

# Copper-catalyzed CuAAC/intramolecular C–H arylation sequence: Synthesis of annulated 1,2,3-triazoles

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## Full Research Paper

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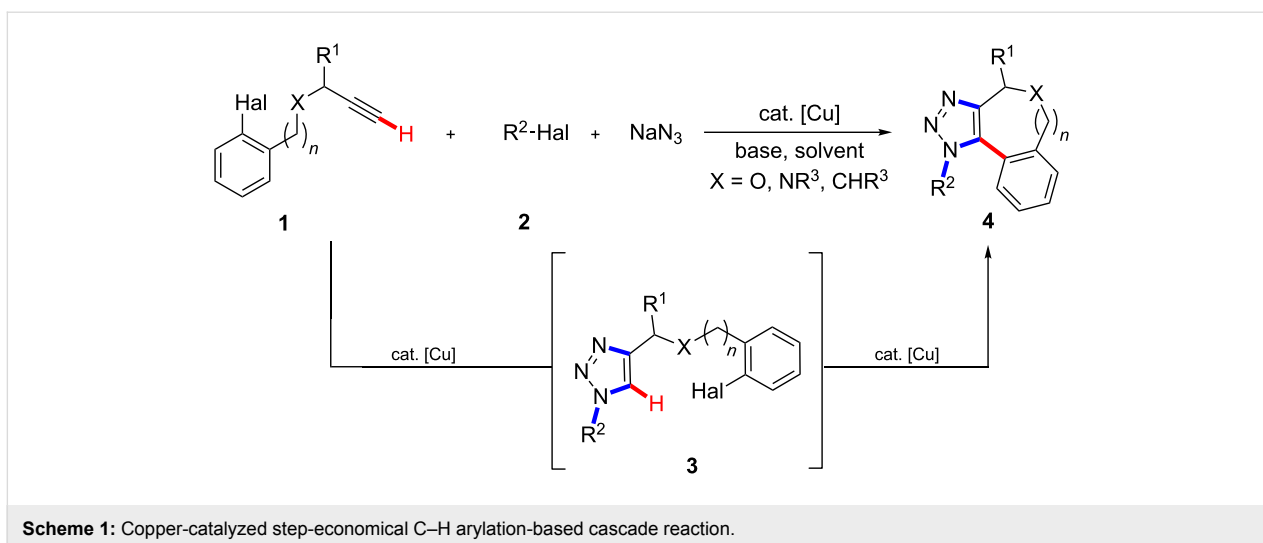
## Abstract

Step-economical syntheses of annulated 1,2,3-triazoles were accomplished through copper-catalyzed intramolecular direct arylations in sustainable one-pot reactions. Thus, catalyzed cascade reactions involving [3 + 2]-azide–alkyne cycloadditions (CuAAC) and C–H bond functionalizations provided direct access to fully substituted 1,2,3-triazoles with excellent chemo- and regioselectivities. Likewise, the optimized catalytic system proved applicable to the direct preparation of 1,2-diarylated azoles through a one-pot C–H/N–H arylation reaction.

## Introduction

Transition-metal-catalyzed C–H bond functionalizations are increasingly viable tools for step-economical syntheses of various valuable bioactive compounds [1-3], which avoid the preparation and use of preactivated substrates [4-16]. This streamlining of organic synthesis has predominantly been accomplished with palladium [4-16], rhodium [17-19] or ruthenium [20-22] complexes [4-16]. However, less expensive nickel, cobalt, iron or copper catalysts bear great potential for the development of economically attractive transformations [23-50]. In this context, we previously reported on the use of cost-effective copper(I) catalysts for direct arylations of 1,2,3-triazoles. Thus, we showed that intermolecular copper-catalyzed

C–H bond functionalizations could be combined with the Huisgen [51] copper(I)-catalyzed [52,53] [3 + 2]-azide–alkyne cycloaddition (CuAAC)[54], while C–H bond arylations of 1,2,3-triazoles were previously only accomplished with more expensive palladium [55-62] or ruthenium [63-66] catalysts. Notably, this strategy allowed for the atom-economical synthesis of fully substituted 1,2,3-triazoles in a highly regioselective fashion [54,67]. While the research groups of Rutjes [68] as well as Sharpless [69] elegantly devised alternative approaches exploiting 1-haloalkynes [70], we became interested in exploring a single [71-73] inexpensive copper catalyst for one-pot reaction sequences comprising a 1,3-dipolar cycloaddition



along with an intramolecular C–H bond arylation; in particular, because of the notable biological activities exerted by fully substituted 1,2,3-triazoles [74–88]. As a consequence, we wish to present herein novel cascade reactions, in which cost-effective copper(I) compounds serve as the catalyst for two mechanistically distinct transformations for the synthesis of fully substituted annulated 1,2,3-triazoles as well as for twofold N–H/C–H bond arylations. Notable features of our strategy include (i) the development of a chemoselective C–H arylation-based three-component reaction, as well as (ii) the use of inex-

pensive CuI for the formation of up to one C–C and three C–N bonds in a site-selective fashion (Scheme 1).

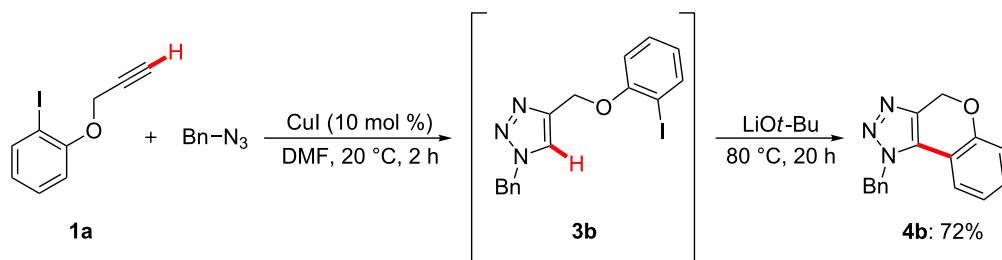
## Results and Discussion

We initiated our studies by exploring reaction conditions for the key copper-catalyzed intramolecular direct C–H bond arylation, employing substrate **3a** (Table 1). Notably, the envisioned C–H bond functionalization occurred readily with the aryl iodide **3a** when catalytic amounts of CuI were used, even at a reaction temperature as low as 60 °C, with optimal yields being obtained

**Table 1:** Optimization studies for the intramolecular direct arylation of triazole **3a**.<sup>a</sup>

entry	base	ligand	T [°C]	isolated yield [%]
1	LiOt-Bu	–	140	82
2	LiOt-Bu	–	120	97
3	LiOt-Bu	–	100	91
<b>4</b>	<b>LiOt-Bu</b>	–	<b>80</b>	<b>93</b>
5	LiOt-Bu	–	60	72
6	LiOt-Bu	–	20	<2 <sup>b</sup>
7	K <sub>3</sub> PO <sub>4</sub>	DMEDA	140	5 <sup>b</sup>
8	K <sub>3</sub> PO <sub>4</sub>	<i>N,N</i> -dimethylglycine	140	5 <sup>b</sup>
9	K <sub>3</sub> PO <sub>4</sub>	2,2-bipyridyl	140	4 <sup>b</sup>
10	K <sub>3</sub> PO <sub>4</sub>	1,10-phenanthroline	140	11

<sup>a</sup>General reaction conditions: **3a** (1.00 mmol), CuI (10 mol %), ligand (10 mol %), DMF (3.0 mL).  
<sup>b</sup>By <sup>1</sup>H NMR spectroscopy.



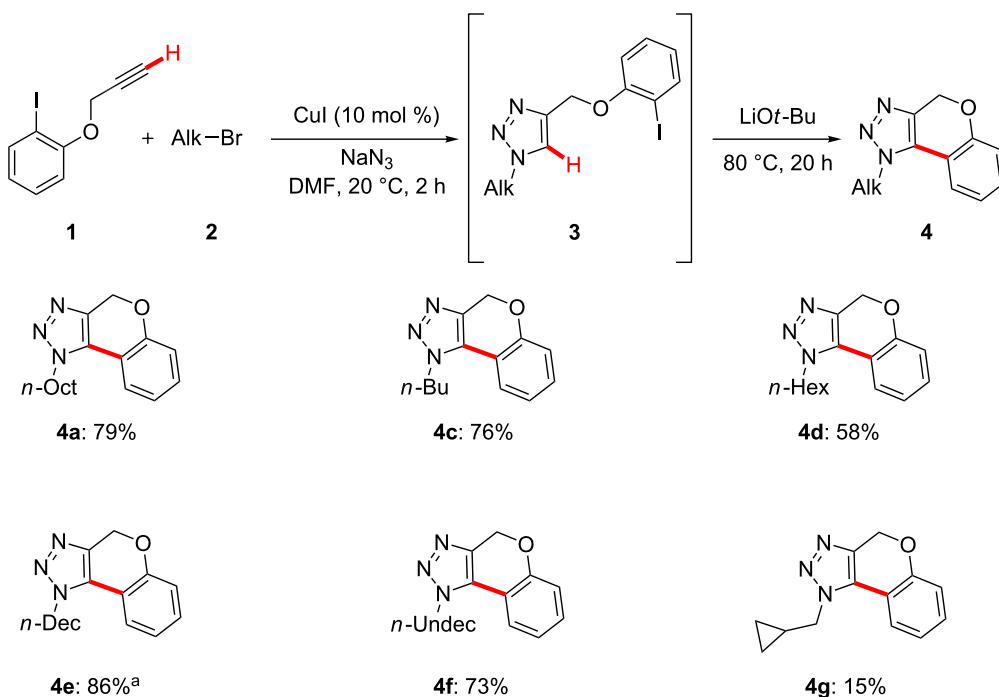
**Scheme 2:** Copper-catalyzed sequential catalysis with alkyne **1a**.

at 80 °C (Table 1, entries 1–6). While the transformation proceeded efficiently with LiOt-Bu as the stoichiometric base, K<sub>3</sub>PO<sub>4</sub> only led to unsatisfactory results, even when additional stabilizing ligands were used (Table 1, entries 7–10).

With optimized reaction conditions for the intramolecular direct arylation in hand, we tested the possibility of its implementation in a sequential synthesis of 1,4-dihydrochromeno[3,4-*d*][1,2,3]triazole (**4b**, Scheme 2). We were delighted to observe that the desired reaction sequence consisting of a copper-catalyzed 1,3-dipolar cycloaddition and an intramolecular C–H bond arylation converted alkyne **1a** to the desired product **4b** with high catalytic efficacy.

Subsequently, we explored the extension of this approach to the development of a chemoselective three-component one-pot reaction. Thus, we found that alkyl bromides **2** could be directly employed as user-friendly substrates for the in situ formation of the corresponding organic azides (Scheme 3). Notably, the catalytic system proved broadly applicable, and a variety of organic electrophiles **2**, thereby, delivered differently decorated *N*-substituted 1,4-dihydrochromeno[3,4-*d*][1,2,3]triazoles **4**.

Importantly, performing the one-pot reaction in a sequential fashion was not found to be mandatory. Indeed, our strategy turned out to be viable in a nonsequential manner by directly employing equimolar amounts of the three substrates. Hence,



**Scheme 3:** Copper-catalyzed reaction sequence using alkyl bromides **2**. General reaction conditions: **1** (1.00 mmol), **2** (1.00 mmol), NaN<sub>3</sub> (1.05 mmol), CuI (10 mol %), DMF (3.0 mL), LiOt-Bu (2.00 mmol); yields of isolated product. <sup>a</sup>60 °C in the first step.

inexpensive CuI allowed the direct assembly of aryl iodides **1**, alkyl bromides **2** and NaN<sub>3</sub> with excellent chemo- and regioselectivities (Scheme 4). Thereby, a variety of annulated 1,2,3-triazoles **4** were obtained, featuring six- or seven-membered rings as key structural motifs. It is particularly noteworthy that the copper-catalyzed transformation enabled the formation of one C–C and three C–N bonds in a chemoselective manner, and thereby provided atom- and step-economical access to annulated carbo- as well as O- and N-heterocycles.

Finally, we found that the catalytic system also proved to be applicable to the one-pot copper-catalyzed direct arylation of various azoles **5** through N–H/C–H bond cleavages with aryl iodides **6** as the organic electrophiles (Scheme 5).

## Conclusion

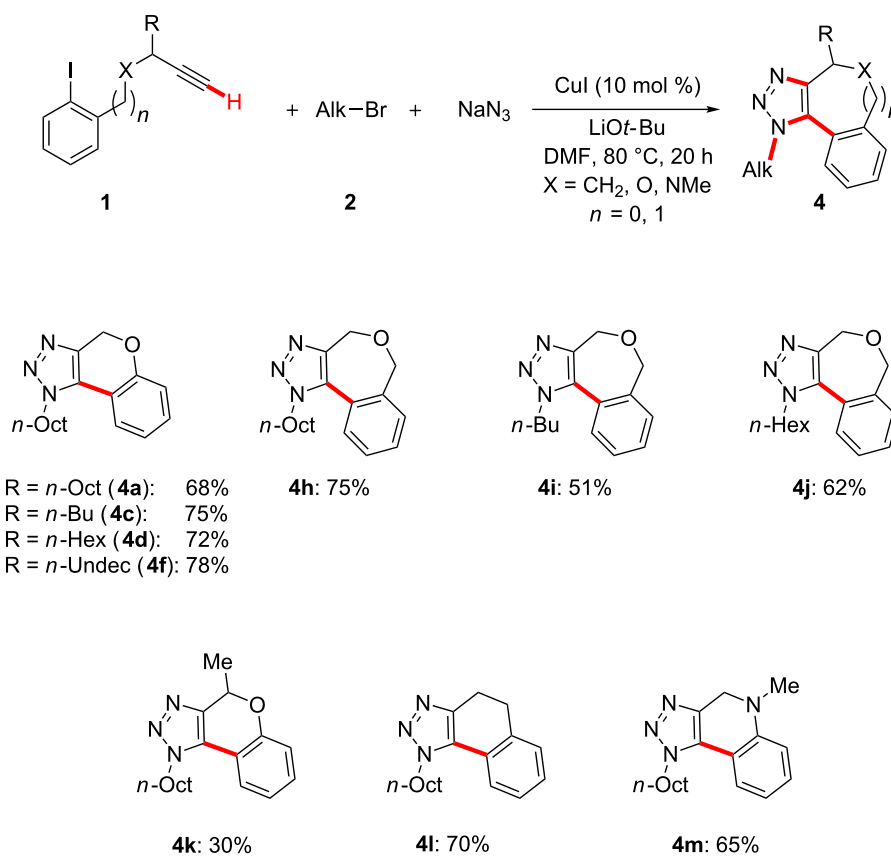
In summary, we have reported on the use of inexpensive copper(I) complexes for step- and atom-economical sequential catalytic transformations involving direct C–H bond arylations. Thus, CuI enabled the synthesis of fully substituted 1,2,3-tria-

zoles through cascade reactions consisting of copper(I)-catalyzed [3 + 2]-azide–alkyne cycloadditions (CuAAC) and intramolecular C–H bond arylations. Notably, the optimized copper catalyst accelerated two mechanistically distinct transformations, which set the stage for the formation of up to one C–C and three C–N bonds in a chemo- and regioselective fashion, and also allowed for twofold C–H/N–H bond arylations on various azoles.

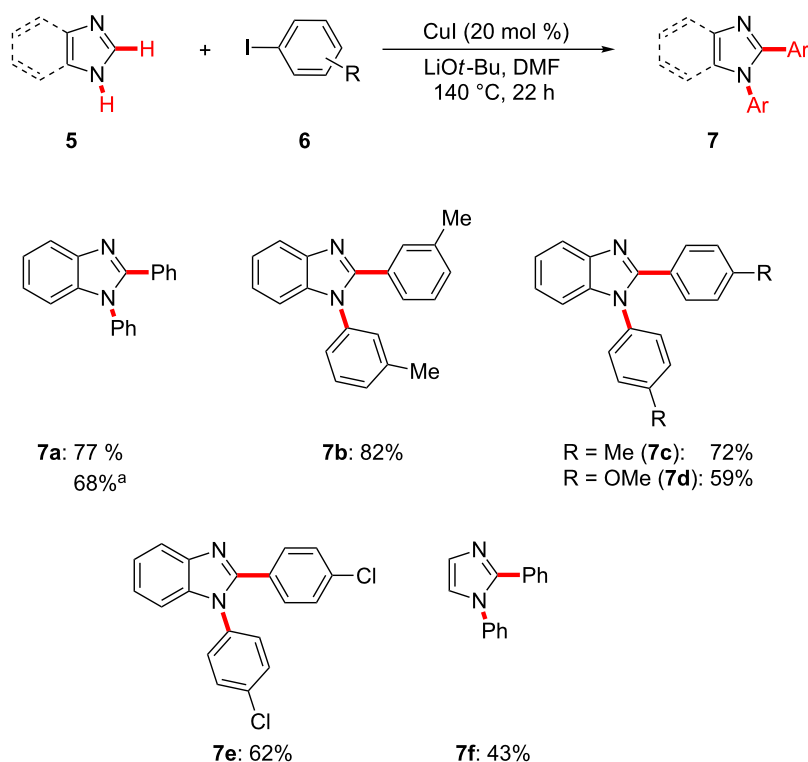
## Experimental

### General information

Catalytic reactions were carried out under an inert atmosphere of nitrogen using predried glassware. All chemicals were used as received without further purification unless otherwise specified. DMF was dried over CaH<sub>2</sub>. Alkynes **1** [89–92] and triazoles **3** [93] were synthesized according to previously described methods. CuI (99.999%) was purchased from ABCR with the following specifications: Ag <3 ppm, Ca = 2 ppm, Fe = 1 ppm, Mg <1 ppm, Zn <1 ppm. Yields refer to isolated compounds, estimated to be >95 % pure, as determined by <sup>1</sup>H NMR. Thin-



**Scheme 4:** Nonsequential cascade synthesis of fully substituted triazoles **4**. General reaction conditions: **1** (1.00 mmol), **2** (1.00 mmol), NaN<sub>3</sub> (1.05 mmol), CuI (10 mol %) DMF (3.0 mL), LiOt-Bu (2.00 mmol); yields of isolated product.



**Scheme 5:** Copper-catalyzed one-pot twofold C–H/N–H arylation with azoles **5**. <sup>a</sup>Reaction performed at 120 °C.

layer chromatography (TLC) was carried out on silica gel 60 F254 aluminum plates (Merck). Chromatography: Merck silica gel 60 (40–63  $\mu\text{m}$ ). NMR: Spectra were recorded on Varian Unity 300, Mercury 300 or Inova 500 in the solvent indicated; chemical shifts ( $\delta$ ) are given in parts per million (ppm). All IR spectra were taken on a Bruker FTIR Alpha device. MS: EIMS-spectra were recorded with Finnigan MAT 95, 70 eV; high-resolution mass spectrometry (HRMS) with APEX IV 7T FTICR, Bruker Daltonic. Melting points were determined with a Stuart melting-point apparatus SMP3, Barlworld Scientific; values are uncorrected.

#### General procedure for the synthesis of triazoles **4**

$\text{NaN}_3$  (1.05 equiv),  $\text{CuI}$  (10 mol %),  $\text{LiOt-Bu}$  (2.00 equiv), alkyne **1** (1.00 equiv) and alkyl bromide **2** (1.00 equiv) were dissolved in DMF (3.0 mL) and stirred at 80 °C for 20 h. Then,  $\text{H}_2\text{O}$  (50 mL) was added at ambient temperature, and the resulting mixture was extracted with  $\text{EtOAc}$  ( $3 \times 50$  mL). The combined organic layers were washed with saturated aq  $\text{NH}_4\text{Cl}$  (50 mL),  $\text{H}_2\text{O}$  (50 mL) and brine (50 mL), dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated in vacuo. The remaining residue was purified by column chromatography on silica gel (*n*-hexane/ $\text{EtOAc}$ ).

## Supporting Information

Supporting Information containing all experimental details and analytical data of new compounds as well as their  $^1\text{H}$  and  $^{13}\text{C}$  spectra are provided.

### Supporting Information File 1

Experimental procedures, characterization data, and NMR spectra for new compounds.

[<http://www.beilstein-journals.org/bjoc/content/supplementary/1860-5397-8-202-S1.pdf>]

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