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ARTICLE

An Efficient *t*-BuOK Promoted C3-Chalcogenylation of Indoles with Dichalcogenides

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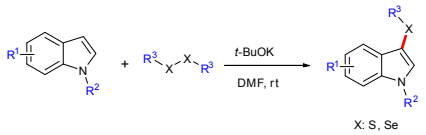
A versatile and efficient method for the synthesis of 3-chalcogenyl-indoles from indoles and dichalcogenides employing *t*-BuOK as promoter has been achieved at room temperature. The present protocol exhibited a broad functional group tolerance. Diverse 3-sulfenyl- and 3-selenyl-indoles were rapidly obtained in good to excellent yields with high regioselectivity. It is noteworthy that this transformation was applicable to *N*-protected and *N*-unprotected indoles, allowing *N*-deprotection and C3-chalcogenylation of indoles in one step.

Introduction

The substituted indole moiety is prevalent in numerous bioactive natural products, pharmaceuticals and functional materials.[1] Among a wide range of indole derivatives known, 3-chalcogenyl-indoles are currently attracting considerable interest since they show a broad spectrum of biological and pharmaceutical activities, which have a great therapeutic value in the treatment of cancer, HIV, obesity, bacterial infection, allergies, and heart diseases.[2,3] They are also used as potent inhibitors in tubulin polymerization.[4]

On account of the important applications of 3-chalcogenyl-indoles, a number of different synthetic methods have been established for constructing this heterocyclic scaffold. Generically, two major synthetic strategies are typically employed. The one route for the preparation of 3-chalcogenyl-indoles involves the cyclization reactions of 2-alkynylanilines or *N*, *N*-dialkyl-2-iodoanilines by using organochalcogen electrophilic species, which is mediated by transition-metal catalysts[5] or a stoichiometric amount of iodine reagents.[6] The alternative route is achieved by the direct chalcogenylation of a pre-existing indole ring because of its nucleophilic nature, which has been exhibited to be the more convenient method for the preparation of 3-chalcogenyl-indoles and extensively explored. In this regard, a number of different strategies were developed for the C3-chalcogenylation of indoles using copper,[7] palladium,[8] iron,[9] and magnesium[10] as catalysts. Some intriguing approaches for direct chalcogenylation of indoles at the C3-position were further accomplished under metal-free conditions, which are highly desirable due to the synthetic practice and friendly to the environment. Iodine,[11] persulfate,[12] potassium carbonate,[3f,13] sodium hydroxide,[14] selectfluor,[15] *N*-bromosuccinimide[16] were used as efficient promoters when dichalcogenides and thiols were employed as chalcogenylation agents. Furthermore, a variety of sulfenylation agents such as arylsulfonyl chlorides,[17] sulfonyl hydrazides,[18] quinone mono-*O*,*S*-acetals,[19] sulfinic acids,[20] and *N*-hydroxyl sulfonamides[21] were successfully used with the C3-sulfenylation of indoles, proceeding smoothly under metal-free conditions. Nevertheless, many of these methods frequently require high temperature, long reaction times, toxic reagents or excess additives, suffer from a poor substrate scope.[11-21] Therefore, the development of convenient and efficient routes to chalcogenylation of indoles at the C3-position under a mild condition with wide substrate scope is highly in demand.



Scheme 1. *t*-BuOK promoted C3-chalcogenylation of indoles.

Inspired by the aforementioned background[3f,7-21] and our continuous studies on the synthesis of indole derivatives,[22] we herein wish to describe the *t*-BuOK promoted regioselective chalcogenylation of indole derivatives at the C3-position with dichalcogenides. Notably, *N*-protected or *N*-unprotected indole derivatives were all suitable for this transformation, furnishing related products in a short reaction time (Scheme 1).

Results and discussion

We started our exploration focusing on the reaction between 1*H*-indole (**1a**) and diphenyl disulfide (**2a**). Initially, reaction

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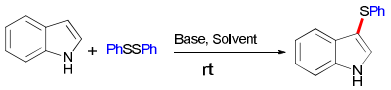
Table 1. Optimization of the reaction conditions.[a]



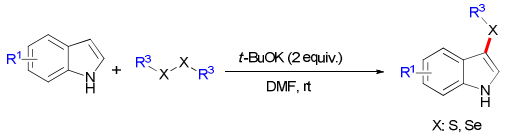
1a 2a 3a

Entry	Solvent	Base (equiv.)	Time (h)	Yield (%)[b]
1	DMSO	*t*-BuOK (2)	12	60
2	DCM	*t*-BuOK (2)	12	16
3	Toluene	*t*-BuOK (2)	12	17
4	THF	*t*-BuOK (2)	12	32
5	MeCN	*t*-BuOK (2)	12	48
6	EtOH	*t*-BuOK (2)	12	39
7	DMF	*t*-BuOK (2)	2	94
8	H_2O	*t*-BuOK (2)	12	trace
9	DMF	K_2CO_3 (2)	12	trace
10	DMF	Cs_2CO_3 (2)	4	87
11	DMF	K_3PO_4 (2)	12	38
12	DMF	LiOAc (2)	12	n.r.[c]
13	DMF	*t*-BuOLi (2)	12	23
14	DMF	NaOH (2)	4	90
15	DMF	KOH (2)	12	57
16	DMF	Et_3N (2)	12	n.r.[c]
17	DMF	DBU (2)	12	trace
18	DMF	*t*-BuOK (1.1)	12	62
19	DMF	*t*-BuOK (0.5)	12	41
20	DMF	-	12	n.r.[c]

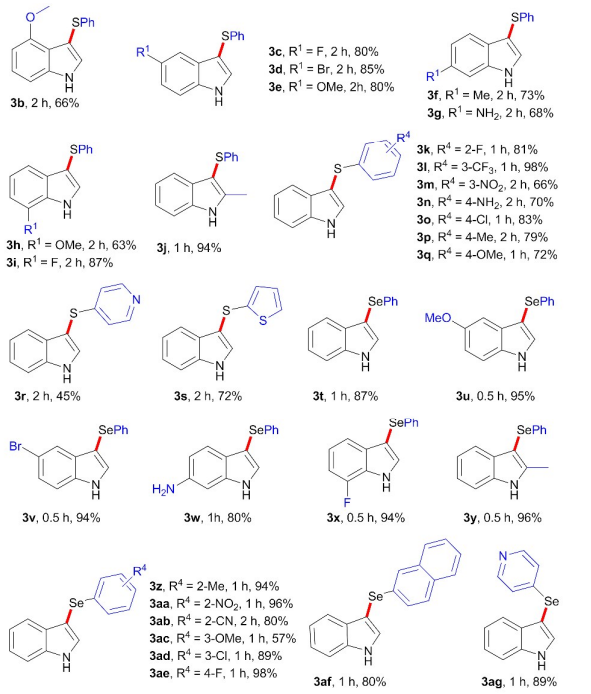
[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.22 mmol), base (equiv.) in solvent (2 mL) at room temperature. [b] Yield refers to isolated products after column chromatography. [c] No reaction was occurred.

was carried out in DMSO at room temperature under air, using *t*-BuOK (2 equiv.) as a promoter. To our delight, the desired product **3a** was obtained in 60% yield after 12 h (Table 1, entry 1). Encouraged by this result, the reaction was systematically evaluated under different reaction conditions to improve the yield of **3a**. At first, several solvents were tested (entries 2–8). It was found that DMF was the best solvent, affording the desired product in 94% yield in 2 h (entry 7). Other solvents did not improve the efficiency of the reaction. Furthermore, the use of water as the solvent, only trace amount of the corresponding product was detected (entry 8). Subsequently, reaction was investigated by replacement of *t*-BuOK with other common bases, including inorganic bases and organic bases (entries 9–17). K_2CO_3 and DBU gave desired product with trace amounts (entries 9, 17). No reactions occurred in the presence of LiOAc and Et_3N (entries 12, 16). Moreover, bases such as $CsCO_3$, K_3PO_4, *t*-BuOLi, NaOH, KOH, all decreased the yield of reaction in various degrees (entries 10, 11, 13–15). These results proved that *t*-BuOK was the best promoter for this transformation. Afterward, the amount of *t*-BuOK was further screened (entries 18–20). It was found that the yields of product decreased with reducing the amount of *t*-BuOK (entries 18, 19), no reaction was observed in the absence of *t*-BuOK (entry 20). Sulfenylation of indole was also explored with thiophenol, but the efficiency of reactions was lower compared with diphenyl disulfide (see the ESI). Therefore, the optimal conditions for the preparation of 3-sulfenyl-indoles

Table 2. Chalcogenylation of 1*H*-indoles and dichalcogenides.[a]



1 2 3



[a]Reaction conditions: **1** (0.2 mmol), **2** (0.22 mmol), *t*-BuOK (2 equiv.) in DMF (2 mL) at room temperature.

were obtained: 1,2-diphenyl disulfide (1.1 equiv.), *t*-BuOK (2 equiv.), in DMF (2 mL) at room temperature for 2 h (entry 7).

After optimization study on the model reaction, we explored the substrate scope and generality of this transformation. First of all, indole derivatives containing various electron-donating groups or electron-withdrawing groups at different positions of indole rings were examined with diphenyl disulfide under standard conditions. Generally, all of reactions proceeded very well, furnishing desired products with good to excellent yields in 2 h (Table 2, **3b**–**3j**). To our delight, substrates possessing halogens or amino groups were tolerated in this process, providing an opportunity for further elaboration of 3-sulfenyl-indole products which were generated (**3c**, **3d**, **3g**, **3i**). Interestingly, reaction of 2-methyl indole gave the corresponding 3-sulfenyl-indole with excellent yield in 1 h (**3j**). Furthermore, no desired product was detected when 3-methyl indole was subjected to this process. Subsequently, we evaluated the scope with respect to the disulfide reactant (**3k**–**3s**). It was found that diphenyl disulfide substrates bearing various substituents (F, CF_3, NO_2, NH_2, Cl, Me, OMe) at different positions of the phenyl ring worked well in the reaction, affording the desired products with good to excellent yields in 2 h (**3k**–**3q**). It is worth mentioning that the electron-

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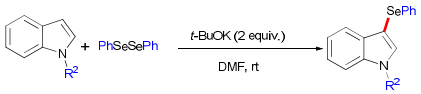
deficient 1,2-bis(2-(trifluoromethyl)phenyl)disulfane also gave the desired product **3l** in 98% yield in 1 h. Additionally, reactions of heterocyclic disulfides, such as pyridine, thiophene, proceeded smoothly, furnishing the corresponding products with moderate to good yields (**3r**, **3s**).

The developed protocol also can be applied for the preparation of 3-selenyl-indoles using various indole derivatives and diselenides. In general, the desired products were rapidly formed in moderate to excellent yields in 2 h, which was more efficient than the generation of 3-sulfenyl-indoles with regard to the yields and reaction times (**3t**–**3ag**). Indole derivatives or diselenides with diverse substitutents were all compatible with this transformation (**3u**–**3ae**). Additionally, investigation on diphenyl diselenide with ortho-substituents such as methyl group, nitro group, cynide group provided the products in good to excellent yields (**3z**–**3ab**).

Table 3. Selenation of *N*-protected indoles and diphenyl diselenide.[a]



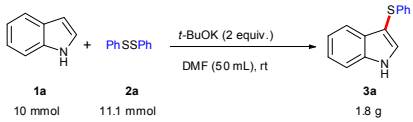
1 2x 3

Entry	Indole (R^2)	Time (h)	Product (R^2)	Yield (%)[b]
1	Pivaloyl (**1k**)	1.5	H (**3a**)	85
2	Benzoyl (**1l**)	1	H (**3a**)	88
3	Acetyl (**1m**)	6	H (**3a**)	73
4	Ts (**1n**)	2	H (**3a**)	51
5	Pyridinyl (**1o**)	12	H (**3a**)	36
6	Pyrimidinyl (**1p**)	12	H (**3a**)	38
7	Boc (**1q**)	8	Boc (**3ah**)	71
8	Methyl (**1r**)	12	n.d.[c]	-
9	Benzyl (**1s**)	12	n.r.[d]	-

[a]Reaction conditions: **1** (0.2 mmol), **2x** (0.22 mmol), *t*-BuOK (2 equiv.) in DMF (2 mL) at room temperature. [b]Yield refers to isolated products after column chromatography. [c]No product was detected. [d]No reaction was occurred.

Next, we turned our attention to explore the reaction of *N*-substituted indoles with diphenyl diselenide under optimized conditions (Table 3). Indoles with different *N*-protected groups were examined. To our delight, the reaction of indoles with *N*-protected groups such as pivaloyl, benzoyl, acetyl, Ts (*p*-toluenesulfonyl) afforded the 3-selenyl-indoles with the removal of protecting groups in moderate to good yields (Table 3, entries 1–4). Pyridinyl and pyrimidinyl protected indoles also gave 3-selenyl-indoles without protecting groups, the yields of the products were 36% and 38% respectively (entries 5, 6). These results indicated that selenation was triggered by deprotection in these cases. Therefore, the substituent is more easily removed by *t*-BuOK, the selenation is more efficient. Surprisingly, the reaction of Boc (tertbutoxyl carbonyl) protected indole provided the product **3ah** in 71% yield (entry 7). It is worth mentioning that the Boc group normally will suppress the C3-chalcogenylation of indoles due to its electron-withdrawing property. Additionally, when methyl and benzyl protected indoles were used as substrates for this transformation, almost no reactions occurred (entries 8, 9).

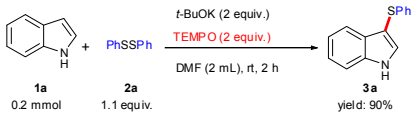
To investigate the synthetic utility of this process, gram-scale reaction was performed under the standard conditions. 10 mmol indole **1a** and 11.1 mmol diphenyl disulfide **2a** were subjected to the reaction in the presence of 20 mmol *t*-BuOK in 50 mL DMF at room temperature. After 6 h, the desired product was obtained in 81% yield, which demonstrated the practical application of this protocol to prepare 3-chacogenyl-indoles on gram-scale.



1a 2a 3a
10 mmol 11.1 mmol 1.8 g

Scheme 2. Scale-up reaction between **1a** and **2a**.

We also explored the mechanism of this process. A radical trapping experiment was conducted by addition of TEMPO (2,2,6,6-tetramethyl-1-piperidinyloxy) to reaction of indole **1a** and diphenyl disulfide **2a** under the optimized conditions (Scheme 3). Almost no influence on the formation of the desired product was observed, suggesting no radicals were involved in this transformation. Based on the above experimental results and previous relevant studies, [3f,7-21] it was proposed that 3-chalcogenyl-indoles were generated by base (*t*-BuOK) promoted nucleophilic attack of C3-position of indoles to dichalcogenides.



1a 2a 3a
0.2 mmol 1.1 equiv. yield: 90%

Scheme 3. Control experiments.

Conclusions

In summary, we have developed an efficient and convenient route for C3-chalcogenylation of indole derivatives with dichalcogenides at room temperature. This transformation features mild reaction conditions, short reaction times, good compatability with different functional groups as well as broad substrate scopes. Moreover, this protocol is also suitable for chalcogenylation of *N*-protected indoles, affording the corresponding products with or without protecting groups.

Experimental

A mixture of indole **1** (0.2 mmol), dichalcogenide **2** (0.22 mmol), *t*-BuOK (0.4 mmol), and DMF (2 mL) were added in a 5 mL glass tube, which was stirred at room temperature for 0.5–12 h. When the reaction was completed, it was mixed with water and ethyl acetate. The reaction mixture was extracted three times with ethyl acetate or dichloromethane. The combined organic layer was washed two times with a little amount of water, dried over anhydrous magnesium sulfate and filtered. The filtrate was evaporated under vacuum and the residue was purified by flash column chromatography on

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silica gel (elutig with petroleum ether-ethyl acetate) to provide the desired product **3**.

Conflicts of interest

There are no conflicts to declare.

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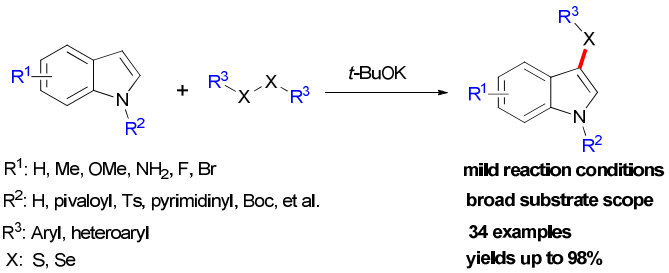

A practical route to prepare 3-sulfenyl- and 3-selenyl-indoles has been achieved using *t*-BuOK as a promoter at room temperature.