Facile Synthesis of *N*—*H* Sulfoximines

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Sulfoximines[1] are tetracoordinate, hexavalent organosulfur compounds like sulfones and sulfone diimines[2], and some sulfoximines have interesting pharmacological properties[3]. However, their chemical reactivities have not been fully ex-

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plored yet, except for a few scattered utilizations in organic synthesis, e.g., as an alkylidene transfer reagent[4].

The following synthetic procedures for *N—H* sulfoximines have been reported; namely, oxidation of *N—H* sulfilimines[5], oxidative imination of sulfoxides[6], formation of sulfur-carbon linkages of sulfoximines[7], and desulfonylation of *N*-(arenesulfonyl)-sulfoximines[5a]. However, all these procedures have some drawbacks in large-scale preparation of all kinds of sulfoximines: e.g., it is difficult to prepare diarylsulfoximines directly from diarylsulfilimines, it is dangerous to use a large quantity of the toxic and explosive reagent hydrazoic acid in order to convert sulfoxides to sulfoximines. Recently, a simple procedure to prepare *N—H* diarylsulfilimines has been found by us[8] and hence the direct oxidation of these *N—H* sulfilimines with potassium permanganate to afford the corresponding *N—H* sulfoximines in good yields has become quite convenient[9]. However, this reaction is also somewhat inconvenient for a large-scale preparation because of the formation of a large amount of precipitate containing mainly manganese dioxide.

In this paper, we report a convenient and versatile procedure to prepare *N—H* aryl (or alkyl)-phenylsulfoximines **2** by treatment of the corresponding *N—H* sulfilimines **1** with sodium hypochlorite in a one-pot reaction. Treatment of the *N—H* sulfilimines **1** with sodium hypochlorite in aqueous methanol and then with aqueous sodium hydroxide results in the formation of the corresponding *N—H* sulfoximines **2** in high yields (Table).

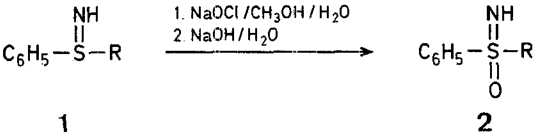

Table. *N—H* Aryl(or Alkyl)-Phenylsulfoximines **2a-f**

Product No.	R	Yield [%]	m.p. [°C]	Molecular formula[a] or Lit. m.p. [°C]	I.R. (KBr) ν [cm^{-1}]
2a	C_6H_5	100	103.0°	104°[8b]	3260, 1230, 1130, 1100, 1070, 985, 965
2b	4-Cl—C_6H_4	75	95.5-96.0°	$C_{12}H_{11}NOS$ (217.3)	3270, 1235, 1130, 1095, 1000, 980
2c	4-H_3C—C_6H_4	80	102.0-102.5°	101-102°[11]	3260, 1255, 1120, 1095, 980
2d	4-O_2N—C_6H_4	85	159.0-159.5°	$C_{12}H_{10}N_2O_3S$ (262.3)	3300, 1245, 1145, 1095, 1075, 990, 965
2e	2-H_3CO—C_6H_4	93	160.0-161.0°	$C_{13}H_{13}NO_2S$ (247.3)	3260, 1280, 1250, 1230, 1120, 1090, 1020, 975
2f	H_3C	71	34.0-35.0°	34-35°[11]	3230, 1220, 1095, 1005, 990

[a] Satisfactory microanalyses obtained: C ±0.42, H ±0.14, N ±0.27.

The structures of the products were determined by comparing their I.R. spectra and melting points with those of the authentic samples[8b,11,12]. This procedure is quite simple and useful for the preparation of sulfoximines **2** of all kinds since commercially available sodium hypochlorite can be used directly as the oxidant and there is no precipitate to be separated during the reaction.

Diphenylsulfoximine (2a); Typical Procedure:

To a methanol solution (20 ml) of *N—H* diphenylsulfilimine monohydrate (**1a**; 1.00 g, 4.6 mmol), 5% aqueous hypochlorite solution (7.0 g) is added and the mixture is kept standing for 24 h at room temperature. After the reaction is complete, the mixture is poured into water (50 ml) and the aqueous solution is extracted with chloroform (3 × 20 ml). The extract is washed with aqueous hydrochloric acid (6 molar, 30 ml), the water layer is separated, made alkaline with aqueous sodium hydroxide solution (40 ml), again extracted with chloroform (2 × 30 ml), and then the chloroform extract is dried with anhydrous magnesium sulfate. After the solvent has been removed in vacuo, *N—H* diphenylsulfoximine (**2a**) is obtained as a white precipitate which is then recrystallized from benzene; yield: 0.99 g (100%); m.p. 103 °C (Ref.[8b], m.p. 104 °C).

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[12] In the previous communication[10], we reported that *N*-chlorodiphenyl- and *N*-bromodiphenylsulfilimines were readily hydrolyzed with methanolic sodium hydroxide to afford *N—H* diphenylsulfoximines in high yields.