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# Synthesis of γ-Keto Sulfones through a Three-Component Reaction of Cyclopropanols, DABCO·$(SO_2)_2$ and Alkyl Halides

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**Abstract:** A route to γ-keto sulfones through a metal-free reaction of cyclopropanols, DABCO·(SO2)2 and alkyl halides is described. This reaction occurs under mild conditions in the absence of any catalysts, additives, or oxidants. Various functional groups including as ester, amino, methoxy, bromo, trifluoromethyl, nitro and carbonyl are tolerated well in this transformation, and the corresponding γ-keto sulfones are afforded in 35% to 95% yields. The proposed mechanism implies that this reaction proceeds through γ-keto sulfinate intermediate generated in situ, which further undergoes nucleophilic substitution with alkyl halides leading to γ-keto sulfones.

**Keywords:** Cyclopropanols; sulfur dioxide; three-component reaction; sulfonylation

Due to the outstanding functionality in organic synthesis,[1] medicinal chemistry[2] and material science,[3] sulfone motifs have attracted considerable attention in past decades. As one of the most important sulfone motifs, alkylsulfones can be found broadly in pharmaceuticals and bioactive molecules frequently. For instance, alkylsulfone **A** is an Alzheimer inhibitor,[4a] and alkylsulfone drugs have been used in clinical for the treatment of parasitic, psoriasis and herpes zoster (Figure 1).[4b–d] Traditionally, construction of alkylsulfones is based on the oxidation of thioether[5] or derivatization of alkyl sulfinate salts.[6] However, these methods often suffer from strong oxidants, toxic transition metal reagents and multiple steps, which result in poor functional group tolerance towards the preparation of diverse alkylsulfones.

In the past decade, significant progress has been witnessed in the preparation of sulfonyl compounds via the insertion of sulfur dioxide. **DABCO·(SO2)2** (1,4-diazabicyclo[2.2.2]octane-sulfur dioxide) and inorganic sulfites are easy-handling and cheap sulfur dioxide surrogates, which have been broadly applied in sulfonylation reactions.[7–9] Recently, we reported the synthesis of alkylsulfones through the insertion of sulfur dioxide with alkyl halides and organosilanes promoted by transition metal salts.[10] However, an equivalent amount of transition metal salt had to be utilized and high reaction temperature was necessary in the transformation. Therefore, developing mild and environmentally friendly methods for the construction of alkylsulfones is still highly desirable.

Because of the strained cyclopropane ring, cyclopropanols can undergo ring-opening reactions to afford alkyl substituted compounds. For instance, transition-metal catalyzed ring-opening reactions of cyclopropanols would give rise to β-alkyl carbonyl compounds via radical process.[11–12] In 2017, Kananovich and co-workers reported the synthesis of γ-keto sulfones via a copper-catalyzed oxidative sulfonylation reaction of cyclopropanols (Scheme 1a).[6b] Additionally, Huang and co-workers discovered that cyclopropanols could

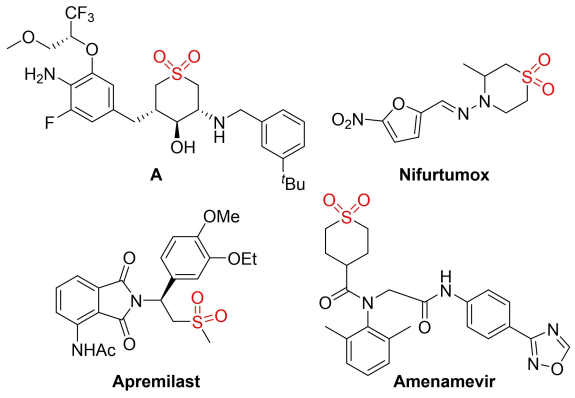
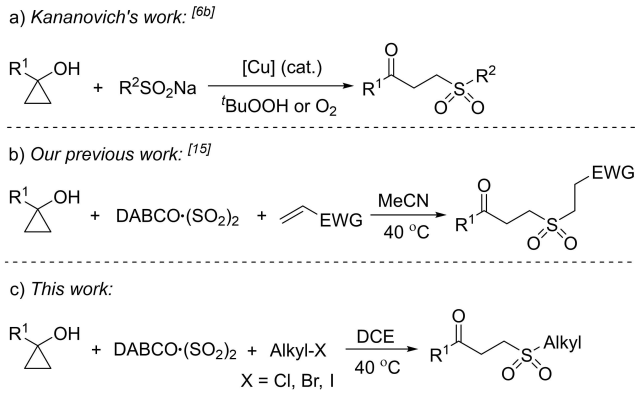



**Figure 1.** Alkylsulfone drugs and bioactive molecules.




**Scheme 1.** Synthesis of sulfones from cyclopropanols.

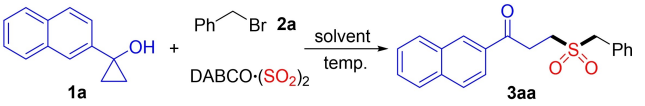
act as nucleophiles to react with electrophilic sulfonium-type intermediates leading to β-arylated ketones.[13]

On the other hand, sulfinates are synthetically useful building blocks for the synthesis of sulfonyl compounds.[14] Alkylsulfones could be easily prepared through nucleophilic substitution of alkyl sulfinates with alkyl halides under mild conditions. We recently described that the combination of cyclopropanols with sulfur dioxide would afford γ-keto sulfinate intermediates *in situ*, which would further react with electron-deficient olefins leading to γ-keto sulfones (Scheme 1b).[15] As our continuous interest in the construction of sulfonyl compounds, we hypothesized that alkyl sulfinate intermediates, generated *in situ* from the reaction of cyclopropanols with sulfur dioxide, would go through nucleophilic substitution with alkyl halides to produce alkylsulfones. Herein, we present an efficient route to γ-keto sulfones through a three-component reaction of cyclopropanols, DABCO·$(SO_2)_2$ and alkyl halides under mild conditions (Scheme 1c).

We started our initial evaluation by using naphthylcyclopropanol **1a**, DABCO·$(SO_2)_2$ and benzyl bromide **2a** as the model substrates. At the outset, this model reaction was performed in DCE (1,2-dichloroethane) at 40 °C for 12 hours in the absence of any metal-catalysts or additives (Table 1, entry 1). As expected, the desired alkylsulfone **3aa** was obtained in 50% yield. This result encouraged us for further exploration. Solvent evaluation showed that the yield of compound **3aa** was decreased when the reaction occurred in MeOH, MeCN, THF, 1,4-dioxane, or DMSO (Table 1, entries 2–6). Compound **3aa** could be obtained in 68% yield when the amount of benzyl bromide **2a** was changed to 3.0 equivalent (Table 1, entry 7). A better yield was observed when the reaction time was extended to 24 hours (77%, Table 1, entry 8). Gratifyingly, the desired product **3aa** could be isolated in 86% yield when the amount of DABCO·$(SO_2)_2$ was further changed to 1.5 equivalent, and the reaction time was extended to 36 hours (Table 1, entry 9). The result could not be improved when the reaction temperature was changed to rt or 60 °C (Table 1, entries 10–11).

After obtaining the optimized conditions, the substrate scope was then evaluated for this metal- and oxidant-free reaction of cyclopropanols, DABCO·$(SO_2)_2$ and alkyl halides. Initially, various alkyl halides were explored in the reaction of cyclopropanol **1a** and DABCO·$(SO_2)_2$. The result is shown in Table 2. It was found that a broad range of alkyl halides were compatible in this three-component reaction. Reactions of benzyl bromides with both

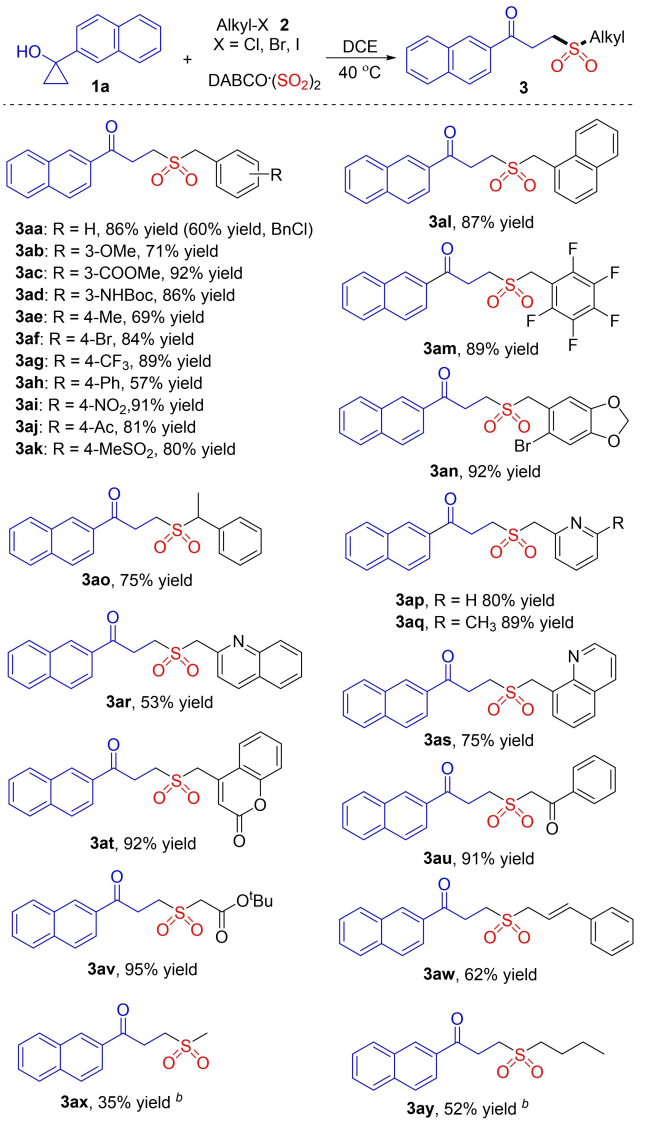
**Table 1.** Initial studies for the reaction of cyclopropanol **1a**, DABCO·$(SO_2)_2$ and benzyl bromide **2a**.[a]




| Entry | Solvent | t (h) | T (°C) | Yield (%)[b] |
|---|---|---|---|---|
| 1 | **DCE** | 12 | 40 | 50 |
| 2 | MeOH | 12 | 40 | 15 |
| 3 | MeCN | 12 | 40 | 27 |
| 4 | THF | 12 | 40 | 37 |
| 5 | 1,4-dioxane | 12 | 40 | 28 |
| 6 | DMSO | 12 | 40 | 3 |
| 7[c] | DCE | 12 | 40 | 68 |
| 8[c] | DCE | 24 | 40 | 77 |
| 9[d] | DCE | 36 | 40 | 86 |
| 10[d] | DCE | 36 | rt | 82 |
| 11[d] | DCE | 36 | 60 | 75 |

[a] Reaction conditions: DABCO·$(SO_2)_2$ (0.2 mmol), 1-naphthylcyclopropanol **1a** (0.2 mmol), benzyl bromide **2a** (0.4 mmol), solvent (2.0 mL), $N_2$.
[b] Isolated yield based on 1-naphthylcyclopropanol **1a**.
[c] Benzyl bromide (0.6 mmol) was used.
[d] DABCO·$(SO_2)_2$ (0.3 mmol) and benzyl bromide (0.6 mmol) were used.

**Table 2.** Metal- and oxidant-free reaction of cyclopropanol **1a**, DABCO·$(SO_2)_2$ and alkyl halides **2**.[a]

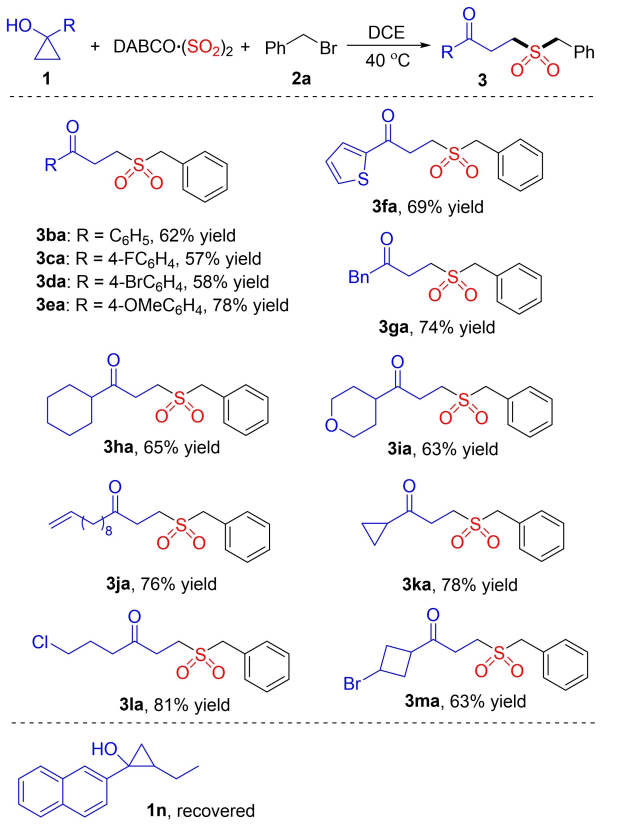



[a] Isolated yield based on cyclopropanol **1a**.
[b] Alkyl iodides were used.

electron-donating and electron-withdrawing groups substituted on the aromatic ring proceeded well under the standard conditions, affording the corresponding alkylsulfones in good to excellent yields (compounds **3aa**–**3an**). Product **3aa** was obtained in 60% yield when benzyl chloride was employed in this reaction as a replacement of benzyl bromide. Reaction of secondary alkyl bromide also worked well, giving rise to the corresponding product **3ao** in 75% yield. Heterocyclic-substituted alkyl bromides were found to be compatible in this transformation, and the expected products were generated in 53–92% yields (**3ap**–**3at**). Other type of alkyl halides, such as α-bromo carbonyl compounds were explored in this reaction subsequently. Both α-bromo ketone **2u** and α-bromo ester **2v** were workable, leading to the corresponding products **3au** and **3av** in 91% and 95% yields, respectively. Moreover, allyl bromide **2w** was a good partner as well in this transformation, and product **3aw** was obtained in 62% yield. Notably, reactions using alkyl iodides, such as methyl iodide and butyl iodide could achieve the desired products **3ax** and **3ay** in 35% and 52% yields, respectively.

Subsequently, various functionalized cyclopropanols were examined in this transformation. The results are presented in Table 3. It was showed that 1-phenylcyclopropanols bearing diverse functional groups including fluoro, bromo and methoxyl on the aromatic ring exhibited good reactivity (**3ba**–**3ea**). 1-Thiophenyl substituted cyclopropanol was workable as well in this reaction, giving rise to the corresponding product **3fa** in 69% yield. Moreover, alkyl-substituted cyclopropanols were applicable, leading to the desired alkylsulfones in 63–81% yields (**3ga**–**3ma**). Reactions of cyclopropanols with substitutions of olefin, cyclopropyl, chloroalkyl, and 3-bromo cyclobutyl were then explored, and the corresponding products **3ja**, **3ka**,

**Table 3.** Reaction of cyclopropanols **1**, DABCO·$(SO_2)_2$ and benzyl bromide **2a**.[a]

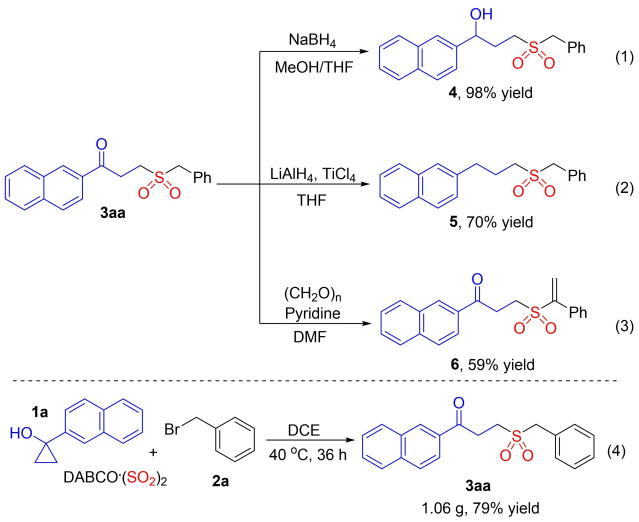



[a] Isolated yield based on cyclopropanol **1**.

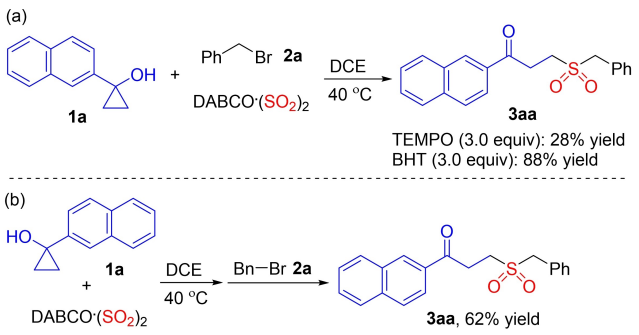
**3 la**, and **3 ma** were generated as expected. However, no reaction occurred when 2-ethyl-1-(naphthalen-2-yl) cyclopropan-1-ol was employed as the substrate in this reaction. Instead, the starting material of compound **1 n** was recovered.

The synthetic utility of this reaction was then investigated, and further modifications of alkylsulfone **3 aa** were performed. For example, reaction of compound **3 aa** with $NaBH_4$ afforded γ-hydroxyl sulfone **4** in 98% yield (Scheme 2, eqn 1). Treatment of compound **3 aa** with $LiAlH_4$ provided alkylsulfone **5** in 70% yield (Scheme 2, eqn 2). Vinyl sulfone **6** was generated in 59% yield when compound **3 aa** reacted with formaldehyde (Scheme 2, eqn 3). Moreover, a gram-scale reaction of cyclopropanol **1 a**, DABCO·$(SO_2)_2$ and benzyl bromide **2 a** was carried out, giving rise to product **3 aa** in 79% yield (Scheme 2, eqn 4).

Next, with our interest in the mechanism understanding, several control experiments were performed (Scheme 3). With the addition of 3.0 equivalent of




**Scheme 2.** Transformations of alkylsulfone **3 aa** and gram-scale reaction of cyclopropanol **1 a**, DABCO·$(SO_2)_2$ and benzyl bromide **2 a**.




**Scheme 3.** Control experiments.

TEMPO (2,2,6,6-tetramethyl-1-piperidinyloxy) or BHT (2,6-di-tert-butyl-4-methylphenol), reaction of naphthylcyclopropanol **1 a**, DABCO·$(SO_2)_2$ and benzyl bromide **2 a** afforded the corresponding product **3 aa** in 28% or 88% yield, respectively (Scheme 3, eqn a). HRMS data indicated that TEMPO acted as an oxidant in this transformation which oxidized γ-keto sulfinate to γ-keto sulfonate, resulting in the formation of compound **3 aa** in low yield (See Supporting Information). Furthermore, a stepwise reaction was carried out. The outcome showed that γ-keto sulfinate intermediate was generated *in situ* during the reaction process (as detected by HRMS. See Supporting Information), which could be further trapped by benzyl bromide **2 a** giving rise to the desired product **3 aa** in 62% yield (Scheme 3, eqn b). These results indicated that this transformation might not be a radical process. However, the pathway for the ring opening of cyclopropanol with DABCO·$(SO_2)_2$ leading to γ-keto sulfinate is currently unclear, but most likely undergoes heterolytic cleavage.

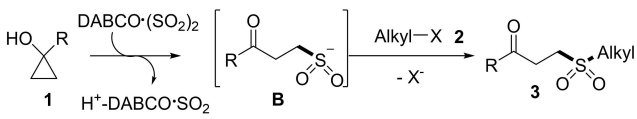
On the basis of previous report[15] and the mechanistic investigation shown in Scheme 3, a plausible reaction pathway was then proposed (Scheme 4). We reasoned that the combination cyclopropanol **1** with DABCO·$(SO_2)_2$ would result in the formation of γ-keto sulfinate **B**. This γ-keto sulfinate would further undergo nucleophilic substitution with alkyl halides **2** to produce the corresponding product **3**.

In summary, we have described a metal- and oxidant-free reaction of cyclopropanols, DABCO·$(SO_2)_2$, and alkyl halides under mild conditions. Diverse γ-keto sulfones are generated, and various types of alkyl halides are tolerated well in this transformation. A plausible mechanism is proposed, implying that during the reaction process, ring opening of cyclopropanol with DABCO·$(SO_2)_2$ might undergo heterolytic cleavage, and γ-keto sulfinate intermediate generated *in situ* is the key intermediate. Followed by nucleophilic substitution with alkyl halides, the corresponding γ-keto sulfones can be achieved efficiently.

## Experimental Section

### General experimental procedure for the reaction of cyclopropanols 1, (DABCO)·$(SO_2)_2$, and Alkyl halides 2

Alkyl halide **2** (0.6 mmol) was added to a mixture of cyclopropanol **1** (0.2 mmol) and DABCO·$(SO_2)_2$ (0.3 mmol) in DCE




**Scheme 4.** Plausible mechanism.

(2.0 mL) under $N_2$ atmosphere. The mixture was stirred at 40 °C for 36 h. After completion of reaction as indicated by TLC, the solvent was evaporated and the residue was purified directly by flash column chromatography ($CH_2Cl_2$/MeOH (v/v): 300/1 to 150/1) to give the corresponding product **3**.

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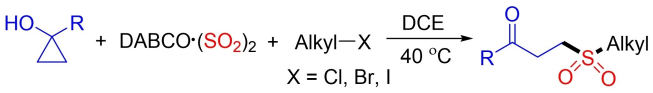
## UPDATES

Synthesis of γ-Keto Sulfones through a Three-Component Reaction of Cyclopropanols, DABCO·$(SO_2)_2$ and Alkyl Halides




- *metal-, additive- and oxidant-free pathway*
- *mild reaction conditions*
- *broad range of functional groups tolerance*

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