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# Communications

## Stabilized Sulfur Ylide-Mediated Cyclopropanations and Formal [4+1] Cycloadditions of 3-Acyl-2*H*-chromenones and its imines

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**Keywords:** Formal [4+1] Cycloaddition / Cyclopropanation / Stabilized Sulfur Ylide / 3-Acyl-2H-chromenone / Imine

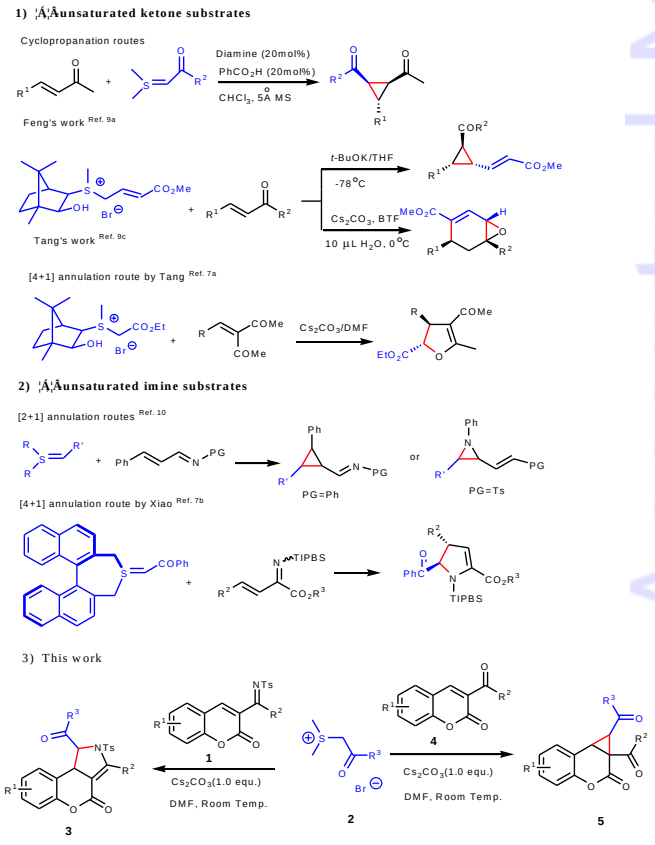
A convenient and efficient stabilized sulfur ylide-mediated cyclopropanation and formal [4+1] cycloadditions of 3-acyl-2*H*-chromenones and its imines are developed. This transformation takes advantages of mild condition, wide substrate scope and significant functional group tolerance as well as excellent regio-selectivity, which makes this method powerful for one-pot synthesis of highly functionalized cyclopropane- and dihydropyrrole-fused chromen-2-one derivatives.

## Introduction

Coumarin derivatives received continuing attention due to their versatile pharmaceutical activities,[1] such as anti-cancer,[1a,1b] antimicrobial,[1c,1d] antiinflammatory,[1e] selective human dopamine D4 antagonists,[1f] lipid peroxidation,[1g] aromatase,[1i] monoamine oxidases,[1j] and acetylcholinesterase inhibitors.[1k, 1l] Moreover, some of coumarin-fused heterocycles possess a variety of biological activities, which can be used as antiviral agents[2a], modules of protein tyrosine phosphatases[2b], modulators of MetAP2[2c] and flavorants[2d]. So, the methodology for the synthesis of novel coumarin-fused heterocyclic compounds attracts more attention of chemists.

Sulfur ylides have been identified as a versatile one-carbon synthons and are widely used in epoxidation,[3] cyclopropanation,[4] and aziridination [5]and other rearrangements for the preparation of three-membered carbo- and heterocycles. In all cases, the sulfur ylide initially attacks at an electrophilic carbon center (i.e., aldehyde, imine, or Michael acceptor) to form a zwitterionic intermediates, which undergoes an intramolecular nucleophilic displacement to generate an epoxide, an aziridine, or a cyclopropane, respectively. Recently, sulfur ylides mediated the domino reactions such as [4+1] and [3+3] cycloadditions were developed.[6-8] When α,β-unsaturated ketones were used as the substrates, in some cases, cyclopropane or cyclohexadiene epoxide derivatives are yielded[9]; However, in other cases, the formal [4+1] adducts are formed,[7a] which is depicted by Sun and Tang[6e], the success of these [4+1] routes over competitive [2+1] routes can be largely attributed to the steric hindrance at the reactive centers. As for α,β-unsaturated imine substrates, the aziridine or cyclopropane derivatives are yielded when unstable or semi-stabilized sulfur ylides were adopted[10]. To the best of our knowledge, there is only a few report in the formal [4+1] cycloaddition of α,β-unsaturated imines with stabilized sulfur ylides[7b].

As mentioned above, due to their versatile annulation routes and different regio-selectivities, sulfur ylides mediated [2+1] and [4+1] annulations of α,β-unsaturated ketones or imines can be applied in the synthesis of potential biologically active compounds. As part of our ongoing interest in developing concise, convenient and environmentally benign methods for the synthesis of important biologically active heterocycles,[11]




**Scheme 1**. Sulfur ylides mediated cyclopropanation and [4+1] annulation of α,β-unsaturated ketones and imines

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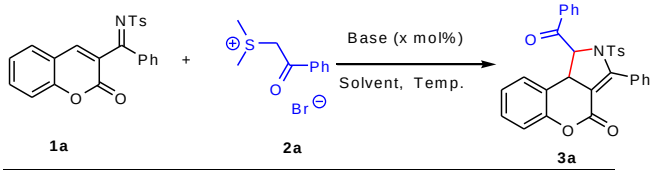
Supporting information for this article is available.

In this paper, we wish to report a highly regio-selective annulation between stabilized sulfur ylide with 3-acyl-2H-chromenones and its imines to structurally diverse cyclopropane- and dihydropyrrole-fused chromenone derivatives under mild conditions.

## Results and Discussion

We began our study by subjecting coumarin imine **1a** to sulfonium salt **2a** in the presence of 1.0 equiv. KOH at room temperature. To our delight, the reaction proceeded smoothly and gave [4+1] annulation product **3a** (yield: 34%) in 15 min. (Table 1, entry 1). Encouraged by this result, a variety of bases ($K_2CO_3$, $Cs_2CO_3$, $Et_3N$, DBU and *t*-BuOK) were screened (**Table 1**, entries 2-6), the results indicate that $Cs_2CO_3$ is the most suitable base for the [4+1] annulation. Lowering the amount of $Cs_2CO_3$ to 0.5 equiv. resulted in reducing the yield of **3a** (**Table 1**, entry 7). Subsequently, the effect of solvents on the [4+1] annulation was investigated (**Table 1**, entries 8-12), DMF was proved to be the best solvent and gave the desired product **3a** in the highest yield (**Table 1**, entry 11). However, raising or lowering the reaction temperature could not result in a higher yield of **3a** (**Table 1**, entries 13-15).

**Table 1**. Optimization of the reaction conditions for regio-selective synthesis of **3a**[a]



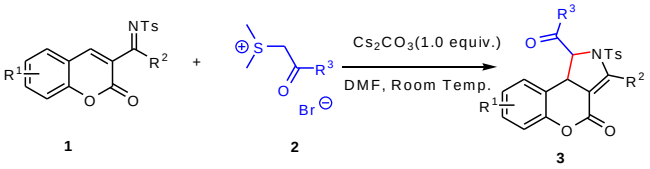

| Entry | Base (equiv.) | Solvent | Temp (°C) | Time (min.) | Yield (%)[b] |
|---|---|---|---|---|---|
| 1 | KOH (1.0) | $CH_2Cl_2$ | Room Temp. | 15 | 34 |
| 2 | $K_2CO_3$ (1.0) | $CH_2Cl_2$ | Room Temp. | 30 | 73 |
| 3 | $Cs_2CO_3$ (1.0) | $CH_2Cl_2$ | Room Temp. | 15 | 92 |
| 4 | $Et_3N$ (1.0) | $CH_2Cl_2$ | Room Temp. | 30 | 67 |
| 5 | DBU (1.0) | $CH_2Cl_2$ | Room Temp. | 30 | trace |
| 6 | *t*-BuOK (1.0) | $CH_2Cl_2$ | Room Temp. | 15 | 82 |
| 7 | $Cs_2CO_3$ (0.5) | $CH_2Cl_2$ | Room Temp. | 30 | 85 |
| 8 | $Cs_2CO_3$ (1.0) | toluene | Room Temp. | 15 | 72 |
| 9 | $Cs_2CO_3$ (1.0) | $CH_3CN$ | Room Temp. | 15 | 86 |
| 10 | $Cs_2CO_3$ (1.0) | THF | Room Temp. | 15 | 78 |
| 11 | $Cs_2CO_3$ (1.0) | DMF | Room Temp. | 15 | 95 |
| 12 | $Cs_2CO_3$ (1.0) | Ethanol | Room Temp. | 15 | 23 |
| 13 | $Cs_2CO_3$ (1.0) | DMF | -15°C | 30 | 75 |
| 14 | $Cs_2CO_3$ (1.0) | DMF | 0°C | 30 | 81 |
| 15 | $Cs_2CO_3$ (1.0) | DMF | 40°C | 15 | 88 |

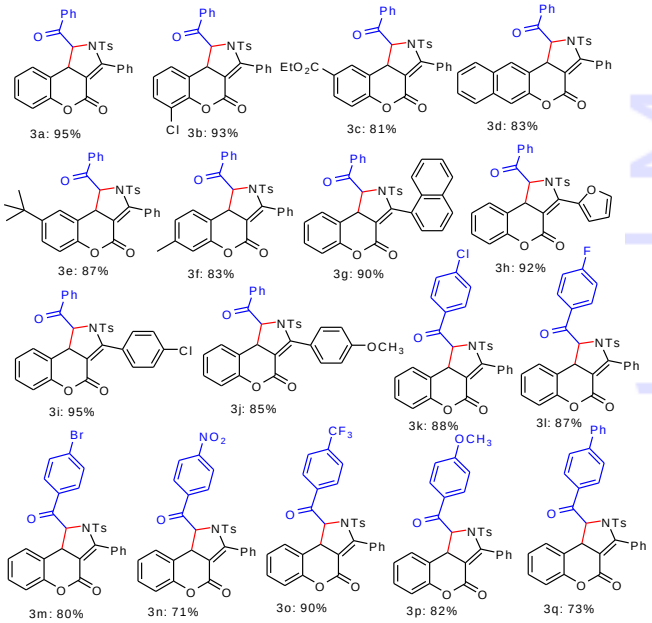
[a] Unless otherwise noted, the reaction condition is: under a $N_2$ atmosphere, **1a** (0.5 mmol), **2a** (0.55 mmol), an amount of base in the solvent (4.0 mL). [b] Isolated yield based on **1a**.

The optimized reaction conditions [imine (0.5 mmol), sulfonium salt (0.55 mmol), 1.0 equiv. of $Cs_2CO_3$ in 4 mL of DMF at room temperature under a $N_2$ atmosphere] were applicable to the formal [4+1] annulation of coumarin imine derivatives **1** with sulfonium salts **2** (Table 2). Firstly, it was found that a variety of $R^1$ substituted coumarin imine **1** bearing electron-donating ($CH_3$, *t*-Bu) or electron-withdrawing groups (Cl, $CO_2Et$) or different substituted pattern were found to be suitable substrates for the formal [4+1] annulation, gave the desired products **3a**~**3f** in good to excellent yields (**Table 2**, 81%~95% yields). It is worth noting that different $R^2$ substituted coumarin imines **1** are tolerated and gave the desired products **3g**~**3j** in 85~95% yields, irrespective of electron-donating ($OCH_3$, **3j**) or electron-withdrawing group substituted benzene ring (Cl, **3i**), or naphthyl or heteroaryl substituted (2-furyl) ones (**3g**, **3h**).

Encouraged by these results, we then evaluated the scope of sulfonium salts **2** in the formal [4+1] annulation. A series of sulfonium salts **2** bearing electron-donating ($OCH_3$, Ph) or electron-withdrawing groups substituted benzoyl (F, Cl, Br, $CF_3$, $NO_2$) are also suitable candidates for this annulation, affording the desired products **3k**~**3q** in good to excellent yields (71-90% yield).

**Table 2**. Substrate scope of sulphur ylide-mediated the formal [4+1] cycloaddition for the synthesis of **3** [a, b]



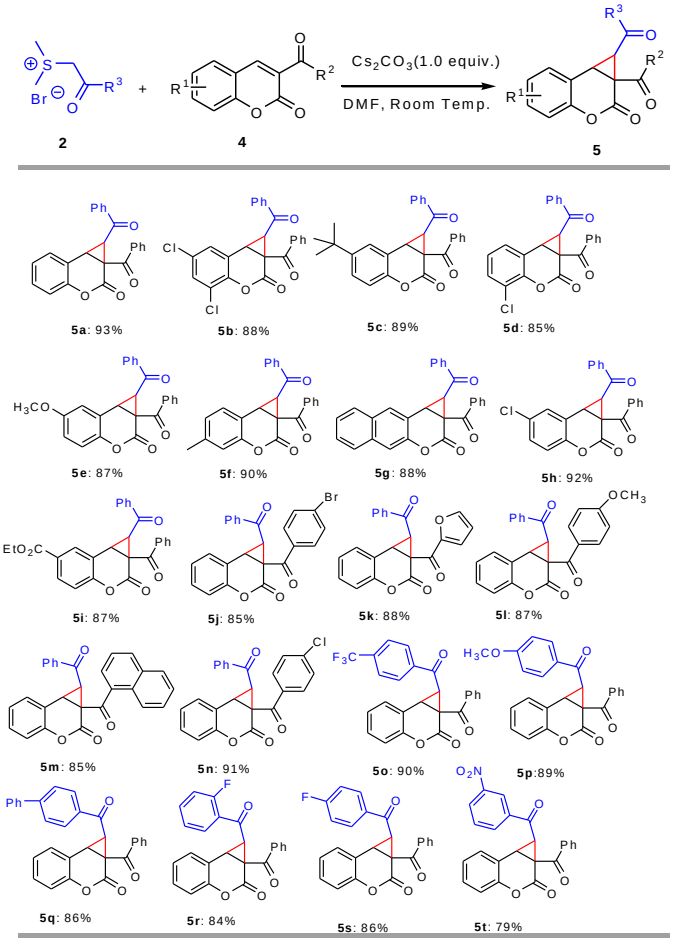




[a]Unless otherwise noted, reactions were carried out with **1** (0.50 mmol), **2** (0.55 mmol), $Cs_2CO_3$(0.5 mmol) in DMF (4.0 mL) at room temperature under $N_2$ atmosphere. [b]Isolated yield based on **1**.

Next, we turn our attention to the annulation of sulfonium salt **2a** and 3-benzoyl-2*H*-chromenone **4a**, to our surprise, the cyclopropanation products **5a** was obtained in 93% yield in the optimized reaction condition. Experiments that probed the generality of the cyclopropanations were also performed. As summarized in **Table 2**, the reaction displays a broad scope for sulfonium salts **2** and 3-acyl-2*H*-chromenones **4**, and excellent regio-selectivity are achieved. Firstly, various 3-acyl-2*H*-chromenones **4** having electron-rich (**Table 3**, **5c**, **5e**, **5f**), electron-neutral (**Table 3**, **5a** and **5g**) and electron-deficient (**Table 3**, **5b**, **5d**, **5h** and **5i**) $R^1$ substituents or different substituted pattern were

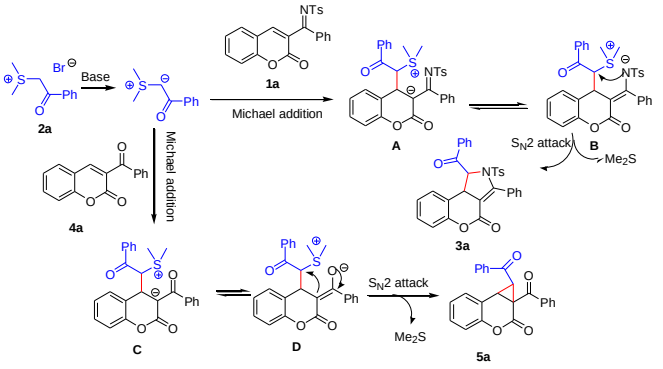
explored, the results indicated that the electronic nature of substituents have not remarkably affected the yields of the desired cyclopropanation products (**Table 3**, **5a**~**5i**, 85%~93% yields). Subsequently, substrates **4** with different $R^2$ substituents are also compatible for this annulations (**Table 3**, **5j**~**5n**), it is also worth noting that introduction of 2-furyl or naphthyl substitutents (**Table 3**, **5k** and **5m**) also furnish the desired products in excellent yields. Additionally, a variety of sulfonium salts were also investigated to be suitable substrates for this reaction. For instance, sulfonium salts with either an electron-donating or -withdrawing substituent at the para-position of the benzene ring react well in this process, affording products with 86-90% yields (**Table 3**, **5o**, **5p**, **5q**, **5s**). It is also worth noting that sulfonium salts bearing substituents at the ortho- or meta-position on the benzene ring were also well tolerated (**Table 3**, **5r** and **5t**).

**Table 3**. Substrate scope of sulphur ylide-mediated cyclopropanation for the synthesis of **5** [a, b]




[a]Unless otherwise noted, reactions were carried out with **4** (0.50 mmol), **2** (0.55 mmol), $Cs_2CO_3$(0.5 mmol) in DMF (4.0 mL) at room temperature under $N_2$ atmosphere. [b]Isolated yield based on **4**.

Based on our experimental results and the related literature, [12] a plausible reaction mechanism has been proposed (Scheme 2). For the formal [4+2] annulation, firstly, Michael addition of coumarin imine **1a** with Sulfur ylide, which formed from the deprotonation of the corresponding sulfonium salt, generated intermediate **A**, which could isomerize to **B** *via* a keto-enol tautomerism. Then, the formal [4+1] annulation product **3a** was obtained by the intramolecular nitrogen $S_N2$ attack with the release of dimethyl sulfide. Meanwhile, the cyclopropanation route also involves the Michel addition, keto-enol tautomerism, followed by the carbon $S_N2$ attack and the release of dimethyl sulfide.




**Scheme 2**. The plausible mechanism for the sulfur ylide-mediated cyclopropanation and formal [4+1] annulation

## Conclusions

In summary, we developed a convenient and efficient method for one-pot synthesis of highly functionalized cyclopropane- and dihydropyrrole-fused chromen-2-one derivatives *via* a stabilized sulfur ylide-mediated cyclopropanation and formal [4+1] cycloaddition with 3-acyl-2*H*-chromenones and its imine derivatives in moderate to excellent yields, respectively. A possible mechanism was suggested based on our experimental results and the related literature.

**Supporting Information** (see footnote on the first page of this article): General Remarks, General procedure and Copies of $^1H$, $^{13}C$ NMR spectra of all new products **3** and **5**.

## Acknowledgments

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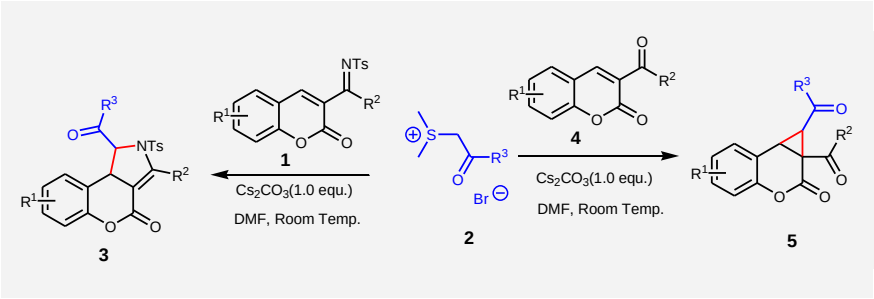
**((Key Topic))**




A convenient and efficient stabilized sulfur ylide-mediated cyclopropanation and formal [4+1] cycloadditions of 3-acyl-2*H*-chromenones and its imines are developed. This transformation takes advantages of mild condition, group tolerance as wide substrate scope and significant functional well as excellent regioselectivity, which makes this method powerful for one-pot synthesis of highly functionalized cyclopropane- and dihydropyrrole-fused chromen-2-one derivatives.

**Xiang-Suo Meng, Shan Jiang, Xiao-Yun Xu, Qiang-Xian Wu, Yu-Cheng Gu, De-Qing Shi***

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Stabilized Sulfur Ylide-Mediated Cyclopropanations and Formal [4+1] Cycloadditions of 3-Acyl-2H-chromenones and its imines

**Keywords:** Formal [4+1] cycloaddition / Cyclopropanation / Stabilized Sulfur Ylide / 3-Acyl-2H-chromenone / imine