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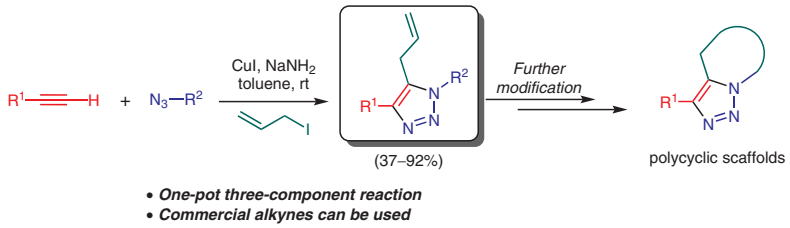
A Copper(I)-Mediated Tandem Three-Component Synthesis of 5-Allyl-1,2,3-triazoles

Yoona Song‡
Soyun Lee‡
Palash Dutta
Jae-Sang Ryu*

College of Pharmacy & Graduate School of Pharmaceutical Sciences, Ewha Womans University, 52 Ewhayeodae-gil, Seodaemun-Gu, Seoul 03760, Republic of Korea
ryuj@ewha.ac.kr

‡ These authors contributed equally to this work.



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Abstract A copper(I)-mediated tandem three-component reaction using alkynes, azides, allyl iodides, CuI and $NaNH_2$ is developed. The reactions proceed smoothly at room temperature to afford 5-allyl-1,2,3-triazoles, which can be further converted into 1,2,3-triazole-fused tricyclic scaffolds. This method features an efficient one-pot cascade route using commercial alkynes and affords the corresponding 5-allyl-1,2,3-triazoles with high yields and good selectivity under mild reaction conditions.

Key words 1,2,3-triazoles, multicomponent reactions, one-pot reactions, click reactions, copper catalyst

One-pot multicomponent reactions (MCRs)[1] are defined as reactions in which three or more components are mixed in a single reaction vessel at the same time, forming complex final products containing most of the atoms of the starting materials. MCRs include one or more sequential chemical transformations without changing the reaction medium after each reaction. MCRs can be used to quickly generate large molecular diversity and to easily develop chemical libraries, which usually require more time and effort to produce through stepwise protocols.[2] Therefore, they are particularly attractive in both the diversity-oriented synthesis of drug-like molecules[3] and the construction of large-scale bioactive compound libraries in the pharmaceutical industry.

Since the first MCR (the Strecker reaction[4]) was introduced, the area of MCRs has grown tremendously, providing new reactions and strategies. In particular, a considerable number of MCRs have focused on generating unique small heterocyclic molecules such as tetrazoles,[5] indoles,[6] pyrroles,[7] imidazo[1,2-α]pyridines,[8] and triazoles.[9] The use of MCRs to construct fully functionalized 1,2,3-triazole libraries from readily available building blocks has great potential. In comparison with step-by-step procedures, well-established MCRs provide a more direct and robust approach for the highly efficient synthesis of 1,4,5-trisubstituted 1,2,3-triazoles.[10]

1,2,3-Triazole is an important scaffold.[11] Although 1,2,3-triazoles do not occur in nature, synthetic 1,2,3-triazoles exert a variety of biological activities and have attracted the attention of medicinal chemists in the field of drug discovery. At present, 1,2,3-triazole-containing pharmaceuticals such as rufinamide[12] and tazobactam[13] are readily available, and several 1,2,3-triazole-based drug candidates are being evaluated in clinical trials.[14] In addition, 1,2,3-triazoles are also ubiquitous in functional materials. They are used in various fields including bioconjugation[15] and materials science.[16] In particular, a facile synthetic protocol known as Cu(I)-catalyzed azide–alkyne cycloaddition (CuAAC)[17] has contributed to the broad application of 1,2,3-triazoles. However, CuAAC is limited to terminal alkyne substrates, which are not suitable for the synthesis of fully substituted 1,2,3-triazoles.

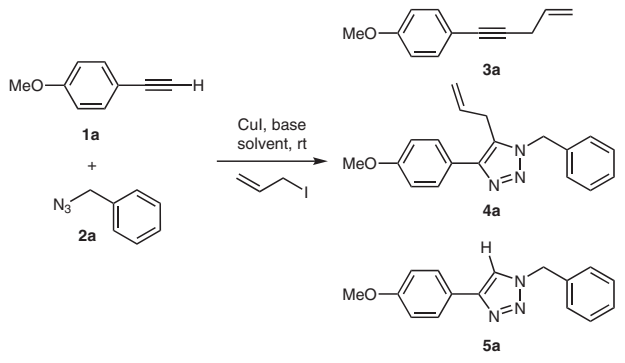
We are particularly interested in 5-allyl-1,2,3-triazoles[18] as precursors for the development of conformationally rigid polycyclic heterocycles. However, reports of efficient MCR protocols for the synthesis of 5-allyl-1,2,3-triazoles are rare. Although we previously reported a one-pot process to produce 5-allyl-1,2,3-triazoles using 1-copper(I)-alkynes,[19] they are not commercially available, and their synthesis and purification is tedious. The direct use of commercial alkynes for the synthesis of 5-allyl-1,2,3-triazoles is more efficient and is encouraged. Herein, we report one-pot, three-component reactions for the synthesis of 5-allyl-1,2,3-triazoles directly using commercial alkynes along with optimization of the reaction conditions.

To develop a tandem three-component CuAAC–allylation reaction,[20] we began by investigating one-pot, three-component reactions with 4-ethynylanisole (**1a**), 1.2 equivalents

Georg Thieme Verlag KG, Rüdigerstraße 14, 70469 Stuttgart, Germany

of CuI, 1.5 equivalents of benzyl azide (**2a**), 4 equivalents of allyl iodide, and 2 equivalents of base (Table 1). In the preliminary screening of reaction conditions, we initially used Et_3N as the base, which is frequently used in conventional click reactions. The reaction was heterogeneous and sluggish. After 74 hours at room temperature, we obtained the desired product, 5-allyl-1,2,3-triazole **4a**, along with an enyne byproduct **3a** and a protonation byproduct **5a** (8%, 8%, and 9% yield, respectively) (entry 1). The use of Et_3N appeared to be ineffective because only a small amount of the desired product **4a** was formed. Therefore, we decided to screen a series of bases (Et_3N, NaOH, KO*t*-Bu, and $NaNH_2$). When NaOH was used as the base in toluene, the reaction was heterogeneous and sluggish, forming an aggregated mass in toluene. After 48 hours, the starting alkyne **1a** was almost consumed, and 44% of **4a**, 16% of **3a**, and 4% of **5a** were isolated (entry 2).

Table 1 Optimization of the Reaction Conditions[a]



Entry	Base	Solvent	Time (h)	Yield (%)[b]		
				3a	**4a**	**5a**
1	Et_3N	toluene	74	8	8	9
2	NaOH	toluene	48	16	44	4
3	KO*t*-Bu	toluene	0.5	17	30	5
4	$NaNH_2$	toluene	1	15	81	2
5	$NaNH_2$	CH_2Cl_2	1	24	47	1
6	$NaNH_2$	THF	1	17	69	5
7	$NaNH_2$	MeCN	0.5	30	39	9
8[c]	$NaNH_2$	toluene	0.5	0	68	29
9[c,d]	$NaNH_2$	toluene	2	2	69	14
10[e]	$NaNH_2$	toluene	48	36	20	3

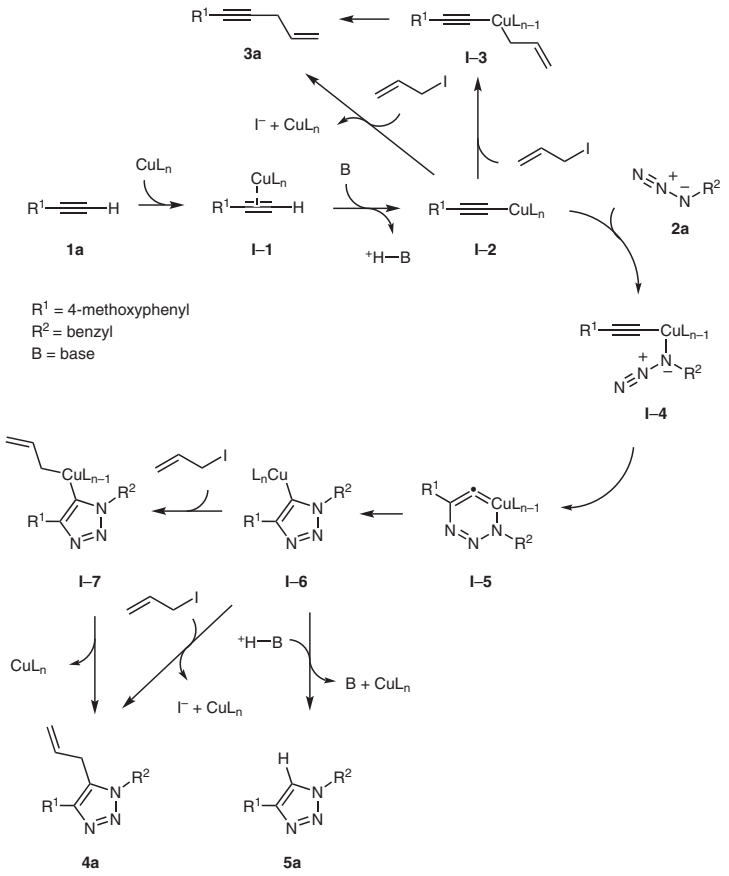
[a] Reaction conditions: **1a** (65.8 mg, 0.4 mmol), **2a** (94.9 mg, 0.6 mmol), CuI (91.4 mg, 0.48 mmol), base (0.8 mmol), allyl iodide (146 µL, 1.6 mmol), solvent (1 mL), Ar atmosphere.
[b] Yield of isolated products.
[c] Allyl iodide was added to the mixture after pre-mixing of the alkyne, CuI, the azide and the base for 2 h.
[d] Molecular sieves (5 Å) were used.
[e] A catalytic amount of CuI (10 mol%) was used.

At this stage, we scrutinized a plausible mechanism, as shown in Scheme 1, based on previous mechanistic studies[21] and our observations. We hypothesized that the reaction begins with the formation of Cu(I) acetylide species **I-2** via the π complex **I-1**. Coordination of copper to the alkyne C≡C lowers the pK_a of the alkyne C–H bond by up to 9.8 pH units, which allows proton abstraction with a weak base. The resulting Cu(I) acetylide **I-2** coordinates with the azide **2a** to form the intermediate **I-4**, with subsequent cyclization leading to the formation of the metallocycle intermediate **I-5**. The six-membered Cu(I)-intermediate contracts to the Cu(I)-1,2,3-triazole intermediate **I-6**, which is readily trapped by allyl iodide to yield the desired 5-allyl-1,2,3-triazole **4a**. This mechanism could be complicated by the bimetallic mechanism of the CuAAC.[21]

In a conventional click reaction without any trapping electrophile, **I-6** would capture the proton from the protonated base (the conjugate acid) such as Et_3N^+H (H–Base). Therefore, if stronger bases were used, the proton from the weaker conjugate acid would not be readily available. The capture of a proton by **I-6** from a weaker conjugate acid might be difficult, decreasing the chance of protonolysis of **I-6**. Indeed, when stronger bases were used, the formation of **5a** was effectively reduced (~9% for Et_3N vs ~4% for NaOH, ~5% for KO*t*-Bu and ~2% for $NaNH_2$) (Table 1, entries 1–4). A marked change was observed with $NaNH_2$, with 81% of **4a** and 2% of **5a** being isolated (entry 4). However, the formation of **3a** could not be avoided.

Next, we investigated the effect of solvents on the yield of the CuAAC–allylation reactions in the presence of $NaNH_2$. The reactions were conducted in various solvents including CH_2Cl_2, THF, and MeCN (Table 1, entries 5–7). Considering the yield of the product, toluene (entry 4) was the best solvent for the reaction.

According to the proposed mechanism, the formation of compounds **3a** and **4a** is dependent on the concentrations of **I-2** and **I-6** and compete with each other. In the absence of a trapping electrophile such as allyl iodide, **I-2** would be converted into **I-6** without the formation of **3a**. Therefore, allyl iodide was added to the mixture after the pre-mixing of the alkyne, CuI, azide, and base for 2 hours to allow sufficient time for the formation of **I-6**. Interestingly, the delayed addition of allyl iodide greatly decreased the formation of **3a** (0%); however, a considerable amount of the hydration byproduct **5a** (29%) was isolated (Table 1, entry 8). It is possible that the delayed addition of allyl iodide increases the chance of protonolysis of **I-6** in the absence of a trapping electrophile. The use of molecular sieves as an additive did not completely suppress protonolysis; however, a decreased amount of the hydration byproduct **5a** (14%) was isolated (entry 9). In addition, it would be very interesting to determine whether this reaction proceeded catalytically or not. When 10 mol% of CuI was employed, only 20% of allyltriazole **4a** was isolated along with 36% of **3a** and 3% of **5a**



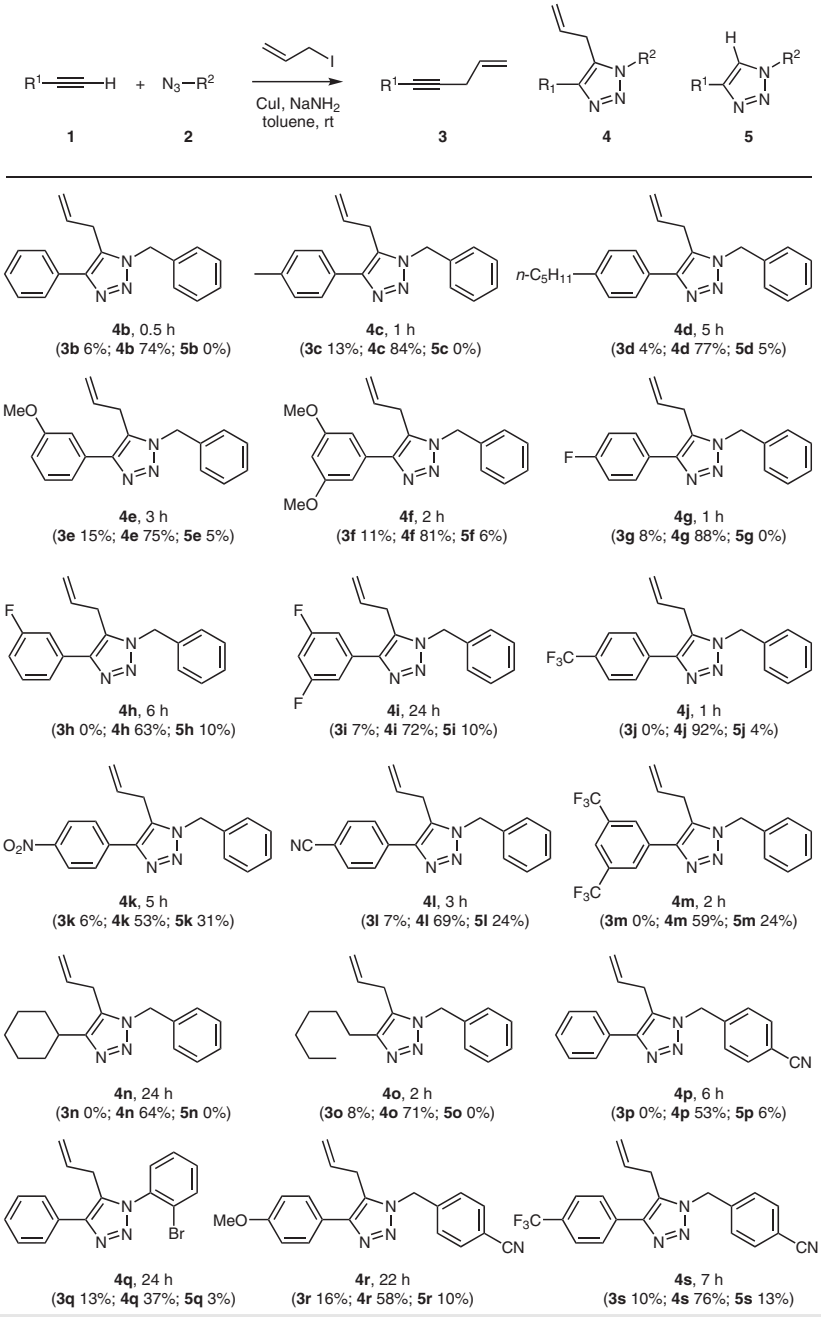
Scheme 1 A plausible mechanism for the Cu(I)-mediated tandem three-component reaction

(entry 10). Hence, the reaction was not effective catalytically. Based on the results in Table 1, we chose $NaNH_2$ as the base and the relatively non-polar solvent toluene for further studies.

Once optimized conditions had been established for the tandem three-component CuAAC–allylation, the scope of the reaction was investigated (Scheme 2). We initially studied the tandem reaction with different commercial alkynes. All the reactions using various alkynes efficiently led to the formation of 5-allyl-1,2,3-triazoles **4** and enyne byproducts **3** in toluene at room temperature, with the formation of protonation byproducts **5** being suppressed effectively. Interestingly, the reaction yields and selectivities were dependent on the electronic nature of the alkynes; arylalkynes **1b–f** bearing electron-donating substituents and arylalkynes **1g–j** bearing fluoro, difluoro, and trifluoromethyl substituents underwent the one-pot three-component reactions smoothly to provide the corresponding 5-allyl-1,2,3-triazoles **4b–j** at room temperature with moderate to excellent yields (63–92%). However, arylalkynes **1k–m** bearing strong electron-withdrawing substituents such as nitro, nitrile, and bistrifluoromethyl exhibited poor selectivity with respect to the protonation byproducts **5k–m**. The reaction was also compatible with aliphatic alkynes **1n** and **1o**, and protonation byproducts **5n** and **5o** were not detected. In addition, reactions with several azides were evaluated. In these cases, the desired allyl products **4p–s** were obtained with moderate to good yields (37–76%).

Finally, we examined the synthetic utility of the 5-allyl-1,2,3-triazoles as versatile building blocks. As an example, the alkene-tethered 5-allyl-1,2,3-triazole **4t** was synthesized via the tandem three-component CuAAC–allylation and was subsequently converted into the fused tricyclic 1,2,3-triazole **6t** through a Pd-catalyzed Heck reaction (Scheme 3).

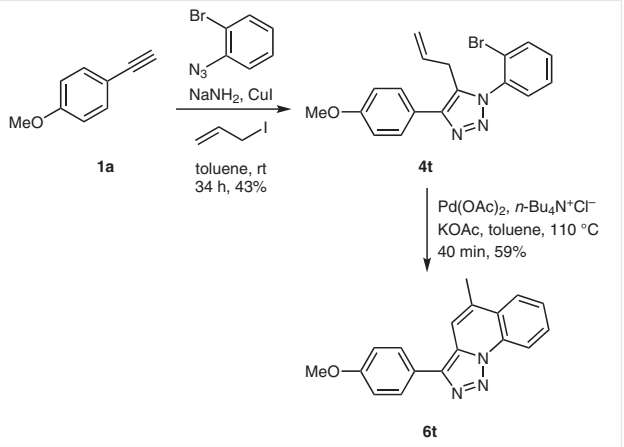
In conclusion, we have developed a novel Cu(I)-mediated tandem three-component reaction using alkynes, azides, allyl iodide, CuI, and $NaNH_2$. The 5-allyl-1,2,3-triazoles were produced via a 1,3-dipolar cycloaddition followed by in situ trapping of the C(sp^2)–Cu intermediate. The formation of the hydration byproduct **5** was efficiently suppressed. The present method and the method using 1-copper(I)-alkynes are complementary in the synthesis of 5-allyl-1,4-disubstituted 1,2,3-triazoles, which can be further



Scheme 2 Reaction scope. *Reagents and conditions*: **1** (0.4 mmol), **2** (0.6 mmol), CuI (91.4 mg, 0.48 mmol), $NaNH_2$ (31.2 mg, 0.8 mmol), allyl iodide (146 µL, 1.6 mmol), toluene (1 mL), Ar atmosphere.

transformed into beneficial fused heterocycles. This domino reaction is notable for its mild conditions and high efficiency.

All reactions were performed in oven-dried glassware fitted with glass stoppers under a positive pressure of Ar with magnetic stirring, unless otherwise noted. Air- and moisture-sensitive liquids and solutions were transferred via syringe or stainless-steel cannula. TLC was performed on 0.25 mm E. Merck silica gel 60 F_{254} plates and samples were visualized under UV light (254 nm) or by staining with cerium ammonium molybdate (CAM), potassium permanganate ($KMnO_4$) or *p*-anisaldehyde. Flash chromatography was performed on E. Merck silica gel 60 (230–400 mesh). Reagents were purchased from commercial suppliers and were used without further purification unless otherwise noted. Solvents were distilled from appropriate drying agents (CaH_2 or Na wire) under an Ar atmosphere at 760 mmHg. NMR



Scheme 3 Synthesis of a fused 1,2,3-triazole via the Cu(I)-mediated azide–alkyne cycloaddition–allylation reaction and a subsequent Pd-catalyzed Heck reaction

spectra were recorded at 24 °C. Chemical shifts are expressed in ppm relative to TMS (^{1}H, 0 ppm), $CDCl_3$ (^{1}H, 7.26 ppm; ^{13}C, 77.2 ppm); coupling constants are expressed in Hz. High-resolution mass spectroscopy (HRMS) was performed by electrospray ionization (ESI, TOF), electron ionization (EI, magnetic sector), or fast atom bombardment (FAB, magnetic sector).

5-Allyl-1,2,3-triazoles 4a–s; Typical Procedure

To an oven-dried round-bottom flask with a side arm were added CuI (91.4 mg, 480 μmol) and $NaNH_2$ (31.2 mg, 800 μmol). A solution of 4-methoxyphenylacetylene (**1a**) (52.9 mg, 400 μmol), benzyl azide (**2a**) (75.0 μL, 600 μmol) and allyl iodide (146 μL, 1.60 mmol) in anhydrous toluene (1 mL) was added. The heterogeneous reaction mixture was stirred at room temperature for 1 h. Upon completion of the reaction, the mixture was filtered through a plug of Celite and rinsed with CH_2Cl_2 (50 mL). The filtrate was concentrated and purified by column chromatography (hexane/EtOAc, 3:1) to afford **3a** (10.6 mg, 15%) as a pale yellow liquid, **4a** (98.5 mg, 81%) as a pale yellow oil, and **5a** (1.7 mg, 2%) as a white solid.

1-Methoxy-4-(pent-4-en-1-yn-1-yl)benzene (3a)

Yield: 10.6 mg (15%); pale yellow liquid; R_f = 0.90 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.36 (d, *J* = 8.4 Hz, 2 H), 6.82 (d, *J* = 8.4 Hz, 2 H), 5.90 (ddt, *J* = 16.8, 10.4, 5.2 Hz, 1 H), 5.39 (dq, *J* = 16.8, 2.0 Hz, 1 H), 5.15 (dq, *J* = 10.4, 2.0 Hz, 1 H), 3.80 (s, 3 H), 3.18 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.4, 133.2, 132.9, 116.3, 116.1, 114.1, 85.2, 82.8, 55.5, 24.0.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{12}H_{12}O$: 172.0883; found: 172.0887.

5-Allyl-1-benzyl-4-(4-methoxyphenyl)-1*H*-1,2,3-triazole (4a)

Yield: 98.5 mg (81%); pale yellow oil; R_f = 0.26 (hexane/EtOAc, 3:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.61 (d, *J* = 9.2 Hz, 2 H), 7.38–7.28 (m, 3 H), 7.23–7.16 (m, 2 H), 6.96 (d, *J* = 9.2 Hz, 2 H), 5.82 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.53 (s, 2 H), 5.15 (dtd, *J* = 10.4, 2.0, 1.2 Hz, 1 H), 4.91 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.84 (s, 3 H), 3.42 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.4, 145.7, 135.1, 132.4, 129.6, 129.0, 128.5, 128.3, 127.2, 123.9, 117.6, 114.1, 55.3, 52.0, 27.0.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{20}N_3O$: 306.1601; found: 306.1600.

1-Benzyl-4-(4-methoxyphenyl)-1*H*-1,2,3-triazole (5a)

Yield: 1.7 mg (2%); white solid; mp 141.7–143.7 °C; R_f = 0.20 (hexane/EtOAc, 3:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.72 (d, *J* = 8.8 Hz, 2 H), 7.57 (s, 1 H), 7.43–7.28 (m, 5 H), 6.93 (d, *J* = 8.8 Hz, 2 H), 5.57 (s, 2 H), 3.83 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.8, 148.3, 135.0, 129.3, 128.9, 128.3, 127.2, 123.5, 118.9, 114.4, 55.5, 54.4.

HRMS (ESI): *m*/*z* [M + Na]$^+$ calcd for $C_{16}H_{15}N_3ONa$: 288.1107; found: 288.1106.

Pent-4-en-1-yn-1-ylbenzene (3b)

Yield: 3.5 mg (6%); pale yellow liquid; R_f = 0.88 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.45–7.37 (m, 2 H), 7.30–7.21 (m, 3 H), 5.87 (ddt, *J* = 16.8, 10.0, 5.2 Hz, 1 H), 5.40 (dq, *J* = 16.8, 1.6 Hz, 1 H), 5.15 (dq, *J* = 10.0, 1.6 Hz, 1 H), 3.16 (dt, *J* = 5.2, 1.6 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 132.5, 131.6, 128.3, 127.8, 123.8, 116.3, 86.6, 83.0, 23.8.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{11}H_{10}$: 142.0783; found: 142.0781.

5-Allyl-1-benzyl-4-phenyl-1*H*-1,2,3-triazole (4b)

Yield: 81.3 mg (74%); yellow oil; R_f = 0.15 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.68 (d, *J* = 8.0 Hz, 2 H), 7.43 (t, *J* = 8.0 Hz, 2 H), 7.39–7.28 (m, 4 H), 7.19 (d, *J* = 8.0 Hz, 2 H), 5.83 (ddt, *J* = 17.2, 10.0, 5.2 Hz, 1 H), 5.55 (s, 2 H), 5.16 (dq, *J* = 10.0, 2.0 Hz, 1 H), 4.93 (dq, *J* = 17.2, 2.0 Hz, 1 H), 3.45 (dt, *J* = 5.6, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 145.9, 135.1, 132.3, 131.4, 130.4, 129.1, 128.8, 128.4, 128.0, 127.3, 127.2, 117.8, 52.1, 27.1.

HRMS (FAB): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{18}N_3$: 276.1495; found: 276.1495.

1-Methyl-4-(pent-4-en-1-yn-1-yl)benzene (3c)

Yield: 8.0 mg (13%); yellow liquid; R_f = 0.90 (hexane/EtOAc, 4:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.32 (d, *J* = 8.0 Hz, 2 H), 7.09 (d, *J* = 8.0 Hz, 2 H), 5.90 (ddt, *J* = 16.8, 10.0, 5.2 Hz, 1 H), 5.40 (dq, *J* = 16.8, 2.0 Hz, 1 H), 5.16 (dq, *J* = 10.0, 2.0 Hz, 1 H), 3.19 (dt, *J* = 5.2, 2.0 Hz, 2 H), 2.34 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 137.9, 132.7, 131.6, 129.1, 120.8, 116.3, 85.9, 83.1, 23.9, 21.5.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{12}H_{12}$: 156.0934; found: 156.0936.

5-Allyl-1-benzyl-4-(*p*-tolyl)-1*H*-1,2,3-triazole (4c)

Yield: 97.2 mg (84%); yellow oil; R_f = 0.15 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.57 (d, *J* = 8.0 Hz, 2 H), 7.37–7.27 (m, 3 H), 7.23 (d, *J* = 8.0 Hz, 2 H), 7.21–7.17 (m, 2 H), 5.82 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.53 (s, 2 H), 5.15 (dq, *J* = 10.4, 1.2 Hz, 1 H), 4.92 (dq, *J* = 17.2, 1.2 Hz, 1 H), 3.43 (dd, *J* = 5.2, 1.2 Hz, 2 H), 2.38 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 146.1, 137.8, 135.2, 132.5, 130.1, 129.5, 129.1, 128.6, 128.4, 127.3, 127.2, 117.8, 52.1, 27.2, 21.4.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{20}N_3$: 290.1652; found: 290.1658.

1-(Pent-4-en-1-yn-1-yl)-4-pentylbenzene (3d)

Yield: 3.4 mg (4%); yellow liquid; R_f = 0.88 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.33 (d, *J* = 8.4 Hz, 2 H), 7.10 (d, *J* = 8.4 Hz, 2 H), 5.90 (ddt, *J* = 16.8, 10.4, 5.2 Hz, 1 H), 5.40 (dq, *J* = 16.8, 2.0 Hz, 1 H), 5.16 (dq, *J* = 10.4, 2.0 Hz, 1 H), 3.19 (dt, *J* = 5.2, 2.0 Hz, 2 H), 2.58 (t, *J* = 7.6 Hz, 2 H), 1.65–1.55 (m, 2 H), 1.39–1.24 (m, 4 H), 0.88 (t, *J* = 6.8 Hz, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 143.0, 132.8, 131.6, 128.5, 121.0, 116.3, 85.9, 83.1, 36.0, 31.6, 31.1, 23.9, 22.7, 14.2.

HRMS (EI): *m*/*z* $[M]^+$ calcd for $C_{16}H_{20}$: 212.1560; found: 212.1561.

5-Allyl-1-benzyl-4-(4-pentylphenyl)-1*H*-1,2,3-triazole (4d)

Yield: 106.4 mg (77%); yellow oil; R_f = 0.20 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.59 (d, *J* = 8.4 Hz, 2 H), 7.38–7.27 (m, 3 H), 7.23 (d, *J* = 8.4 Hz, 2 H), 7.21–7.14 (m, 2 H), 5.83 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.54 (s, 2 H), 5.15 (dtd, *J* = 10.4, 2.0, 1.2 Hz, 1 H), 4.92 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.44 (dt, *J* = 5.2, 2.0 Hz, 2 H), 2.62 (t, *J* = 8.0 Hz, 2 H), 1.67–1.58 (m, 2 H), 1.39–1.25 (m, 4 H), 0.88 (t, *J* = 7.2 Hz, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 146.0, 142.9, 135.1, 132.4, 130.2, 129.1, 128.9, 128.6, 128.4, 127.3, 127.2, 117.8, 52.1, 35.8, 31.6, 31.2, 27.1, 22.7, 14.2.

HRMS (ESI): *m*/*z* $[M + H]^+$ calcd for $C_{23}H_{28}N_3$: 346.2278; found: 346.2280.

1-Benzyl-4-(4-pentylphenyl)-1*H*-1,2,3-triazole (5d)

Yield: 7.1 mg (5%); white solid; mp 102.0–104.0 °C; R_f = 0.15 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.70 (d, *J* = 8.4 Hz, 2 H), 7.62 (s, 1 H), 7.43–7.33 (m, 3 H), 7.33–7.28 (m, 2 H), 7.21 (d, *J* = 8.4 Hz, 2 H), 5.57 (s, 2 H), 2.62 (t, *J* = 7.6 Hz, 2 H), 1.61 (quin, *J* = 7.6 Hz, 2 H), 1.36–1.28 (m, 4 H), 0.88 (t, *J* = 7.2 Hz, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 148.5, 143.3, 135.0, 129.3, 129.0, 128.9, 128.2, 128.1, 125.8, 119.3, 54.4, 35.8, 31.6, 31.2, 22.7, 14.2.

HRMS (ESI): *m*/*z* $[M + H]^+$ calcd for $C_{20}H_{24}N_3$: 306.1965; found: 306.1956.

1-Methoxy-3-(pent-4-en-1-yn-1-yl)benzene (3e)

Yield: 10.6 mg (15%); yellow liquid; R_f = 0.75 (hexane/EtOAc, 4:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.20 (t, *J* = 8.0 Hz, 1 H), 7.03 (dt, *J* = 8.0, 1.2 Hz, 1 H), 6.99–6.94 (m, 1 H), 6.85 (ddd, *J* = 8.0, 2.8, 0.8 Hz, 1 H), 5.91 (ddt, *J* = 17.2, 10.0, 2.0 Hz, 1 H), 5.40 (dq, *J* = 17.2, 2.0 Hz, 1 H), 5.17 (dq, *J* = 10.0, 2.0 Hz, 1 H), 3.80 (s, 3 H), 3.20 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.4, 132.5, 129.4, 124.8, 124.3, 116.6, 116.4, 114.5, 86.6, 82.9, 55.4, 23.8.

HRMS (EI): *m*/*z* $[M]^+$ calcd for $C_{12}H_{12}O$: 172.0883; found: 172.0887.

5-Allyl-1-benzyl-4-(3-methoxyphenyl)-1*H*-1,2,3-triazole (4e)

Yield: 91.4 mg (75%); yellow oil; R_f = 0.20 (hexane/EtOAc, 4:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.39–7.27 (m, 5 H), 7.23 (dt, *J* = 8.4, 1.2 Hz, 1 H), 7.21–7.17 (m, 2 H), 6.90 (ddd, *J* = 8.4, 1.6, 1.2 Hz, 1 H), 5.83 (ddt, *J* = 17.2, 10.0, 5.2 Hz, 1 H), 5.54 (s, 2 H), 5.15 (dtd, *J* = 10.0, 2.0, 1.2 Hz, 1 H), 4.92 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.84 (s, 3 H), 3.46 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 160.0, 145.9, 135.1, 132.7, 132.3, 130.5, 129.8, 129.1, 128.5, 127.3, 119.6, 117.9, 114.2, 112.5, 55.5, 52.1, 27.2.

HRMS (ESI): *m*/*z* $[M + H]^+$ calcd for $C_{19}H_{19}N_3O$: 306.1601; found: 306.1602.

1-Benzyl-4-(3-methoxyphenyl)-1*H*-1,2,3-triazole (5e)

Yield: 4.8 mg (5%); white solid; mp 79.3–81.3 °C; R_f = 0.15 (hexane/EtOAc, 4:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.65 (s, 1 H), 7.46–7.35 (m, 4 H), 7.34–7.27 (m, 4 H), 6.87 (dt, *J* = 6.8, 2.8 Hz, 1 H), 5.58 (s, 2 H), 3.86 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 160.2, 148.3, 134.8, 132.0, 130.0, 129.3, 128.9, 128.2, 119.8, 118.2, 114.5, 110.8, 55.5, 54.4.

HRMS (ESI): *m*/*z* $[M + H]^+$ calcd for $C_{16}H_{15}N_3O$: 266.1288; found: 266.1290.

1,3-Dimethoxy-5-(pent-4-en-1-yn-1-yl)benzene (3f)

Yield: 10 mg (11%); yellow liquid; R_f = 0.70 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 6.52 (d, *J* = 2.4 Hz, 2 H), 6.35 (t, *J* = 2.4 Hz, 1 H), 5.83 (ddt, *J* = 16.8, 10.4, 5.2 Hz, 1 H), 5.33 (dq, *J* = 16.8, 1.6 Hz, 1 H), 5.10 (dq, *J* = 10.4, 1.6 Hz, 1 H), 3.70 (s, 6 H), 3.12 (dt, *J* = 5.2, 1.6 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 160.7, 132.6, 125.1, 116.6, 109.7, 101.6, 86.4, 83.0, 55.6, 23.9.

HRMS (ESI): *m*/*z* $[M + H]^+$ calcd for $C_{13}H_{15}O_2$: 203.1067; found: 203.1066.

5-Allyl-1-benzyl-4-(3,5-dimethoxyphenyl)-1*H*-1,2,3-triazole (4f)

Yield: 108 mg (81%); white solid; mp 76.5–78.5 °C; R_f = 0.23 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.30–7.20 (m, 3 H), 7.14–7.09 (m, 2 H), 6.79 (d, *J* = 2.4 Hz, 2 H), 6.39 (t, *J* = 2.4 Hz, 1 H), 5.75 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.46 (s, 2 H), 5.09 (dtd, *J* = 10.4, 2.0, 1.2 Hz, 1 H), 4.84 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.75 (s, 6 H), 3.39 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 160.9, 145.6, 134.8, 133.0, 132.1, 130.4, 128.9, 128.2, 127.1, 117.7, 105.0, 100.2, 55.4, 51.9, 27.0.

HRMS (ESI): *m*/*z* $[M + H]^+$ calcd for $C_{20}H_{22}N_3O_2$: 336.1707; found: 336.1707.

1-Benzyl-4-(3,5-dimethoxyphenyl)-1*H*-1,2,3-triazole (5f)

Yield: 7.5 mg (6%); white solid; mp 118.4–120.4 °C; R_f = 0.16 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.56 (s, 1 H), 7.36–7.29 (m, 3 H), 7.27–7.22 (m, 2 H), 6.90 (d, *J* = 2.4 Hz, 2 H), 6.36 (t, *J* = 2.4 Hz, 1 H), 5.51 (s, 2 H), 3.76 (s, 6 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 161.1, 148.1, 134.6, 132.3, 129.2, 128.8, 128.0, 119.8, 103.6, 100.6, 55.5, 54.2.

HRMS (ESI): *m*/*z* $[M + H]^+$ calcd for $C_{17}H_{18}N_3O_2$: 296.1394; found: 296.1393.

1-Fluoro-4-(pent-4-en-1-yn-1-yl)benzene (3g)

Yield: 5.4 mg (8%); yellow liquid; R_f = 0.98 (hexane/EtOAc, 4:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.38 (dd, *J* = 8.8, 5.6 Hz, 2 H), 6.98 (t, *J* = 8.8 Hz, 2 H), 5.89 (ddt, *J* = 16.8, 10.0, 5.2 Hz, 1 H), 5.39 (dq, *J* = 16.8, 1.6 Hz, 1 H), 5.16 (dq, *J* = 10.0, 1.6 Hz, 1 H), 3.18 (dt, *J* = 5.2, 1.6 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 162.3 (d, J_{C-F} = 248.6 Hz), 133.5 (d, J_{C-F} = 8.5 Hz), 132.5, 119.9 (d, J_{C-F} = 3.5 Hz), 116.4, 115.6 (d, J_{C-F} = 21.8 Hz), 86.4, 81.9, 23.8.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{11}H_9F$: 160.0688; found: 160.0690.

5-Allyl-1-benzyl-4-(4-fluorophenyl)-1*H*-1,2,3-triazole (4g)

Yield: 103.5 mg (88%); yellow oil; R_f = 0.28 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.65 (dd, *J* = 8.8, 5.2 Hz, 2 H), 7.37–7.30 (m, 3 H), 7.23–7.17 (m, 2 H), 7.12 (t, *J* = 8.8 Hz, 2 H), 5.81 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.54 (s, 2 H), 5.16 (dtd, *J* = 10.4, 2.0, 0.8 Hz, 1 H), 4.90 (dtd, *J* = 17.2, 2.0, 0.8 Hz, 1 H), 3.42 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 162.7 (d, J_{C-F} = 247.2 Hz), 145.2, 135.0, 132.2, 130.2, 129.2, 129.1 (d, J_{C-F} = 8.2 Hz), 128.5, 127.6 (d, J_{C-F} = 3.5 Hz), 127.4, 118.0, 115.8 (d, J_{C-F} = 21.5 Hz), 52.2, 27.1.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{17}FN_3$: 294.1401; found: 294.1405.

5-Allyl-1-benzyl-4-(3-fluorophenyl)-1*H*-1,2,3-triazole (4h)

Yield: 74.2 mg (63%); yellow oil; R_f = 0.16 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.47 (dt, *J* = 8.0, 1.2 Hz, 1 H), 7.44–7.28 (m, 5 H), 7.25–7.16 (m, 2 H), 7.04 (tdd, *J* = 8.0, 2.8, 1.2 Hz, 1 H), 5.81 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.54 (s, 2 H), 5.17 (dtd, *J* = 10.4, 2.0, 1.2 Hz, 1 H), 4.91 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.46 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 163.1 (d, J_{C-F} = 245.6 Hz), 144.9 (d, J_{C-F} = 2.7 Hz), 134.9, 133.5 (d, J_{C-F} = 8.3 Hz), 132.0, 130.8, 130.4 (d, J_{C-F} = 8.5 Hz), 129.2, 128.5, 127.3, 122.8 (d, J_{C-F} = 2.9 Hz), 118.1, 114.8 (d, J_{C-F} = 21.1 Hz), 114.2 (d, J_{C-F} = 22.8 Hz), 52.2, 27.1.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{17}FN_3$: 294.1401; found: 294.1398.

1-Benzyl-4-(3-fluorophenyl)-1*H*-1,2,3-triazole (5h)

Yield: 10.3 mg (10%); white solid; mp 109.1–111.1 °C; R_f = 0.10 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.66 (s, 1 H), 7.56 (dt, *J* = 7.6, 1.2 Hz, 1 H), 7.52 (ddd, *J* = 9.6, 2.8, 1.6 Hz, 1 H), 7.49–7.28 (m, 6 H), 7.01 (tdd, *J* = 8.4, 2.8, 1.2 Hz, 1 H), 5.59 (s, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 163.3 (d, J_{C-F} = 245.7 Hz), 134.6, 132.8 (d, J_{C-F} = 8.5 Hz), 130.5 (d, J_{C-F} = 8.5 Hz), 129.4, 129.1, 128.3, 121.5, 121.4, 120.0, 115.1 (d, J_{C-F} = 21.1 Hz), 112.8 (d, J_{C-F} = 23.1 Hz), 54.5.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{15}H_{12}FN_3$: 254.1088; found: 254.1089.

1,3-Difluoro-5-(pent-4-en-1-yn-1-yl)benzene (3i)

Yield: 5.0 mg (7%); yellow liquid; R_f = 0.85 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 6.98–6.88 (m, 2 H), 6.74 (tt, *J* = 8.8, 2.0 Hz, 1 H), 5.88 (ddt, *J* = 17.2, 10.0, 5.2 Hz, 1 H), 5.37 (dq, *J* = 17.2, 2.0 Hz, 1 H), 5.18 (dq, *J* = 10.0, 2.0 Hz, 1 H), 3.19 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 162.8 (dd, J_{C-F} = 248.3, 13.3 Hz), 131.9, 126.6 (t, J_{C-F} = 11.7 Hz), 116.8, 114.7 (dd, J_{C-F} = 19.0, 7.4 Hz), 104.1 (t, J_{C-F} = 25.3 Hz), 89.2, 81.0 (t, J_{C-F} = 3.9 Hz), 23.7.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{11}H_8F_2$ [M]$^+$: 178.0589; found: 178.0594.

5-Allyl-1-benzyl-4-(3,5-difluorophenyl)-1*H*-1,2,3-triazole (4i)

Yield: 89.3 mg (72%); yellow oil; R_f = 0.15 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.40–7.28 (m, 3 H), 7.28–7.15 (m, 4 H), 6.83–6.72 (m, 1 H), 5.80 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.54 (s, 2 H), 5.18 (dtd, *J* = 10.4, 2.0, 1.2 Hz, 1 H), 4.88 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.46 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 163.4 (dd, J_{C-F} = 246.5, 13.1 Hz), 143.9, 134.7, 134.5 (t, J_{C-F} = 11.0 Hz), 131.6, 131.1, 129.2, 128.6, 127.4, 118.3, 109.9 (dd, J_{C-F} = 19.0, 7.4 Hz), 103.2 (t, J_{C-F} = 25.0 Hz), 52.3, 27.0.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{16}F_2N_3$: 312.1307; found: 312.1306.

1-Benzyl-4-(3,5-difluorophenyl)-1*H*-1,2,3-triazole (5i)

Yield: 10.8 mg (10%); white solid; mp 123.0–125.0 °C; R_f = 0.15 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.66 (s, 1 H), 7.40 (dd, *J* = 5.9, 1.6 Hz, 3 H), 7.36–7.27 (m, 4 H), 6.75 (tt, *J* = 8.8, 1.6 Hz, 1 H), 5.58 (s, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 163.5 (dd, J_{C-F} = 246.6, 3.0 Hz), 134.4, 133.9, 133.8, 129.4, 129.2, 128.3, 120.3, 108.7 (dd, J_{C-F} = 19.2, 0.8 Hz), 103.5 (t, J_{C-F} = 25.4 Hz), 54.6.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{15}H_{12}F_2N_3$: 272.0994; found: 272.0991.

5-Allyl-1-benzyl-4-[4-(trifluoromethyl)phenyl]-1*H*-1,2,3-triazole (4j)

Yield: 125.8 mg (92%); yellow oil; R_f = 0.20 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.82 (dm, *J* = 7.2 Hz, 2 H), 7.68 (dm, *J* = 7.2 Hz, 2 H), 7.40–7.28 (m, 3 H), 7.24–7.17 (m, 2 H), 5.82 (ddt, *J* = 17.2, 10.0, 5.2 Hz, 1 H), 5.56 (s, 2 H), 5.18 (dtd, *J* = 10.0, 2.0, 1.2 Hz, 1 H), 4.91 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.47 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 144.7, 135.0 (q, J_{C-F} = 1.3 Hz), 134.8, 131.8, 131.2, 129.9 (q, J_{C-F} = 32.4 Hz), 129.2, 128.6, 127.4, 127.4, 125.8 (q, J_{C-F} = 3.9 Hz), 124.3 (q, J_{C-F} = 272.1 Hz), 118.2, 52.3, 27.1.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{17}F_3N_3$: 344.1369; found: 344.1372.

1-Benzyl-4-[4-(trifluoromethyl)phenyl]-1*H*-1,2,3-triazole (5j)

Yield: 5.0 mg (4%); white solid; mp 134.4–136.4 °C; R_f = 0.13 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.92 (dm, *J* = 8.0 Hz, 2 H), 7.73 (s, 1 H), 7.66 (dm, *J* = 8.0 Hz, 2 H), 7.44–7.37 (m, 3 H), 7.35–7.30 (m, 2 H), 5.60 (s, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 147.0, 134.5, 134.1, 130.2 (q, J_{C-F} = 32.5 Hz), 129.4, 129.1, 128.3, 125.9 (q, J_{C-F} = 3.6 Hz), 122.9 (q, J_{C-F} = 31.8 Hz), 120.4, 54.5.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{13}F_3N_3$: 304.1056; found: 304.1057.

1-Nitro-4-(pent-4-en-1-yn-1-yl)benzene (3k)

Yield: 4.6 mg (6%); yellow oil; R_f = 0.77 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.10 (d, *J* = 8.8 Hz, 2 H), 7.48 (d, *J* = 8.8 Hz, 2 H), 5.82 (ddt, *J* = 17.2, 10.0, 5.2 Hz, 1 H), 5.32 (dq, *J* = 17.0, 1.6 Hz, 1 H), 5.13 (dq, *J* = 10.0, 1.6 Hz, 1 H), 3.18 (dt, *J* = 5.2, 1.6 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 146.9, 132.5, 131.7, 130.9, 123.7, 117.0, 92.9, 81.5, 24.0.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{11}H_9NO_2$: 187.0628; found: 187.0634.

5-Allyl-1-benzyl-4-(4-nitrophenyl)-1*H*-1,2,3-triazole (4k)

Yield: 67.9 mg (53%); yellow solid; mp 88.2–100.2 °C; R_f = 0.23 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.21 (d, *J* = 8.8 Hz, 2 H), 7.82 (d, *J* = 8.8 Hz, 2 H), 7.34–7.22 (m, 3 H), 7.14 (d, *J* = 8.4 Hz, 2 H), 5.76 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.49 (s, 2 H), 5.12 (dtd, *J* = 10.4, 2.0, 0.8 Hz, 1 H), 4.83 (ddd, *J* = 17.2, 2.0, 0.8 Hz, 1 H), 3.44 (dt, *J* = 5.2, 2.0 Hz. 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 147.1, 143.7, 137.7, 134.4, 131.8, 131.3, 129.1, 128.5, 127.4, 127.2, 124.0, 118.3, 52.2, 27.0.

HRMS (ESI): *m*/*z* [M + Na]$^+$ calcd for $C_{18}H_{16}N_4O_2Na$: 343.1165; found: 343.1166.

1-Benzyl-4-(4-nitrophenyl)-1*H*-1,2,3-triazole (5k)

Yield: 35.0 mg (31%); yellow solid; mp 170.9–172.9 °C; R_f = 0.23 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.23–8.16 (m, 2 H), 7.93–7.87 (m, 2 H), 7.72 (s, 1 H), 7.39–7.31 (m, 3 H), 7.31–7.24 (m, 2 H), 5.53 (s, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 147.3, 146.0, 136.8, 134.1, 129.3, 129.0, 128.2, 126.1, 124.2, 120.9, 54.5.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{15}H_{13}N_4O_2$: 281.1033; found: 281.1032.

4-(Pent-4-en-1-yn-1-yl)benzonitrile (3l)

Yield: 5.0 mg (7%); pale yellow liquid; R_f = 0.88 (hexane/EtOAc, 3:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.51 (d, *J* = 8.8 Hz, 2 H), 7.42 (d, *J* = 8.8 Hz, 2 H), 5.81 (ddt, *J* = 16.8, 10.4, 5.2 Hz, 1 H), 5.31 (dq, *J* = 16.8, 1.6 Hz, 1 H), 5.12 (dq, *J* = 10.4, 1.6 Hz, 1 H), 3.15 (dt, *J* = 5.2, 1.6 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 132.1, 131.9, 131.6, 128.7, 118.5, 116.7, 111.1, 91.7, 81.5, 23.7.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{12}H_9N$: 167.0730; found: 167.0736.

4-(5-Allyl-1-benzyl-1*H*-1,2,3-triazol-4-yl)benzonitrile (4l)

Yield: 83 mg (69%); yellow solid; mp 78.9–80.9 °C; R_f = 0.26 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.75 (d, *J* = 8.8 Hz, 2 H), 7.62 (d, *J* = 8.8 Hz, 2 H), 7.31–7.21 (m, 3 H), 7.16–7.10 (m, 2 H), 5.74 (ddt, *J* = 17.2, 10.0, 5.2 Hz, 1 H), 5.47 (s, 2 H), 5.10 (dtd, *J* = 10.0, 2.0, 0.8 Hz, 1 H), 4.81 (dtd, *J* = 17.2, 2.0, 0.8 Hz, 1 H), 3.41 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 144.1, 136.0, 134.7, 132.7, 131.6, 131.5, 129.2, 128.7, 127.5, 127.4, 119.0, 118.4, 111.4, 52.3, 27.2.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{17}N_4$: 301.1448; found: 301.1452.

4-(1-Benzyl-1*H*-1,2,3-triazol-4-yl)benzonitrile (5l)

Yield: 25.4 mg (24%); bright yellow solid; mp 139.7–141.7 °C; R_f = 0.29 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.83 (d, *J* = 8.8 Hz, 2 H), 7.70 (s, 1 H), 7.59 (d, *J* = 8.8 Hz, 2 H), 7.37–7.29 (m, 3 H), 7.28–7.20 (m, 2 H), 5.52 (s, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 146.3, 134.9, 134.2, 132.6, 129.2, 129.0, 128.1, 126.0, 120.6, 118.7, 111.4, 54.4.

HRMS (ESI): *m*/*z* [M + Cl]$^-$ calcd for $C_{16}H_{12}N_4Cl$: 295.0756; found: 295.0752.

5-Allyl-1-benzyl-4-[3,5-bis(trifluoromethyl)phenyl]-1*H*-1,2,3-triazole (4m)

Yield: 97.4 mg (59%); white solid; mp 95.1–97.1 °C; R_f = 0.20 (hexane/EtOAc, 7:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.18 (s, 2 H), 7.84 (s, 1 H), 7.41–7.29 (m, 3 H), 7.23–7.17 (m, 2 H), 5.75 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.58 (s, 2 H), 5.20 (dtd, *J* = 10.4, 2.0, 0.8 Hz, 1 H), 4.95 (dtd, *J* = 17.2, 2.0, 0.8 Hz, 1 H), 3.49 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 143.4, 134.6, 133.5, 132.2 (q, J_{C-F} = 33.0 Hz), 131.7, 131.3, 129.3, 128.8, 127.4, 127.1 (m), 123.4 (q, J_{C-F} = 271.3 Hz), 121.5 (q, J_{C-F} = 3.6 Hz), 118.7, 52.4, 27.3.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{20}H_{16}F_6N_3$: 412.1243; found: 412.1247.

1-Benzyl-4-[3,5-bis(trifluoromethyl)phenyl]-1*H*-1,2,3-triazole (5m)

Yield: 35.7 mg (24%); white solid; mp 105.0–107.0 °C; R_f = 0.13 (hexane/EtOAc, 7:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.25 (s, 2 H), 7.81 (s, 1 H), 7.81 (s, 1 H), 7.45–7.39 (m, 3 H), 7.39–7.31 (m, 2 H), 5.62 (s, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 145.7 (m), 134.3, 132.8, 132.4 (q, J_{C-F} = 33.3 Hz), 129.5, 129.3, 128.4, 125.7 (m), 123.4 (q, J_{C-F} = 271.3 Hz), 121.7 (q, J_{C-F} = 4.0 Hz), 120.6, 54.7.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{17}H_{12}F_6N_3$: 372.0930; found: 372.0935.

5-Allyl-1-benzyl-4-cyclohexyl-1*H*-1,2,3-triazole (4n)

Yield: 72.1 mg (64%); yellow liquid; R_f = 0.20 (hexane/EtOAc, 5:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.36–7.26 (m, 3 H), 7.16–7.08 (m, 2 H), 5.66 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.45 (s, 2 H), 5.06 (dq, *J* = 10.4, 1.6 Hz, 1 H), 4.87 (dq, *J* = 17.2, 1.6 Hz, 1 H), 3.23 (dq, *J* = 5.2, 1.6 Hz, 2 H), 2.56 (tt, *J* = 12.0, 3.6 Hz, 1 H), 1.89–1.77 (m, 4 H), 1.77–1.67 (m, 3 H), 1.62–1.59 (m, 1 H), 1.34–1.29 (m, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 150.8, 135.5, 133.0, 129.2, 129.0, 128.2, 127.2, 117.2, 51.9, 35.3, 32.9, 26.8, 26.7, 26.0.

HRMS (ESI): *m*/*z* [M + Na]$^+$ calcd for $C_{18}H_{23}N_3Na$: 304.1784; found: 305.1783.

Undec-1-en-4-yne (3o)

Yield: 5 mg (8%); pale yellow liquid; R_f = 0.88 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 5.82 (ddt, *J* = 16.8, 10.0, 5.2 Hz, 1 H), 5.32 (dq, *J* = 16.8, 2.0 Hz, 1 H), 5.08 (dq, *J* = 10.0, 2.0 Hz, 1 H), 2.95–2.92 (m, 2 H), 2.17 (tt, *J* = 7.2, 2.4 Hz, 2 H), 1.54–1.47 (m, 2 H), 1.43–1.35 (m, 2 H), 1.34–1.23 (m, 4 H), 0.88 (t, *J* = 7.2 Hz, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 133.9, 115.9, 83.3, 76.9, 31.8, 29.5, 29.0, 23.6, 23.0, 19.2, 14.5.

HRMS (ESI): *m*/*z* [M]$^+$ calcd for $C_{11}H_{18}$: 150.1409; found: 150.1408.

5-Allyl-1-benzyl-4-hexyl-1*H*-1,2,3-triazole (4o)

Yield: 81 mg (71%); pale yellow liquid; R_f = 0.35 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.36–7.27 (m, 3 H), 7.15–7.10 (m, 2 H), 5.65 (ddt, *J* = 17.2, 10.0, 6.0 Hz, 1 H), 5.47 (s, 2 H), 5.07 (dq, *J* = 10.0, 1.6 Hz, 1 H), 4.89 (dq, *J* = 17.2, 1.6 Hz, 1 H), 3.22 (dt, *J* = 6.0, 1.6 Hz, 2 H), 2.61 (t, *J* = 8.0 Hz, 2 H), 1.66 (t, *J* = 8.0 Hz, 2 H), 1.41–1.19 (m, 6 H), 0.86 (t, *J* = 6.8 Hz, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 146.2, 135.2, 132.4, 130.0, 128.8, 128.1, 127.0, 117.1, 51.8, 31.5, 29.5, 29.0, 26.5, 25.0, 22.5, 14.0.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{26}N_3$: 284.2121; found: 284.2127.

4-[(5-Allyl-4-phenyl-1*H*-1,2,3-triazol-1-yl)methyl]benzonitrile (4p)

Yield: 63.6 mg (53%); yellow liquid; R_f = 0.26 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.68 (d, *J* = 8.0 Hz, 2 H), 7.65 (d, *J* = 8.0 Hz, 2 H), 7.44 (t, *J* = 8.0 Hz, 2 H), 7.37 (t, *J* = 8.0 Hz, 1 H), 7.29 (t, *J* = 8.0 Hz, 2 H), 5.84 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.59 (s, 2 H), 5.17 (dtd, *J* = 10.4, 2.0, 1.2 Hz, 1 H), 4.90 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.46 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 146.2, 140.4, 133.0, 132.1, 131.1, 130.5, 129.0, 128.3, 128.0, 127.3, 118.4, 118.2, 112.6, 51.4, 27.2.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{19}H_{17}N_4$: 301.1448; found: 301.1452.

4-[(4-Phenyl-1*H*-1,2,3-triazol-1-yl)methyl]benzonitrile (5p)

Yield: 5.8 mg (6%); white solid; mp 127.5–129.5 °C; R_f = 0.18 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.81 (d, *J* = 7.6 Hz, 2 H), 7.72 (s, 1 H), 7.69 (d, *J* = 8.4 Hz, 2 H), 7.45–7.32 (m, 5 H), 5.66 (s, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 148.9, 140.1, 133.2, 130.3, 129.1, 128.7, 128.6, 126.0, 119.8, 118.3, 113.1, 53.7.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{16}H_{13}N_4$: 261.1135; found: 261.1135.

Pent-4-en-1-yn-1-ylbenzene (3q)

Yield: 7.5 mg (13%); bright yellow liquid; R_f = 0.88 (hexane/EtOAc, 4:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.45–7.37 (m, 2 H), 7.30–7.21 (m, 3 H), 5.87 (ddt, *J* = 16.8, 10.0, 5.2 Hz, 1 H), 5.40 (dq, *J* = 16.8, 1.6 Hz, 1 H), 5.15 (dq, *J* = 10.0, 1.6 Hz, 1 H), 3.16 (dt, *J* = 5.2, 1.6 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 132.5, 131.6, 128.3, 127.8, 123.8, 116.3, 86.6, 83.0, 23.8

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{11}H_{10}$: 142.0783; found: 142.0781.

5-Allyl-1-(2-bromophenyl)-4-phenyl-1*H*-1,2,3-triazole (4q)

Yield: 50.8 mg (37%); white solid; mp 99.2–101.2 °C; R_f = 0.28 (hexane/EtOAc, 4:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.83 (d, *J* = 7.2 Hz, 2 H), 7.78 (d, *J* = 8.0 Hz, 1 H), 7.52–7.43 (m, 5 H), 7.39 (tt, *J* = 7.2, 1.6 Hz, 1 H), 5.74 (ddt, *J* = 17.2, 10.0, 5.6 Hz, 1 H), 5.05 (dtd, *J* = 10.0, 2.0, 1.2 Hz, 1 H), 4.84 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.51 (m, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 145.0, 135.8, 134.0, 132.5, 132.4, 132.2, 131.3, 130.0, 129.0, 128.5, 128.3, 127.5, 122.3, 118.1, 27.8.

HRMS (ESI): *m*/*z* [M + Na]$^+$ calcd for $C_{17}H_{14}BrN_3Na$: 362.0263; found: 362.0267.

1-(2-Bromophenyl)-4-phenyl-1*H*-1,2,3-triazole (5q)

Yield: 3.7 mg (3%); white solid; mp 100.1–102.1 °C; R_f = 0.38 (hexane/EtOAc, 4:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.17 (s, 1 H), 7.93 (d, *J* = 8.0 Hz, 2 H), 7.79 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.62 (dd, *J* = 8.0, 1.6 Hz, 1 H), 7.53 (dd, *J* = 7.6, 1.2 Hz, 1 H), 7.50–7.36 (m, 4 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 147.7, 136.8, 134.1, 131.4, 130.4, 129.1, 128.7, 128.6, 128.4, 126.1, 121.9, 118.8.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{14}H_{11}BrN_3$: 300.0131; found: 300.0141.

1-Methoxy-4-(pent-4-en-1-yn-1-yl)benzene (3r)

Yield: 10.7 mg (16%); bright yellow liquid; R_f = 0.90 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.36 (d, *J* = 8.4 Hz, 2 H), 6.82 (d, *J* = 8.4 Hz, 2 H), 5.90 (ddt, *J* = 16.8, 10.4, 5.2 Hz, 1 H), 5.39 (dq, *J* = 16.8, 2.0 Hz, 1 H), 5.15 (dq, *J* = 10.4, 2.0 Hz, 1 H), 3.80 (s, 3 H), 3.18 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.4, 133.1, 132.9, 116.3, 116.1, 114.1, 85.1, 82.8, 55.5, 24.0.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{12}H_{12}O$: 172.0883; found: 172.0887.

4-{[5-Allyl-4-(4-methoxyphenyl)-1*H*-1,2,3-triazol-1-yl]methyl}-benzonitrile (4r)

Yield: 76.6 mg (58%); bright yellow oil; R_f = 0.26 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.64 (d, *J* = 8.4 Hz, 2 H), 7.60 (d, *J* = 8.4 Hz, 2 H), 7.29 (d, *J* = 8.4 Hz, 2 H), 6.98 (d, *J* = 8.4 Hz, 2 H), 5.82 (ddt, *J* = 17.2, 10.4, 5.2 Hz, 1 H), 5.57 (s, 2 H), 5.15 (dtd, *J* = 10.4, 2.0, 1.2 Hz, 1 H), 4.88 (dtd, *J* = 17.2, 2.0, 1.2 Hz, 1 H), 3.84 (s, 3 H), 3.43 (dt, *J* = 5.2, 2.0 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.7, 146.0, 140.4, 132.9, 132.2, 129.8, 128.6, 128.0, 123.6, 118.4, 118.1, 114.3, 112.5, 55.4, 51.3, 27.1.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{20}H_{19}N_4O$: 331.1553; found: 331.1550.

4-{[4-(4-Methoxyphenyl)-1*H*-1,2,3-triazol-1-yl]methyl}benzonitrile (5r)

Yield: 11.4 mg (10%); white solid; mp 143.0–145.0 °C T; R_f = 0.21 (hexane/EtOAc, 2:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.74 (d, *J* = 8.8 Hz, 2 H), 7.68 (d, *J* = 8.4 Hz, 2 H), 7.63 (s, 1 H), 7.38 (d, *J* = 8.4 Hz, 2 H), 6.95 (d, *J* = 8.8 Hz, 2 H), 5.63 (s, 2 H), 3.84 (s, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 160.0, 148.8, 140.2, 133.1, 128.5, 127.3, 123.0, 119.0, 118.3, 114.5, 113.0, 55.5, 53.6.

HRMS (ESI): *m*/*z* [M + Cl]$^-$ calcd for $C_{17}H_{15}N_4OCl$: 325.0862; found: 325.0857.

1-(Pent-4-en-1-yn-1-yl)-4-(trifluoromethyl)benzene (3s)

Yield: 8.4 mg (10%); bright yellow liquid; R_f = 0.79 (hexane/EtOAc, 6:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.55 (d, *J* = 8.4 Hz, 2 H), 7.51 (d, *J* = 8.4 Hz, 2 H), 5.90 (ddt, *J* = 16.8, 10.0, 5.2 Hz, 1 H), 5.40 (dq, *J* = 16.8, 1.6 Hz, 1 H), 5.19 (dq, *J* = 10.0, 1.6 Hz, 1 H), 3.21 (dt, *J* = 5.2, 1.6 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 131.9, 131.8, 129.5 (q, J_{C-F} = 32.4 Hz), 127.5, 125.1 (q, J_{C-F} = 3.8 Hz), 124.0 (q, J_{C-F} = 270.4 Hz), 116.5, 89.4, 81.6, 23.7.

HRMS (EI): *m*/*z* [M]$^+$ calcd for $C_{12}H_9F_3$: 210.0656; found: 210.0655.

4-({5-Allyl-4-[4-(trifluoromethyl)phenyl]-1*H*-1,2,3-triazol-1-yl}methyl)benzonitrile (4s)

Yield: 111.6 mg (76%); pale yellow oil; R_f = 0.26 (hexane/EtOAc, 3:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.83 (d, *J* = 8.4 Hz, 2 H), 7.71 (d, *J* = 8.4 Hz, 2 H), 7.68 (d, *J* = 8.4 Hz, 2 H), 7.32 (d, *J* = 8.4 Hz, 2 H), 5.85 (ddt, *J* = 17.2, 10.0, 5.2 Hz, 1 H), 5.61 (s, 2 H), 5.20 (dq, *J* = 10.0, 1.6 Hz, 1 H), 4.90 (dq, *J* = 17.2, 1.6 Hz, 1 H), 3.49 (dt, *J* = 5.2, 1.6 Hz, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 144.7, 139.8, 134.4, 132.8, 131.4, 131.1, 130.0 (q, J_{C-F} = 32.4 Hz), 127.9, 127.2, 125.7 (q, J_{C-F} = 3.7 Hz), 124.1 (q, J_{C-F} = 270.5 Hz), 118.3, 118.1, 112.6, 51.3, 27.0.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{20}H_{16}F_3N_4$: 369.1322; found: 369.1331.

4-({4-[4-(Trifluoromethyl)phenyl]-1*H*-1,2,3-triazol-1-yl}methyl)-benzonitrile (5s)

Yield: 17.1 mg (13%); white solid; mp 178.8–180.8 °C; R_f = 0.21 (hexane/EtOAc, 3:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.93 (d, *J* = 8.0 Hz, 2 H), 7.80 (s, 1 H), 7.73 (d, *J* = 8.4 Hz, 2 H), 7.70 (d, *J* = 8.0 Hz, 2 H), 7.41 (d, *J* = 8.4 Hz, 2 H), 5.67 (s, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 147.5, 139.8, 133.8, 133.2, 130.5 (q, J_{C-F} = 32.5 Hz), 128.6, 126.2 (q, J_{C-F} = 3.8 Hz), 126.1, 124.2 (q, J_{C-F} = 270.5 Hz), 120.6, 118.2, 113.2, 53.8.

HRMS (ESI): *m*/*z* [M + Cl]$^-$ calcd for $C_{17}H_{11}F_3N_4Cl$: 363.0630; found: 363.0632.

5-Allyl-1-(2-bromophenyl)-4-(4-methoxyphenyl)-1*H*-1,2,3-triazole (4t)

To an oven-dried round-bottom flask with a side arm were added CuI (91.4 mg, 480 μmol) and $NaNH_2$ (31.2 mg, 800 μmol). A solution of 4-methoxyphenylacetylene (**1a**) (52 μL, 400 μmol), 1-azido-2-bromobenzene (118.8 mg, 600 μmol) and allyl iodide (146 μL, 1.60 mmol) in anhydrous toluene (1 mL) was added. The heterogeneous reaction mixture was stirred at room temperature for 34 h. Upon completion of the reaction, the mixture was filtered through a plug of Celite and rinsed with CH_2Cl_2 (50 mL). The filtrate was concentrated and purified by column chromatography (hexane/EtOAc, 4:1) to afford triazole **4t**.

Yield: 63.8 mg (43%); white solid; mp 106.3–108.3 °C; R_f = 0.21 (CH_2Cl_2/EtOAc, 50:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.78–7.73 (m, 1 H), 7.75 (d, *J* = 8.8 Hz, 2 H), 7.51–7.39 (m, 3 H), 7.00 (d, *J* = 8.8 Hz, 2 H), 5.73 (ddt, *J* = 16.8, 10.0, 5.6 Hz, 1 H), 5.03 (dq, *J* = 10.0, 1.6 Hz, 1 H), 4.83 (dq, *J* = 16.8, 1.6 Hz, 1 H), 3.85 (s, 3 H), 3.47 (m, 2 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.7, 144.8, 135.9, 133.9, 132.5, 132.0, 131.7, 129.9, 128.7, 128.5, 123.8, 122.3, 118.0, 114.4, 55.6, 27.8.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{17}BrN_3O$: 370.055; found: 370.0553.

3-(4-Methoxyphenyl)-5-methyl-[1,2,3]triazolo[1,5-*a*]quinoline (6t)

To an oven-dried round-bottom flask with a side arm were added palladium acetate (7.63 mg, 0.034 mmol), tetrabutylammonium chloride (94.5 mg, 0.34 mmol) and potassium acetate (92.3 mg, 0.94 mmol). A solution of **4t** (63.8 mg, 0.17 mmol) in anhydrous toluene (1 mL) was then added and the heterogeneous reaction mixture was stirred at 110 °C for 40 min. Upon completion of the reaction, the mixture was concentrated in vacuo and purified by medium pressure liquid chromatography on silica gel (hexane/EtOAc, 3.5:1) to afford fused tricycle **6t**.

Yield: 29.2 mg (59%); pale yellow solid; mp 155.4–157.4 °C; R_f = 0.20 (hexane/EtOAc, 5:1).

^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.86 (ddd, *J* = 8.4, 1.2, 0.4 Hz, 1 H), 7.95 (dd, *J* = 8.4, 1.2 Hz, 1 H), 7.91 (d, *J* = 8.8 Hz, 2 H), 7.78 (ddd, *J* = 8.4, 7.2, 1.2 Hz, 1 H), 7.65 (ddd, *J* = 8.4, 7.2, 1.2 Hz, 1 H), 7.60 (q, *J* = 1.2 Hz, 1 H), 7.08 (d, *J* = 8.8 Hz, 2 H), 3.89 (s, 3 H), 2.67 (d, *J* = 1.2 Hz, 3 H).

^{13}C NMR (100 MHz, $CDCl_3$): δ = 159.6, 139.1, 134.0, 132.0, 130.0, 128.3, 127.8, 127.1, 125.4, 124.6, 124.4, 116.7, 114.6, 114.4, 55.6, 19.7.

HRMS (ESI): *m*/*z* [M + H]$^+$ calcd for $C_{18}H_{16}N_3O$: 290.1288; found: 290.1291.

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Supporting Information

Supporting information for this article is available online at https://doi.org/10.1055/s-0039-1691506.

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