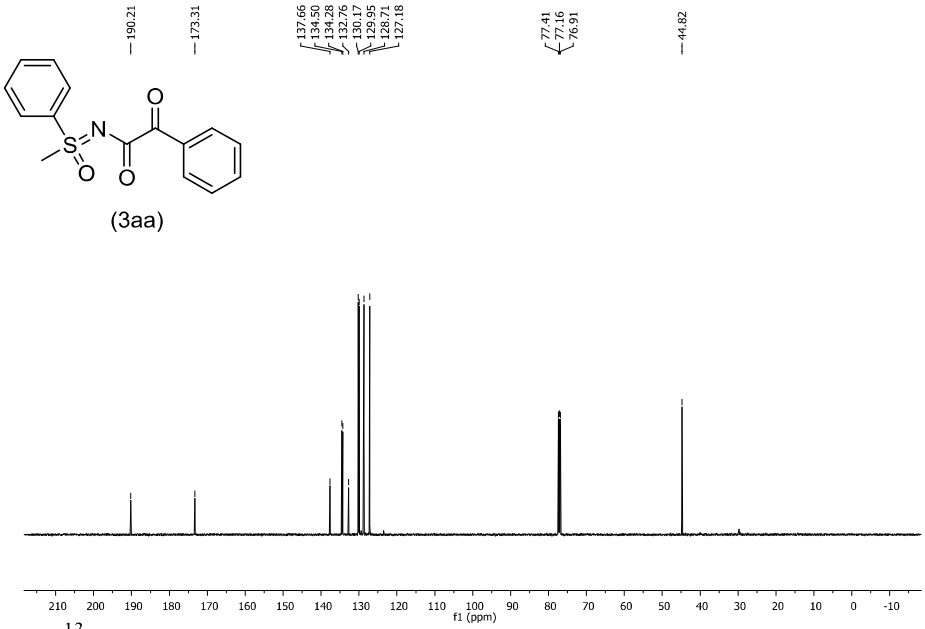


Figure 4.3: ^{13}C NMR for **3aa.**

4.9 References

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