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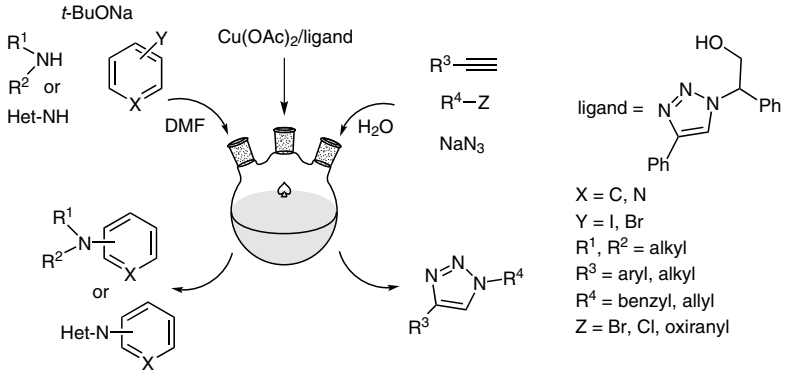
2-Phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol as an Efficient and Versatile Auxiliary Ligand in Copper(II)-Catalyzed Buchwald–Hartwig and Sharpless–Meldal C–N Bond-Forming Reactions

Hashem Sharghi*
Pezhman Shiri*

Department of Chemistry, Shiraz University, Shiraz, 71454, Iran
shashem@chem.susc.ac.ir
pezhmanshiri@yahoo.com



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Abstract A highly active, air-stable, and versatile procedure for Buchwald–Hartwig and Sharpless–Meldal C–N bond formation is reported. Under nearly solvent-free conditions using copper(II) acetate and 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol, a variety of N-heterocycles and various cyclic and noncyclic secondary amines were arylated to form N-aryl compounds in moderate to excellent yields. This methodology also provides rapid access to diverse 1,4-disubstituted 1,2,3-triazoles in good to excellent yields. All reactions are performed in short times under air.

Key words 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol, Buchwald–Hartwig reaction, Sharpless–Meldal reaction, copper catalysis, N-arylation

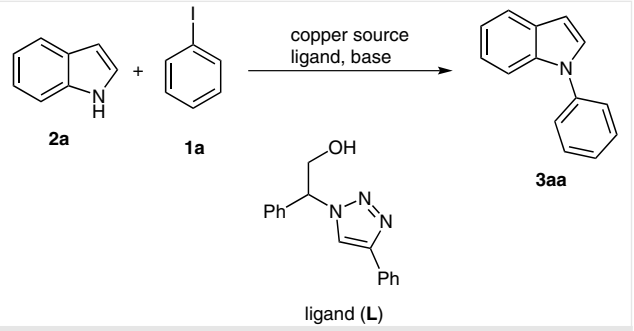
One possible choice to accelerate metal-catalyzed organic reactions, especially copper- and palladium-catalyzed reactions is to use auxiliary ligands.[1] Over the past few decades, the formation of the C–N bond has been of great strategic importance because of their interesting and abundance in various natural compounds as well as in synthetic organic products that exhibit diverse biological properties.[2] The Buchwald–Hartwig and Sharpless–Meldal C–N bond-forming reactions are catalyzed by different copper and palladium sources and a variety of ligands. The prominence in accelerating ligands in these reactions has promoted extensive experimental studies toward their synthesis and functionalization. In this respect, the acceleratory effect of some ligands such as phosphoramidites,[3a] hybrid tris(heterocycle-methyl)amines (mixing 1,2,3-triazolyl, benzimidazol-2-yl, and 2-pyridyl donors on the ligand arms),[1a] 7,8-dihydroxy-4-methylcoumarin,[3b] homogeneous dinuclear copper catalysts,[3c] tris(triazolyl)methane ligands,[3d] simple diamine ligands (such as *trans*-cyclohexane-1,2-diamine, *trans*-*N*,*N*′-dimethylcyclohexane-1,2-diamine, and *N*,*N*′-dimethylethylenediamine),[3e] ethyl 2-oxocyclohexanecarboxylate,[3f] and metformin[3g] have been investigated in copper-catalyzed C–N bond-forming reactions.

1,2,3-Triazoles are aromatic heterocyclic compounds with a five-membered ring containing two carbon atoms and three nitrogen atoms; they show biological activity, including use as pharmaceuticals, anti-HIV, anticancer, antiallergic, antifungal, antibacterial, and antituberculosis agents. The previously discovered copper(I)-catalyzed azide-alkyne [3 + 2] Huisgen cycloaddition (CuAACs) reaction is the best 'click' reaction to date, due to its wide range of applications in chemistry, biochemistry, polymer chemistry, materials science, and fluorescent imaging.[4] Recently, 1,2,3-triazoles have been successfully employed as a linker for the attachment of metal complexes to diverse materials and then used as heterogeneous catalysts.[5] There are also many reports of functionalized 1,2,3-triazole–metal complexes due to their potential to act as nitrogen donors.[6] Although triazole-based ligands have already been synthesized, the reported synthetic procedures for these compounds involve tedious, expensive, and challenging reaction routes.

With these considerations in mind, based on our previous studies, which focus on copper-catalyzed organic reactions under 'green' conditions,[3b,7] herein, we report our attempts to increase the reaction rate of copper(II)-catalyzed C–N bond-forming reactions using 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol as an inexpensive, efficient, and versatile ligand.

At the onset of our research, we decided to carry out the arylation of N-heterocycles and secondary amines as the key chemical transformation because of its paramount importance in the synthesis of auxiliary ligands, N-heterocyclic carbenes, and medicinal structures.[8] For our initial screening experiments, the cross-coupling reaction between 1*H*-indole (**2a**) and iodobenzene (**1a**) in a 1:1.2 mo-

lar ratio was studied (Scheme 1) considering various parameters such as different copper sources, solvents, and bases in the presence of 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol.



Scheme 1 The reaction between **1a** and **2a** as a model reaction

In the first step, a series of copper sources, including copper(II) acetate, copper(II) nitrate, copper(II) chloride, and copper sulfate were tested in this N-arylation reaction (Table 1). Copper(II) acetate was the most effective copper source in terms of reaction rate and isolated yield of the product **3aa**. The optimized loading level of this catalytic system is at a concentration of 1 mol% of 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol (L) and 1 mol% of copper(II) acetate (entries 6 and 15). During the optimization studies, the effect of various solvents was examined and dimethyl sulfoxide and *N*,*N*-dimethylformamide were the most suitable (entries 6, 9, and 15); lower yields were observed for **3aa** when using other solvents, such as acetonitrile, ethanol, and toluene (entries 12–14) and no **3aa** was detected when the reaction was performed in water–acetone or water (entries 10 and 11). In order to reduce level of toxic chemicals and environmental pollution, all reactions were performed in 0.3 mL of solvent (entry 15).

Having optimized the solvent, the effect of various inorganic and organic bases was screened and the results showed that sodium *tert*-butoxide was the best base (entries 15–20). To highlight the auxiliary effect of 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol (**L**), the reaction was performed in the absence of the ligand and the yield of

Table 1 Optimization of the Reaction Conditions (Scheme 1)[a]

Entry	Copper (mol%)	L[b] (mol%)	Base	Solvent (mL)	Temp (°C)	Time (h)	Yield[c] (%)
1	$Cu(OAc)_2$ (10)	10	*t*-BuONa	DMF (3)	120	0.5	98
2	$Cu(NO_3)_2$ (10)	10	*t*-BuONa	DMF (3)	120	3.0	78
3	$CuCl_2$ (10)	10	*t*-BuONa	DMF (3)	120	3.0	81
4	$CuSO_4$ (10)	10	*t*-BuONa	DMF (3)	120	3.0	61
5	$Cu(OAc)_2$ (5)	5	*t*-BuONa	DMF (3)	120	0.5	98
6	**$Cu(OAc)_2$ (1)**	**1**	***t*-BuONa**	**DMF (3)**	**120**	**0.5**	**98 (83[d])**
7	**$Cu(OAc)_2$ (0.5)**	**0.5**	***t*-BuONa**	**DMF (3)**	**120**	**0.5**	**90**
8	$Cu(OAc)_2$ (0.05)	0.05	*t*-BuONa	DMF (3)	120	0.5	69
9	$Cu(OAc)_2$ (1)	1	*t*-BuONa	DMSO (3)	120	0.5	97
10	$Cu(OAc)_2$ (1)	1	$CsCO_3$	H_2O–acetone (3)	reflux	0.5	0
11	$Cu(OAc)_2$ (1)	1	$CsCO_3$	H_2O (3)	reflux	0.5	0
12	$Cu(OAc)_2$ (1)	1	*t*-BuONa	MeCN (3)	reflux	3.0	16
13	$Cu(OAc)_2$ (1)	1	*t*-BuONa	EtOH (3)	reflux	3.0	12
14	$Cu(OAc)_2$ (1)	1	*t*-BuONa	toluene (3)	reflux	3.0	7
15	**$Cu(OAc)_2$ (1)**	**1**	***t*-BuONa**	**DMF (0.3)**	**120**	**0.5**	**98 (81[d])**
16	$Cu(OAc)_2$ (1)	1	K_2CO_3	DMF (0.3)	120	4.0	33
17	$Cu(OAc)_2$ (1)	1	Et_3N	DMF (0.3)	120	4.0	40
18	$Cu(OAc)_2$ (1)	1	NaOAc	DMF (0.3)	120	4.0	70
19	$Cu(OAc)_2$ (1)	1	$CsCO_3$	DMF (0.3)	120	0.5	97
20	$Cu(OAc)_2$ (1)	1	NaOH	DMF (0.3)	120	4.0	70
21	$Cu(OAc)_2$ (5)	–	*t*-BuONa	DMF (0.3)	120	5.0	34

[a] Reaction conditions: **1a** (1.0 mmol), **2a** (1.2 mmol), base (1.2 mmol).
[b] L = 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol.
[c] Molar yield calculated by ^{1}H NMR.
[d] Isolated yield.

3aa was considerably lower; this indicates that the ligand plays an important role in accelerating the rate of this reaction (entry 21).

These results prompted us to investigate the generality and versatility of this procedure. The reaction was extended to various N-heterocyclic compounds **2a**–**g** and secondary amines **2h**–**m** and different organic halides **1a**–**d**. In all cases, C–N cross-coupling reactions were completed in a reasonable time and N-substituted products **3** were isolated in moderate to excellent yields (Table 2).

Table 2 Buchwald–Hartwig Reaction of Various Structurally Diverse N-Unsubstituted Compounds[a]

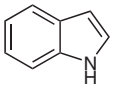
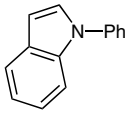
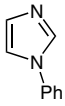
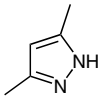
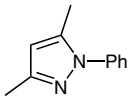
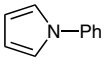
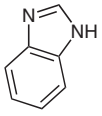
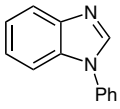
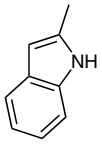
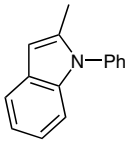
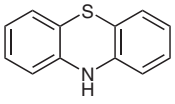
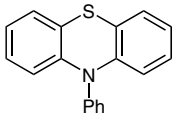
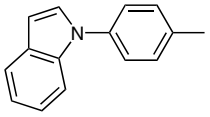
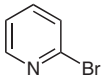
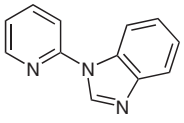
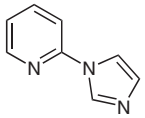
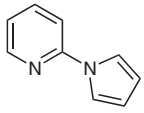
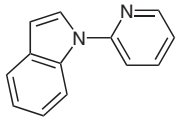
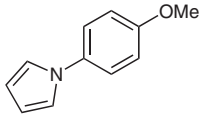
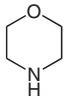
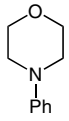
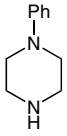
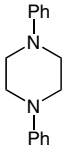
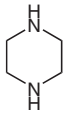
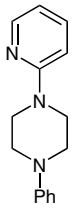
Entry	Aryl halide		N-Unsubstituted compound		Product		Time (min)	Yield[b] (%)
1	**1a**	PhI	**2a**		**3aa**		30	98
2	**1a**		**2b**		**3ab**		45	97
3	**1a**		**2c**		**3ac**		45	96
4	**1a**		**2d**		**3ad**		30	99
5	**1a**		**2e**		**3ae**		45	98
6	**1a**		**2f**		**3af**		120	67
7	**1a**		**2g**		**3ag**		60	49
8	**1b**	4-MeC_6H_4I	**2a**		**3ba**		30	98
9	**1c**		**2e**		**3ce**		30	97
10	**1c**		**2b**		**3cb**		30	97

Table 2 (continued)

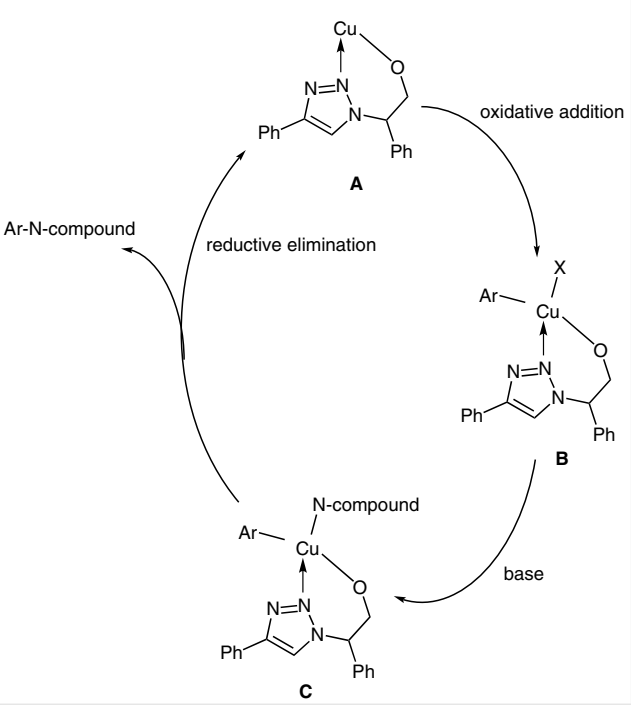
Entry	Aryl halide	N-Unsubstituted compound	Product	Time (min)	Yield[b] (%)
11	**1c**	**2d**	**3cd** 	30	98
12	**1c**	**2a**	**3ca** 	30	97
13	**1d** 4-$MeOC_6H_4Br$	**2d**	**3dd** 	180	70
14	**1a**	**2h** 	**3ah** 	60	63
15	**1a**	**2i** Et_2NH	**3ai** Et_2NPh	60	33
16	**1a**	**2j** Bu_2NH	**3aj** Bu_2NPh	60	62
17	**1a**	**2k** 	**3ak** 	60	75
18	**1a**	**2l** $[Ph(CH_2)_2]BnNH$	**3al** $[Ph(CH_2)_2]BnNPh$	60	67
19	**1a**	**2m** 	**3ak**	60	51
20	**1c**	**2k**	**3ck** 	45	87
21	**1a**	**2a**	**3aa**	60	91[c]

[a] Reaction conditions: N-unsubstituted compounds **2** (1.0 mmol), aryl halides **1** (1.2 mmol), *t*-BuONa (1.2 mmol), $Cu(OAc)_2$ (1 mol%), 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol (1 mol%), DMF (0.3 mL).
[b] Molar yield calculated by ^1H NMR.
[c] The reaction was performed on a 10-mmol scale.

We were pleased to find that a wide range of N-heterocyclic compounds [such as 1*H*-benzimidazole (**2e**), 1*H*-imidazole (**2b**), 3,5-dimethyl-1*H*-pyrazole (**2c**), 1*H*-pyrrole (**2d**), 1*H*-indole (**2a**), 2-methyl-1*H*-indole (**2f**), and 10*H*-phenothiazine (**2g**)] can efficiently produce the corresponding products **3** (entries 1–13). Various cyclic and non-cyclic secondary amines including morpholine (**2h**), diethylamine (**2i**), dibutylamine (**2j**), 1-phenylpiperazine (**2k**), *N*-benzyl-2-phenylethanamine (**2l**), and piperazine (**2m**) also reacted with aryl halides to afford the desired products in moderate yields (entries 14–20).

Owing to the wide range of properties of morpholine[9] and pyridine[8k,10] derivatives, these compounds have become ideal targets in laboratory and industrial syntheses. Also, pyridine derivatives are attractive due to their applications as sensors,[10a] their importance in the synthesis of pyridine-based multi-dentate ligands, their use as a unique class of ligands for copper- and palladium-catalyzed organic reactions,[8k–m] and their use as sensitizers in dye-sensitized solar cells.[10b] Working on the envisaged strategy, we successfully accomplished the synthesis of N-substituted compounds bearing pyridine and morpholine using copper(II)-catalyzed C–N bond-forming reactions (entries 9–12, 14, and 20).

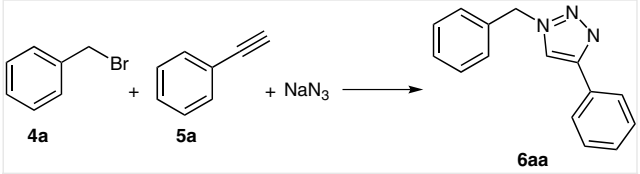
Considering the literature focusing on the catalytic activity of copper sources and a variety of ligands, as well as metal complexes of triazole-based ligands,[8] we proposed a mechanism for this C–N bond-forming reaction (Scheme 2), in this the 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol ligand is coordinated to copper(II). Subsequently, oxidative addition and subsequent complexation with aryl halide results in the formation of a further intermediate **B**. At this stage, the intermediate **B** may react with the NH compound in the presence of a base, leading to the formation of the N-substituted compounds and the intermediate **A** again completing the catalytic cycle.



Scheme 2 Proposed mechanism for the synthesis of N-substituted compounds

This methodology was then extended to the click synthesis of diverse 1,4-disubstituted 1,2,3-triazoles and β-hydroxy 1,4-disubstituted 1,2,3-triazoles using various structurally diverse organic halides or organic epoxides, different nonactivated terminal alkynes, and sodium azide.

In order to establish the optimum reaction conditions, the three component and 1,3-dipolar cycloaddition reaction between benzyl bromide (**4a**), phenylacetylene (**5a**), and sodium azide in a 1:1:1.1 molar ratio was studied under various conditions (temperature, solvent, and amount of catalyst) (Scheme 3).



Scheme 3 The reaction between **4a**, **5a** and sodium azide as a model reaction

We studied the efficiency of this catalytic system for the Sharpless–Meldal C–N bond-forming reaction at various temperatures in water (Table 3). The reaction can be carried out at room temperature (entry 1), but to accelerate the 'click' reaction it was carried out at 40 °C (entry 2). A comparison of the efficiency of organic solvents versus water in this reaction showed that water was the best choice (entries 1 and 2 vs 5–11).

In the next step, the metal-to-ligand ratio was optimized and the results showed that the optimum was 3 mol% copper(II) acetate and 6 mol% 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol (L) (entries 1, 2 vs 12–15).

The scope and generality of this one pot and click reaction catalyzed by copper(II) acetate and 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol was explored using a broad range of structurally diverse organic halides **4a**–**f** or organic epoxides **4g**–**i**, nonactivated terminal alkynes **5a**–**m**, and sodium azide to afford the desired triazoles **6**, as expected, as only one of the possible regioisomers (Table 4). Benzyl halides with electron-donating groups reacted at a faster rate than benzyl halides substituted with electron-withdrawing groups (entry 4 vs 5). The reaction of 2-hydroxybenzaldehyde derivative **4e** containing a single chloromethyl group with sodium azide led to the formation of the corresponding 1,2,3-triazole derivative **6ea** in good yield (entry 6). The use of this method in the reaction of different terminal alkynes, sodium azide, and allyl halides instead of benzyl halides also produced the desired products in satisfactory yields (entries 7–9, 15, and 21). Various aliphatic terminal alkynes, such as hex-1-yne (**5c**), prop-2-ynol (**5d**), 2-methylbut-3-yn-2-ol (**5b**), prop-2-ynyl benzoates **5g**–**l**, and (prop-2-ynyloxy)benzene **5e**,[11] were carried out with different organic halides to afford the desired triazoles under the same reaction conditions (entries 9–21).

Table 3 Optimization of the Reaction of Benzyl Bromide (**4a**), Phenylacetylene (**5a**), and Sodium Azide (Scheme 3)[a]

Entry	Conditions[b]	Solvent	Temp (°C)	Time (min)	Yield[c] (%)
1	**L (6 mol%), $Cu(OAc)_2·H_2O$ (3 mol%)**	**H_2O**	**25**	**90**	**81 (71[d])**
2	**L (6 mol%), $Cu(OAc)_2·H_2O$ (3 mol%)**	**H_2O**	**40**	**30**	**85 (73[d])**
3	L (6 mol%), $Cu(OAc)_2·H_2O$ (3 mol%)	H_2O	70	15	85
4	L (6 mol%), $Cu(OAc)_2·H_2O$ (3 mol%)	H_2O	100	7	85
5	L (6 mol%), $Cu(OAc)_2·H_2O$ (3 mol%)	neat	40	180	15
6	L (6 mol%), $Cu(OAc)_2·H_2O$ (3 mol%)	toluene	40	180	5
7	L (6 mol%), $Cu(OAc)_2·H_2O$ (3 mol%)	DMF	40	180	32
8	L (6 mol%), $Cu(OAc)_2·H_2O$ (3 mol%)	DMSO	40	180	37
9	L (10 mol%), $Cu(OAc)_2·H_2O$ (5 mol%)	EtOH	40	180	43
10	L (10 mol%), $Cu(OAc)_2·H_2O$ (5 mol%)	DMSO–H_2O	25	180	45
11	L (10 mol%), $Cu(OAc)_2·H_2O$ (5 mol%)	BuOH–H_2O	25	180	49
12	L (12 mol%), $Cu(OAc)_2·H_2O$ (6 mol%)	H_2O	40	30	82
13	L (18 mol%), $Cu(OAc)_2·H_2O$ (8 mol%)	H_2O	40	30	82
14	L (3 mol%), $Cu(OAc)_2·H_2O$ (1 mol%)	H_2O	40	30	75
15	L (1 mol%), $Cu(OAc)_2·H_2O$ (1 mol%)	H_2O	40	30	47

[a] Reaction conditions: **4a** (1.0 mmol), **5a** (1.0 mmol), NaN_3 (1.1 mmol), solvent (1.0 mL).
[b] L = 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol.
[c] Molar yield calculated by ^1H NMR.
[d] Isolated yield.

Because of their highly strained structure that is easy to cleavage with nucleophiles, epoxide rings are used as important starting materials in synthetic organic chemistry especially in the CuAAC click reaction. In this aspect, no modifications to the above-optimized experimental procedure were required to verify that organic epoxides **4g**–**i**, nonactivated terminal alkyne **5a**, and sodium azide in a 1:1:1.1 molar ratio smoothly react to form β-hydroxy 1,2,3-triazoles **6ga**–**ia** in excellent yields. Using styrene oxide (**4g**) as the epoxide gave primary alcohol 1,4-disubstituted 1,2,3-triazole derivatives **6ga** by cleavage of styrene oxide with sodium azide in a regioselective manner, with attack at the more stable benzylic carbon (i.e. electronic factors predominate over steric factors) (entry 22). The triazoles from aliphatic epoxides such as cyclohexene oxide (**4h**) and 2-[(phenoxy)methyl]oxirane (**4i**) were also obtained from the attack of azide at the less hindered carbon (entries 23 and 24).[7b] To assess the feasibility of applying these C–N bond-forming reactions in a large-scale synthesis, we carried out the reaction of benzyl bromide (**4a**), phenylacetylene (**5a**), and sodium azide, as well as the condensation of 1*H*-indole (**2a**) and iodobenzene (**1a**), on a 10-mmol scale in the presence of this catalytic system. As expected, the reaction gave similar yields to those obtained on a smaller scale (Table 3, entry 21 and Table 4, entry 25), and the desired 1,2,3-triazole **6aa** and N-substituted compound **3aa** were obtained in good yields.

Herein, we proposed a mechanism for the triazole-based ligand–copper(II) acetate catalyzed preparation of triazoles (Scheme 4), which is in analogy to the established mechanism reported in the literature.[4] Firstly, the one-pot multicomponent coupling/cycloaddition reaction involves the formation of organic azide and Cu–acetylide **D** intermediates under heating and stirring conditions. Subsequently the azide intermediate binds to the copper to form intermediate **E**. Finally, the cyclization reaction of azide and alkyne provides corresponding triazole as the desired product.

An extremely efficient and inexpensive auxiliary ligand was prepared via the click strategy in only aqueous phase at room temperature. Diverse N-substituted compounds and 1,4-disubstituted 1,2,3-triazoles were synthesized using 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol as a versatile auxiliary ligand in copper(II)-catalyzed Buchwald–Hartwig and Sharpless–Meldal C–N bond-forming reactions. This method not only offers substantial improvements in the reaction yields and rates, but also avoids or reduces the use of toxic solvents and expensive catalysts in terms of cost and for environmental reasons. This procedure offers a diverse scope for drug discovery and material chemistry.

Table 4 The One-Pot Three-Component Synthesis of 1,4-Disubstituted 1,2,3-Triazoles in the Presence of the Catalytic System in Water[a]

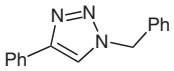
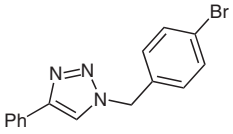
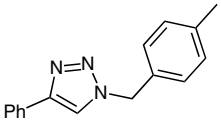
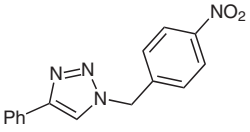
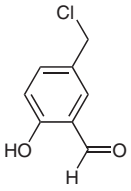
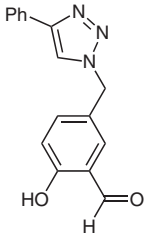
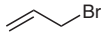
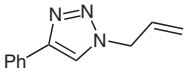
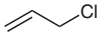
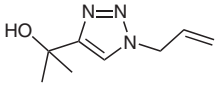
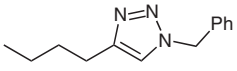
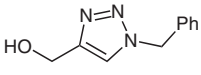
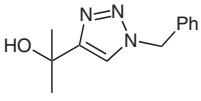
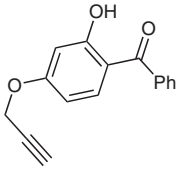
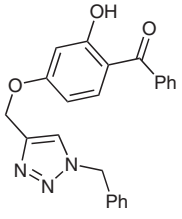
Entry	Halide		Alkyne		Product		Time (h)	Yield[b] (%)
1	**4a**	BnBr	**5a**	PhC≡CH	**6aa**		0.5	85
2	**4a′**	BnCl	**5a**		**6aa**		1.5	80
3	**4b**	4-$BrC_6H_4CH_2Br$	**5a**		**6ba**		1.5	83
4	**4c**	4-$MeC_6H_4CH_2Cl$	**5a**		**6ca**		1.3	82
5	**4d**	4-$O_2NC_6H_4CH_2Cl$	**5a**		**6da**		10	79
6	**4e**		**5a**		**6ea**		0.5	83
7	**4f**		**5a**		**6fa**		0.5	93
8	**4f′**		**5a**		**6fa**		0.75	90
9	**4f**		**5b**		**6fb**		1.0	83
10	**4a**		**5c**	BuC≡CH	**6ac**		4.30	80
11	**4a**		**5d**	$HOCH_2C≡CH$	**6ad**		3.3	81
12	**4a**		**5b**		**6ab**		4.0	80
13	**4a**		**5e**		**6ae**		6.30	75

Table 4 (continued)

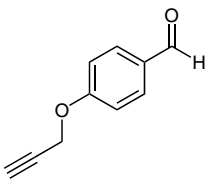
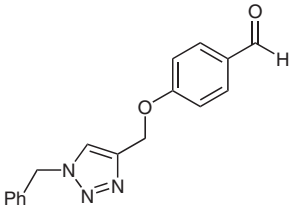
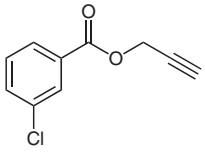
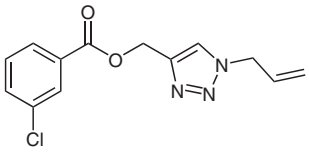
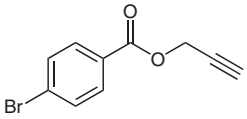
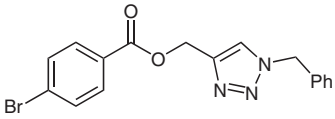
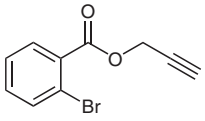
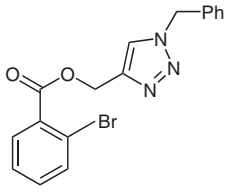
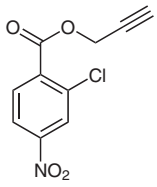
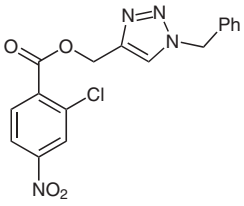
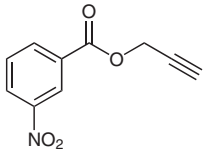
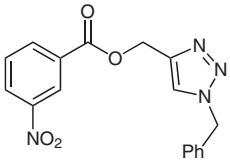
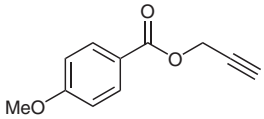
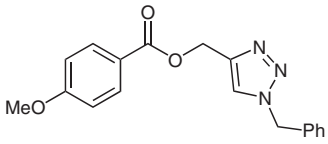
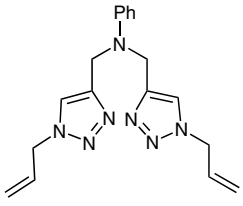
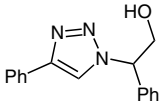
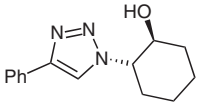
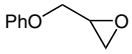
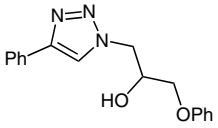
Entry	Halide	Alkyne	Product	Time (h)	Yield[b] (%)
14	**4a**	**5f**		**6af**		4.0	80
15	**4f**	**5g**		**6fg**		5.0	80
16	**4a**	**5h**		**6ah**		5.0	79
17	**4a**	**5i**		**6ai**		5.0	77
18	**4a**	**5j**		**6aj**		6.30	79
19	**4a**	**5k**		**6ak**		5.0	81
20	**4a**	**5l**		**6al**		5.0	79
21	**4f**	**5m**	$PhN(CH_2C{\equiv}CH)_2$	**6fm**		10	69

Table 4 (continued)

Entry	Halide	Alkyne	Product	Time (h)	Yield[b] (%)
22	**4g** 	**5a**	**6ga** 	0.5	96
23	**4h** 	**5a**	**6ha** 	1.3	95
24	**4i** 	**5a**	**6ia** 	2.30	94
25	**4a**	**5a**	**6aa**	0.75	77[c]

[a] Reaction conditions: organic halide or organic epoxide **5** (1.0 mmol), alkyne **6** (1.0 mmol), NaN_3 (1.2 mmol), $Cu(OAc)_2$ (3 mol%), 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol (6 mol%), water (1.0 mL), 40 °C.
[b] Molar yield calculated by ^1H NMR.
[c] The reaction was performed on a 10-mmol scale.

Further investigations on the application of this triazole-based ligand as an auxiliary ligand for the acceleration of other transition-metal-catalyzed reactions are in progress.

All chemicals and solvents were obtained from Fluka, Aldrich, and Merck and used without further purification. The purity determination of the substrates and reaction monitoring were accomplished by TLC on silica gel PolyGram SILG/UV 254 plates. Column chromatography was carried out on short columns of silica gel 60 (70–230 mesh) in glass columns. Mass spectra were determined on a Shimadzu GCMS-QP 1000 EX instrument at 70 or 20 eV. IR spectra were obtained using a Shimadzu FT-IR 8300 spectrophotometer. Melting points were determined by Buchi Melting point B-545 electrical melting point apparatus.

N-Substituted Compounds 3; General Procedure

A mixture of N-unsubstituted compound **2** (1.0 mmol), aryl halide **1** (1.2 mmol), and *t*-BuONa (1.2 mmol) was stirred in DMF (0.3 mL) in the presence of $Cu(OAc)_2·H_2O$ (1 mol%) and 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol (1 mol%) at 120 °C for the time given in Table 2. The mixture was washed with EtOAc; after removal of the solvent, the residue was purified by column chromatography (silica gel, petroleum ether–EtOAc).

1,4-Disubstituted 1,2,3-Triazole Derivatives 6; General Procedure

To a solution of 2-phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol (6 mol%) and $Cu(OAc)_2·H_2O$ (3 mol%) in water (1 mL), a mixture of organic halide **4** (1.0 mmol), terminal alkyne **5** (1.0 mmol), and NaN_3 (1.2 mmol) was added. The mixture was heated for the time given in Table 4. The mixture was washed with EtOAc; after removal of the solvent, the residue was purified by column chromatography (silica gel, petroleum ether–EtOAc).

1-Phenyl-1*H*-indole (3aa)[2c]

Dark brown oil; yield: 150 mg (81%).

IR (KBr): 694 (m), 748 (m), 1018 (w), 1027 (w), 1134 (w), 1227 (m), 1327 (m), 1458 (m), 1504 (m), 1596 (m), 2923 (w), 3055 cm^{-1} (w).

^1H NMR (250 MHz, $CDCl_3$): δ = 6.59–6.63 (m, 1 H), 7.06–7.17 (m, 2 H), 7.25–7.30 (m, 2 H), 7.39–7.47 (m, 4 H), 7.47–7.52 (m, 1 H), 7.59–7.64 (m, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 103.6, 110.5, 120.4, 121.1, 122.4, 124.4, 126.4, 128.0, 129.3, 129.4, 135.8, 139.8.

MS: *m*/*z* (%) = 196 (M^+ + 2, 94.8), 195 (M^+ + 1, 28.7), 194 (M^+, 35.1), 168 (89.1), 140 (24.1), 114 (22.4), 97 (32.2), 78 (100), 51 (68.4).

Anal. Calcd for $C_{14}H_{11}N$ (193.248): C, 87.01; H, 5.75; N 7.25. Found: C, 87.5.9; H, 5.90; N, 7.40.

1-Phenyl-1*H*-imidazole (3ab)[2b]

Dark brown oil; yield: 110 mg (79%).

^1H NMR (250 MHz, $CDCl_3$): δ = 7.14–7.45 (m, 7 H), 7.80 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 118.2, 121.5, 127.5, 129.9, 130.4, 135.5, 137.4.

MS: *m*/*z* (%) = 145 (M^+ + 1, 9.3), 144 (M^+, 28.0), 117 (45.0), 97 (33.3), 81 (82.7), 57 (100.0).

Anal. Calcd for $C_9H_8N_2$ (144.176): C, 74.98; H, 5.59; N, 19.43. Found: C, 75.50; H, 5.40; N, 20.92.

3,5-Dimethyl-1-phenyl-1*H*-pyrazole (3ac)[2g]

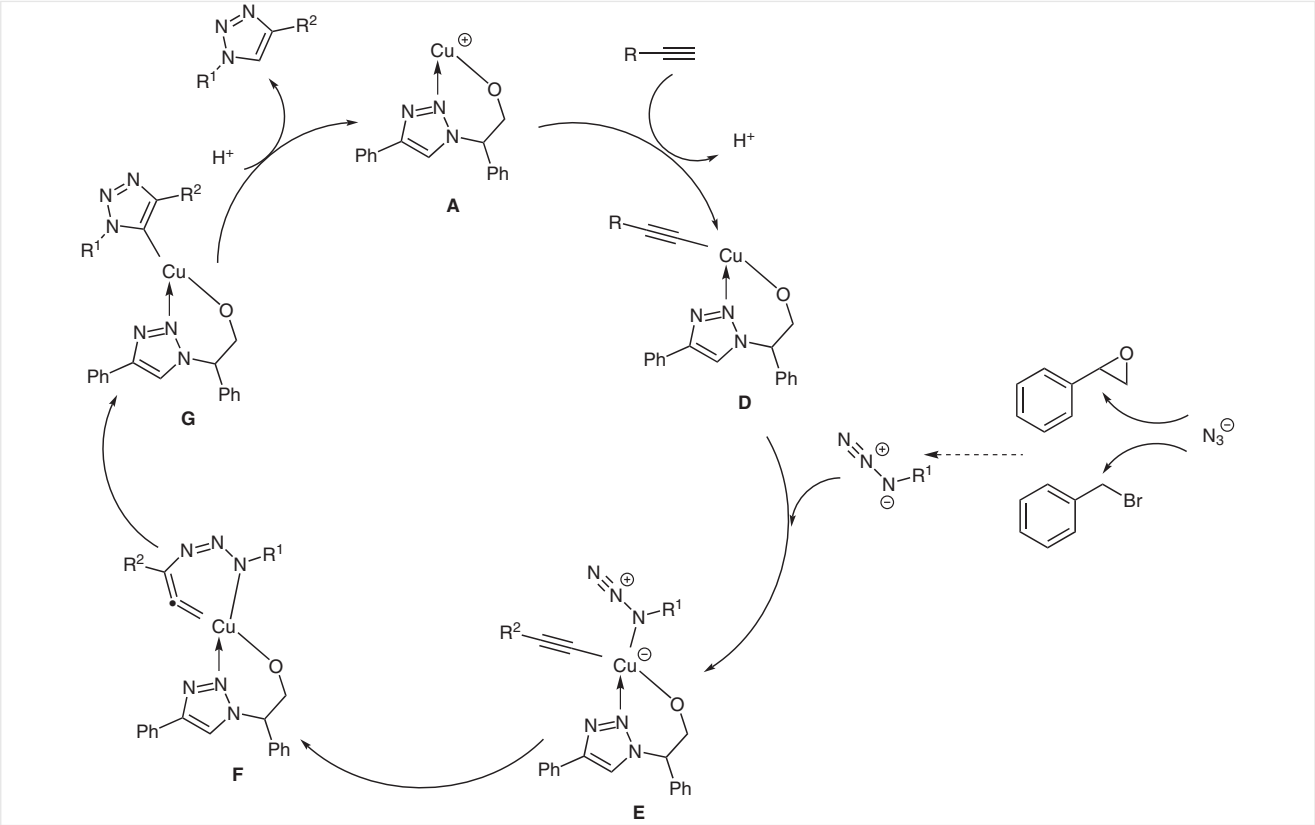
Brown oil; yield: 140 mg (83%).

IR (KBr): 748 (m), 1226 (w), 1465 (m), 2923 (w), 3055 cm^{-1} (w).

^1H NMR (250 MHz, $CDCl_3$): δ = 2.22 (s, 6 H), 5.92 (s, 1 H), 7.18–7.40 (m, 5 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 12.4, 13.5, 106.9, 124.7, 127.2, 129.0, 139.3, 140.0, 149.0.

MS: *m*/*z* (%) = 171 (18.3), 149 (26.7), 105 (51.7), 69 (100.0).



Scheme 4 Proposed mechanism for the synthesis of 1,4-disubstituted 1,2,3-triazoles

Anal. Calcd for $C_{11}H_{12}N_2$ (172.229): C, 76.71; H, 7.02; N, 16.27. Found: C, 76.77; H, 7.50; N, 16.01.

1-Phenyl-1*H*-pyrrole (3ad)[2d]

Dark brown oil; yield: 120 mg (85%).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 6.27 (t, *J* = 4.5 Hz, 2 H), 7.00 (t, *J* = 4.5 Hz, 2 H), 7.11–7.18 (m, 1 H), 7.28–7.36 (m, 4 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 110.6, 115.9, 119.4, 120.6, 125.7, 129.7, 132.4, 140.9.

MS: *m*/*z* (%) = 143 (M^+, 10.4), 129 (17.1), 105 (30.3), 81 (62.6), 57 (100.0).

Anal. Calcd for $C_{10}H_9N$ (143.188): C, 83.88; H, 6.34; N, 9.78. Found: C, 83.02; H, 6.01; N, 9.60.

1-Phenyl-1*H*-benzimidazole (3ae)[2b]

Brown oil; yield: 160 mg (82%).

IR (KBr): 694 (s), 748 (s), 887 (w), 972 (w), 1010 (w), 1080 (w), 1149 (w), 1223 (s), 1288 (s), 1373 (w), 1458 (s), 1496 (s), 1596 (s), 1712 (m), 2954 (w), 3062 cm^{-1} (s).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 7.30–7.38 (m, 2 H), 7.44–7.61 (m, 6 H), 7.89–7.90 (m, 1 H), 8.17 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 110.50, 120.59, 122.78, 123.69, 123.98, 128.01, 130.04, 136.32, 142.79, 144.23.

MS: *m*/*z* (%) = 195 (M^+ + 1, 81.7), 194 (M^+, 100.0), 166 (13.8), 77 (64.2), 51 (69.7).

Anal. Calcd for $C_{13}H_{10}N_2$ (194.235): C, 80.39; H, 5.19; N, 14.42. Found: C, 80.10; H, 5.35; N, 14.99.

2-Methyl-1-phenyl-1*H*-indole (3af)[2h]

Dark brown oil; yield: 100 mg (49%).

IR (KBr): 694 (m), 748 (m), 1226 (w), 1319 (w), 1380 (w), 1458 (m), 1496 (m), 1569 (m), 1712 cm^{-1} (w).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 2.22 (s, 3 H), 6.32 (s, 1 H), 7.00–7.10 (m, 3 H), 7.24–7.29 (m, 2 H), 7.32–7.51 (m, 4 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 13.4, 101.3, 110.02, 119.6, 120.0, 121.0, 127.7, 128.0, 128.2, 129.4, 137.0, 138.2.

MS: *m*/*z* (%) = 208 (M^+ + 1, 56.4), 207 (M^+, 80.0), 195 (41.8), 77 (49.1), 57 (100.0).

Anal. Calcd for $C_{15}H_{13}N$ (207.274): C, 86.92; H, 6.32; N, 6.76. Found: C, 85.89; H, 6.64; N, 6.90.

10-Phenyl-10*H*-phenothiazine (3ag)[2i]

Dark brown solid; yield: 100 mg (37%); mp 78–81 °C.

IR (KBr): 432 (w), 524 (w), 624 (m), 702 (m), 743 (s), 933 (w), 1041 (w), 1126 (w), 1242 (s), 1303 (s), 1458 (s), 1581 (m), 2923 (w), 3055 cm^{-1} (w).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 6.12 (d, *J* = 6.75 Hz, 2 H), 6.70–6.80 (m, 4 H), 6.94 (d, *J* = 6.50 Hz, 2 H), 7.32 (d, *J* = 7.00 Hz, 2 H), 7.36–7.43 (m, 1 H), 7.53 (t, *J* = 7 Hz, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 116.0, 120.2, 122.4, 126.7, 126.8, 128.2, 130.7, 130.8, 141.0, 144.3.

MS: *m*/*z* (%) = 277 (M^+ + 2, 2.6), 276 (M^+ + 1, 20.9), 275 (M^+, 55.9), 149 (22.2), 129 (10.3), 97 (20.6), 73 (49.5), 57 (100.0).

Anal. Calcd for $C_{18}H_{13}NS$ (275.367): C, 78.51; H, 5.09; N, 5.09. Found: C, 78.79; H, 5.28; N, 5.55.

1-*p*-Tolyl-1*H*-indole (3ba)[2d]

Dark brown oil; yield: 160 mg (80%).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 2.35 (s, 3 H), 6.58 (dd, *J* = 6.58 Hz, 1 H), 7.04–7.32 (m, 7 H), 7.43–7.46 (m, 1 H), 7.58–7.62 (m, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 21.1, 103.2, 110.5, 120.2, 121.1, 122.2, 124.3, 128.1, 129.2, 130.1, 136.3, 137.3.

MS: *m*/*z* (%) = 207 (M^+ + 1, 100.0), 167 (M^+, 86.3), 97 (62.7), 77 (58.8), 57 (92.2).

Anal. Calcd for $C_{15}H_{13}N$ (207.274): C, 86.92; H, 6.32; N, 6.76. Found: C, 86.07; H, 6.83; N, 6.96.

1-Pyridin-2-yl-1*H*-benzimidazole (3ce)

Brown oil; yield: 160 mg (81%).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 7.26–7.42 (m, 3 H), 7.58 (d, *J* = 8.25 Hz, 1 H), 7.86–7.93 (m, 2 H), 8.06 (d, *J* = 7.75 Hz, 1 H), 8.58 (s, 1 H), 8.60–8.62 (m, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 112.6, 114.3, 120.6, 121.8, 123.3, 124.2, 132.1, 138.9, 141.3, 144.7, 149.4, 149.8.

MS: *m*/*z* (%) = 197 ($M^{+\cdot 2}$, 6.1), 196 (M^+ + 1, 15.1), 195 (M^+, 100.0), 169 (59.4), 78 (47.6), 51 (37.3).

Anal. Calcd for $C_{12}H_9N_3$ (195.223): C, 73.83; H, 4.65; N, 21.52. Found: C, 73.08; H, 4.53; N, 21.92.

2-Imidazol-1-ylpyridine (3cb)[8n]

Dark brown oil; yield: 120 mg (83%).

IR (KBr): 655 (s), 740 (m), 779 (s), 833 (m), 902 (m), 972 (m), 1059 (s), 1103 (s), 1157 (m), 1257 (m), 1303 (s), 1442 (s), 1488 (s), 1596 (s), 1697 (m), 3116 cm^{-1} (w).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 7.14 (s, 1 H), 7.17–7.20 (m, 1 H), 7.25–7.34 (m, 1 H), 7.58 (s, 1 H), 7.70–7.80 (m, 1 H), 8.25 (s, 1 H), 8.41–8.43 (m, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 112.31, 116.14, 122.00, 130.62, 132.25, 134.900, 139.00, 149.12.

MS: *m*/*z* (%) = 147 (M^+ + 2, 8.1), 146 (M^+ + 1, 59.5), 145 (M^+, 83.8), 91 (83.8), 78 (100), 55 (70.3).

Anal. Calcd for $C_8H_7N_3$ (145.163): C, 66.19; H, 4.86; N, 28.95. Found: C, 65.56; H, 4.36; N, 28.39.

2-Pyrrol-1-ylpyridine (3cd)

Black oil; yield: 110 mg (80%).

IR (KBr): 609 (s), 740 (s), 779 (s), 871 (m), 925 (s), 987 (m), 1013 (s), 1064 (s), 1157 (s), 1249 (m), 1334 (s), 1396 (s), 1442 (s), 1488 (s), 1589 (s), 1712 (s), 1859 (m), 3016 (m), 3062 (w), 3101 (w), 3141 cm^{-1} (w).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 6.39 (t, *J* = 2.5 Hz, 2 H), 7.06–7.11 (m, 1 H), 7.30 (d, *J* = 8.25 Hz, 1 H), 7.54 (t, *J* = 2.25 Hz, 2 H), 7.68–7.75 (m, 1 H), 8.41–8.44 (m, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 111.32, 111.39, 118.09, 120.18, 138.51, 148.66, 151.32.

MS: *m*/*z* (%) = 144 (M^+, 8.1), 137 (9.2), 111 (16.2), 95 (30.5), 69 (100), 57 (89.2).

Anal. Calcd for $C_9H_8N_2$ (144.176): C, 74.98; H, 5.59; N, 19.43. Found: C, 75.61; H, 5.92; N, 19.08.

1-Pyridin-2-yl-1*H*-indole (3ca)

Dark brown oil; yield: 150 mg (81%).

IR (KBr): 740 (s), 879 (m), 964 (m), 1018 (m), 1095 (m), 1141 (m), 1211 (s), 1242 (s), 1280 (m), 1342 (s), 1473 (s), 1519 (s), 1589 (s), 1689 (w), 3055 cm^{-1} (m).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 6.56 (d, *J* = 3.5 Hz, 1 H), 6.91 (t, *J* = 6.0 Hz, 1 H), 7.00–7.25 (m, 3 H), 7.49–7.56 (m, 3 H), 8.09 (d, *J* = 8.25 Hz, 1 H), 8.36–8.39 (m, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 105.7, 113.3, 114.6, 120.2, 121.2, 121.4, 123.3, 126.1, 130.6, 135.2, 138.5, 149.0, 152.5.

MS: *m*/*z* (%) = 196 (M^+ + 2, 39.5), 195 (M^+ + 1, 17.1), 194 (M^+, 48.8), 169 (64.4), 149 (22.4), 97 (35.5), 78 (47.3), 57 (100.0).

Anal. Calcd for $C_{13}H_{10}N_2$ (194.235): C, 80.39; H, 5.19; N, 14.42. Found: C, 80.88; H, 4.85; N, 14.76.

1-(4-Methoxyphenyl)-1*H*-pyrrole (3dd)[2j]

Brown solid; yield: 98 mg (57%); mp 107.0–109.0 °C.

IR (KBr): 717 (m), 825 (m), 1033 (w), 1126 (w), 1218 (m), 1365 (m), 1427 (w), 1643 (w), 1712 cm^{-1} (s).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 3.75 (s, 3 H), 6.25 (t, *J* = 2.25 Hz, 2 H), 6.87 (d, *J* = 9.00 Hz, 2 H), 6.92 (t, *J* = 1.75 Hz, 2 H), 7.23 (d, *J* = 8.75 Hz, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 55.6, 109.8, 114.6, 119.7, 122.2, 134.5, 157.6.

MS: *m*/*z* (%) = 176 (M^+ + 3, 2.3), 175 (M^+ + 2, 9.8), 149 (27.6), 124 (33.3), 91 (59.8), 57 (100.0).

Anal. Calcd for $C_{11}H_{11}NO$ (173.214): C, 76.28; H, 6.40; N, 8.09. Found: C, 75.67; H, 6.93; N, 8.74.

4-Phenylmorpholine (3ah)[2e]

Dark brown oil; yield: 84 mg (51%).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 3.08–3.13 (m, 4 H), 3.80–3.82 (m, 4 H), 6.80–6.89 (m, 3 H), 7.20–7.27 (m, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 48.3, 56.9, 118.7, 128.2, 150.6.

MS: *m*/*z* (%) = 203 (M^+ + 2, 1.1), 202 (M^+ + 1, 8.1), 201 (M^+, 11.4), 145 (11.0), 117 (100), 90 (26.6), 57 (18.2).

Anal. Calcd for $C_{10}H_{13}NO$ (163.218): C, 73.59; H, 8.03; N, 8.58. Found: C, 73.06; H, 8.66; N, 8.02.

***N*,*N*-Diethylaniline (3ai)**[2e]

Dark brown oil; yield: 37 mg (25%).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 1.19 (t, 6 H), 3.37 (q, 4 H), 6.63–6.73 (m, 3 H), 7.20–7.27 (m, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 12.6, 44.3, 111.8, 115.3, 129.3, 147.8.

Anal. Calcd for $C_{10}H_{15}N$ (149.235): C, 80.48; H, 10.13; N, 9.39. Found: C, 81.01; H, 10.59; N, 9.01.

***N,N*-Dibutylaniline (3aj)**[2k]

Black oil; yield: 111 mg (54%).

IR (KBr): 694 (m), 748 (m), 879 (w), 1234 (m), 1288 (w), 1458 (w), 1496 (m), 1596 (m), 2923 cm^{-1} (m).

^1H NMR (250 MHz, $CDCl_3$): δ = 0.87 (t, *J* = 7.5 Hz, 6 H), 1.21–1.35 (m, 4 H), 1.43–1.55 (m, 4 H), 3.18 (t, *J* = 7.5 Hz, 4 H), 6.53–6.58 (m, 3 H), 7.09–7.17 (m, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 14.0, 20.4, 29.4, 50.8, 111.6, 115.0, 129.2, 148.2.

MS: *m*/*z* (%) = 208 (M^+ + 3, 2.8), 207 (M^+ + 2, 8.7), 151 (9.0), 109 (33.0), 83 (53.9), 57 (100.0).

Anal. Calcd for $C_{14}H_{23}N$ (205.342): C, 81.89; H, 11.29; N, 6.82. Found: C, 81.05; H, 11.92; N, 6.06.

1,4-Diphenylpiperazine (3ak)[2l]

Dark brown solid; yield: 145 mg (61% from **2k**), 96 mg (40% from **2m**); mp 150.0–151.0 °C.

IR (KBr): 524 (m), 694 (s), 763 (s), 941 (s), 987 (w), 1033 (w), 1157 (m), 1226 (s), 1326 (m), 1388 (m), 1450 (m), 1496 (s), 1596 (s), 2831 cm^{-1} (m).

^1H NMR (250 MHz, $CDCl_3$): δ = 3.36 (s, 8 H), 6.91 (t, *J* = 7.25 Hz, 2 H), 7.00 (d, *J* = 7.75 Hz, 4 H), 7.31 (t, *J* = 6.75 Hz, 4 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 49.4, 116.4, 120.1, 129.2, 151.3.

MS: *m*/*z* (%) = 240 (M^+ + 2, 3.7), 239 (M^+ + 1, 20.0), 238 (M^+, 27.0), 132 (43.5), 105 (100.0), 73 (29.8), 57 (38.7).

Anal. Calcd for $C_{16}H_{18}N_2$ (238.332): C, 80.63; H, 7.61; N, 11.75. Found: C, 81.02; H, 7.08; N, 11.14.

***N*-Benzyl-*N*-phenethylaniline (3al)**

Brown oil; yield: 155 mg (54%).

IR (KBr): 694 (s), 748 (s), 864 (w), 956 (w), 995 (w), 1026 (w), 1080 (w), 1157 (m), 1218 (m), 1357 (s), 1450 (s), 1504 (s), 1596 (s), 1712 (m), 2923 (m), 3024 cm^{-1} (m).

^1H NMR (250 MHz, $CDCl_3$): δ = 2.87 (t, *J* = 6.75 Hz, 2 H), 3.57 (t, *J* = 6.75 Hz, 2 H), 4.41 (s, 2 H), 6.63–6.69 (m, 3 H), 7.12–7.22 (m, 12 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 33.46, 53.02, 54.45, 112.11, 116.26, 126.53, 126.79, 128.55, 128.77, 129.32.

MS: *m*/*z* (%) = 240 (M^+ + 2, 3.7), 239 (M^+ + 1, 20), 238 (M^+, 27.0), 132 (43.5), 105 (100.0), 73 (29.8), 57 (38.7).

Anal. Calcd for $C_{21}H_{21}N$ (287.404): C, 87.76; H, 7.36; N, 4.87. Found: C, 87.17; H, 7.75; N, 4.01.

1-Phenyl-4-pyridin-2-ylpiperazine (3ck)

Light brown solid; yield: 174 mg (73%); mp 101.0–102.0 °C.

IR (KBr): 516 (m), 686 (m), 748 (s), 871 (w), 948 (m), 1033 (w), 1157 (s), 1234 (s), 1380 (m), 1434 (s), 1473 (m), 1596 (s), 2839 cm^{-1} (m).

^1H NMR (250 MHz, $CDCl_3$): δ = 3.24 (t, *J* = 5.50 Hz, 4 H), 3.64 (t, *J* = 5.25 Hz, 4 H), 6.56–6.65 (m, 2 H), 6.82 (t, *J* = 7.50 Hz, 1 H), 6.91 (d, *J* = 7.75 Hz, 2 H), 7.18–7.25 (m, 2 H), 7.41–7.47 (m, 1 H), 8.14–8.16 (m, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 33.46, 53.02, 54.45, 112.11, 116.26, 126.53, 126.79, 128.55, 128.77, 129.32.

Anal. Calcd for $C_{15}H_{17}N_3$ (239.319): C, 75.28; H, 7.16; N, 17.56. Found: C, 75.75; H, 7.62; N, 17.92

1-Benzyl-4-phenyl-1*H*-1,2,3-triazole (6aa)

White solid; yield: 172 mg (73% from **4a**), 160 mg (68% from **4a'**); mp 129.0–129.5 °C (Lit.[4a] 129.0–129.5 °C).

IR (KBr): 694 (s), 729 (s), 768 (s), 1049 (m), 1076 (m), 1223 (m), 1358 (w), 1466 (m), 3121 cm^{-1} (w).

^1H NMR (250 MHz, $CDCl_3$): δ = 5.53 (s, 2 H), 7.26–7.41 (m, 6 H), 7.69 (s, 1 H), 7.79–7.82 (m, 4 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 54.1, 119.7, 125.7, 128.0, 128.2, 128.7, 128.8, 129.1, 130.6, 134.7, 148.1.

MS: *m*/*z* (%) = 237 (M^+ + 2, 0.2), 236 (M^+ + 1, 7.3), 235 (M^+, 7.7), 207 (24.7), 206 (30.8), 179 (9.7), 149 (23.1), 116 (94.8), 91 (100), 57 (56.0).

Anal. Calcd for $C_{15}H_{13}N_3$ (235.284): C, 76.57; H, 5.57; N, 17.86. Found: C, 76.40; H, 5.69; N, 17.76.

1-(4-Bromobenzyl)-4-phenyl-1*H*-1,2,3-triazole (6ba)

Colorless crystals; yield: 223 mg (71%); mp 152.0–153.0 °C (Lit.[4a] 152.0–153.0 °C).

IR (KBr): 690 (s), 764 (s), 798 (s), 1049 (m), 1076 (s), 1219 (s), 1350 (w), 1435 (m), 1462 (m), 1485 (s), 3082 cm^{-1} (m).

^1H NMR (250 MHz, $CDCl_3$): δ = 5.52 (s, 2 H), 7.17 (d, *J* = 8.4 Hz, 2 H), 7.24–7.44 (m, 3 H), 7.51 (d, *J* = 8.4 Hz, 2 H), 7.67 (s, 1 H), 7.80 (d, *J* = 8.4 Hz, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 53.4, 119.7, 122.8, 125.7, 127.6, 128.3, 128.7, 129.1, 129.6, 132.2, 133.8, 148.3.

MS: *m*/*z* (%) = 316 (M^+ + 2, 1.8), 315 (M^+ + 1, 1.8), 314 (M^+, 2.4), 312 (0.8), 284 (4.7), 286 (5.3), 207 (8.6), 180 (2.5), 178 (2.7), 171 (15.1), 169 (16.3), 116 (100), 89 (37.3).

Anal. Calcd for $C_{15}H_{12}BrN_3$ (314.180): C, 57.34; H, 3.85; N, 13.37. Found: C, 57.17; H, 3.98; N, 13.35.

1-(4-Methylbenzyl)-4-phenyl-1*H*-1,2,3-triazole (6ca)

Colorless crystals; yield: 204 mg (82%); mp 110.0 °C (Lit.[4a] 110.0 °C).

IR (KBr): 694 (s), 764 (s), 1045 (m), 1076 (m), 1223 (s), 1350 (m), 1462 (m), 1516 (m), 3117 (w), 3445 cm^{-1} (br).

^1H NMR (250 MHz, $CDCl_3$): δ = 2.36 (s, 3 H), 5.52 (s, 2 H), 7.30–7.43 (m, 7 H), 7.64 (s, 1 H), 7.79 (dd, J_1 = 8.1 Hz, J_2 = 1.5 Hz, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 21.2, 53.9, 119.6, 126.0, 128.1, 128.8, 130.2, 130.6, 131.7, 138.6, 148.1.

MS: *m*/*z* (%) = 251 (M^+ + 2, 3.0), 250 (M^+ + 1, 15.9), 249 (M^+, 16.2), 220 (41.4), 206 (14.2), 179 (16.3), 130 (7.0), 116 (100), 89 (25.9), 77 (27.4).

Anal. Calcd for $C_{16}H_{15}N_3$ (249.311): C, 77.08; H, 6.06; N, 16.85. Found: C, 76.92; H, 5.94; N, 16.77.

1-(4-Nitrobenzyl)-4-phenyl-1*H*-1,2,3-triazole (6da)

Pale yellow crystals; yield: 179 mg (64%); mp 156.0–157.0 °C (Lit.[4a] 156.0–157.0 °C).

IR (KBr): 690 (s), 733 (s), 764 (s), 1045 (m), 1076 (m), 1223 (m), 1350 (s), 1520 (s), 1605 (m), 3082 cm^{-1} (m).

^1H NMR (250 MHz, $CDCl_3$): δ = 5.68 (s, 2 H), 7.32–7.38 (m, 3 H), 7.42 (d, *J* = 8.5 Hz, 2 H), 7.78 (s, 1 H), 7.79 (d, *J* = 7.9 Hz, 2 H), 8.19 (dd, J_1 = 9.1 Hz, J_2 = 2.2 Hz, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 53.1, 119.8, 124.3, 125.7, 128.5, 128.9, 130.1, 141.8, 148.6.

MS: *m*/*z* (%) = 281 (M^+ + 1, 4.1), 280 (M^+, 5.6), 252 (3.3), 206 (7.0), 136 (5.0), 116 (100.0), 89 (41.0), 63 (18.8).

Anal. Calcd for $C_{15}H_{12}N_4O_2$ (280.282): C, 64.28; H, 4.32; N, 19.99. Found: C, 64.38; H, 4.12; N, 19.86.

2-Hydroxy-5-[(4-phenyl-1*H*-1,2,3-triazol-1-yl)methyl]benzaldehyde (6ea)

White solid; yield: 210 mg (75%); mp 165.0–170.0 °C (Lit.[3b] 165.0–170.0 °C).

IR (KBr): 455 (w), 506 (w), 555 (w), 686 (m), 763 (s), 1087 (m), 1157 (m), 1311 (s), 1365 (w), 1442 (m), 1612 (m), 1674 (s), 2846 (w), 2931 cm^{-1} (w).

^1H NMR (250 MHz, DMSO-d_6): δ = 5.36 (s, 2 H), 6.80 (d, *J* = 8.5 Hz, 1 H), 7.09–7.22 (m, 3 H), 7.31 (d, *J* = 8.5 Hz, 1 H), 7.43 (s, 1 H), 7.60 (d, *J* = 8.0 Hz, 2 H), 7.71 (s, 1 H), 9.76 (s, 1 H), 10.75 (s, 1 H).

^{13}C NMR (62.9 MHz, DMSO-d_6): δ = 57.50, 122.92, 126.18, 127.00, 130.30, 131.86, 133.06, 133.90, 134.65, 135.41, 141.45, 152.01, 165.88, 197.22.

MS: *m*/*z* (%) = 281 (M^+ + 2, 0.2), 280 (M^+ + 1, 0.6), 279 (M^+, 9.3), 250 (12.2), 135 (35.2), 116 (100.0), 85 (30.0), 57 (90.2).

Anal. Calcd for $C_{16}H_{13}N_3O_2$ (279.297): C, 68.81; H, 4.69; N, 15.04. Found: C, 68.38; H, 4.50; N, 14.96.

1-Allyl-4-phenyl-1*H*-1,2,3-triazole (6fa)

White solid; yield: 148 mg (80% from **4f**), 143 mg (77% from **4f'**); mp 59.0–61.0 °C (Lit.[4a] 58.0–62.0 °C).

IR (KBr): 698 (s), 764 (s), 933 (w), 991 (w), 1045 (w), 1076 (w), 1443 (m), 1608 (w), 1720 (m), 2928 (m), 3074 cm^{-1} (w).

^1H NMR (250 MHz, $CDCl_3$): δ = 4.96 (d, *J* = 6.1 Hz, 2 H), 5.29 (dd, J_1 = 16.9 Hz, J_2 = 10.2 Hz, 2 H), 5.86–6.08 (m, 1 H), 7.23–7.42 (m, 3 H), 7.69 (s, 1 H), 7.76 (dd, J_1 = 8.5 Hz, J_2 = 1.1 Hz, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 52.8, 118.7, 119.4, 120.2, 125.7, 128.2, 128.8, 129.0, 129.5, 131.3.

Anal. Calcd for $C_{11}H_{11}N_3$ (185.225): C, 71.33; H, 5.99; N, 22.69. Found: C, 71.19; H, 5.79; N, 22.61.

2-(1-Allyl-1*H*-1,2,3-triazol-4-yl)propan-2-ol (6fb)[3b]

Brown oil; yield: 127 mg (76%).

IR (KBr): 948 (w), 1064 (w), 1164 (br), 1527 (m), 1697 (br), 2977 cm^{-1} (w).

^1H NMR (250 MHz, $CDCl_3$): δ = 1.44 (s, 6 H), 4.26 (s, 1 H), 4.76 (d, *J* = 6.2 Hz, 2 H), 5.02–5.16 (m, 2 H), 5.74–5.90 (m, 1 H), 7.41 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 30.3, 52.4, 68.2, 119.6, 119.8, 131.3, 156.0.

MS: *m*/*z* (%) = 168 (M^+ + 1, 0.6), 167 (M^+, 2.8), 152 (100.0), 124 (12.0), 98 (14.7), 82 (35.1), 55 (44.2).

Anal. Calcd for $C_8H_{13}N_3O$ (167.210): C, 57.47; H, 7.84; N, 25.13. Found: C, 57.50; H, 7.91; N, 25.39.

1-Benzyl-4-butyl-1*H*-1,2,3-triazole (6ac)[4a]

Oil; yield: 155 mg (72%).

IR (KBr): 698 (s), 737 (s), 1018 (s), 1207 (m), 1454 (s), 1497 (m), 2338 (w), 2816 (m), 2874 (m), 3028 cm^{-1} (s).

^1H NMR (250 MHz, $CDCl_3$): δ = 0.81 (t, *J* = 7.0 Hz, 3 H), 1.17–1.56 (m, 4 H), 2.35–2.62 (m, 2 H), 5.38 (s, 2 H), 7.03–7.26 (m, 5 H), 7.38 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 13.8, 22.7, 25.3, 31.5, 53.9, 120.5, 126.8, 127.9, 128.9, 134.6, 149.1.

Anal. Calcd for $C_{13}H_{17}N_3$ (215.294): C, 72.52; H, 7.96; N, 19.52. Found: C, 72.37; H, 7.79; N, 19.58.

(1-Benzyl-1*H*-1,2,3-triazol-4-yl)methanol (6ad)

Colorless crystals; yield: 130 mg (69%); mp 78.0–79.0 °C (Lit.[4a] 78–78.5 °C).

IR (KBr): 690 (s), 721 (s), 769 (m), 841 (s), 1014 (s), 1038 (s), 1130 (m), 1223 (m), 1458 (m), 3263 cm^{-1} (br).

^1H NMR (250 MHz, $CDCl_3$): δ = 4.65 (s, 2 H), 5.40 (s, 2 H), 7.17–7.29 (m, 5 H), 7.45 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 4.0, 55.8, 122.0, 128.1, 128.7, 129.0, 134.6, 148.3.

MS: *m*/*z* (%) = 191 (M^+ + 2, 0.1), 190 (M^+ + 1, 0.8), 189 (M^+, 1.1), 160 (3.3), 149 (3.4), 143 (5.2), 130 (6.6), 91 (100), 65 (21.5).

Anal. Calcd for $C_{10}H_{11}N_3O$ (189.214): C, 63.48; H, 5.86; N, 22.21. Found: C, 63.62; H, 5.73; N, 22.35.

(1-Benzyl-1*H*-1,2,3-triazol-4-yl)propan-2-ol (6ab)

Colorless crystals; yield: 139 mg (64%); mp 76.0–77.0 °C (Lit.[4a] 77.0 °C).

IR (KBr): 698 (w), 733 (s), 795 (m), 960 (m), 1057 (m), 1173 (s), 1219 (m), 1369 (m), 1458 (m), 1500 (w), 2978 (s), 3310 cm^{-1} (br).

^1H NMR (250 MHz, $CDCl_3$): δ = 1.57 (s, 6 H), 3.18 (s, 1 H), 5.42 (s, 2 H), 7.21–7.36 (m, 5 H), 7.39 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 30.4, 54.1, 68.4, 119.2, 128.1, 128.7, 129.1, 134.6, 152.1.

MS: *m*/*z* (%) = 217 (M^+, 0.5), 204 (0.4), 203 (2.3), 202 (16.0), 201 (3.2), 130 (1.6), 91 (100), 90 (30.3), 65 (18.5).

Anal. Calcd for $C_{12}H_{15}N_3O$ (217.267): C, 66.34; H, 6.96; N, 19.34. Found: C, 66.49; H, 7.11; N, 19.52.

{4-[(1-Benzyl-1*H*-1,2,3-triazol-4-yl)methoxy]-2-hydroxyphenyl](phenyl)methanone (6ae)

White solid; yield: 231 mg (60%); mp 102.0–104.0 °C (Lit.[3b] 102.0–104.0 °C).

IR (KBr): 702 (s), 818 (m), 925 (m), 1010 (m), 1118 (s), 1195 (s), 1257 (s), 1342 (s), 1458 (m), 1504 (m), 1620 (s), 3124 cm^{-1} (m).

^1H NMR (250 MHz, $CDCl_3$): δ = 5.10 (s, 2 H), 5.42 (s, 2 H), 6.36 (dd, J_1 = 8.9 Hz, J_2 = 2.5 Hz, 1 H), 6.49 (d, *J* = 2.4 Hz, 1 H), 7.10–7.52 (m, 11 H), 12.55 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 54.2, 62.1, 102.1, 107.5, 113.5, 123.0, 128.1, 128.3, 128.8, 129.2, 131.6, 134.4, 135.4, 138.1, 143.3, 164.7, 166.1, 200.1.

MS: *m*/*z* (%) = 387 (M^{2+}, 1.3), 386 (M^+ + 1, 6.4), 385 (M + , 18.2), 213 (9.5), 172 (3.5), 144 (43.1), 91 (100), 57 (23.1).

Anal. Calcd for $C_{23}H_{19}N_3O_3$ (385.420): C, 71.68; H, 4.97; N, 10.9. Found: C, 71.57; H, 5.05; N, 10.21.

4-[(1-Benzyl-1*H*-1,2,3-triazol-4-yl)methoxy]benzaldehyde (6af)

White solid; yield: 226 mg (77%); mp 101.0–102.0 °C (Lit.[3b] 101.0–102.0 °C).

IR (KBr): 709 (m), 1033 (m), 1249 (m), 1519 (m), 1643 (m), 1712 (m), 2885 (w), 2923 cm^{-1} (w).

^1H NMR (250 MHz, $CDCl_3$): δ = 5.03 (s, 2 H), 5.32 (s, 2 H), 6.86 (d, *J* = 8.75 Hz, 2 H), 7.04–7.17 (m, 5 H), 7.38 (s, 1 H), 7.59 (d, *J* = 8.75 Hz, 2 H), 9.64 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 54.1, 62.0, 115.0, 123.2, 128.1, 128.8, 129.1, 130.2, 132.0, 134.5, 143.4, 163.1, 190.7.

MS: *m*/*z* (%) = 293 (M^+, 2.1), 172 (23.5), 144 (54.1), 91 (100.0).

Anal. Calcd for $C_{17}H_{15}N_3O_2$ (293.324): C, 69.61; H, 5.15; N, 14.33. Found: C, 69.30; H, 5.07; N, 14.39.

(1-Allyl-1*H*-1,2,3-triazol-4-yl)methyl 3-Chlorobenzoate (6fg)

White solid; yield: 219 mg (79%); mp 51.0–53.0 °C (Lit.[3b] 51.0–53.0 °C).

IR (KBr): 671 (s), 740 (s), 794 (s), 840 (s), 933 (s), 1118 (s), 1280 (s), 1427 (s), 1573 (m), 1643 (m), 1720 (s), 2970 (m), 3078 (m), 3139 (m), 3440 cm^{-1} (w).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 4.97 (d, *J* = 6.50 Hz, 2 H), 5.28–5.38 (m, 2 H), 5.45 (s, 2 H), 5.92–6.10 (m, 1 H), 7.32–7.38 (m, 1 H), 7.48–7.52 (m, 1 H), 7.69 (s, 1 H), 7.90 (d, *J* = 7.5 Hz, 1 H), 7.99 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 52.8, 58.3, 120.5, 123.8, 127.8, 129.7, 130.9, 131.4, 133.2, 134.5, 142.7, 165.2.

MS: *m*/*z* (%) = 279 (M^+ + 2, 1.7), 278 (M^+ + 1, 2.5), 277 (M^+, 3.8), 206 (1.6), 167 (2.0), 139 (100.0), 111 (31.7), 73 (12.4), 57 (27.7).

Anal. Calcd for $C_{13}H_{12}ClN_3O_2$ (277.709): C, 56.23; H, 4.36; N, 15.13. Found: C, 56.30; H, 4.27; N, 15.22.

(1-Benzyl-1*H*-1,2,3-triazol-4-yl)methyl 4-Bromobenzoate (6ah)

White solid; yield: 257 mg (69%); mp 123.0–124.5 °C (Lit.[3b] 123.0–124.0 °C).

IR (KBr): 570 (m), 717 (s), 763 (s), 840 (m), 925 (s), 1010 (s), 1095 (s), 1172 (m), 1262 (s), 1388 (m), 1458 (m), 1589 (s), 1720 (s), 2962 (m), 3070 (m), 3124 cm^{-1} (m).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 5.43 (s, 2 H), 5.52 (s, 2 H), 7.26–7.42 (m, 5 H), 7.55 (d, *J* = 8.5 Hz, 2 H), 7.60 (s, 1 H), 7.87 (d, *J* = 8.5 Hz, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 54.3, 58.2, 123.9, 128.1, 128.3, 128.6, 128.9, 129.2, 131.2, 131.7, 134.3, 165.7.

MS: *m*/*z* (%) = 374 (M^+ + 2, 0.1), 373 (M^+ + 1, 1.5), 372 (M^+, 0.5), 183 (41.9), 91 (100.0).

Anal. Calcd for $C_{17}H_{14}BrN_3O_2$ (372.22): C, 54.86; H, 3.79; N, 11.29. Found: C, 54.96; H, 3.84; N, 11.41.

(1-Benzyl-1*H*-1,2,3-triazol-4-yl)methyl 2-Bromobenzoate (6ai)

White solid; yield: 242 mg (65%); mp 68.0–69.5 °C (Lit.[3b] 68.0–69.0 °C).

IR (KBr): 709 (w), 1033 (m), 1249 (m), 1458 (m), 1519 (m), 1643 (m), 1712 (m), 2923 cm^{-1} (w).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 5.38 (s, 2 H), 5.45 (s, 2 H), 7.18–7.28 (m, 7 H), 7.50–7.55 (m, 1 H), 7.65–7.71 (m, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 54.0, 58.6, 121.6, 124.2, 127.2, 128.0, 128.7, 129.0, 131.4, 132.8, 134.2, 134.5, 142.7, 165.7.

MS: *m*/*z* (%) = 374 (M^+ + 2, 0.3), 373 (M^+ + 1, 1.1), 372 (M^+, 0.4), 185 (44.8), 91 (100.0).

Anal. Calcd for $C_{17}H_{14}BrN_3O_2$ (372.22): C, 54.86; H, 3.79; N, 11.29. Found: C, 54.93; H, 3.85; N, 11.41.

(1-Benzyl-1*H*-1,2,3-triazol-4-yl)methyl 2-Chloro-4-nitrobenzoate (6aj)

White solid; yield: 235 mg (63%); mp 91.0–93 °C (Lit.[3b] 91.0–93.0 °C).

IR (KBr): 578 (m), 732 (s), 856 (m), 941 (s), 1049 (s), 1134 (s), 1242 (s), 1280 (s), 1350 (s), 1458 (m), 1519 (s), 1743 (s), 3078 cm^{-1} (m).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 5.49 (s, 2 H), 5.54 (s, 2 H), 7.23–7.41 (m, 5 H), 7.63 (s, 1 H), 7.96 (d, *J* = 8.5 Hz, 1 H), 8.13 (dd, J_1 = 8.13 Hz, J_2 = 2.25 Hz, 1 H), 8.29 (d, *J* = 2.25 Hz, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 54.2, 59.2, 121.4, 124.1, 125.9, 128.1, 128.9, 129.1, 132.2, 134.3, 134.9, 135.1, 142.2, 149.5, 163.8.

MS: *m*/*z* (%) = 373 (M^+ + 1, 0.3), 372 (M^+, 0.9), 337 (0.9), 281 (0.9), 184 (23.1), 160 (10.2), 91 (100.0).

Anal. Calcd for $C_{17}H_{13}ClN_4O_4$ (372.766): C, 54.78; H, 3.51; N, 15.03. Found: C, 54.79; H, 3.45; N, 15.24.

(1-Benzyl-1*H*-1,2,3-triazol-4-yl)methyl 3-Nitrobenzoate (6ak)

White solid; yield: 233 mg (69%); mp 116.5–118.0 °C (Lit.[3b] 116.0–118.0 °C).

IR (KBr): 578 (w), 663 (w), 717 (s), 771 (m), 840 (m), 935 (m), 1064 (s), 1126 (s), 1257 (s), 1296 (s), 1350 (s), 1473 (m), 1527 (s), 1620 (m), 1720 (s), 1959 (w), 2862 (w), 2962 (w), 3085 (w), 3139 cm^{-1} (m).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 5.49 (s, 2 H), 5.55 (s, 2 H), 7.26–7.40 (m 5 H), 7.60–7.66 (m 2 H), 8.33–8.43 (m 2 H), 8.83 (s 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 54.2, 58.7, 127.1, 124.6, 127.6, 128.2, 128.8, 129.1, 129.7, 131.4, 134.3, 135.4, 142.5, 148.1, 164.2.

MS: *m*/*z* (%) = 340 (M^+ + 2, 0.3), 339 (M^+ + 1, 1.2), 338 (M^+, 3.0), 187 (16.8), 150 (32.9), 91 (100.0).

Anal. Calcd for $C_{17}H_{14}N_4O_4$ (338.320): C, 60.35; H, 4.17; N, 16.56. Found: C, 60.27; H, 4.22; N, 16.59.

(1-Benzyl-1*H*-1,2,3-triazol-4-yl)methyl 4-Methoxybenzoate (6al)

White solid; yield: 210 mg (65%); mp 111.0–113.0 °C (Lit.[3b] 111.0–113.0 °C).

IR (KBr): 1110 (w), 1265 (m), 1527 (m), 1705 (br), 3618 cm^{-1} (w).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 3.83 (s, 3 H), 5.40 (s, 2 H), 5.51 (s, 2 H), 6.88 (d, *J* = 9.0 Hz, 2 H), 7.25–7.37 (m, 5 H), 7.60 (s, 1 H), 7.93 (d, *J* = 9.0 Hz, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 54.2, 55.4, 57.8, 113.6, 122.0, 123.8, 128.1, 128.8, 129.1, 131.8, 134.4, 143.5, 163.5, 166.1.

MS: *m*/*z* (%) = 325 (M^+ + 2, 0.3), 324 (M^+ + 1, 2.2), 323 (M^+, 5.3), 188 (18.6), 135 (100.0), 91 (63.3).

Anal. Calcd for $C_{18}H_{17}N_3O_3$ (323.349): C, 66.86; H, 5.30; N, 13.00. Found: C, 66.91; H, 5.25; N, 13.09.

***N*,*N*-Bis[(1-allyl-1*H*-1,2,3-triazol-4-yl)methyl]aniline (6fm)**

White solid; yield: 178 mg (53%); mp 92.0–97.0 °C.

IR (KBr): 509.0 (m), 694 (s), 748 (s), 786 (s), 856 (m), 941 (s), 995 (m), 1049 (s), 1134 (m), 1164 (m), 1211 (s), 1272 (w), 1326 (s), 1373 (s), 1411 (m), 1504 (s), 1542 (w), 1596 (s), 2885 (w), 2923 (w), 3062 (m), 3116 cm^{-1} (m).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 4.61 (s, 4 H), 4.86 (s, 4 H), 5.13–5.27 (m, 4 H), 5.82–5.96 (m, 2 H), 6.65–7.19 (m, 5 H), 7.29 (s, 2 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 46.8, 52.7, 113.4, 117.7, 120.0, 121.8, 129.3, 131.2, 145.6, 147.9.

Anal. Calcd for $C_{18}H_{21}N_7$ (335.411): C, 64.46; H, 6.31; N, 29.23. Found: C, 70.08; H, 5.25; N, 29.75.

1-Phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanol (6ga)[4b]

White solid; yield: 212 mg (80%); mp 125–127 °C (Lit.[4b] 125.5–126.58 °C).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 3.62 (s, 1 H), 4.15 (dd, J_1 = 12.7 Hz, J_2 = 3.8 Hz, 1 H), 4.56 (dd, J_1 = 12.4 Hz, J_2 = 8.2 Hz, 1 H), 5.60 (dd, J_1 = 8.2 Hz, J_2 = 3.7 Hz, 1 H), 7.17–7.26 (m, 5 H), 7.28 (s, 1 H), 7.29–7.34 (m, 2 H), 7.62–7.69 (m, 3 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 64.7, 67.3, 120.7, 126.0, 127.2, 128.2, 128.8, 129.0, 130.2, 136.2, 147.4.

2-(4-Phenyl-1*H*-1,2,3-triazol-1-yl)cyclohexanol (6ha)[4b]

White solid; yield: 187 mg (77%); mp 179–180.5 °C (Lit.[4b] 179–180 °C).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 1.39–2.25 (m, 8 H), 4.09–4.17 (m, 3 H), 7.23–7.36 (m, 3 H), 7.36 (dd, J_1 = 8.2 Hz, J_2 = 1.7 Hz, 2 H), 7.69 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 24.1, 24.8, 31.5, 33.8, 67.4, 72.5, 120.1, 125.4, 127.9, 128.7, 130.2

1-Phenoxy-3-(4-phenyl-1*H*-1,2,3-triazol-1-yl)propan-2-ol (6ia)[4b]

White solid; yield: 242 mg (82%); mp 78–79 °C (Lit.[4b] 78–79 °C).

^{1}H NMR (250 MHz, $CDCl_3$): δ = 3.88 (s, 1 H), 4.01–4.05 (m, 2 H), 4.55 (dd, J_1 = 12.7, J_2 = 3.5 Hz, 2 H), 4.68–4.77 (m, 1 H), 6.90–7.02 (m, 3 H), 7.6–7.02 (m, 5 H), 7.69–7.72 (m, 2 H), 7.85 (s, 1 H).

^{13}C NMR (62.9 MHz, $CDCl_3$): δ = 53.5, 68.6, 68.9, 114.5, 121.4, 121.6, 125.5, 128.1, 128.8, 129.6, 130.0, 147.2, 158.2.

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Supporting Information

Supporting information for this article is available online at http://dx.doi.org/10.1055/s-0034-1379951.

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