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REGULAR ARTICLE

Microwave-assisted one-pot quick synthesis of 1-monosubstituted 1,2,3-triazoles from arylboronic acids, sodium azide and 3-butyn-2-ols

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Abstract. Microwave-assisted one-pot quick synthesis to 1-monosubstituted 1,2,3-triazoles was achieved with good to excellent yields using the widely available arylboronic acids, sodium azide and 3-butyn-2-ols within 15 min. This method features high efficient and facile as organic azides, acetylene gas and harsh conditions were avoided.

Keywords. microwave-assisted; one-pot; 1-monosubstituted 1,2,3-triazole; 3-butyn-2-ols.

1. Introduction

1,2,3-Triazoles are an important kind of heterocyclics widely applied in many fields such as biological science,[1] material field,[2] medicinal chemistry[3] and synthetic methodologies.[4] Particularly in recent years, they have played increasingly important roles in clinical and commercial drugs such as IDO (indoleamine 2,3-dioxygenase) inhibitors,[5] antibiotics,[6] HDIs (histone deacetylase inhibitors)[7] and antiviral drugs (Figure 1).[8]

Though 1, 2, 3-triazoles were found more than 100 years ago, efficient strategies for the synthesis have been explored only in recent decades. The first method to construct the 1, 2, 3-triazole ring was Huisgen dipolar cycloaddition through the thermal process, giving 1,4- and 1,5-disubstituted regioisomers without regioselectivity.[9] At the beginning of this century, Sharpless[10] group achieved a copper-catalyzed 1,3-dipolar cycloaddition reaction (CuAAC) between terminal alkynes and azides, reaching the regioselective construction of the 1, 4-disubstituted 1, 2, 3-triazoles. This method is very vigorous and led to many other similar approaches subsequently.[11] Meanwhile, the synthesis for 1, 5-disubsituted 1, 2, 3-triazoles were reported, in which ruthenium, erbium, or base were usually applied as the catalyst.[12] Lately, 1, 4, 5-trisubsituted 1, 2, 3-triazoles[13] have been also synthesized mainly through a three-component system. While 1-monosubstituted 1, 2, 3-triazole derivatives, another particular branch of this heterocycles show various high values, mainly owing to its broad biological activities (Figure 1). Thus, the explorations for the constructions attract much attention recently. Acetylene gas is the most acknowledged substrate to serve as a partner of the CuAAC reaction with organic azides to generate 1-monosubstituted 1, 2, 3-triazoles, which was first reported by Liang group.[14] Additionally, acetylene derivatives (such as trimethylsilylacetylene, ethynyltributyltin, sodium acetylide, calcium carbide, propiolic acid, and propargyl alcohol) and vinyl compounds (such as vinyl acetate, vinyl ethers, vinyl amines, and vinyl sulfoxides) have been proved to be reliable alternatives to synthesize the products.[15] In most of the above methods, acetylene gas, volatile toxic organic azides and/or harsh conditions are involved, which leads to some drawbacks of safety concerns and/or inconvenience in the process of manipulations.

Enlightened by Jiang's recent work, in which 2-methyl-3-butyn-2-ol was employed as an excellent alkyne source for the construction of 1-monosubstituted 1,2,3-triazoles with organic azides,[15i] and by the reports that aryl boronic acid and sodium azide could

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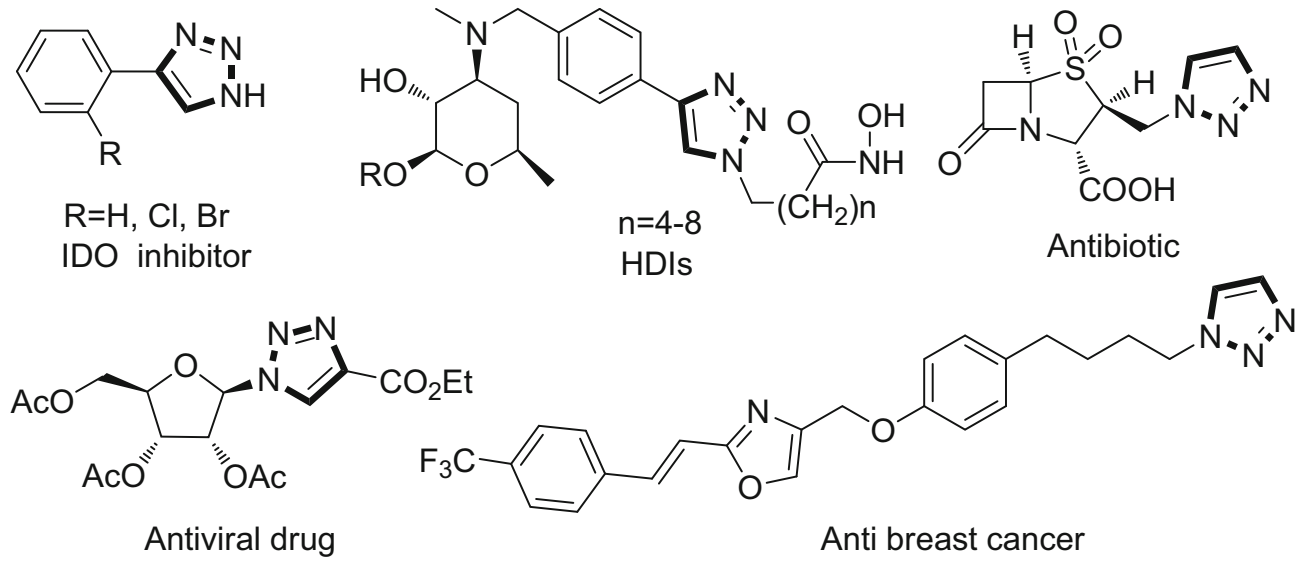


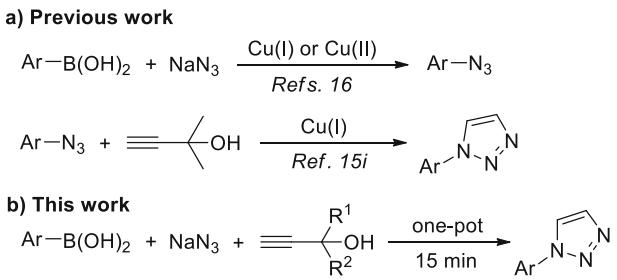
Figure 1. Examples of biology inhibitors.

serve to synthesize organic azides,[16] we envision these three starting materials could form the 1-monosubstituted 1,2,3-triazoles in a more safe and facile one-pot procedure (Scheme 1).

2. Experimental

2.1 *Materials and methods*

All commercially available reagents and solvent were obtained from the commercial providers (Aladdin and Bokachem, China) and used without further purification. All the reactions were conducted using CEM Discover-SP microwave instrument. NMR spectra were recorded with a Bruker ACF400 and ARX600 or 500 spectrometer in $CDCl_3$ with TMS as an internal standard. All reactions were monitored by TLC analysis with HuanghaiGF 254 silica gel-coated plates. Column chromatography was conducted using 300 to 400 mesh silica gel at medium pressure.



Scheme 1. 1-monosubstituted 1,2,3-triazoles constructions using 3-butyn-2-ols.

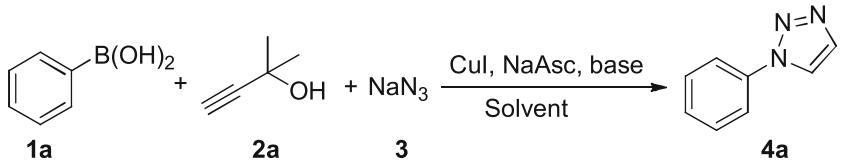
2.2 *General synthetic procedure*

Arylboronic acid **1** (0.3 mmol), but-3-yn-2-ol **2** (0.36 mmol), sodium azide **3** (0.36 mmol), KOH (0.9 mmol), CuI (0.015 mmol), NaAsc (0.03 mmol), and PhMe-H_2O (2 mL, 5:1 in volume) were added to a microwave reaction tube. The mixture was conducted under microwave at 80 °C for 15 min. After the reaction completed by TLC analysis, H_2O (25 mL) was added to the mixture and the system was extracted with EtOAc (3 × 20 mL). The combined organic layer was washed with brine (3 × 5 mL), dried with Na_2SO_4, and concentrated under reduced pressure to afford the crude product. Purification by column chromatography on silica gel with EtOAc-PE (1:5) afforded the desired product **4**.

3. Results and Discussion

In our initial study, phenylboronic acid (**1a**), 2-methylbut-3-yn-2-ol (**2a**), and sodium azide **3** were chosen as starting materials for optimization of the reaction conditions. 35% Yield of product 1-phenyl-1H-1,2,3-triazole **4a** was obtained when the reaction was catalyzed by CuI (5%)-NaAsc(10%), using KOH (2 equiv.) as the base, and PhMe-CH_3OH (1:3, V:V) as the solvent under 80 °C (Table 1, entry 1). The component ratio of the mixture solvent affected the reactions and PhMe-CH_3OH in 3:1 could deliver a yield of 53% (Table 1, entry 3). Replacing CH_3OH with H_2O in the solvent mixture could remarkably raise the yield and excellent yield of 78% was obtained when

Table 1 Optimization of reaction conditions[a]



Entry	Base (equiv.)	Solvent	Temp.	Time	**4a** (%)[b]
1	KOH (2)	Toluene / CH_3OH (1:3)	80 °C	12 h	35
2	KOH (2)	Toluene / CH_3OH (1:1)	80 °C	12 h	43
3	KOH (2)	Toluene / CH_3OH (3:1)	80 °C	12 h	53
4	KOH (2)	Toluene / H_2O (3:1)	80 °C	12 h	60
5	KOH (2)	Toluene / H_2O (4:1)	80 °C	12 h	66
6	KOH (2)	Toluene / H_2O (5:1)	80 °C	12 h	78
7	KOH (2)	Toluene / H_2O (6:1)	80 °C	12 h	75
8	KOH (3)	Toluene / H_2O (5:1)	80 °C	12 h	82
9	KOH (4)	Toluene / H_2O (5:1)	80 °C-MW	15 min	82
10	KOH (3)	Toluene / H_2O (5:1)	80 °C-MW	15 min	89
11	KOH (3)	Toluene / H_2O (5:1)	90 °C-MW	15 min	80
12	KOH (3)	Toluene / H_2O (5:1)	70 °C-MW	15 min	83
13	NaOH (3)	Toluene / H_2O (5:1)	80 °C-MW	15 min	63
14	CsOH (3)	Toluene / H_2O (5:1)	80 °C-MW	15 min	66
15	K_2CO_3 (3)	Toluene / H_2O (5:1)	80 °C-MW	15 min	40
16	KOH (3)	Toluene	80 °C-MW	15 min	–
17	KOH (3)	DMSO	80 °C-MW	15 min	42
18	KOH (3)	DMF	80 °C-MW	15 min	36
19[c]	KOH (3)	Toluene/H_2O (5:1)	80 °C-MW	15 min	60

[a]The reaction conditions are as follows: phenylboronic acid **1a** (0.3 mmol), 2-methylbut-3-yn-2-ol **2a** (0.36 mmol), sodium azide **3** (0.36 mmol), base, CuI (0.015 mmol), NaAsc (0.03 mmol), and solvent (2 mL).

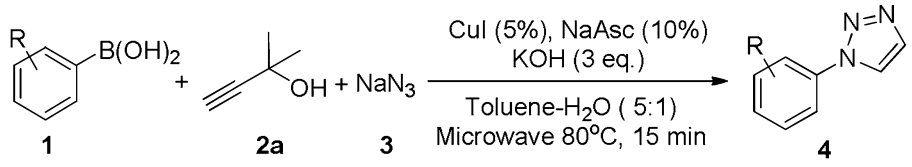
[b]Isolated yield.

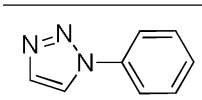
[c]Without sodium ascorbate.

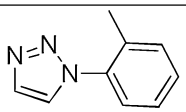
PhMe-H_2O in 5:1 was used (Table 1, entries 4–7). We then explored the loading amount of KOH and found the reaction preferred to 3 equivalents of KOH, generating an excellent 82% yield while overmuch base seemed no obvious effects (Table 1, entries 8–9). To our delight, the target molecule was remarkably increased to 89% yield when microwave (MW) was employed in a 15 min-assisting instead of traditional heating (Table 1, entries 10). Through microwave, the reaction temperature also had a distinct influence on this conversion and 90 °C or 70 °C is unfavorable to the combination (Table 1, entries 11–12). Bases like NaOH, CsOH and K_2CO_3 were also screened to be much less efficient (Table 1, entries 13–15). Other kinds of solvents including PhMe, DMSO and DMF only produced unsatisfactory yields (Table 1, entries 16–18). The sodium ascorbate played a vital role in the system as an obvious decline of the yield came out when the reaction was conducted without it (Table 1, entry 19).

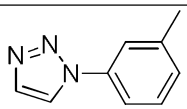
With the optimized conditions in hand, the one-pot processes were explored with a range of arylboronic acids (**1**) with 2-methylbut-3-yn-2-ol (**2a**) and sodium azide (**3**) in mixture solvent of $PhCH_3$-H_2O, generating 1-monosubstituted 1,2,3-triazoles (**4**) with good to excellent yields. As shown in Table 2, the reactions of arylboronic acid substrates containing electron-donating group (such as $–CH_3$, $–OCH_3$) or electron-withdrawing group (such as $–SO_2NH_2$, $–NO_2$, –F, –Cl, –Br) could all go smoothly (Table 2, **4a**–**4o**). It is worth noting that sulfoamido and -Br were well-tolerated in the system and a good yield was generated (Table 2, **2g**, **2o**), which affords potential applications of late-stage modifications. It was observed that electron-donating substituents are beneficial to this one-pot combination leading higher yields, and electron-

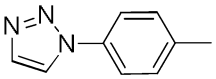
Table 2. Substrates scope[a,b]

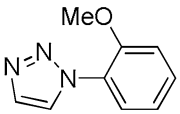



4a, 89%

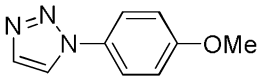

3b, 82%


4c, 86%

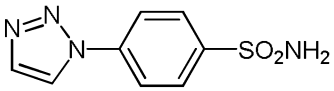

4d, 90%



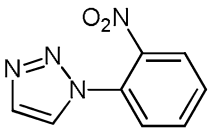
4e, 83%



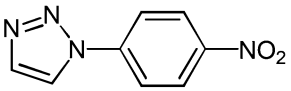
4f, 95%



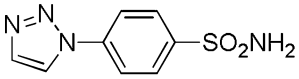
4g, 78%



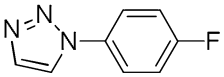
4h, 68%



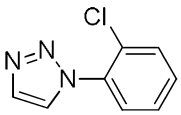
4i, 75%



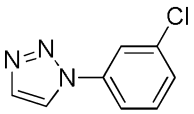
4g, 78%



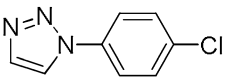
4k, 78%



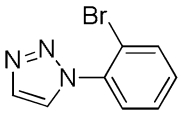
4l, 73%



4m, 75%



4n, 80%



4o, 72%

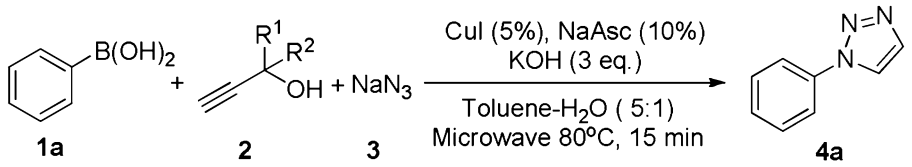
[a]Reaction conditions: The reaction conditions are as follows: arylboronic acid **1** (0.3 mmol), 2-methylbut-3-yn-2-ol **2a** (0.36 mmol), sodium azide **3** (0.36 mmol), KOH (0.9 mmol), CuI (0.015 mmol), NaAsc (0.03 mmol), and PhMe-H_2O (2 mL, 5:1 in volume) were mixed and stirred at 80 °C by microwave for 15 min. [b] Isolated yield.

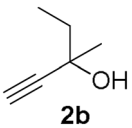
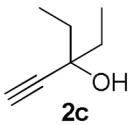
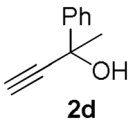
withdrawing groups seemed a bit unfavorable to this process (Table 2, **4b**–**4f** *vs* **4g**–**4o**). Moreover, yields from substrates with a substituent on *para*-position are higher than that from other cases (Table 2, **4d** *vs* **4b** and **4c**, **4f** *vs* **4e**, **4i** *vs* **4h**, **4k** *vs* **4j**, **4n** *vs* **4l** and **4n**).

Next, the scope of but-3-yn-2ols was explored as shown in Table 3. 3-Methylpent-1-yn-3-ol (**2b**), 3-ethylpent-1-yn-3-ol (**2c**) and 2-phenylbut-3-yn-2-ol (**2d**) could all undergo the transformation smoothly with phenylboronic acid (**1a**), delivering corresponding mono-substituted 1,2,3-triazole (**4a**). Notably, acetophenone **5** could be isolated when 2-phenylbut-3-yn-2-ol was employed as the acetylene source (Table 3, entry 3).

To gain further mechanistic insight into the reactions, some control experiments were carried out (Scheme 1). Firstly, *p*-tolylboronic acid **1d** and sodium azide **3** could smoothly combine into 1-azido-4-methylbenzene **6** with an excellent yield of 93% under the standard conditions (Scheme 2, Eq. 1). Meanwhile, the generated intermediate **6** and 2-methylbut-3-yn-2-ol **2** could form the target

Table 3. Substrates scope[a,b]

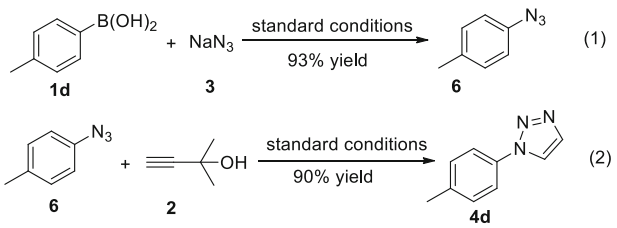


Entry	1	2	3[c]
2	 **2b**	 **2c**	 **2d**
Yield	78	74	82

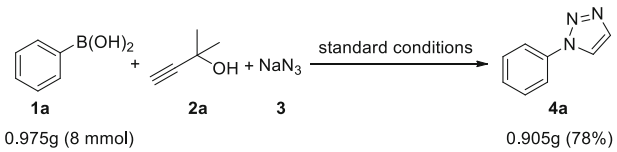
[a]Reaction conditions: The reaction conditions are as follows: phenylboronic acid **1a** (0.3 mmol), but-3-yn-2-ol **2** (0.36 mmol), sodium azide **3** (0.36 mmol), KOH (0.9 mmol), CuI (0.015 mmol), NaAsc (0.03 mmol), and PhMe-H_2O (2 mL, 5:1 in volume) were mixed and stirred at 80 °C by microwave for 15 min.

[b]Isolated yield.

[c]By-product of acetophenone **5** was isolated.



Scheme 2. Control experiments.



Scheme 3. Gram scale experiment.

molecule **4d** in a yield of 90% under the same conditions (Scheme 2, Eq. 2). It was implied that the aryl azide should generate firstly as the intermediate, which then undergoes a cycloaddition process to deliver the monosubstituted 1,2,3-triazole accompanied by releasing a molecule of ketone as a by-product.

To test the scalability of the current method, the gram scale reaction of phenylboronic acid **1a** (4.0 mmol, 1.079 g) as the starting materials was carried out under the standard conditions, and the product **2a** was isolated in 78% yield (Scheme 3).

4. Conclusions

In conclusion, we have demonstrated the microwave-assisted facile, quick and highly efficient one-pot synthesis of 1-monosubstituted 1,2,3-triazoles by using the widely available arylboronic acids, sodium azide and butyn-2-ols with good to excellent yields.

Supplementary Information (SI)

All the spectra are available at www.ias.ac.in/chemsci.

Acknowledgements

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