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Base-Catalyzed Cyclization of *N*-Sulfonyl Propargylamides to Sulfonylmethyl-Substituted Oxazoles via Sulfonyl Migration

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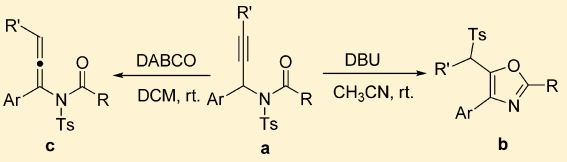
Supporting Information

ABSTRACT: The reaction of *N*-sulfonyl propargylamides in the presence of a base catalyst selectively affords 5-sulfonylmethyl oxazoles via 1,4-sulfonyl migration. Allenes have been established as the key intermediates. Experimental evidence has been provided to support a two-step mechanism in the cyclization.



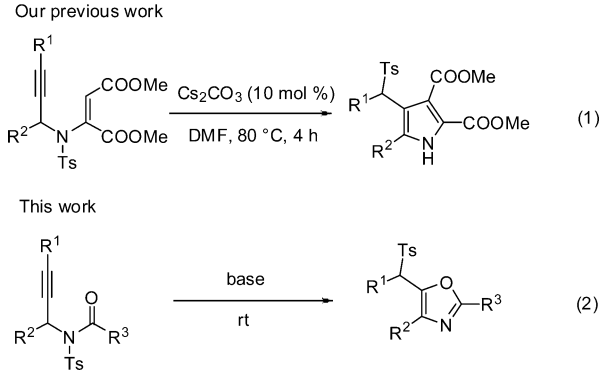
INTRODUCTION

Oxazole is a common structural motif in numerous biologically active compounds, synthetic intermediates, and pharmaceuticals.[1] In particular, 5-sulfonylmethyloxazoles are known to inhibit a biological pathway that is unique to arthropods, thus showing potential controlling effects on arthroped pests.[2] However, only a few methods for the synthesis of sulfonyl-functionalized oxazoles have been reported.[3] The development of efficient and facile synthesis methods for sulfonylmethyl oxazoles remains a challenge in synthetic organic chemistry. Cyclization of propargylamides to oxazoles has been achieved using transition metal,[4] acid,[5] and base[6] catalysts. However, to the best of our knowledge, the base-catalyzed cyclization accompanied by sulfonyl migration[7] has not been investigated previously. Herein, we report an operationally simple base-catalyzed cycloisomerization of *N*-sulfonyl propargylamides, leading to the formation of trisubstituted oxazoles via a 1,4-sulfonyl shift.

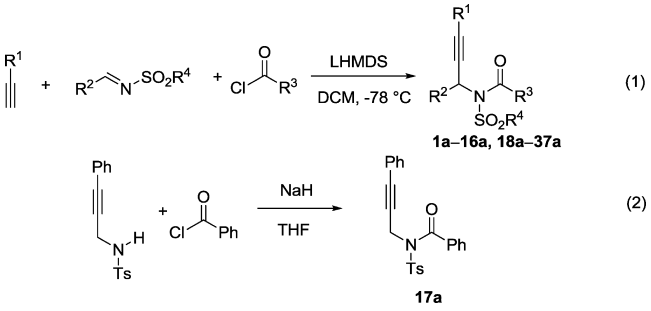
RESULTS AND DISCUSSION

We previously reported the base-catalyzed cyclization of 3-aza-1,5-enynes into pyrroles via sulfonyl migration (Scheme 1, eq 1).[8] On the basis of this precedent, we reasoned that replacement of the alkenyl group with an acyl one may provide an avenue for base-catalyzed cycloisomerization to access a range of sulfonylmethyl-substituted oxazoles (Scheme 1, eq 2). Inspired by this idea, we prepared a series of *N*-sulfonyl propargylic amides from corresponding *N*-sulfonyl imines, alkynes, and acyl chlorides in a one-pot procedure (Scheme 2, eq 1).

Scheme 1. Design of Base-Catalyzed Sulfonyl-Migration Cyclizations



Scheme 2. Preparation of *N*-Sulfonyl Propargyl Amides



We initiated our studies of the cyclization of **1a** with Cs_2CO_3 in DMF at room temperature, and **1a** did afford the desired product **1b**[9] in high yield together with concomitant allenylamide **1c** (Table 1, entry 1). A similar result was given in CH_3CN (entry 2), and considering the isolation processes after the reaction, we chose CH_3CN as the solvent to test the efficiencies of other base catalysts. Among all the bases tested (PPh_3, Et_3N, DBU, DMAP, DABCO, LiOH, *t*-BuOLi, K_2CO_3, Na_2CO_3, Li_2CO_3, NaOAc, KOAc, CsOAc, K_3PO_4), only DBU could selectively afford the oxazole (**1b**) after 7 h. All other bases gave a mixture of the allene **1c** and the oxazle **1b** or did not catalyze this rearrangement at all. However, there seemed to be no straightforward correlation between the pK_a and reactivities of the different bases; for example, neither Li_2CO_3 nor *t*-BuOLi could catalyze this cyclization efficiently (entries 6, 7). DBU in another solvent, such as toluene, DCM, THF, or

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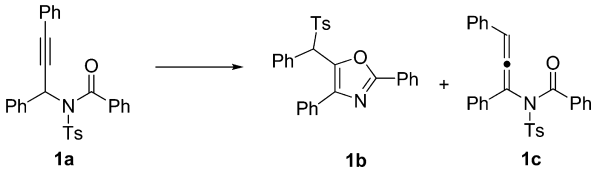
Table 1. Optimization of the Reaction Conditions for the Construction of Oxazole[a]



1a 1b 1c

			yield (%)[b]		
entry	base (10 mol %)	solvent	1a[c]	1b	1c
1	Cs_2CO_3	DMF	2	89	9
2	Cs_2CO_3	CH_3CN	3	85	10
3	PPh_3	CH_3CN	20	15	66
4	Et_3N	CH_3CN	19	14	74
5	DABCO	CH_3CN	10	12	76
6	*t*-BuOLi	CH_3CN	62	0.7	24
7	Li_2CO_3	CH_3CN	82	0	10
8	DBU	CH_3CN	0	99	0
9	DBU	DCM	0	13	74
10	DBU	toluene	0	40	51
11	DBU	THF	0	50	37
12	DBU	EtOH	77	0.5	12
13	–[d]	CH_3CN	98	0	1
14[e]	DABCO	DCM	0	0	99

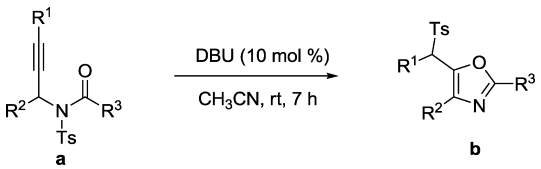
[a]Reaction conditions: **1a** (0.05 mmol), base (10 mol %), solvent (2 mL), rt for 7 h under air. [b]HPLC yields. [c]Recovery of the starting material. [d]No base was added. [e]For 30 min.

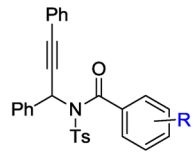
EtOH, provided **1b** in lower yields (entries 9–12). Interestingly, switching the base to DABCO afforded **1c** as the major product in CH_3CN, which was optimized to nearly quantitative yield when DCM was selected as the solvent (entries 5, 14). A base catalyst proved to be necessary because essentially no conversion was reached when it was omitted (entry 13).

Next, we explored the scope and limitation of the cyclization protocol (Table 2). Different substituted *N*-tosyl propargylamides were subjected to the standard conditions, and a variety of aryl-substituted *N*-tosyl propargylamides (R^1, R^2, R^3 = Ar) underwent smooth cycloisomerization, affording oxazoles in good to excellent yields. In addition, the reaction could be performed in 1 g scale. For example, when 1 g of **1a** was subjected to the standard conditions, 946 mg of **1b** was obtained in 95% yield. The reaction tolerated various substituents in the aromatic ring, regardless of the electronic effects and the position of the substituents (**1a**–**15a**). The alkyl substitutents in the acyl unit (R^3 = alkyl) were also well-tolerated, albeit in slightly lower yields (**18a**–**24a**, **27**–**28a**). The acyl component could be protecting groups (such as Cbz). For instance, **29a** transformed into the corresponding oxazole with OBn untouched. The heteroarene-substituted propargylamides (**26a**) also could cyclize to the corresponding oxzaole, which provided a method for preparing heteroarene-substituted oxazoles. In contrast, the reaction was sluggish when R^1 was an alkyl group (**19a**), and the corresponding oxazole **19b** was obtained in 51% yield after 24 h. It is noteworthy that if the R^2 in the propargylamides is an alkyl group or proton, this cyclization could not proceed (**16a**, **17a**, **25a**) even though the reaction time was prolonged to 24 h and the reaction temperature was increased to 100 °C, indicating that an aryl R^2 substitution is crucial to this catalytic transformation.

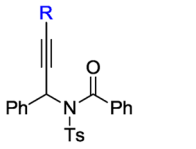
Likewise, other sulfonyl groups might shift under the same condition (Scheme 3). The yields of the oxazole products were

Table 2. Scope of 5-(Tosylmethyl)oxazole Formation[a]

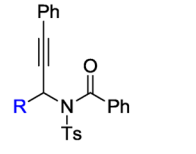




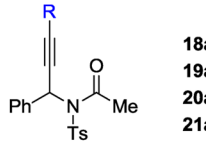
1a	R = H	98%
2a	R = 2-Me	96%
3a	R = 3-Me	98%
4a	R = 4-Me	97%
5a	R = 3-Cl	93%
6a	R = 4-Cl	96%
7a	R = 3-F	97%
8a	R = 4-F	95%
9a	R = 3-CF_3	94%
10a	R = 4-CF_3	99%



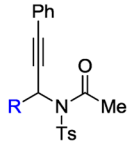
11a	R = 4-F(C_6H_5)	90%
12a	R = 4-Me(C_6H_5)	83%



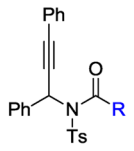
13a	R = (2-Cl)C_6H_5	96%
14a	R = (2-F)C_6H_5	96%
15a	R = (2-Me)C_6H_5	97%
16a	R = ^{i}Pr	0
17a	R = H	0



18a	R = Ph	89%
19a	R = Cy	51%[b]
20a	R = (4-Me)C_6H_5	91%
21a	R = (2-Me)C_6H_5	91%



22a	R = (2-Me)C_6H_5	90%
23a	R = (2-Cl)C_6H_5	92%
24a	R = (2-F)C_6H_5	93%
25a	R = cyclopropyl	0
26a	R = 2-furanyl	89%



27a	R = cyclopropyl	86%
28a	R = cyclobutyl	93%
29a	R = OBn	95%

[a]Reaction conditions: **a** (0.1 mmol), DBU (10 mol %), CH_3CN (2 mL), under air, rt, 7 h; the yields of **b**. [b]For 24 h.

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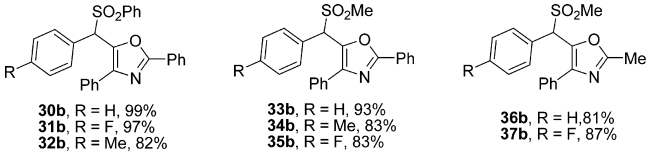
consistently high (82−99%), regardless of the different electronic properties of the sulfonyl group.

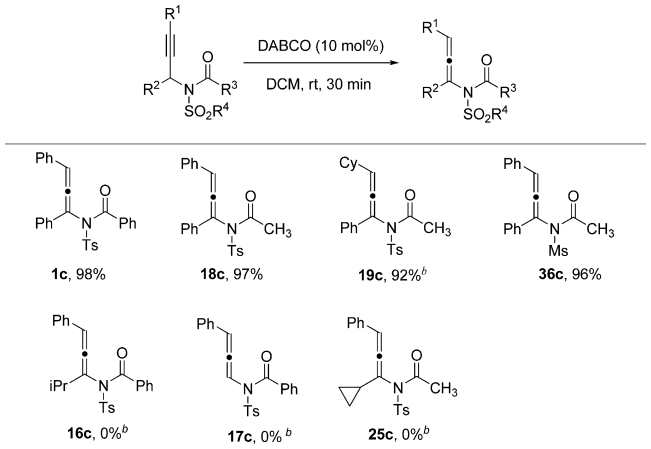
Scheme 3. Scope of 5-(Arylsulfonyl)methyl Oxazole Formation[a]



[a]Reaction conditions: propargylamide **a** (0.1 mmol), DBU (10 mol %), CH_3CN (2 mL), rt, 7 h, under air.

Given the information in the screening of the reaction conditions, we selected DABCO (10 mol %) in DCM as the standard conditions for propargyl−allenyl isomerization. All *N*-sulfonyl propargylamides with an aryl R^2 gave the corresponding allenylamides in high yields (Scheme 4). In line with the

Scheme 4. Formation of Allene Products[a]



[a]Reaction conditions: propargylamide **a** (0.1 mmol), DABCO (10 mol %), DCM (2 mL), rt, 30 min, under air. [b]For 24 h.

results of oxazole formation, if the *N*-sulfonyl propargylamides were alkyl-substituted or unsubstituted at the R^2 position, no isomerization took place even after 24 h (**16c**, **17c**, **25c**).

To cast light on the reaction mechanism, we monitored the whole conversion process of **1a** using HPLC (Figure 1). There were four species detectable in the reaction system: the starting

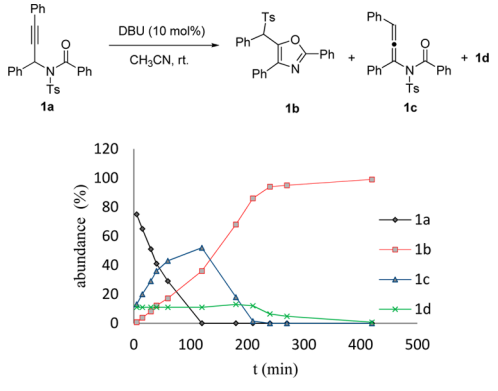
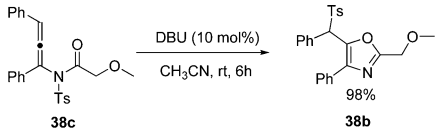


Figure 1. Time profile of the isomerization of **1a**.

material **1a**, the oxazole **1b**, the allene **1c**, and an unknown compound **1d**. In the process, **1a** disappeared after 2 h, at which time **1c** reached its maximum (52%). During the next 2 h, allene **1c** decayed completely, while the amount of **1b** kept increasing to a nearly quantitative yield. This suggests that **1c** might be an intermediate leading to **1b**. Indeed, an X-ray authenticated allene **38c**[9] was cleanly converted into oxazole **38b** (98% yield) in the presence of DBU (10 mol %) in CH_3CN (Scheme 5). Noticeably, a third species **1d** remained at

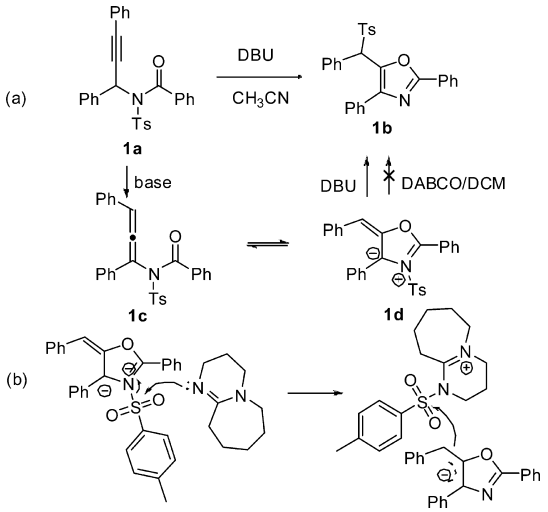
Scheme 5. Conversion of Allene to Oxazole



a constant concentration during the first 3.5 h. In addition, we also found **1d** at the early stage of preparing allene **1c** under the DABCO/DCM condition. Unfortunately, we failed to isolate this species or capture it by dienophiles. On the basis of related reports,[10] we proposed that **1d** was a zwitterionic intermediate.[11]

A mechanistic rationale is proposed for this transformation (Scheme 6a). First, base-catalyzed 1,3-proton migration results

Scheme 6. Possible Mechanism of Sulfonyl Migration and Cyclization



in the formation of allenylamides **1c**, followed by nucleophilic attack of the oxygen at the allenyl carbon to give a zwitterionic intermediate **1d**, and then rearranged to oxazole **1b** via 1,4-sulfonyl shift. Two possible pathways can be envisioned for this 1,4-sulfonyl migration:[7,8] intramolecular 1,4-sulfonyl shift and intermolecular dissociation−addition sequence. To clarify which pathway is followed, a crossover experiment was performed: an equimolar mixture of **1a** and **31a** was subjected to the standard conditions, affording four oxazole products in a nearly 1:1:1:1 ratio, indicating an intermolecular pathway (Scheme 7). However, the role of DBU in the overall process is still not fully understood; it likely facilitates the sulfonyl dissociation (Scheme 6b).

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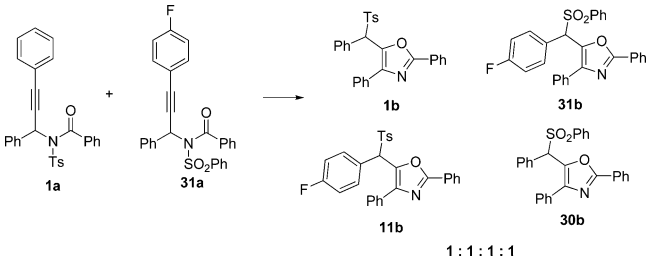
Scheme 7. Crossover Experiment



CONCLUSION

In summary, we have developed a DBU-catalyzd cycloisomerization of *N*-sulfonyl propargylamides to various 5-(sulfonylmethyl)oxazoles. The allene intermediate has been established, and both the oxazoles and the allenes have been obtained with high selectivity. The reaction conditions are mild, and this method may find applications in the synthesis of complex structures.

EXPERIMENTAL SECTION

General Information. All reactions were carried out under air unless otherwise indicated. All solvents were dried just before use according to the standard procedure. Commercially available reagents were used without further purification. HRMS spectra were produced on a Q-TOF microspectrometer. The melting points were determined with a binocular microscope melting apparatus and were uncorrected.

General Procedure for the Synthesis of *N*-Sulfonyl Propargylamides (1a as an Example). To a solution of 1.3 g (5 mmol) of *N*-tosylaldimines[12] and 562 mg (5.5 mmol) of phenylacetylene in dry CH_2Cl_2 (20 mL), was added slowly LHMDS in THF (5 mL, 1 M, 5 mmol) at −78 °C under Ar. The resulting mixture was allowed to stand from −78 to −40 °C for about 1 h until the consumption of *N*-tosylaldimines was detected by TLC. Benzoyl chloride was then added in one portion below −40 °C and kept for 5 min. The mixture was then stirred at rt and kept for 30 min, and then the reaction was quenched with water. The separated organic layer was washed with brine and dried over $MgSO_4$. After removal of the solvent, the residue was purified by flash column chromatography to give 1.2 g of **1a** as a white solid in 52% yield (**1a**−**16a** and **18a**−**37a** were all prepared by this procedure).

*N-(1,3-Diphenylprop-2-ynyl)-N-tosylbenzamide (**1a**).* White solid, 1.2 g, 52% yield, mp 139−140 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, J = 7.8 Hz, 2H), 7.53 (d, J = 6.7 Hz, 2H), 7.38 (dd, J = 29.1, 10.9 Hz, 8H), 7.28−7.13 (m, 7H), 6.53 (s, 1H), 2.30 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.1, 144.8, 136.1, 136.0, 135.1, 131.8, 131.7, 129.3, 128.8, 128.4, 128.2, 127.9, 122.3, 87.4, 84.7, 54.4, 21.5; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{23}NO_3SNa$ (M + Na)$^+$ 488.1296, found 488.1295.

*N-(1,3-Diphenylprop-2-ynyl)-2-methyl-N-tosylbenzamide (**2a**).* White solid, 1.0 g, 42% yield, mp 134−135 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (d, J = 8.4 Hz, 2H), 7.64−7.57 (m, 2H), 7.53−7.47 (m, 2H), 7.40−7.28 (m, 6H), 7.25−7.17 (m, 3H), 7.12−7.06 (m, 1H), 7.03 (t, J = 8.2 Hz, 2H), 6.72 (s, 1H), 2.40 (s, 3H), 2.01 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.4, 144.8, 136.5, 136.4, 134.5, 131.8, 130.3, 130.1, 129.2, 128.8, 128.8, 128.4, 128.4, 128.1, 127.7, 127.3, 125.9, 124.8, 122.4, 87.1, 84.9, 53.8, 21.6, 19.0; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ (M + Na)$^+$ 502.1453, found 502.1430.

*N-(1,3-Diphenylprop-2-ynyl)-3-methyl-N-tosylbenzamide (**3a**).* White solid, 1.2 g, 51% yield, mp 54−56 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.79−7.72 (m, 2H), 7.55−7.50 (m, 2H), 7.47−7.42 (m, 2H), 7.39−7.32 (m, 3H), 7.31−7.26 (m, 3H), 7.22 (ddd, J = 15.3, 5.8, 0.8 Hz, 4H), 7.17−7.12 (m, 2H), 6.54 (s, 1H), 2.37 (s, 3H), 2.21 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.5, 144.8, 137.8, 136.4, 136.3, 135.1, 132.5, 132.0, 129.4, 129.0, 128.9, 128.5, 128.3, 128.0, 127.9, 125.6, 122.5, 87.6, 84.9, 54.6, 21.7, 21.3; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ (M + Na)$^+$ 502.1453, found 502.1469.

*N-(1,3-Diphenylprop-2-ynyl)-4-methyl-N-tosylbenzamide (**4a**).* White solid, 1.4 g, 58% yield, mp 114−116 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.78−7.71 (m, 2H), 7.57−7.51 (m, 2H), 7.45−7.39 (m, 4H), 7.36 (ddd, J = 6.1, 2.6, 0.9 Hz, 3H), 7.31−7.26 (m, 3H), 7.21 (d, J = 8.0 Hz, 2H), 7.09 (d, J = 7.9 Hz, 2H), 6.47 (s, 1H), 2.35 (d, J = 5.8 Hz, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.3, 144.8, 142.7, 136.4, 136.2, 132.6, 132.0, 129.4, 129.1, 128.9, 128.8, 128.8, 128.5, 128.4, 128.3, 128.2, 122.6, 87.5, 85.0, 54.7, 21.7; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ (M + Na)$^+$ 502.1453, found 502.1447.

*3-Chloro-N-(1,3-diphenylprop-2-ynyl)-N-tosylbenzamide (**5a**).* White solid, 1.4 g, 56% yield, mp 48−49 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81−7.73 (m, 2H), 7.53−7.48 (m, 2H), 7.45 (dd, J = 7.5, 2.0 Hz, 2H), 7.39−7.19 (m, 11H), 7.16 (d, J = 8.1 Hz, 1H), 6.59 (s, 1H), 2.39 (s, 3H), 2.16 (s, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.9, 145.2, 137.0, 136.0, 134.0, 132.0, 131.5, 129.7, 129.2, 129.0, 129.0, 128.6, 128.5, 128.5, 128.4, 127.9, 126.6, 122.3, 87.9, 84.5, 54.2, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}ClNO_3SNa$ (M + Na)$^+$ 522.0907, found 522.0930.

*4-Chloro-N-(1,3-diphenylprop-2-ynyl)-N-tosylbenzamide (**6a**).* White solid, 1.1 g, 44% yield, mp 112−113 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82−7.75 (m, 2H), 7.56−7.48 (m, 2H), 7.43−7.38 (m, 2H), 7.38−7.31 (m, 5H), 7.31−7.26 (m, 3H), 7.26−7.23 (m, 2H), 7.23−7.18 (m, 2H), 6.52 (s, 1H), 2.37 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.3, 145.1, 138.2, 136.0, 136.0, 133.9, 131.9, 130.1, 129.6, 128.9, 128.6, 128.5, 128.4, 128.2, 128.0, 122.3, 87.8, 84.5, 54.3, 21.7; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}ClNO_3SNa$ (M + Na)$^+$ 522.0907, found 522.0914.

*N-(1,3-Diphenylprop-2-ynyl)-3-fluoro-N-tosylbenzamide (**7a**).* White solid, 1.3 g, 54% yield, mp 102−103 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, J = 8.4 Hz, 2H), 7.52 (dd, J = 7.0, 1.3 Hz, 2H), 7.47−7.41 (m, 2H), 7.40−7.33 (m, 3H), 7.33−7.14 (m, 7H), 7.08 (dddd, J = 11.2, 8.9, 3.2, 1.7 Hz, 2H), 6.56 (s, 1H), 2.38 (s, 3H), 2.16 (s, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.8, 161.9 (d, J = 248.1 Hz), 145.1, 137.2 (d, J = 7.2 Hz), 135.9 (d, J = 3.0 Hz), 131.9, 129.6 (d, J = 8.2 Hz), 129.5, 128.9, 128.9, 128.5, 128.4, 128.3, 127.8, 124.2 (d, J = 3.0 Hz), 122.2, 118.6 (d, J = 21.2 Hz), 115.5 (d, J = 23.6 Hz), 87.7, 84.5, 54.2, 21.6; ^{19}F NMR (471 MHz, $CDCl_3$) δ −112.2; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}FNO_3SNa$ (M + Na)$^+$ 506.1202, found 506.1178.

*N-(1,3-Diphenylprop-2-ynyl)-4-fluoro-N-tosylbenzamide (**8a**).* White solid, 1.1 g, 46% yield, mp 121−122 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82−7.75 (m, 2H), 7.55−7.48 (m, 2H), 7.46−7.37 (m, 4H), 7.37−7.31 (m, 3H), 7.31−7.25 (m, 3H), 7.25−7.22 (m, 2H), 6.91 (t, J = 8.7 Hz, 2H), 6.51 (s, 1H), 2.36 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.4, 164.9 (d, J = 253.3 Hz), 145.1, 136.1, 136.1, 131.7 (d, J = 3.1 Hz), 131.4 (d, J = 9.1 Hz), 129.6, 129.0, 128.6, 128.5, 128.4, 128.1, 122.4, 115.1 (d, J = 22.1 Hz), 87.7, 84.6, 54.4, 21.7; ^{19}F NMR (471 MHz, $CDCl_3$) δ −106.5; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}FNO_3SNa$ (M + Na)$^+$ 506.1202, found 506.1213.

*N-(1,3-Diphenylprop-2-ynyl)-N-tosyl-3-(trifluoromethyl)-benzamide (**9a**).* White solid, 1.2 g, 45% yield, mp 80−81 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80−7.75 (m, 2H), 7.61 (d, J = 7.8 Hz, 1H), 7.55 (d, J = 7.8 Hz, 1H), 7.53−7.48 (m, 2H), 7.45−7.40 (m, 3H), 7.38−7.33 (m, 4H), 7.31−7.22 (m, 5H), 6.64 (s, 1H), 2.38 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.9, 145.4, 136.2, 136.0 (d, J = 3.8 Hz), 132.0, 131.8, 130.5 (q, J = 33.0 Hz), 129.7, 129.1, 128.8, 128.7, 128.5, 128.4, 128.0 (d, J = 3.5 Hz), 127.9, 125.18 (dd, J = 7.4, 3.6 Hz), 123.5 (q, J = 272.7 Hz), 122.2, 88.0, 84.4, 54.1, 21.7; ^{19}F NMR (471 MHz, $CDCl_3$) δ −62.9; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{22}F_3NO_3SNa$ (M + Na)$^+$ 556.1170, found 556.1185.

*N-(1,3-Diphenylprop-2-ynyl)-N-tosyl-4-(trifluoromethyl)-benzamide (**10a**).* White solid, 1.3 g, 49% yield, mp 106−107 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.84−7.74 (m, 2H), 7.53−7.48 (m, 2H), 7.46 (d, J = 8.2 Hz, 2H), 7.43−7.32 (m, 7H), 7.32−7.24 (m, 5H), 6.59 (s, 1H), 2.38 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.0, 145.4, 138.8, 136.0, 135.9, 133.0 (q, J = 32.8 Hz), 131.9, 129.7, 129.1, 128.9, 128.7, 128.6, 128.6, 128.5, 127.9, 124.8 (q, J = 3.7 Hz), 123.7 (q, J = 272.5 Hz), 87.9, 84.4, 54.1, 21.8; ^{19}F NMR (471 MHz, $CDCl_3$) δ

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−63.1; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{22}F_3NO_3SNa$ (M + Na)$^+$ 556.1170, found 556.1156.

*N-(3-(4-Fluorophenyl)-1-phenylprop-2-ynyl)-N-tosylbenzamide (**11a**).* White solid, 1.6 g, 66% yield, mp 138−139 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, J = 8.3 Hz, 2H), 7.53 (d, J = 6.6 Hz, 2H), 7.46−7.37 (m, 5H), 7.32−7.24 (m, 5H), 7.21 (d, J = 8.0 Hz, 2H), 7.04 (t, J = 8.5 Hz, 2H), 6.51 (s, 1H), 2.37 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.2, 162.9 (d, J = 250.1 Hz), 144.9, 136.3, 136.1, 135.3, 133.9 (d, J = 8.4 Hz), 131.8, 129.5, 129.0, 128.6, 128.6, 128.4, 128.0, 128.0, 118.6 (d, J = 3.2 Hz), 115.8 (d, J = 22.1 Hz), 86.5, 84.7, 54.4, 21.7; ^{19}F NMR (377 MHz, $CDCl_3$) δ −110.6; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}FNO_3SNa$ (M + Na)$^+$ 506.1202, found 506.1193.

*N-(1-Phenyl-3-p-tolylprop-2-ynyl)-N-tosylbenzamide (**12a**).* White solid, 0.8 g, 33% yield, mp 120−122 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82−7.73 (m, 2H), 7.52 (d, J = 7.3 Hz, 2H), 7.40 (t, J = 7.9 Hz, 3H), 7.34 (d, J = 6.9 Hz, 2H), 7.31−7.20 (m, 7H), 7.16 (d, J = 7.8 Hz, 2H), 6.53 (s, 1H), 2.38 (d, J = 4.2 Hz, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.3, 144.8, 139.1, 136.4, 135.4, 131.9, 131.7, 129.5, 129.2, 129.0, 128.5, 128.5, 128.2, 128.0, 128.0, 119.4, 87.8, 84.2, 54.6, 21.7, 21.7; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ (M + Na)$^+$ 502.1453, found 502.1451.

*N-(1-(2-Chlorophenyl)-3-phenylprop-2-ynyl)-N-tosylbenzamide (**13a**).* White solid, 1.1 g, 44% yield, mp 145−146 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (d, J = 7.7 Hz, 1H), 7.75 (d, J = 7.8 Hz, 2H), 7.61−7.54 (m, 2H), 7.47 (d, J = 7.5 Hz, 1H), 7.37 (qd, J = 15.3, 7.8 Hz, 8H), 7.25 (t, J = 7.6 Hz, 1H), 7.19 (d, J = 7.8 Hz, 1H), 7.04 (d, J = 8.1 Hz, 2H), 6.40 (s, 1H), 2.30 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 144.4, 136.4, 134.9, 134.1, 133.8, 132.4, 132.2, 132.0, 130.3, 129.4, 129.0, 128.8, 128.6, 128.5, 128.2, 126.7, 122.3, 87.6, 85.3, 54.0, 21.6; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}ClNO_3SNa$ (M + Na)$^+$ 522.0907, found 522.0912.

*N-(1-(2-Fluorophenyl)-3-phenylprop-2-ynyl)-N-tosylbenzamide (**14a**).* White solid, 1.8 g, 74% yield, mp 120−122 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (td, J = 7.8, 1.2 Hz, 1H), 7.74−7.65 (m, 2H), 7.62−7.55 (m, 2H), 7.54−7.46 (m, 3H), 7.40 (ddd, J = 3.8, 3.2, 1.8 Hz, 5H), 7.32 (tdd, J = 7.3, 5.3, 1.7 Hz, 1H), 7.20 (td, J = 7.6, 1.1 Hz, 1H), 7.11 (d, J = 8.0 Hz, 2H), 6.90 (ddd, J = 10.4, 8.2, 1.0 Hz, 1H), 6.52 (s, 1H), 2.35 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.2, 160.9 (d, J = 249.7 Hz), 144.5, 136.6, 134.9, 132.4 (d, J = 2.2 Hz), 132.1, 132.0, 130.8 (d, J = 8.3 Hz), 129.1, 129.0, 129.0, 128.6, 128.5, 124.2 (d, J = 3.2 Hz), 122.8 (d, J = 12.0 Hz), 122.5, 115.2 (d, J = 20.7 Hz), 87.6, 84.4, 50.9 (d, J = 3.0 Hz), 21.7; ^{19}F NMR (377 MHz, $CDCl_3$) δ −117.1; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}FNO_3SNa$ (M + Na)$^+$ 506.1202, found 506.1209.

*N-(3-Phenyl-1-o-tolylprop-2-ynyl)-N-tosylbenzamide (**15a**).* White solid, 0.7 g, 29% yield, mp 135−137 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02−7.94 (m, 1H), 7.64 (d, J = 7.3 Hz, 2H), 7.50 (d, J = 8.5 Hz, 4H), 7.44 (t, J = 7.4 Hz, 1H), 7.38−7.28 (m, 5H), 7.17 (dd, J = 5.6, 3.5 Hz, 2H), 7.07 (d, J = 8.2 Hz, 2H), 7.03−6.96 (m, 1H), 6.34 (s, 1H), 2.28 (s, 3H), 2.20 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.5, 144.5, 136.5, 136.1, 135.1, 132.9, 132.2, 131.8, 131.8, 130.5, 129.1, 128.8, 128.8, 128.8, 128.4, 128.2, 125.9, 122.6, 87.4, 86.1, 53.9, 21.6, 19.5; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ (M + Na)$^+$ 502.1453, found 502.1462.

*N-(4-Methyl-1-phenylpent-1-yn-3-yl)-N-tosylbenzamide (**16a**).* White solid, 1.4 g, 65% yield, mp 152−153 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, J = 7.9 Hz, 2H), 7.51 (d, J = 7.9 Hz, 2H), 7.46 (s, 1H), 7.43−7.38 (m, 2H), 7.34 (dd, J = 13.6, 6.4 Hz, 5H), 7.20 (d, J = 8.1 Hz, 2H), 4.70 (d, J = 10.4 Hz, 1H), 2.69 (qt, J = 13.1, 6.6 Hz, 1H), 2.34 (s, 3H), 1.15 (d, J = 6.6 Hz, 3H), 1.10 (d, J = 6.6 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.0, 144.8, 136.4, 135.4, 131.8, 131.7, 129.4, 128.8, 128.5, 128.4, 128.3, 128.2, 122.9, 86.9, 85.2, 59.8, 32.6, 21.7, 21.1, 19.9; HRMS (ESI-TOF) m/z calcd for $C_{26}H_{25}NO_3SNa$ (M + Na)$^+$ 454.1435, found 454.1440.

*N-(3-Phenylprop-2-ynyl)-N-tosylbenzamide (**17a**).* NaH (60%, 20 mg, 0.5 mmol) was added to a solution of 4-methyl-*N*-(3-phenylprop-2-ynyl)benzenesulfonamide (71 mg, 0.25 mmol) in dry THF (2 mL), and the mixture was stirred at rt for 1 h. The resulting solvent was cooled to 0 °C in an ice−water bath, and benzoyl chloride (42 mg, 0.3 mmol) was added to it slowly. Then the reaction mixture was allowed to reach room temperature gradually. After 6 h, the reaction mixture was quenched with saturated sodium chloride solution, extracted with ethyl acetate, and dried over anhydrous sodium sulfate. After filtration and evaporation, the residue was purified by flash column chromatography to give **17a**. White solid, 80 mg, 82% yield, mp 97−99 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, J = 8.4 Hz, 2H), 7.60−7.54 (m, 2H), 7.49 (d, J = 7.5 Hz, 1H), 7.39 (t, J = 7.7 Hz, 2H), 7.36−7.28 (m, 5H), 7.26 (d, J = 8.4 Hz, 2H), 4.80 (s, 2H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.8, 145.0, 136.0, 134.4, 131.9, 131.8, 129.5, 129.1, 128.9, 128.5, 128.4, 128.0, 122.2, 85.1, 83.9, 38.9, 21.7; HRMS (ESI-TOF) m/z calcd for $C_{23}H_{19}NO_3SNa$ (M + Na)$^+$ 412.0983, found 412.0986.

*N-(1,3-Diphenylprop-2-ynyl)-N-tosylacetamide (**18a**).* White crystals, 1.1 g, 55% yield, mp 146−147 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, J = 8.4 Hz, 2H), 7.70 (dd, J = 8.4, 1.0 Hz, 2H), 7.48−7.30 (m, 10H), 7.04 (s, 1H), 2.44 (s, 3H), 2.12 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.2, 145.0, 137.0, 136.8, 131.9, 129.8, 129.1, 128.9, 128.6, 128.4, 128.3, 127.0, 122.2, 87.5, 84.7, 52.5, 25.7, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{21}NO_3SNa$ (M + Na)$^+$ 426.1140, found 426.1147.

*N-(3-Cyclohexyl-1-phenylprop-2-ynyl)-N-tosylacetamide (**19a**).* White solid, 0.8 g, 39% yield, mp 116−117 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.99−7.95 (m, 2H), 7.65−7.61 (m, 2H), 7.42−7.37 (m, 2H), 7.36−7.29 (m, 3H), 6.82 (d, J = 1.0 Hz, 1H), 2.54 (s, 1H), 2.45 (s, 3H), 1.99 (s, 3H), 1.83 (s, 2H), 1.71 (s, 2H), 1.51 (s, 3H), 1.35 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.6, 144.8, 137.5, 136.9, 129.5, 128.8, 128.4, 128.0, 126.9, 92.6, 75.7, 52.2, 32.6, 32.6, 29.1, 25.9, 25.7, 24.8, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{27}NO_3SNa$ (M + Na)$^+$ 432.1609, found 432.1600.

*N-(1-Phenyl-3-p-tolylprop-2-ynyl)-N-tosylacetamide (**20a**).* White solid, 1.2 g, 57% yield, mp 109−110 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.03−7.96 (m, 2H), 7.76−7.67 (m, 2H), 7.42 (t, J = 7.6 Hz, 2H), 7.39−7.31 (m, 5H), 7.17 (d, J = 7.8 Hz, 2H), 7.05 (s, 1H), 2.43 (s, 3H), 2.38 (s, 3H), 2.11 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.2, 144.9, 139.3, 137.0, 136.7, 131.8, 129.7, 129.3, 128.8, 128.3, 128.2, 126.9, 119.0, 87.6, 83.9, 52.4, 25.6, 21.7, 21.6; HRMS (ESI-TOF) m/z calcd for $C_{25}H_{23}NO_3SNa$ (M + Na)$^+$ 440.1296, found 440.1303.

*N-(1-Phenyl-3-o-tolylprop-2-ynyl)-N-tosylacetamide (**21a**).* White solid, 1.0 g, 48% yield, mp 86−88 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.96 (d, J = 8.4 Hz, 2H), 7.75−7.68 (m, 2H), 7.41 (dd, J = 10.9, 4.4 Hz, 3H), 7.35−7.28 (m, 3H), 7.23 (ddd, J = 17.0, 7.5, 0.9 Hz, 2H), 7.16 (dd, J = 10.7, 4.2 Hz, 1H), 7.07 (s, 1H), 2.41 (d, J = 8.8 Hz, 6H), 2.11 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.0, 145.0, 140.5, 137.0, 136.7, 132.3, 129.7, 129.0, 128.8, 128.3, 128.2, 127.0, 125.7, 121.9, 88.3, 86.4, 52.6, 25.7, 21.7, 20.9; HRMS (ESI-TOF) m/z calcd for $C_{25}H_{23}NO_3SNa$ (M + Na)$^+$ 440.1296, found 440.1281.

*N-(3-Phenyl-1-o-tolylprop-2-ynyl)-N-tosylacetamide (**22a**).* White solid, 0.9 g, 43% yield, mp 160−161 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (dd, J = 5.2, 4.0 Hz, 1H), 7.78 (d, J = 8.4 Hz, 2H), 7.51−7.45 (m, 2H), 7.38−7.31 (m, 3H), 7.29−7.25 (m, 2H), 7.23−7.19 (m, 2H), 7.17−7.13 (m, 1H), 7.06 (s, 1H), 2.38 (d, J = 1.6 Hz, 6H), 2.19 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.2, 144.9, 136.8, 133.7, 131.9, 131.1, 130.9, 129.6, 128.9, 128.8, 128.5, 128.3, 125.9, 122.4, 87.3, 85.7, 51.3, 25.9, 21.7, 20.0; HRMS (ESI-TOF) m/z calcd for $C_{25}H_{23}NO_3SNa$ (M + Na)$^+$ 440.1296, found 440.1281.

*N-(1-(2-Chlorophenyl)-3-phenylprop-2-ynyl)-N-tosylacetamide (**23a**).* White solid, 1.3 g, 59% yield, mp 160−161 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.22−8.17 (m, 1H), 7.64 (d, J = 8.3 Hz, 2H), 7.58−7.50 (m, 2H), 7.40−7.34 (m, 4H), 7.31 (dd, J = 4.9, 1.2 Hz, 2H), 7.23−7.16 (m, 2H), 7.08 (s, 1H), 2.38 (s, 3H), 2.35 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.8, 144.8, 137.1, 133.9, 133.4, 133.3, 132.0, 130.0, 129.7, 129.6, 128.9, 128.5, 127.9, 126.6, 122.3, 87.0, 84.9, 50.8, 25.4, 21.6; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{20}ClNO_3SNa$ (M + Na)$^+$ 460.0750, found 460.0757.

*N-(1-(2-Fluorophenyl)-3-phenylprop-2-ynyl)-N-tosylacetamide (**24a**).* White solid, 1.4 g, 65% yield, mp 119−120 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 (td, J = 7.8, 1.0 Hz, 1H), 7.82 (d, J = 8.3 Hz, 2H), 7.55−7.46 (m, 2H), 7.41−7.30 (m, 4H), 7.25−7.18 (m, 3H), 7.04 (ddd, J = 10.5, 8.2, 0.9 Hz, 1H), 2.40 (d, J = 7.1 Hz, 3H), 2.26 (s,

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3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.4, 160.6 (d, J = 250.1 Hz), 144.9, 137.1, 131.9, 130.5 (d, J = 8.4 Hz), 129.7, 129.0, 128.5, 128.1, 123.9 (d, J = 3.3 Hz), 123.6 (d, J = 11.9 Hz), 122.2, 115.6 (d, J = 20.9 Hz), 87.1, 84.1, 47.8, 25.4, 21.6; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{20}FNO_3SNa$ $(M + Na)^+$ 444.1046, found 444.1061.

*N-(1-Cyclopropyl-3-phenylprop-2-ynyl)-N-tosylacetamide (**25a**).* White solid, 770 mg, 42% yield, mp 84−85 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (d, J = 8.4 Hz, 2H), 7.36 (dt, J = 6.0, 2.5 Hz, 2H), 7.32−7.21 (m, 5H), 4.88 (d, J = 8.8 Hz, 1H), 2.39 (s, 3H), 2.36 (s, 3H), 1.96−1.86 (m, 1H), 0.81−0.74 (m, 1H), 0.68−0.60 (m, 2H), 0.60−0.54 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.7, 144.8, 137.1, 131.7, 129.8, 128.5, 128.3, 127.6, 122.5, 86.1, 84.0, 55.2, 25.7, 21.5, 16.5, 6.5, 4.8; HRMS (ESI-TOF) m/z calcd for $C_{21}H_{21}NO_3SNa$ $(M + Na)^+$ 390.1140, found 390.1144.

*N-(1-(Furan-2-yl)-3-phenylprop-2-ynyl)-N-tosylacetamide (**26a**).* Yellow gum, 236 mg, 12% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95−7.87 (m, 2H), 7.51−7.44 (m, 2H), 7.42−7.40 (m, 1H), 7.38−7.30 (m, 5H), 7.01 (s, 1H), 6.65−6.59 (m, 1H), 6.40 (dd, J = 3.3, 1.8 Hz, 1H), 2.43 (s, 3H), 2.25 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.0, 149.5, 145.0, 143.0, 136.9, 132.0, 129.7, 129.2, 128.6, 128.5, 122.0, 111.0, 109.9, 86.0, 83.2, 46.8, 25.2, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{22}H_{19}NO_4SNa$ $(M + Na)^+$ 416.0932, found 416.0923.

*N-(1,3-Diphenylprop-2-ynyl)-N-tosylcyclopropanecarboxamide (**27a**).* White solid, 1.5 g, 71% yield, mp 117−118 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.99 (d, J = 8.1 Hz, 2H), 7.71 (d, J = 7.6 Hz, 2H), 7.48 (d, J = 7.3 Hz, 2H), 7.42 (d, J = 7.5 Hz, 2H), 7.40−7.29 (m, 6H), 7.11 (s, 1H), 2.43 (s, 3H), 2.00 (dd, J = 4.5, 3.0 Hz, 1H), 0.93 (dd, J = 4.8, 2.6 Hz, 1H), 0.83−0.68 (m, 2H), 0.49−0.40 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 174.1, 144.7, 137.4, 137.1, 131.9, 129.6, 129.0, 128.9, 128.6, 128.3, 128.1, 126.8, 122.2, 87.4, 85.1, 52.4, 21.7, 16.0, 10.8, 10.7; HRMS (ESI-TOF) m/z calcd for $C_{26}H_{23}NO_3SNa$ $(M + Na)^+$ 452.1296, found 452.1279.

*N-(1,3-Diphenylprop-2-ynyl)-N-tosylcyclobutanecarboxamide (**28a**).* White solid, 758 mg, 34% yield, mp 146−147 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, J = 8.4 Hz, 2H), 7.67 (dd, J = 8.3, 1.0 Hz, 2H), 7.49−7.40 (m, 4H), 7.40−7.30 (m, 6H), 6.93 (s, 1H), 3.51 (p, J = 8.1 Hz, 1H), 2.43 (s, 3H), 2.18 (dt, J = 18.1, 8.9 Hz, 1H), 2.05−1.92 (m, 2H), 1.77−1.64 (m, 2H), 1.37−1.25 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 175.4, 144.8, 137.3, 137.1, 131.9, 129.7, 129.1, 128.9, 128.6, 128.3, 128.2, 126.8, 122.2, 87.3, 85.0, 52.3, 40.1, 25.3, 21.8, 17.7; HRMS (ESI-TOF) m/z calcd for $C_{27}H_{25}NO_3SNa$ $(M + Na)^+$ 466.1453, found 466.1447.

*Benzyl 1,3-Diphenylprop-2-ynyl(tosyl)carbamate (**29a**).* Colorless crystals, 767 mg, 31% yield, mp 97−99 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, J = 8.2 Hz, 2H), 7.64 (d, J = 7.3 Hz, 2H), 7.38−7.26 (m, 8H), 7.22 (d, J = 7.2 Hz, 1H), 7.19−7.12 (m, 4H), 6.98 (d, J = 7.3 Hz, 2H), 6.90 (s, 1H), 5.02−4.90 (m, 2H), 2.35 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 151.4, 144.7, 137.1, 136.3, 134.4, 131.9, 129.3, 128.8, 128.6, 128.4, 128.3, 128.0, 127.3, 122.3, 86.7, 84.7, 68.9, 53.1, 21.6; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_4SNa$ $(M + Na)^+$ 518.1402, found 518.1405.

*N-(1,3-Diphenylprop-2-ynyl)-N-(phenylsulfonyl)benzamide (**30a**).* White solid, 670 mg, 30% yield, mp 146−147 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.89 (d, J = 8.3 Hz, 2H), 7.55 (dd, J = 13.2, 7.4 Hz, 3H), 7.49−7.34 (m, 10H), 7.32−7.22 (m, 5H), 6.57 (s, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.3, 139.3, 136.2, 135.1, 133.7, 132.0, 131.8, 129.0, 128.8, 128.5, 128.5, 128.4, 128.0, 122.4, 87.8, 84.8, 54.6; HRMS (ESI-TOF) m/z calcd for $C_{28}H_{21}NO_3SNa$ $(M + Na)^+$ 474.1140, found 474.1129.

*N-(3-(4-Fluorophenyl)-1-phenylprop-2-ynyl)-N-(phenylsulfonyl)-benzamide (**31a**).* White solid, 1.1 g, 49% yield, mp 132−133 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.83 (dd, J = 8.4, 1.1 Hz, 2H), 7.58−7.49 (m, 3H), 7.43 (ddd, J = 14.3, 7.2, 1.7 Hz, 7H), 7.30−7.23 (m, 5H), 7.04 (dd, J = 12.1, 5.3 Hz, 2H), 6.53 (s, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.2, 163.0 (d, J = 250.6 Hz), 139.3, 136.0, 135.1, 133.9 (d, J = 8.4 Hz), 133.8, 131.9, 128.9, 128.8, 128.6, 128.6, 128.4, 128.1, 118.5 (d, J = 3.3 Hz), 115.8 (d, J = 22.1 Hz), 86.7, 84.6, 54.6; ^{19}F NMR (377 MHz, $CDCl_3$) δ −110.5; HRMS (ESI-TOF) m/z calcd for $C_{28}H_{20}FNO_3SNa$ $(M + Na)^+$ 492.1046, found 492.1042.

*N-(1-Phenyl-3-p-tolylprop-2-ynyl)-N-(phenylsulfonyl)benzamide (**32a**).* White solid, 950 mg, 41% yield, mp 118−119 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (d, J = 7.6 Hz, 2H), 7.55 (dd, J = 16.1, 7.8 Hz, 3H), 7.47−7.35 (m, 7H), 7.32−7.22 (m, 5H), 7.18 (d, J = 7.8 Hz, 2H), 6.58 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.3, 139.3, 139.1, 136.2, 135.1, 133.7, 131.8, 131.7, 129.2, 128.9, 128.8, 128.5, 128.4, 128.3, 128.0, 119.3, 87.9, 84.1, 54.7, 21.6; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{23}NO_3SNa$ $(M + Na)^+$ 488.1296; found 488.1312.

*N-(1,3-Diphenylprop-2-ynyl)-N-(methylsulfonyl)benzamide (**33a**).* White solid, 290 mg, 15% yield, mp 161−162 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64−7.59 (m, 2H), 7.57−7.46 (m, 5H), 7.43−7.28 (m, 8H), 6.40 (s, 1H), 3.23 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 173.1, 135.9, 134.3, 132.5, 132.0, 129.2, 128.8, 128.7, 128.7, 128.6, 128.5, 128.1, 122.1, 88.3, 84.3, 55.3, 43.1; HRMS (ESI-TOF) m/z calcd for $C_{23}H_{19}NO_3SNa$ $(M + Na)^+$ 412.0983, found 412.1000.

*N-(Methylsulfonyl)-N-(1-phenyl-3-p-tolylprop-2-ynyl)benzamide (**34a**).* White solid, 342 mg, 17% yield, mp 139−140 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (dt, J = 8.4, 1.4 Hz, 2H), 7.55−7.46 (m, 3H), 7.45−7.40 (m, 2H), 7.37−7.28 (m, 5H), 7.19 (d, J = 7.8 Hz, 2H), 6.40 (s, 1H), 3.25 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 173.1, 139.4, 136.1, 134.3, 132.4, 131.9, 129.3, 128.8, 128.6, 128.5, 128.4, 128.1, 119.0, 88.5, 83.6, 55.3, 43.0, 21.6; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{21}NO_3SNa$ $(M + Na)^+$ 426.1140, found 426.1151.

*N-(3-(4-Fluorophenyl)-1-phenylprop-2-ynyl)-N-(methylsulfonyl)-benzamide (**35a**).* White solid, 223 mg, 11% yield, mp 170−171 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.66−7.60 (m, 2H), 7.55−7.49 (m, 5H), 7.38−7.31 (m, 5H), 7.11−7.03 (m, 2H), 6.37 (s, 1H), 3.18 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.9, 163.1 (d, J = 250.6 Hz), 135.8, 134.2, 134.0 (d, J = 8.5 Hz), 132.6, 128.8, 128.7, 128.7, 128.5, 128.1, 118.2 (d, J = 3.5 Hz), 115.9 (d, J = 22.2 Hz), 87.2, 84.2, 55.3, 43.1; ^{19}F NMR (377 MHz, $CDCl_3$) δ −110.1 (d, J = 0.9 Hz); HRMS (ESI-TOF) m/z calcd for $C_{23}H_{18}FNO_3SNa$ $(M + Na)^+$ 430.0889, found 430.0883.

*N-(1,3-Diphenylprop-2-ynyl)-N-(methylsulfonyl)acetamide (**36a**).* White solid, 337 mg, 21% yield, mp 102−103 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68−7.62 (m, 2H), 7.54 (dt, J = 4.0, 2.3 Hz, 2H), 7.46−7.33 (m, 6H), 6.90 (s, 1H), 3.45 (s, 3H), 2.23 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.9, 136.7, 132.0, 129.3, 129.0, 128.6, 128.4, 126.8, 121.7, 87.8, 84.1, 51.6, 43.0, 25.7; HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_3SNa$ $(M + Na)^+$ 350.0827, found 350.0836.

*N-(3-(4-Fluorophenyl)-1-phenylprop-2-ynyl)-N-(methylsulfonyl)-acetamide (**37a**).* White solid, 397 mg, 23% yield, mp 91−92 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.65−7.60 (m, 2H), 7.54−7.49 (m, 2H), 7.45−7.39 (m, 2H), 7.37−7.31 (m, 1H), 7.08−7.03 (m, 2H), 6.88 (s, 1H), 3.42 (s, 3H), 2.23 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.6, 163.0 (d, J = 250.9 Hz), 136.6, 134.0 (d, J = 8.5 Hz), 128.9, 128.4, 126.7, 117.8 (d, J = 3.4 Hz), 115.9 (d, J = 22.2 Hz), 86.6, 84.0, 51.4, 43.0, 25.6; ^{19}F NMR (377 MHz, $CDCl_3$) δ −109.7; HRMS (ESI-TOF) m/z calcd for $C_{18}H_{16}FNO_3SNa$ $(M + Na)^+$ 368.0733, found 368.0729.

General Procedure for the Preparation of Oxazoles b. A 10 mL vial equipped with a magnetic stirrer was charged with propargylic amide **a** (0.1 mmol), DBU (1.5 mg, 10 mol %), and CH_3CN (1 mL). The mixture was stirred at rt for 7 h under air. The crude mixture was concentrated and purified by flash column chromatography to give the desired product **b**.

*2,4-Diphenyl-5-(phenyl(tosyl)methyl)oxazole (**1b**).* White solid, 46 mg, 98% yield, mp 156−157 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.12 (ddd, J = 5.6, 3.0, 1.5 Hz, 2H), 7.70−7.62 (m, 2H), 7.55−7.49 (m, 3H), 7.49−7.35 (m, 10H), 7.13 (d, J = 8.0 Hz, 2H), 5.70 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.9, 145.2, 142.4, 138.5, 134.5, 131.0, 130.9, 130.8, 130.4, 129.5, 129.5, 129.3, 129.0, 129.0, 128.8, 128.8, 127.9, 127.1, 126.9, 68.7, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{23}NO_3SNa$ $(M + Na)^+$ 488.1296, found 488.1288.

*4-Phenyl-5-(phenyl(tosyl)methyl)-2-o-tolyloxazole (**2b**).* White solid, 46 mg, 96% yield, mp 143−145 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.09−8.03 (m, 1H), 7.74−7.66 (m, 2H), 7.51−7.46 (m, 2H), 7.44 (d, J = 8.3 Hz, 2H), 7.43−7.32 (m, 9H), 7.12 (d, J = 8.0 Hz,

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2H), 5.72 (s, 1H), 2.82 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.4, 145.2, 142.0, 138.0, 138.0, 134.6, 131.9, 131.1, 130.8, 130.6, 130.4, 129.6, 129.5, 129.4, 129.1, 129.0, 128.8, 128.7, 127.8, 126.2, 126.1, 68.6, 22.3, 21.7; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ $(M + Na)^+$ 502.1453, found 502.1435.

4-Phenyl-5-(phenyl(tosyl)methyl)-2-m-tolyloxazole (**3b**). White solid, 47 mg, 98% yield, mp 56−57 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.97−7.88 (m, 2H), 7.71−7.60 (m, 2H), 7.49−7.36 (m, 11H), 7.33 (d, J = 7.6 Hz, 1H), 7.14 (d, J = 7.9 Hz, 2H), 5.71 (s, 1H), 2.47 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.1, 145.2, 142.3, 138.8, 138.4, 134.5, 131.9, 130.9, 130.8, 130.4, 129.5, 129.5, 129.3, 129.0, 128.9, 128.8, 128.8, 127.9, 127.4, 127.0, 124.1, 68.7, 21.8, 21.5; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ $(M + Na)^+$ 502.1453, found 502.1472.

4-Phenyl-5-(phenyl(tosyl)methyl)-2-p-tolyloxazole (**4b**). White solid, 46 mg, 97% yield, mp 149−151 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, J = 8.0 Hz, 2H), 7.72−7.63 (m, 2H), 7.48−7.37 (m, 10H), 7.32 (d, J = 8.0 Hz, 2H), 7.13 (d, J = 8.1 Hz, 2H), 5.69 (s, 1H), 2.45 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.1, 145.1, 142.3, 141.4, 138.1, 134.5, 131.0, 130.8, 130.5, 129.7, 129.5, 129.5, 129.3, 129.0, 128.8, 128.7, 127.9, 126.9, 124.4, 68.7, 21.8, 21.7; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ $(M + Na)^+$ 502.1453, found 502.1472.

2-(3-Chlorophenyl)-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**5b**). White solid, 46 mg, 93% yield, mp 156−158 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.04−8.00 (m, 2H), 7.65−7.57 (m, 2H), 7.52−7.38 (m, 12H), 7.20−7.11 (m, 2H), 5.72 (s, 1H), 2.41 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.5, 145.4, 142.6, 139.1, 135.2, 134.3, 131.0, 130.7, 130.4, 130.4, 129.6, 129.4, 129.0, 129.0, 128.9, 128.7, 127.9, 126.7, 125.0, 68.7, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}ClNO_3SNa$ $(M + Na)^+$ 522.0907, found 522.0892.

2-(4-Chlorophenyl)-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**6b**). White solid, 48 mg, 96% yield, mp 148−150 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.08−8.03 (m, 2H), 7.52−7.45 (m, 4H), 7.45−7.36 (m, 8H), 7.13 (d, J = 7.9 Hz, 2H), 5.69 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.9, 145.3, 142.5, 138.7, 137.2, 134.5, 130.7, 130.7, 130.4, 129.6, 129.4, 129.2, 129.0, 128.9, 128.9, 128.1, 127.9, 125.6, 68.6, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}ClNO_3SNa$ $(M + Na)^+$ 522.0907, found 522.0893.

2-(3-Fluorophenyl)-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**7b**). White solid, 47 mg, 97% yield, mp 151−153 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95−7.89 (m, 1H), 7.76 (ddd, J = 9.4, 2.4, 1.6 Hz, 1H), 7.66−7.60 (m, 2H), 7.53−7.46 (m, 3H), 7.46−7.36 (m, 8H), 7.21 (tdd, J = 8.4, 2.6, 0.7 Hz, 1H), 7.15 (d, J = 8.0 Hz, 2H), 5.70 (s, 1H), 2.40 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 164.1, 161.4 (d, J = 185.5 Hz), 145.4, 142.6, 138.9, 134.4, 130.8 (d, J = 8.1 Hz), 130.7, 130.4, 129.6, 129.4, 129.0, 129.0, 128.9, 128.9, 127.9, 122.6 (d, J = 2.9 Hz), 118.0 (d, J = 21.3 Hz), 113.8 (d, J = 23.9 Hz), 68.7, 21.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ −112.4; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}FNO_3SNa$ $(M + Na)^+$ 506.1202, found 506.1205.

2-(4-Fluorophenyl)-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**8b**). White solid, 46 mg, 95% yield, mp 176−177 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.17−8.06 (m, 2H), 7.67−7.59 (m, 2H), 7.49−7.32 (m, 10H), 7.23−7.16 (m, 2H), 7.12 (d, J = 8.0 Hz, 2H), 5.67 (s, 1H), 2.37 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 164.6 (d, J = 252.1 Hz), 161.1, 145.2, 142.4, 138.5, 134.5, 134.5, 130.8 (d, J = 8.1 Hz), 130.7, 130.4, 129.6, 129.5, 129.2, 129.1, 129.0, 128.9, 123.5 (d, J = 3.0 Hz), 116.3 (d, J = 22.2 Hz), 68.6, 21.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ −105.2; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}FNO_3SNa$ $(M + Na)^+$ 506.1202, found 506.1217.

4-Phenyl-5-(phenyl(tosyl)methyl)-2-(3-(trifluoromethyl)phenyl)-oxazole (**9b**). White solid, 50 mg, 94% yield, mp 152−153 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.33 (d, J = 7.8 Hz, 1H), 8.29 (s, 1H), 7.77 (d, J = 7.8 Hz, 1H), 7.66 (t, J = 7.8 Hz, 1H), 7.61 (dd, J = 7.6, 1.8 Hz, 2H), 7.56−7.49 (m, 2H), 7.48−7.35 (m, 8H), 7.16 (d, J = 8.0 Hz, 2H), 5.73 (s, 1H), 2.40 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.4, 145.5, 142.7, 139.3, 134.2, 131.7 (q, J = 32.9 Hz), 130.6, 130.6, 130.5, 130.0, 129.7, 129.6, 129.5, 129.5, 129.0, 129.0, 127.9, 127.9, 127.5 (q, J = 3.6 Hz), 123.9 (q, J = 272.6 Hz), 123.6 (q, J = 3.5 Hz), 68.8, 21.7; ^{19}F NMR (377 MHz, $CDCl_3$) δ −63.3; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{22}F_3NO_3SNa$ $(M + Na)^+$ 556.1170, found 556.1180.

4-Phenyl-5-(phenyl(tosyl)methyl)-2-(4-(trifluoromethyl)phenyl)-oxazole (**10b**). White solid, 53 mg, 99% yield, mp 189−191 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.24 (d, J = 8.2 Hz, 2H), 7.78 (d, J = 8.2 Hz, 2H), 7.69−7.61 (m, 2H), 7.49 (d, J = 6.6 Hz, 2H), 7.42 (dt, J = 11.5, 5.8 Hz, 8H), 7.14 (d, J = 8.0 Hz, 2H), 5.70 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.5, 145.4, 142.8, 139.3, 134.5, 132.6 (q, J = 32.8 Hz), 130.7, 130.6, 130.3, 130.2, 129.6, 129.6, 129.2, 129.1, 129.0, 128.9, 127.9, 127.1, 126.1 (q, J = 3.7 Hz), 124.0 (q, J = 272.4 Hz), 68.6, 21.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ −63.5; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{22}F_3NO_3SNa$ $(M + Na)^+$ 556.1170, found 556.1178.

5-((4-Fluorophenyl)(tosyl)methyl)-2,4-diphenyloxazole (**11b**). White solid, 43 mg, 90% yield, mp 70−72 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.15−8.04 (m, 2H), 7.68−7.60 (m, 2H), 7.54−7.49 (m, 3H), 7.47−7.34 (m, 7H), 7.13 (d, J = 8.1 Hz, 2H), 7.09 (dd, J = 11.9, 5.3 Hz, 2H), 5.66 (s, 1H), 2.38 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 163.5 (d, J = 249.7 Hz), 161.9, 145.4, 142.5, 138.2, 134.3, 132.6 (d, J = 8.4 Hz), 131.1, 130.8, 129.7, 129.2, 129.1, 128.9, 127.8, 127.0, 126.9, 126.3 (d, J = 3.0 Hz), 116.1 (d, J = 21.7 Hz), 67.8, 21.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ −112.0; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}FNO_3SNa$ $(M + Na)^+$ 506.1202, found 506.1194.

2,4-Diphenyl-5-(p-tolyl(tosyl)methyl)oxazole (**12b**). White solid, 40 mg, 83% yield, mp 59−60 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.11 (ddd, J = 5.5, 3.0, 1.5 Hz, 2H), 7.56 (d, J = 8.2 Hz, 2H), 7.51 (tt, J = 4.1, 1.8 Hz, 3H), 7.48−7.43 (m, 4H), 7.43−7.36 (m, 3H), 7.22 (d, J = 7.9 Hz, 2H), 7.13 (d, J = 7.9 Hz, 2H), 5.67 (s, 1H), 2.38 (d, J = 4.0 Hz, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.8, 145.1, 142.2, 139.6, 138.7, 134.6, 131.0, 131.0, 130.6, 129.7, 129.5, 129.3, 129.0, 128.8, 128.7, 127.9, 127.3, 127.1, 126.9, 68.4, 21.8, 21.4; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ $(M + Na)^+$ 502.1453, found 502.1462.

4-(2-Chlorophenyl)-2-phenyl-5-(phenyl(tosyl)methyl)oxazole (**13b**). Yellow gum, 48 mg, 96% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.11 (ddd, J = 5.1, 2.4, 1.5 Hz, 2H), 7.75−7.66 (m, 2H), 7.56−7.47 (m, 3H), 7.45−7.35 (m, 6H), 7.32 (td, J = 7.7, 1.7 Hz, 1H), 7.23 (dt, J = 7.5, 1.4 Hz, 1H), 7.15−7.07 (m, 3H), 5.45 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.0, 145.0, 140.0, 139.6, 134.5, 133.2, 132.0, 131.0, 130.9, 130.2, 129.9, 129.6, 129.5, 129.3, 129.0, 128.9, 128.7, 126.8, 126.8, 126.7, 68.7, 21.6; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}ClNO_3SNa$ $(M + Na)^+$ 522.0907, found 522.0890.

4-(2-Fluorophenyl)-2-phenyl-5-(phenyl(tosyl)methyl)oxazole (**14b**). White solid, 46 mg, 96% yield, mp 72−73 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.17−8.08 (m, 2H), 7.78 (dd, J = 6.7, 2.9 Hz, 2H), 7.57−7.48 (m, 3H), 7.47−7.31 (m, 7H), 7.16 (dt, J = 8.7, 4.3 Hz, 1H), 7.12−7.04 (m, 3H), 5.67 (d, J = 1.5 Hz, 1H), 2.35 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.4, 159.2 (d, J = 248.0 Hz), 145.0, 140.2, 136.4, 134.5, 131.4 (d, J = 2.7 Hz), 131.1, 130.9, 130.6 (d, J = 8.3 Hz), 129.9, 129.5, 129.5, 129.0, 128.9, 126.9, 126.9, 124.4 (d, J = 3.2 Hz), 118.6 (d, J = 14.1 Hz), 115.9 (d, J = 22.2 Hz), 110.1, 68.7 (d, J = 7.1 Hz), 21.7; ^{19}F NMR (377 MHz, $CDCl_3$) δ −113.5; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{22}FNO_3SNa$ $(M + Na)^+$ 506.1202, found 506.1208.

2-Phenyl-5-(phenyl(tosyl)methyl)-4-o-tolyloxazole (**15b**). White solid, 46 mg, 97% yield, mp 66−68 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.19−8.08 (m, 2H), 7.67−7.57 (m, 2H), 7.56−7.48 (m, 3H), 7.47−7.43 (m, 2H), 7.43−7.35 (m, 3H), 7.31 (td, J = 7.5, 1.3 Hz, 1H), 7.24 (d, J = 7.6 Hz, 1H), 7.18 (dd, J = 12.2, 4.3 Hz, 3H), 6.97 (dd, J = 7.5, 1.2 Hz, 1H), 5.38 (s, 1H), 2.41 (s, 3H), 2.10 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.6, 145.1, 142.6, 139.5, 138.2, 134.9, 131.0, 130.8, 130.7, 130.4, 130.0, 129.7, 129.6, 129.4, 129.3, 129.3, 129.0, 129.0, 127.2, 126.8, 125.9, 68.1, 21.8, 20.0; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_3SNa$ $(M + Na)^+$ 502.1453, found 502.1448.

2-Methyl-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**18b**). White solid, 36 mg, 89% yield, mp 168−169 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.57 (dd, J = 7.9, 1.6 Hz, 2H), 7.41−7.29 (m, 10H), 7.11 (d, J = 7.9 Hz, 2H), 5.57 (s, 1H), 2.56 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.1, 145.1, 141.1, 138.0, 134.5, 130.9, 130.7, 130.4, 129.5, 129.4, 129.1, 128.9, 128.8, 128.6, 127.7, 68.4, 21.7, 14.3;

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HRMS (ESI-TOF) m/z calcd for $C_{24}H_{21}NO_3SNa$ $(M + Na)^+$ 426.1140, found 426.1136.

5-(Cyclohexyl(tosyl)methyl)-2-methyl-4-phenyloxazole (**19b**). White solid, 21 mg, 51% yield, mp 180−181 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.34 (d, J = 8.2 Hz, 2H), 7.28−7.21 (m, 3H), 7.09−7.00 (m, 4H), 4.40 (d, J = 7.5 Hz, 1H), 2.70−2.60 (m, 1H), 2.51 (s, 3H), 2.33 (s, 3H), 2.27 (d, J = 12.8 Hz, 1H), 1.88 (d, J = 12.7 Hz, 1H), 1.75 (ddd, J = 29.9, 20.8, 8.2 Hz, 3H), 1.43−1.15 (m, 5H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.7, 144.6, 141.7, 138.7, 135.9, 130.7, 129.5, 128.4, 128.3, 128.0, 127.3, 68.3, 37.5, 32.4, 31.0, 26.3, 26.2, 26.1, 21.7, 14.2; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{27}NO_3SNa$ $(M + Na)^+$ 432.1609, found 432.1599.

2-Methyl-4-phenyl-5-(p-tolyl(tosyl)methyl)oxazole (**20b**). White solid, 38 mg, 91% yield, mp 56−57 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.48 (d, J = 8.2 Hz, 2H), 7.43−7.39 (m, 2H), 7.38−7.31 (m, 5H), 7.18 (d, J = 7.9 Hz, 2H), 7.12 (d, J = 7.9 Hz, 2H), 5.56 (s, 1H), 2.57 (s, 3H), 2.37 (d, J = 5.2 Hz, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.0, 145.0, 140.9, 139.5, 138.3, 134.6, 131.0, 130.6, 129.6, 129.5, 129.0, 128.7, 128.5, 127.6, 127.3, 68.1, 21.7, 21.4, 14.3; HRMS (ESI-TOF) m/z calcd for $C_{25}H_{23}NO_3SNa$ $(M + Na)^+$ 440.1296, found 440.1292.

2-Methyl-4-phenyl-5-(o-tolyl(tosyl)methyl)oxazole (**21b**). White solid, 38 mg, 91% yield, mp 139−141 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.09 (dd, J = 7.6, 1.6 Hz, 1H), 7.47−7.41 (m, 2H), 7.39−7.32 (m, 5H), 7.32−7.24 (m, 2H), 7.13 (t, J = 7.8 Hz, 3H), 5.96 (s, 1H), 2.58 (s, 3H), 2.38 (s, 3H), 2.10 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.2, 145.1, 141.1, 138.3, 137.6, 135.0, 131.0, 130.8, 130.8, 129.6, 129.3, 129.0, 128.7, 128.6, 127.6, 126.7, 63.2, 21.8, 19.8, 14.4; HRMS (ESI-TOF) m/z calcd for $C_{25}H_{23}NO_3SNa$ $(M + Na)^+$ 440.1296, found 440.1302.

2-Methyl-5-(phenyl(tosyl)methyl)-4-o-tolyloxazole (**22b**). White solid, 38 mg, 90% yield, mp 145−147 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.58−7.51 (m, 2H), 7.42−7.32 (m, 5H), 7.29−7.23 (m, 1H), 7.19 (d, J = 7.6 Hz, 1H), 7.14 (dd, J = 13.9, 7.7 Hz, 3H), 6.89 (dd, J = 7.5, 1.1 Hz, 1H), 5.26 (s, 1H), 2.58 (s, 3H), 2.39 (s, 3H), 2.04 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.7, 145.0, 141.3, 139.1, 138.0, 134.9, 130.7, 130.6, 130.4, 129.9, 129.8, 129.5, 129.4, 129.1, 129.0, 128.9, 125.7, 67.8, 21.7, 20.0, 14.4; HRMS (ESI-TOF) m/z calcd for $C_{25}H_{23}NO_3SNa$ $(M + Na)^+$ 440.1296, found 440.1288.

4-(2-Chlorophenyl)-2-methyl-5-(phenyl(tosyl)methyl)oxazole (**23b**). White solid, 40 mg, 92% yield, mp 139−140 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.67−7.62 (m, 2H), 7.41−7.34 (m, 6H), 7.29 (td, J = 7.7, 1.7 Hz, 1H), 7.20 (td, J = 7.5, 1.3 Hz, 1H), 7.11 (d, J = 7.9 Hz, 2H), 7.03 (dd, J = 7.6, 1.6 Hz, 1H), 5.37 (s, 1H), 2.59 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.2, 145.0, 139.8, 138.4, 134.7, 133.1, 131.9, 131.1, 130.1, 129.9, 129.7, 129.6, 129.5, 129.4, 128.9, 128.8, 126.7, 68.50, 21.7, 14.4; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{20}ClNO_3SNa$ $(M + Na)^+$ 460.0750, found 460.0750.

4-(2-Fluorophenyl)-2-methyl-5-(phenyl(tosyl)methyl)oxazole (**24b**). White solid, 39 mg, 93% yield, mp 108−109 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.69 (dd, J = 6.4, 3.1 Hz, 2H), 7.43−7.39 (m, 3H), 7.39−7.35 (m, 2H), 7.33−7.24 (m, 2H), 7.11 (td, J = 7.6, 1.1 Hz, 1H), 7.08−7.01 (m, 3H), 5.57 (d, J = 1.7 Hz, 1H), 2.58 (s, 3H), 2.34 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.5, 159.1 (d, J = 247.8 Hz), 145.0, 139.8, 135.1, 134.5, 131.1 (d, J = 2.9 Hz), 130.9, 130.3 (d, J = 8.4 Hz), 129.9, 129.5, 129.4, 128.9, 128.7, 124.3 (d, J = 3.4 Hz), 118.6 (d, J = 14.1 Hz), 115.9 (d, J = 22.3 Hz), 68.3 (d, J = 7.1 Hz), 21.7, 14.3; ^{19}F NMR (377 MHz, $CDCl_3$) δ −113.7; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{20}FNO_3SNa$ $(M + Na)^+$ 444.1046, found 444.1047.

4-(Furan-2-yl)-2-methyl-5-(phenyl(tosyl)methyl)oxazole (**26b**). Yellow gum, 35 mg, 89% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.71−7.64 (m, 2H), 7.51−7.46 (m, 2H), 7.42−7.35 (m, 3H), 7.33 (dd, J = 1.8, 0.8 Hz, 1H), 7.12 (d, J = 7.9 Hz, 2H), 6.56 (dd, J = 3.4, 0.7 Hz, 1H), 6.38 (dd, J = 3.4, 1.8 Hz, 1H), 6.07 (s, 1H), 2.54 (s, 3H), 2.32 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.6, 146.8, 145.0, 142.4, 137.3, 134.6, 132.3, 131.0, 130.0, 129.4, 129.3, 129.0, 128.8, 111.4, 108.6, 68.4, 21.7, 14.3; HRMS (ESI-TOF) m/z calcd for $C_{22}H_{19}NO_4SNa$ $(M + Na)^+$ 416.0932, found 416.0936.

2-Cyclopropyl-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**27b**). Colorless gum, 37 mg, 86% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.55 (dd, J = 7.8, 1.7 Hz, 2H), 7.42−7.29 (m, 10H), 7.13 (d, J = 8.0 Hz, 2H), 5.56 (s, 1H), 2.38 (s, 3H), 2.17 (tt, J = 8.2, 5.2 Hz, 1H), 1.23−1.08 (m, 4H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.7, 145.1, 141.1, 137.0, 134.5, 131.0, 130.7, 130.5, 129.5, 129.4, 129.1, 128.9, 128.7, 128.5, 127.7, 68.5, 21.8, 9.2, 8.9, 8.8; HRMS (ESI-TOF) m/z calcd for $C_{26}H_{23}NO_3SNa$ $(M + Na)^+$ 452.1296, found 452.1308.

2-Cyclobutyl-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**28b**). Colorless gum, 41 mg, 93% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.59 (dd, J = 7.6, 1.8 Hz, 2H), 7.42−7.31 (m, 10H), 7.12 (d, J = 8.1 Hz, 2H), 5.61 (s, 1H), 3.80−3.66 (m, 1H), 2.58−2.40 (m, 4H), 2.38 (s, 3H), 2.18−2.09 (m, 1H), 2.08−2.00 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.7, 145.1, 141.0, 137.7, 134.6, 131.1, 130.8, 130.5, 129.5, 129.4, 129.1, 128.9, 128.7, 128.5, 127.8, 68.6, 33.4, 27.6, 27.5, 21.8, 18.9; HRMS (ESI-TOF) m/z calcd for $C_{27}H_{25}NO_3SNa$ $(M + Na)^+$ 466.1453, found 466.1449.

2-(Benzyloxy)-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**29b**). Yellow gum, 47 mg, 95% yield; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 (dd, J = 8.1, 7.1 Hz, 4H), 7.48−7.29 (m, 13H), 7.07 (d, J = 8.0 Hz, 2H), 5.55−5.42 (m, 3H), 2.36 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.7, 145.0, 140.6, 134.8, 134.4, 132.9, 131.0, 130.7, 130.4, 129.5, 129.4, 129.1, 129.1, 128.8, 128.8, 128.8, 128.8, 128.7, 127.7, 73.4, 68.7, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{30}H_{25}NO_4SNa$ $(M + Na)^+$ 518.1402, found 518.1412.

2,4-Diphenyl-5-(phenyl(phenylsulfonyl)methyl)oxazole (**30b**). White solid, 45 mg, 99% yield, mp 140−141 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.16−8.08 (m, 2H), 7.65 (dd, J = 7.6, 1.9 Hz, 2H), 7.55 (ddd, J = 23.2, 6.9, 2.4 Hz, 6H), 7.48 (dd, J = 8.0, 1.6 Hz, 2H), 7.39 (dtd, J = 15.8, 8.0, 6.9 Hz, 8H), 5.72 (s, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.9, 142.6, 138.2, 137.5, 134.1, 131.1, 130.9, 130.7, 130.3, 129.6, 129.3, 129.0, 129.0, 128.9, 128.9, 128.9, 127.9, 127.1, 126.9, 68.7; HRMS (ESI-TOF) m/z calcd for $C_{28}H_{21}NO_3SNa$ $(M + Na)^+$ 474.1140, found 474.1142.

5-((4-Fluorophenyl)(phenylsulfonyl)methyl)-2,4-diphenyloxazole (**31b**). White solid, 46 mg, 97% yield, mp 159−160 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.12 (dd, J = 6.3, 2.3 Hz, 2H), 7.70−7.61 (m, 2H), 7.58 (d, J = 8.0 Hz, 3H), 7.55−7.49 (m, 3H), 7.48−7.32 (m, 7H), 7.09 (t, J = 8.5 Hz, 2H), 5.70 (s, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 163.6 (d, J = 249.9 Hz), 162.0, 142.7, 138.0, 137.3, 134.2, 132.6 (d, J = 8.5 Hz), 131.2, 130.7, 129.2, 129.1, 129.0, 129.0, 127.9, 126.9, 126.9, 126.1 (d, J = 3.2 Hz), 116.1 (d, J = 21.8 Hz), 116.1 (d, J = 21.8 Hz), 67.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ −111.8; HRMS (ESI-TOF) m/z calcd for $C_{28}H_{20}FNO_3SNa$ $(M + Na)^+$ 492.1046, found 492.1042.

2,4-Diphenyl-5-(phenylsulfonyl(p-tolyl)methyl)oxazole (**32b**). White solid, 38 mg, 82% yield, mp 149−150 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.12 (dd, J = 6.6, 2.9 Hz, 2H), 7.61−7.49 (m, 8H), 7.46 (d, J = 7.4 Hz, 2H), 7.43−7.32 (m, 5H), 7.21 (d, J = 7.9 Hz, 2H), 5.69 (s, 1H), 2.37 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.8, 142.4, 139.8, 138.5, 137.6, 134.0, 131.0, 130.9, 130.6, 129.7, 129.3, 129.0, 128.9, 128.8, 127.9, 127.1, 127.1, 126.9, 68.4, 21.4; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{23}NO_3SNa$ $(M + Na)^+$ 488.1296, found 488.1291.

5-(Methylsulfonyl(phenyl)methyl)-2,4-diphenyloxazole (**33b**). White solid, 36 mg, 93% yield, mp 167−169 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20−8.13 (m, 2H), 7.77 (dd, J = 7.8, 1.7 Hz, 2H), 7.73−7.67 (m, 2H), 7.55−7.40 (m, 9H), 5.68 (s, 1H), 2.85 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.2, 142.6, 138.0, 131.2, 130.9, 130.8, 130.2, 129.9, 129.5, 129.2, 129.1, 129.1, 128.1, 127.0, 126.9, 67.5, 39.2; HRMS (ESI-TOF) m/z calcd for $C_{23}H_{19}NO_3SNa$ $(M + Na)^+$ 412.0983, found 412.0994.

5-(Methylsulfonyl(p-tolyl)methyl)-2,4-diphenyloxazole (**34b**). White solid, 33 mg, 83% yield, mp 166−167 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.21−8.09 (m, 2H), 7.73−7.68 (m, 2H), 7.65 (d, J = 8.1 Hz, 2H), 7.47 (ddd, J = 28.8, 10.0, 5.2 Hz, 6H), 7.28 (d, J = 7.9 Hz, 2H), 5.65 (s, 1H), 2.84 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.1, 142.3, 140.0, 138.2, 131.1, 130.9, 130.2, 130.0, 129.2, 129.1, 128.0, 127.7, 127.1, 126.9, 67.2, 39.1, 21.4; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{21}NO_3SNa$ $(M + Na)^+$ 426.1140, found 426.1144.

5-((4-Fluorophenyl)(methylsulfonyl)methyl)-2,4-diphenyloxazole (**35b**). White solid, 34 mg, 83% yield, mp 191−193 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.20−8.11 (m, 2H), 7.81−7.74 (m, 2H), 7.72−7.66

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(m, 2H), 7.56−7.47 (m, 5H), 7.45 (d, J = 7.3 Hz, 1H), 7.18 (t, J = 8.6 Hz, 2H), 5.67 (s, 1H), 2.84 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 163.7 (d, J = 250.5 Hz), 162.3, 142.6, 137.8, 132.2 (d, J = 8.5 Hz), 131.3, 130.8, 129.3, 129.2, 129.1, 128.0, 126.9, 126.4 (d, J = 3.2 Hz), 116.6 (d, J = 21.8 Hz), 66.5, 39.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ −110.9; HRMS (ESI-TOF) m/z calcd for $C_{23}H_{18}FNO_3SNa$ (M + Na)$^+$ 430.0889, found 430.0879.

*2-Methyl-5-(methylsulfonyl(phenyl)methyl)-4-phenyloxazole (**36b**).* White solid, 26 mg, 81% yield, mp 168−169 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.74−7.67 (m, 2H), 7.63−7.57 (m, 2H), 7.50−7.43 (m, 5H), 7.40 (ddd, J = 7.4, 3.7, 1.3 Hz, 1H), 5.59 (s, 1H), 2.79 (s, 3H), 2.61 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.3, 141.3, 137.7, 130.9, 130.9, 130.1, 129.8, 129.4, 129.1, 129.0, 127.9, 67.3, 39.1, 14.4; HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_3SNa$ (M + Na)$^+$ 350.0827, found 350.0837.

*5-((4-Fluorophenyl)(methylsulfonyl)methyl)-2-methyl-4-phenyloxazole (**37b**).* White solid, 30 mg, 87% yield, mp 177−178 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.74−7.65 (m, 2H), 7.62−7.56 (m, 2H), 7.48−7.43 (m, 2H), 7.43−7.37 (m, 1H), 7.15 (t, J = 8.6 Hz, 2H), 5.58 (s, 1H), 2.78 (s, 3H), 2.61 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 163.6 (d, J = 250.4 Hz), 162.4, 141.3, 137.5, 132.1 (d, J = 8.4 Hz), 130.8, 129.2, 129.1, 127.8, 126.6 (d, J = 3.4 Hz), 116.5 (d, J = 21.8 Hz), 66.4, 39.1, 14.4; ^{19}F NMR (471 MHz, $CDCl_3$) δ −111.0; HRMS (ESI-TOF) m/z calcd for $C_{18}H_{16}FNO_3SNa$ (M + Na)$^+$ 368.0733, found 368.0740.

General Procedure for the Synthesis of Allenylamides c from a. A 10 mL vial equipped with a magnetic stirrer was charged with *N*-sulfonyl propargylamide **a** (0.1 mmol), DABCO (1 mg, 10 mol %), and DCM (2 mL). The mixture was stirred at rt for 30 min under air. The crude mixture was then concentrated and purified by flash column chromatography to give the desired product **c**. (**1c**, **18c**, **19c**, and **36c** were all prepared by this procedure.)

*N-(1,3-Diphenylpropa-1,2-dienyl)-N-tosylbenzamide (**1c**).* White solid, 45 mg, 98% yield, mp 189−190 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.05−7.98 (m, 2H), 7.61−7.56 (m, 2H), 7.47−7.43 (m, 2H), 7.43−7.36 (m, 3H), 7.32 (d, J = 7.3 Hz, 1H), 7.25−7.16 (m, 7H), 6.93 (d, J = 7.2 Hz, 2H), 6.56 (s, 1H), 2.40 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 209.2, 170.3, 145.1, 135.8, 134.4, 134.3, 131.7, 131.3, 129.9, 129.3, 129.0, 129.0, 128.8, 128.5, 128.3, 128.2, 128.0, 126.0, 114.7, 103.3, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{29}H_{23}NO_3SNa$ (M + Na)$^+$ 488.1296, found 488.1309.

*N-(1,3-Diphenylpropa-1,2-dienyl)-N-tosylacetamide (**18c**).* White solid, 39 mg, 97% yield, mp 53−57 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.88 (d, J = 4.4 Hz, 2H), 7.68−7.39 (m, 8H), 7.35 (dd, J = 15.6, 7.8 Hz, 2H), 7.17 (s, 2H), 6.98 (s, 1H), 2.37 (s, 3H), 2.17 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 208.1, 170.1, 145.0, 136.2, 133.3, 131.3, 129.3, 129.3, 129.2, 129.1, 128.8, 128.3, 125.5, 113.6, 103.4, 24.1, 21.7; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{21}NO_3SNa$ (M + Na)$^+$ 426.1140, found 426.1132.

*N-(3-Cyclohexyl-1-phenylpropa-1,2-dienyl)-N-tosylacetamide (**19c**).* Stop the reaction after 24 h to produce colorless gum, 38 mg, 92% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.94 (d, J = 8.3 Hz, 2H), 7.43 (d, J = 7.6 Hz, 2H), 7.36 (t, J = 7.8 Hz, 2H), 7.28 (t, J = 7.5 Hz, 3H), 5.83 (d, J = 91.9 Hz, 1H), 2.43 (s, 3H), 2.35 (t, J = 16.6 Hz, 1H), 2.07 (s, 3H), 1.89−1.66 (m, 4H), 1.42−1.09 (m, 6H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 204.0, 170.5, 144.9, 136.4, 134.2, 129.4, 129.2, 129.0, 128.1, 125.1, 110.7, 106.5, 38.6, 33.2, 33.1, 25.9, 24.1, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{24}H_{27}NO_3SNa$ (M + Na)$^+$ 432.1604, found 431.9787.

*N-(1,3-Diphenylpropa-1,2-dienyl)-N-(methylsulfonyl)acetamide (**36c**).* White solid, 31 mg, 96% yield, mp 57−59 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (dd, J = 15.3, 7.9 Hz, 4H), 7.42−7.35 (m, 4H), 7.35−7.28 (m, 2H), 6.98 (s, 1H), 3.34 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 207.4, 171.5, 132.9, 131.0, 129.3, 129.2, 129.1, 128.8, 128.2, 125.3, 113.0, 103.5, 41.9, 24.0; HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_3SNa$ (M + Na)$^+$ 350.0827, found 350.0837.

*N-(1,3-Diphenylpropa-1,2-dienyl)-2-methoxy-N-tosylacetamide (**38c**).* To a solution of *N*-tosylaldimines (1.3 g, 5 mmol) and phenylacetylene (562 mg, 5.5 mmol) in 20 mL of dry CH_2Cl_2, was added dropwise LHMDS in THF (1 M, 5 mL, 5 mmol) at −78 °C under Ar. The resulting mixture was allowed to stand while its temperature increased from −78 to −40 °C for about 1 h until the *N*-tosylaldimines had disappeared. 2-Methoxyacetyl chloride was added in one portion below −40 °C and allowed to stand for 5 min. The mixture was immediately warmed to rt and allowed to stand for 30 min, followed by quenching with water. The separated organic layer was washed with brine and dried over $MgSO_4$. After removal of the solvent, the residue was purified by flash column chromatography to give the desired product **38c**. Colorless crystals, 1.4 g, 65% yield, mp 175−176 °C; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.88 (d, J = 8.1 Hz, 2H), 7.52 (d, J = 7.4 Hz, 4H), 7.45−7.31 (m, 6H), 7.16 (d, J = 6.9 Hz, 2H), 6.95 (s, 1H), 4.20 (d, J = 16.3 Hz, 1H), 4.04 (s, 1H), 3.33 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 208.1, 169.0, 145.3, 135.8, 132.9, 131.1, 129.5, 129.4, 129.3, 129.3, 129.3, 129.0, 128.4, 125.4, 111.4, 103.6, 71.0, 59.5, 21.7; HRMS (ESI-TOF) m/z calcd for $C_{25}H_{23}NO_4SNa$ (M + Na)$^+$ 456.1245, found 456.1243.

*2-(Methoxymethyl)-4-phenyl-5-(phenyl(tosyl)methyl)oxazole (**38b**).* A 10 mL vial equipped with a magnetic stirrer was charged with **38c** (0.1 mmol), DBU (2 mg, 10 mol %), and CH_3CN (1 mL). The mixture was stirred at ambient temperature for 4 h under air. The crude mixture was then concentrated and purified by flash column chromatography to give the desired product **38b**. Colorless gum, 42 mg, 96% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.58 (dd, J = 7.9, 1.6 Hz, 2H), 7.43−7.32 (m, 10H), 7.12 (d, J = 8.0 Hz, 2H), 5.63 (s, 1H), 4.62 (s, 2H), 3.52 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 161.3, 145.2, 141.2, 139.2, 134.4, 130.7, 130.6, 130.2, 129.5, 129.5, 129.1, 129.0, 128.8, 127.8, 68.5, 66.5, 59.2, 21.8; HRMS (ESI-TOF) m/z calcd for $C_{25}H_{24}NO_4S$ (M + H)$^+$ 434.1426, found 434.1425.

*N-(1,3-Diphenylprop-2-ynyl)benzamide (**1e**).* **1e** was prepared according to the literature procedure.[13] ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82 (dd, J = 5.2, 3.3 Hz, 2H), 7.66 (d, J = 7.2 Hz, 2H), 7.55−7.46 (m, 3H), 7.46−7.37 (m, 4H), 7.37−7.29 (m, 4H), 6.77 (d, J = 8.4 Hz, 1H), 6.50 (d, J = 8.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 166.3, 139.2, 134.0, 132.0, 131.9, 128.9, 128.7, 128.4, 128.3, 127.3, 127.3, 122.6, 87.1, 85.2, 45.8.

*5-Benzyl-2,4-diphenyloxazole (**1f**).* A 10 mL vial equipped with a magnetic stirrer was charged with **1e** (31 mg, 0.1 mmol), DBU (15 mg, 0.1 mmol), and CH_3CN (1 mL). The mixture was stirred at ambient temperature for 2 h under air. The crude mixture was then concentrated and purified by flash column chromatography to give the desired product **1f**. Colorless gum, 29 mg, 94% yield; ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.08−8.01 (m, 2H), 7.75−7.70 (m, 2H), 7.44−7.36 (m, 5H), 7.33−7.24 (m, 5H), 7.24−7.18 (m, 1H), 4.28 (s, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 160.3, 145.8, 137.4, 137.4, 132.3, 130.3, 128.9, 128.8, 128.4, 127.8, 127.7, 127.2, 126.9, 126.5, 32.1. Data are in accordance with the previously reported results.[5b]

■ ASSOCIATED CONTENT

Ⓢ Supporting Information

^{1}H and ^{13}C NMR spectra of all compounds and crystallographic data (CIF) of **1a** and **38c**. This material is available free of charge via the Internet at http://pubs.acs.org.

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Notes

The authors declare no competing financial interest.

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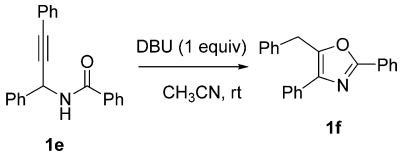

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