JOC The Journal of Organic Chemistry

Freie Universität Berlin

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J. Org. Chem., **Just Accepted Manuscript** • DOI: 10.1021/acs.joc.5b00891 • Publication Date (Web): 05 May 2015

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1155 Sixteenth Street N.W., Washington, DC 20036

Synthesis of 2-Alkoxyaryl-2-aryl Enamines *via* Tandem Copper-Catalyzed Cycloaddition and Rhodium-Catalyzed Alkoxyarylation from Alkynes, *N*-Sulfonyl Azides, and Aryl Ethers

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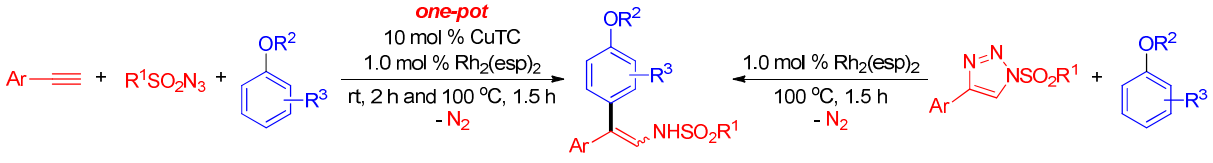


ABSTRACT A synthetic route to a wide range of 2-alkoxyaryl-2-aryl enamines is developed from Rh-catalyzed alkoxyarylation of *N*-sulfonyl-4-aryl-1,2,3-triazoles with aryl ethers *via* the elimination of nitrogen molecule. In addition, 2-alkoxyaryl-2-aryl enamines are prepared *via* tandem Cu-catalyzed cycloaddition and Rh-catalyzed alkoxyarylation starting from alkynes, *N*-sulfonyl azides, and aryl ethers in one-pot.

INTRODUCTION

N-Sulfonyl-1,2,3-triazoles obtained from Cu-catalyzed cycloaddition reaction of terminal alkynes with *N*-sulfonyl azides[1] have received considerable attention and have proven to be highly effective as precursors of α-imino rhodium carbenes.[2] Because *in situ* generated α-imino rhodium carbenes

are a kind of electrophiles, reactions of the carbenes with a wide range of nucleophiles have been examined and a variety of heterocyclic compounds have been prepared.[3] In this regard, we also have demonstrated the utility of *N*-sulfonyl-1,2,3-triazoles in the reaction with diene, 2*H*-azirine, 2-ethynylbiaryl, alkenyl ether, and oxacycloalkene.[4] Besides Rh-catalyzed intermolecular arylation using α-imino rhodium carbenes is highly attractive because transition metal-catalyzed insertion reaction of carbenes to aromatic C−H bonds is one of the useful methods in organic synthesis.[5] To date, Rh-catalyzed arylation of α-imino Rh-carbenes with boronic acids (eq 1)[6] and *N*,*N*-dialkylanilines (eq 2)[7] were reported (Scheme 1). Recently, we have described an efficient Rh-catalyzed arylation of azulene, which is non-benzenoid aromatic compound, with *N*-sulfonyl-1,2,3-triazoles (eq 3).[8] In continuing studies, we envisioned that anisole derivatives which are less nucleophilic than aniline derivatives would be reacted with α-imino rhodium carbenes, leading to the formation of 2-alkoxyaryl-2-aryl enamines. However, the fact that α-imino rhodium carbenes did not react with anisole[7] or 1,2-dimethoxybenzene[9] was recently reported by Murakami and Anbarasan group, respectively. These results stimulated us to investigate intensively the feasibility of aryl ether derivatives as nucleophile in the reaction with α-imino rhodium carbenes. In addition, because 2-alkoxyaryl-2-aryl amines were found in biologically active compounds, particularly in the mammalian hormone thyroxine (Figure 1),[10] Rh-catalyzed insertion reaction of α-imino rhodium carbenes with aromatic C−H bonds on aryl ethers would be highly desirable. Herein, we report a synthetic method to a wide range of 2-alkoxyaryl-2-aryl enamines from Rh-catalyzed insertion reaction of *N*-sulfonyl-4-aryl-1,2,3-triazoles with aryl ethers. In addition, 2-alkoxyaryl-2-aryl enamines are prepared *via* tandem Cu-catalyzed cycloaddition and Rh-catalyzed alkoxyarylation starting from alkynes, *N*-sulfonyl azides, and aryl ethers in one-pot. These results overcome the previous synthetic problems and limitation.

Scheme 1. Rh-Catalyzed Intermolecular Reactions of *N*-Sulfonyl-1,2,3-triazoles with Aromatic Compounds.

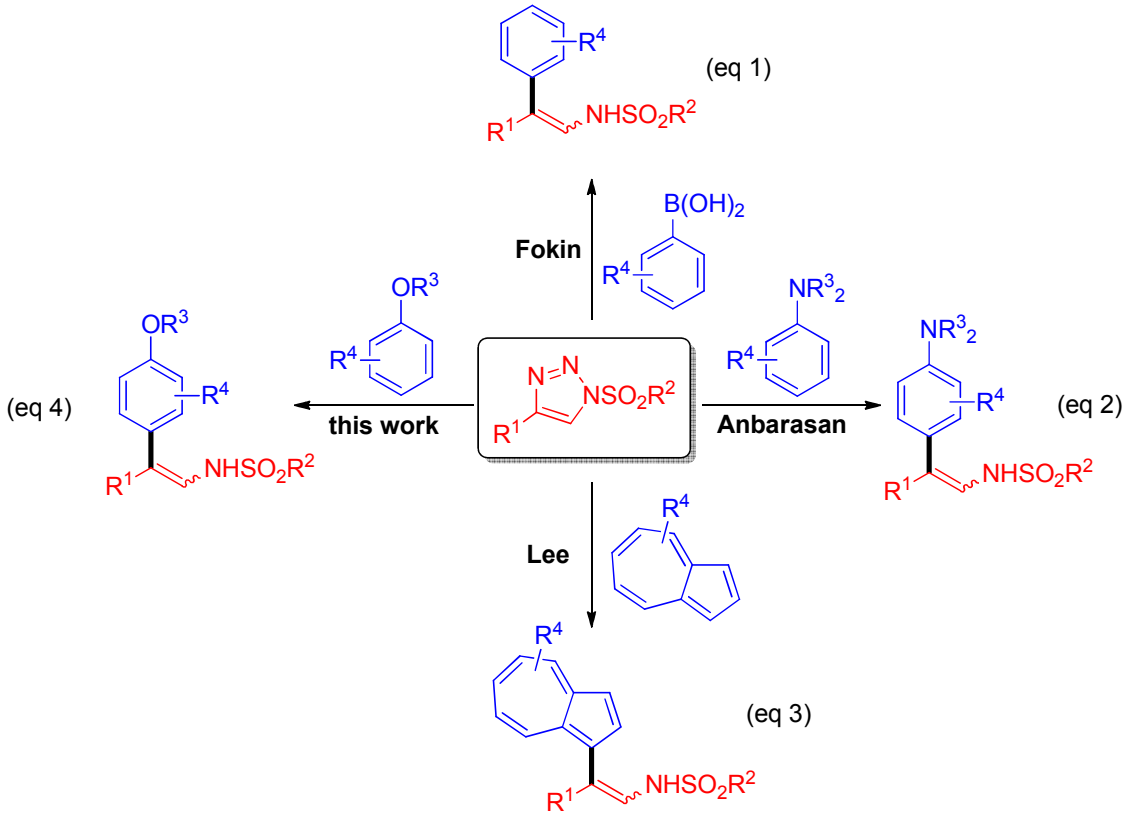
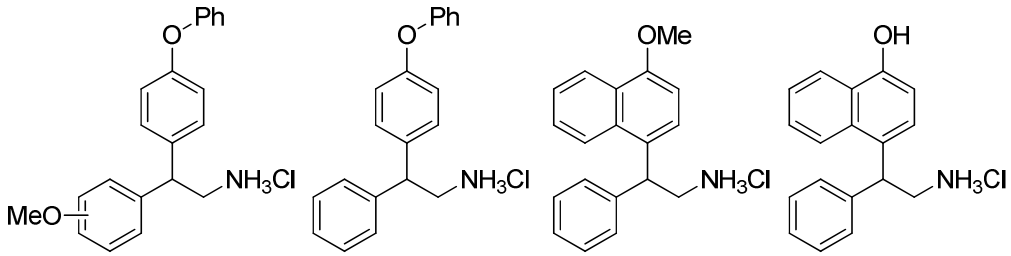


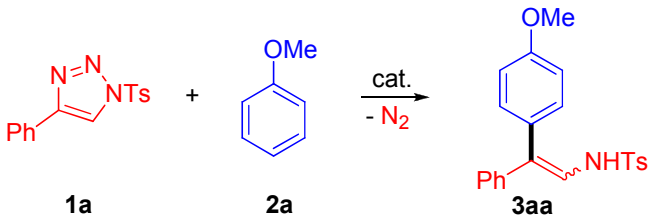
Figure 1. 2-Alkoxyaryl-2-aryl Ethyl Amine Scaffold in Biologically Active Compounds (Mammalian Hormone Thyroxine)



RESULTS AND DISCUSSION

The initial experiment was carried out with *N*-tosyl-4-phenyl-1,2,3-triazole (**1a**) and anisole (**2a**) in the presence of rhodium(II) catalyst (Table 1). When **1a** (0.2 mmol) was treated with **2a** (3 equiv) in the presence of $Rh_2(OAc)_4$ (1.0 mol %) in dichloromethane (1 mL) at 70 ^oC for 18 h, the desired 2-(4-methoxyphenyl)-2-phenyl enamine **3aa** was obtained *via* selective arylation at *para*-position albeit low yield (13%) along with the recovery of **1a** (43%) (entry 1). Although the amount of anisole was increased by up to 10 equivalents due to its low boiling point, the product yield was not largely increased (entries 2 and 3). For this reason, anisole was employed to this reaction as not only reagent but also solvent and yield of **3aa** was increased to 28% (entry 4). Next, a broad range of rhodium(II) catalysts such as $Rh_2(Oct)_4$, $Rh_2(Piv)_4$, Rh_2(*S*-DOSP)$_4$, Rh_2(*S*-PTAD)$_4$, and $Rh_2(esp)_2$ were examined to disclose that $Rh_2(esp)_2$ (1.0 mol %) was the catalyst of choice (entries 5-9). Changing the temperature to 60-120 ^oC had an effect on the yield of the product **3aa** (entries 10-13). After $Rh_2(esp)_2$ was identified as the optimal catalyst, the reactions to determine reasonable amounts of anisole were examined. Likewise, use of anisole (46 equiv) gave the superior yield to one of anisole (5 and 10 equiv) (entries 14 and 15). The optimal condition was realized from a reaction of **1a** (0.2 mmol) with **2a** (46 equiv) using $Rh_2(esp)_2$ (1.0 mol %) at 100 °C for 1.5 h, furnishing **3aa** in 82% isolated yield (entry 12). No any *ortho* arylated compound was observed. To show the practicability of this method to larger-scale processes, 1.0 and 2.0 mmol scale of triazole **1a** was treated with anisole **2a** (46 equiv) under the optimal conditions, leading to the formation of the desired product **3aa** in 77% and 70% yields, respectively (entries 16 and 17).

Table 1. Reaction Optimization[a]



1a **2a** **3aa**

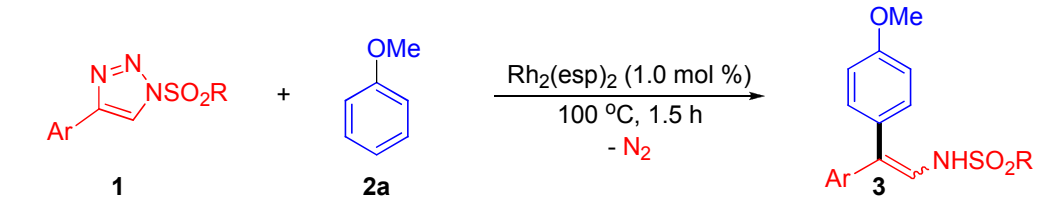
entry	cat. (1.0 mol %)	temp (°C)	time (h)	yield (%)[b]
1[c]	$Rh_2(OAc)_4$	70	18	13 (43)[f]
2[d]	$Rh_2(OAc)_4$	70	18	15 (35)[f]
3[e]	$Rh_2(OAc)_4$	70	18	16 (32)[f]
4	$Rh_2(OAc)_4$	70	18	28 (30)[f]
5	$Rh_2(Oct)_4$	70	5	41
6	$Rh_2(Piv)_4$	70	2	50
7	Rh_2(*S*-DOSP)$_4$	70	5	48
8	Rh_2(*S*-PTAD)$_4$	70	7	40
9	$Rh_2(esp)_2$	70	4.5	57
10	$Rh_2(esp)_2$	60	5	43
11	$Rh_2(esp)_2$	80	1.5	58
12	$Rh_2(esp)_2$	100	1.5	84 (82)[g]
13	$Rh_2(esp)_2$	120	1	78
14[d]	$Rh_2(esp)_2$	100	3.5	40
15[e]	$Rh_2(esp)_2$	100	3	47
16[h]	$Rh_2(esp)_2$	100	1.5	77[g]
17[i]	$Rh_2(esp)_2$	100	1.5	70[g]

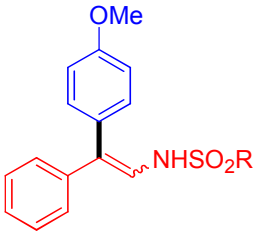
[a]Reactions were carried out with *N*-tosyl-4-phenyl-1,2,3-triazole **1a** (0.2 mmol) and anisole **2a** (46 equiv). [b]NMR yield using CH_2Br_2 as an internal standard. [c]**2a** (3 equiv) was used in DCE (1 mL). [d]**2a** (5 equiv) was used in DCE (1 mL). [e]**2a** (10 equiv) was used in DCE (1 mL). [f]Recovered yield of **1a**. [g]Isolated yield. [h]**1a** (1 mmol) and **2a** (46 equiv) was used. [i]**1a** (2 mmol) and **2a** (46 equiv) was used.

Next, we investigated the effect of the R substituent on the sulfonyl group, which did not influence the efficiency of the reaction (Scheme 2). The alkoxyarylation reaction was amenable with respect to methyl, *p*-tolyl, 4-methoxyphenyl, and 4-trifluoromethylphenyl to afford the

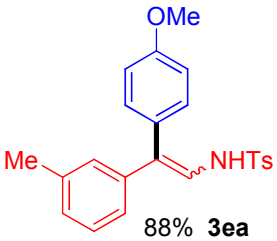
products **3aa**-**3da** in good yields ranging from 73% to 82%. The scope of this reaction is considerably widespread. Electron-donating methyl and methoxy groups on the phenyl ring were subjected to the Rh-catalyzed alkoxyarylation reactions, producing the desired 2-(4-methoxyphenyl)-2-phenyl enamines (**3ea**, **3fa**, **3ga**, **3ha**, and **3ia**) in good to excellent yields ranging from 80% to 90%. The reactions of triazole **1** with electron-withdrawing chloro and bromo groups on the phenyl ring afforded the 4-methoxyarylated products (**3ja**, **3ka**, and **3la**) in good yields. The tolerance of these halide groups is significant, as additional transformations of the functional groups are practicable. Trifluoromethyl and nitro substituted triazoles are applicable to the present transformation, providing the corresponding 2-(4-methoxyphenyl)-2-phenyl enamines (**3ma** and **3na**). When 2-naphthyl substituted triazole **1o** was employed, the desired enamine **3oa** was obtained in 80% yield. It was noted that thiophen-3-yl substituted triazole were applied to the present Rh-catalyzed arylation reaction, furnishing **3pa** in 60% yield. To our delight, the catalytic 4-methoxyphenylation using 4,5-disubstituted triazole **1q** took place to give **3qa** in 70% yield. However, *N*-tosyl-1,2,3-triazoles having alkyl substituents such as *n*-Bu, *t*-Bu, and cyclohexen-1-yl at 4-position was not arylated with anisole.

Scheme 2. Scope of Triazoles[a]

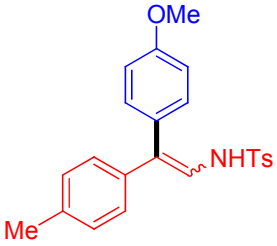




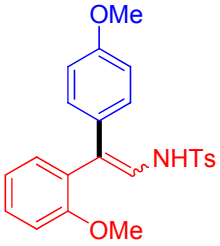
R = Me 73% **3ba**
C_6H_4-4-Me 82% **3aa**
C_6H_4-4-OMe 81% **3ca**
C_6H_4-4-CF_3 79% **3da**



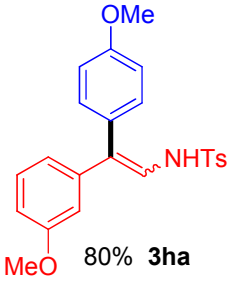
88% **3ea**



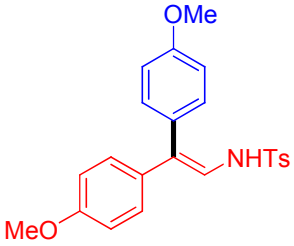
80% **3fa**



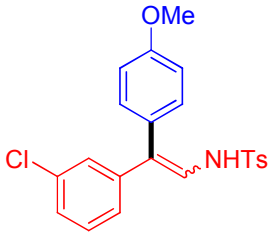
80% **3ga**



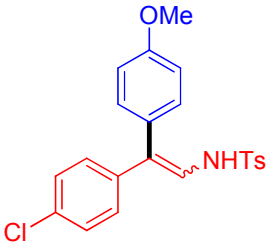
80% **3ha**



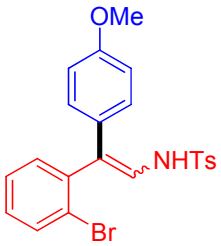
90% **3ia**



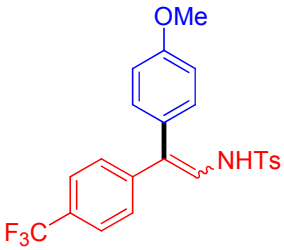
84% **3ja**



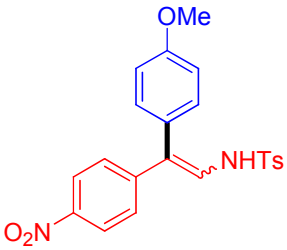
86% **3ka**



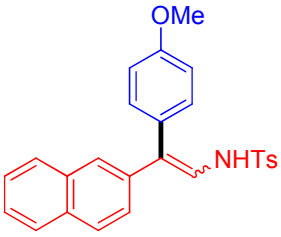
76% **3la**



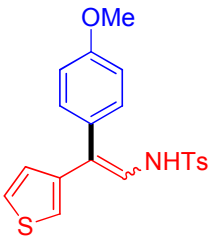
80% **3ma**



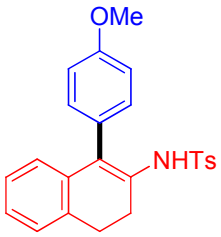
87% **3na**



80% **3oa**



60% **3pa**

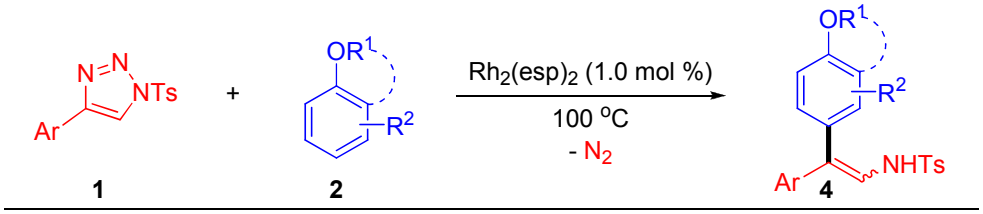


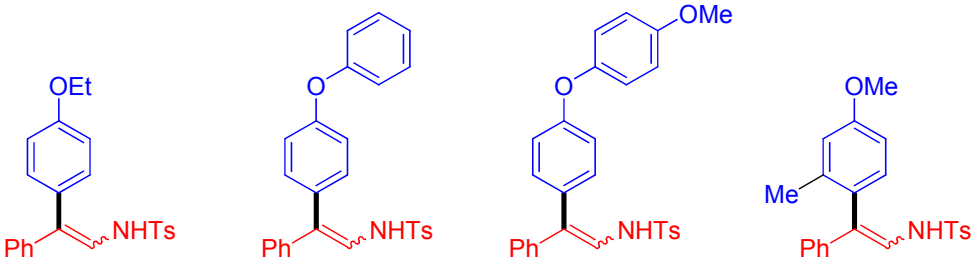
70% **3qa**

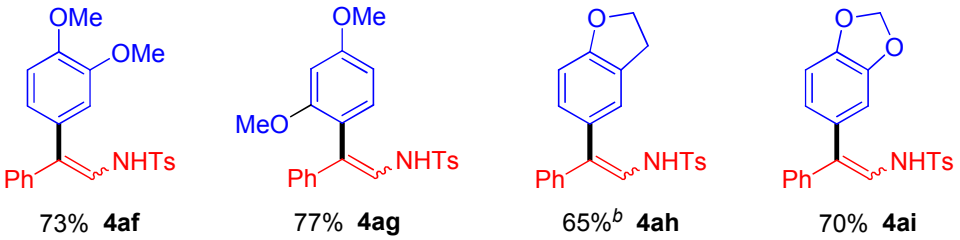
[a]Reactions were carried out with **1** (0.2 mmol) and **2a** (46 equiv) at 100 °C for 1 - 1.5 h.

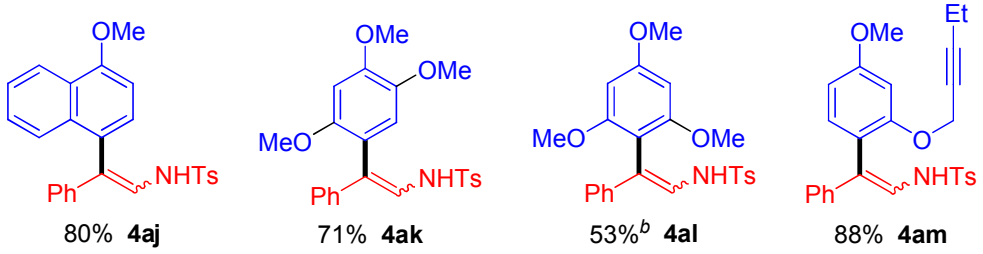
Encouraged by these results, we next turned our attention to the scope and functional group tolerance of this rhodium-catalyzed regioselective alkoxyarylation reaction of triazoles **1** by variation of the aryl ethers **2** (Scheme 3). Reaction of *N*-tosyl 4-phenyl-1,2,3-triazole (**1a**) with ethyl phenyl ether (**2b**) gave the desired 4-ethoxyphenylation product **4ab** in 71% yield. Diphenyl ether (**2c**) also worked, leading to the corresponding enamine **4ac** in 82% yield without the formation of diarylated one. 4-Methoxyphenyl phenyl ether (**2d**) turned out to be compatible with the reaction conditions, furnishing **4ad** in 80% yield. No any *ortho* arylated products were detected. The *ortho* arylation product was not produced even if 4-methylanisole blocked with methyl group was used. 3-Methylanisole and 1,2- and 1,3-dimethoxybenzene are applicable to the present arylation, selectively providing the desired enamines (**4ae**, **4af**, and **4ag**) in good yields. Both 2,3-dihydrobenzofuran (**2h**) and 1,3-benzodioxole (**2i**) were regioselectively transformed to the arylated products **4ah** (65%) and **4ai** (70%). 1-Methoxynaphthalene (**2j**) was successfully arylated to deliver the enamine **4aj** in 80% yield. When 1,2,5-trimethoxybenzene (**2k**) underwent the arylation under the optimal conditions, the desired enamine **4ak** was obtained in 71% yield. In the case of 1,3,5-trimethoxybenzene, the desired product **4al** was produced in 53% yield with 2.0 mol % of Rh catalyst due to the steric hindrance. The substrate (**2m**) having triple bond worked equally well in the reaction with triazole to provide the corresponding enamine **4am** in 88% yield. 4-Bromobutyl phenyl ether (**2n**) was also readily employed in the arylation reaction. Delightedly, diphenyl ether (**2c**), 1,3-dimethoxybenzene (**2g**), and 2,3-dihydrobenzofuran (**2h**) reacted smoothly with 2-bromophenyl substituted triazole (**1l**) to give the corresponding enamines (**4lc**, **4lg**, and **4lh**) in moderate to good yields ranging from 57% to 90%.

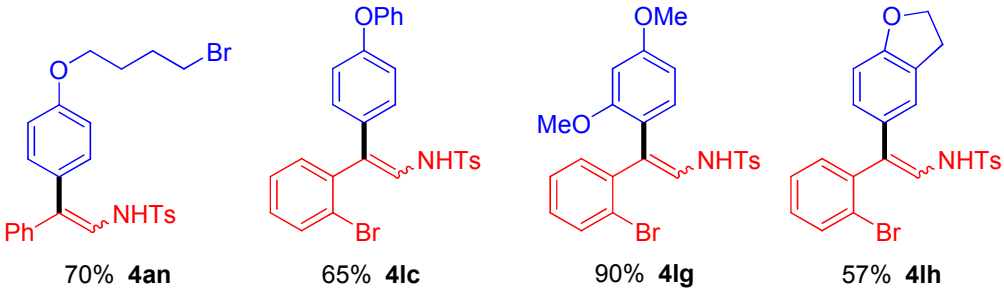
Scheme 3. Scope of Aryl Ethers[a]





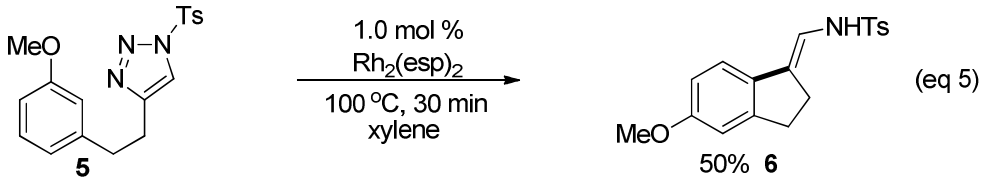






[a]Reactions were carried out with **1a** (0.2 mmol) and **2** (46 equiv) at 100 °C for 1 - 1.5 h. [b]2.0 mol % $Rh_2(esp)_2$ was used.

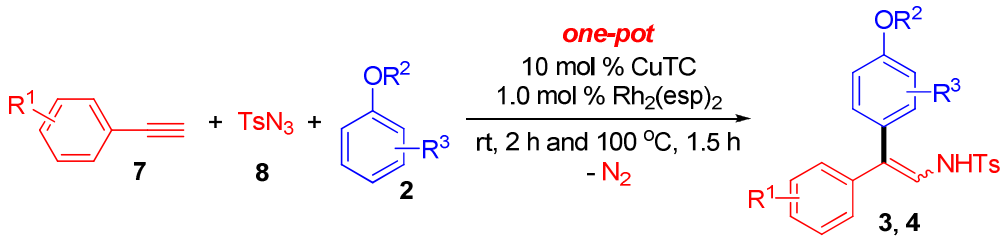
3-Methoxyphenyl substituted triazole **5** was intramolecularly cyclized in the presence of 1.0 mol % $Rh_2(esp)_2$ in xylene, regioselectively producing *para*-arylated product **6** in 50% yield (eq 5).



(eq 5)

A three-component one-pot synthesis of 2-(4-alkoxyaryl)-2-aryl enamines **3** and **4** starting from terminal alkynes, tosyl azide, and aryl ethers was conducted to demonstrate the synthetic utility of the Rh-catalyzed alkoxyarylation reaction (Table 2). Placing a wide range of substituents such as methyl, methoxy, chloro, and nitro on the aryl group of arylacetylenes and methyl and phenyl group on the aryl ethers have little effect on the reaction results and affords the corresponding 2-(alkoxyaryl)-2-aryl enamines in good yields ranging from 50% to 67% in one-pot.

Table 2. Alkoxyarylation in One-Pot[a]



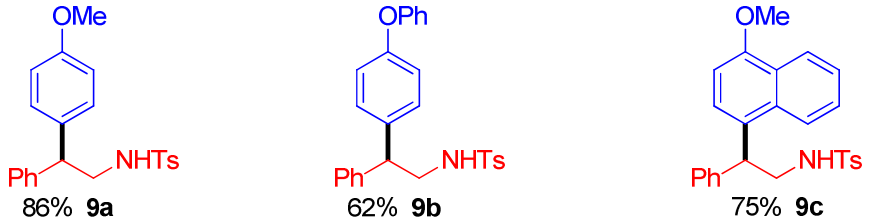
7 8 2 3, 4

entry	R^1	R^2	R^3	products	yield (%)
1	H	Me	H	**3aa**	54
2	3-Me	Me	H	**3ea**	60
3	4-MeO	Me	H	**3ca**	67
4	3-Cl	Me	H	**3ja**	55
5	4-NO_2	Me	H	**3ka**	57
6	H	Ph	H	**4ac**	50
7	H	Me	$-(CH=CH)_2-$	**4aj**	58

[a]Reactions were carried out with **7** (0.2 mmol), **8** (0.2 mmol), and **2** (46 equiv) (25 °C for 2 h and then, 100 °C for 1.5 h).

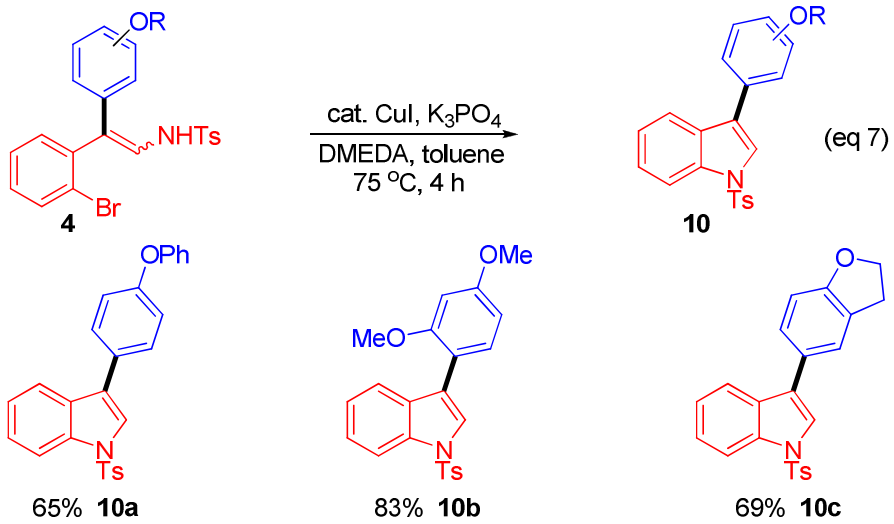
When the 2-(4-alkoxyaryl)-2-phenyl enamines **3** and **4** were treated with $NaBH_3CN$ in toluene at 80 °C for 8 h, reductive reaction smoothly took place to afford 2-(4-alkoxyaryl)-2-phenyl amines **9** in good yields ranging from 62% to 86% (eq 6).

3, 4 **9** (eq 6)



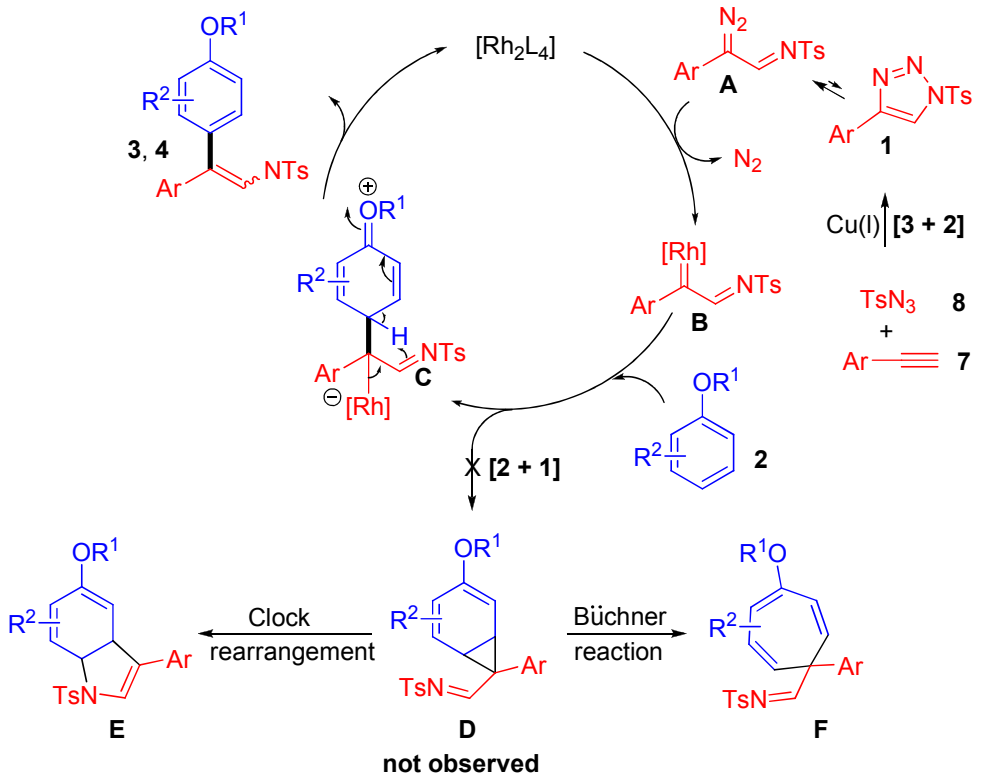
86% **9a** 62% **9b** 75% **9c**

A variety of indoles (**10**) having alkoxyaryl moieties at 3-position were produced *via* intramolecular cross-coupling reactions of 2-bromophenyl substituted enamines **4** using CuI (5 mol %), DMEDA (10 mol %), and K_3PO_4 (2 equiv) in toluene at 75 °C for 4 h (eq 7).[7,11]



A plausible mechanism for the present alkoxyarylation is illustrated in Scheme 4. Nucleophilic addition of aryl ethers **2** to the α-imino rhodium(II) carbenoid **B** derived from triazoles **1** leads to the rhodium-bound zwitterionic intermediate **C**, which is converted to the corresponding 2-alkoxyaryl-2-aryl enamines **3** and **4**. Because the cyclopropyl aldimine **D** generated from [2 + 1] cycloaddition of **B** with **2** are not observable, the intermediate **D** is ruled out in the catalytic cycle. This is supported by the fact that the dihydropyrrole **E** *via* Clock rearrangement and cycloheptatriene **F** *via* Büchner reaction which might be produced from **D** are not detected.

Scheme 4. A Proposed Mechanism



CONCLUSION

In summary, an efficient synthetic method to a myriad of 2-alkoxyaryl-2-aryl enamines is developed from Rh-catalyzed alkoxyarylation of *N*-sulfonyl-4-aryl-1,2,3-triazoles with aryl ethers *via* the elimination of nitrogen molecule. Cu-catalyzed cycloaddition followed by Rh-catalyzed alkoxyarylation starting from alkynes, *N*-sulfonyl azides, and aryl ethers is also demonstrated for synthesis of 2-alkoxyaryl-2-aryl enamines in one-pot. These results overcome the previous synthetic problems and limitation.

Experimental Section

General: Reactions were carried out in oven-dried glassware under N_2 condition. $Rh_2(OAc)_4$, $Rh_2(esp)_2$, $Rh_2(Piv)_4$, $Rh_2(Oct)_4$, Rh_2(*S*-PTAD)$_4$, and Rh_2(*S*-DOSP)$_4$ were purchased. Commercial available reagents were used without purification. All reaction mixtures were stirred magnetically and were monitored by thin-layer chromatography using silica gel precoated glass plates, which were visualized with UV light and then, developed using either iodine or a solution of anisaldehyde. Flash column chromatography was carried out using silica gel (230− 400 mesh). ^{1}H NMR (400 MHz), $^{13}C\{^1H\}$ NMR (100 MHz) spectra were recorded on NMR spectrometer. Deuterated chloroform was used as the solvent and chemical shift values (δ) are reported in parts per million relative to the residual signals of this solvent [δ 7.26 for ^{1}H (chloroform-*d*), δ 77.2 for $^{13}C\{^1H\}$ (chloroform-*d*). Infrared spectra were recorded on FT-IR spectrometer as either a thin film pressed between two sodium chloride plates or as a solid suspended in a potassium bromide disk. High resolution mass spectra (HRMS) were obtained by fast atom bombardment (FAB) using a double focusing magnetic sector mass spectrometer and electron impact (EI) ionization technique (magnetic sector - electric sector double focusing mass analyzer). Melting points were determined in open capillary tube.

Synthetic procedure of 2,2-diaryl enamines *via* Rh-catalyzed arylation of triazole derivative with aryl ether: $Rh_2(esp)_2$ (1.52 mg, 0.002 mmol) and triazole derivative (**1**, 0.2 mmol)[1b,12] were added to an dried test tube equipped with stir bar under nitrogen atmosphere. Subsequently, aryl ether (**2**, 46 equiv) was added through syringe. The mixture was stirred at 100 ^oC for 1h, at which time triazole was completely comsumed on TLC. Then, the reaction mixture was cooled to ambinent temperature and silica gel column chromatography (EtOAc:hexane) gave 2,2-diaryl enamines.

Synthetic procedure of 2,2-diaryl enamines *via* Cu-catalyzed [3+2] cycloaddition and Rh-

catalyzed arylation of alkyne, tosyl azide and aryl ether in one-pot: A mixture of CuTC (3.8 mg, 0.02 mmol), $Rh_2(esp)_2$ (1.52 mg, 0.002 mmol), acetylene (**7**, 1 equiv) and tosyl azide (**8**, 1 equiv) were added to an oven-dried test tube equipped with a stir bar under nitrogen atmosphere. Subsequently, aryl ether (**2**, 46 equiv) was added through syringe. The mixture was stirred at 25 ^oC for 2 h and then, the mixture was stirred for 1.5 h at 100 ^oC. After the mixture was cooled to ambinet temperature and silica gel column chromatopraphy (EtOAc:hexane) gave 2,2-diaryl enamines.

***N*-(2-(4-Methoxyphenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (3aa)** : 62.2 mg (82%), R_f = 0.4 (EtOAc:hexane = 1:3); pale yellow oil; *E*/*Z* ratio 1.43:1; ^{1}H NMR (400 MHz, $CDCl_3$) data for the major isomer; δ 7.72 (app d, *J* = 8.3 Hz, 2H), 7.33 (app d, *J* = 8.0 Hz, 2H), 7.35-7.30 (m, 1H), 7.26-7.20 (m, 2H), 7.10 (app d, *J* = 8.0 Hz, 2H), 6.89-6.82 (m, 4H), 6.76 (d, *J* = 11.1 Hz, 1H), 6.30 (d, *J* = 11.4 Hz, 1H), 3.82 (s, 3H), 2.45 (s, 3H); data for the minor isomer; 7.71 (app d, *J* = 8.2 Hz, 2H), 7.35-7.30 (m, 3H), 7.26-7.20 (m, 2H), 7.02 (app d, *J* = 8.7 Hz, 2H), 6.89-6.82 (m, 2H), 6.78 (app d, *J* = 8.9 Hz, 2H), 6.68 (d, *J* = 11.5 Hz, 1H), 6.22 (d, *J* = 11.4 Hz, 1H), 3.77 (s, 3H), 2.45 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 159.3, 158.9, 144.0, 143.9, 139.7, 136.8, 136.7, 136.6, 132.1, 130.8, 129.95, 129.93, 129.5, 129.3, 128.4, 128.3, 128.1, 127.8, 127.0, 126.83, 126.81, 126.5, 126.2, 125.9, 120.0, 118.8, 114.8, 113.8, 55.35, 55.32, 21.6; IR (film): 3271, 2956, 1606, 1335, 1161, 832 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{22}H_{21}NO_3S$: 379.1242; found : 379.1241.

***N*-(2-(4-Methoxyphenyl)-2-phenylvinyl)methanesulfonamide (3ba)** : 44.2 mg (73%), R_f = 0.3 (EtOAc:hexane = 1:3); white solid; mp 127.2-130.2 ^oC; *E*/*Z* ratio 1.33:1; ^{1}H NMR (400 MHz, $CDCl_3$) data for the major isomer; δ 7.30-7.24 (m, 1H), 7.23-7.21 (m, 2H), 7.19-7.17 (m, 2H), 7.16-7.13 (m, 2H), 7.00-6.97 (m, 2h), 6.77 (d, *J* = 11.3 Hz, 1H), 6.28 (d, *J* = 11.3 Hz, 1H), 3.86 (s, 3H), 3.06 (s, 3H); data for the minor isomer; 7.47-7.43 (m, 2H), 7.40-7.36 (m, 1H), 7.30-7.24 (m, 2H), 7.12-7.09 (m, 2H), 6.83-6.80 (m, 2H), 6.70 (d, *J* = 11.4 Hz, 1H), 6.21 (d, *J* = 11.2 Hz, 1H), 3.79 (s,

3H), 3.05 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 159.5, 158.9, 139.6, 136.7, 131.9, 130.9, 129.6, 128.5, 128.4, 128.3, 127.8, 127.1, 126.5, 125.2, 124.9, 119.8, 118.6, 115.0, 113.9, 55.4, 55.3, 41.2, 41.1; IR (film): 3278, 3029, 2933, 1512, 1349, 1161, 977, 834 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{16}H_{17}NO_3S$: 303.0929; found : 303.0928.

***N*-(2-(4-Methoxyphenyl)-2-phenylvinyl)propane-2-sulfonamide (3ca) :** 64.0 mg (81%), R_f = 0.35 (EtOAc:hexane = 1:3); pale yellow oil; E/Z ratio 2:1; ^1H NMR (400 MHz, CDCl3), data for the major isomer; δ 7.79-7.74 (m, 2H), 7.35-7.30 (m, 1H), 7.26-7.18 (m, 2H), 7.11-7.10 (m, 2H), 6.90-.6.84 (m, 3H), 6.83-6.79 (m, 1H), 6.76 (d, J = 11.8 Hz, 1H), 6.28 (d, J = 11.6 Hz, 1H), 3.88 (s, 3H), 3.82 (s, 3H); data for the minor isomer; 7.79-7.74 (m, 2H), 7.35-7.30 (m, 2H), 7.26-7.18 (m, 2H), 7.04-6.99 (m, 4H), 6.90-6.84 (m, 3H), 6.68 (d, J = 11.6 Hz, 1H), 6.20 (d, J = 11.6 Hz, 1H), 3.88 (s, 3H), 3.77 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, CDCl3) δ 163.2, 159.4, 158.9, 139.7, 136.6, 132.2, 132.1, 131.3, 131.2, 130.8, 129.6, 129.4, 129.0, 128.9, 128.9, 128.1, 127.8, 127.1, 126.6, 126.2, 125.9, 120.1, 118.9, 114.8, 114.5, 114.4, 113.8, 55.7, 55.4, 55.3; IR (film): 3243, 3061, 1691, 1595, 1351, 1259, 1160 cm^{-1}; HRMS (EI): m/z calcd for $C_{22}H_{21}NO_4S$: 395.1191; found : 395.1194.

***N*-(2-(4-Methoxyphenyl)-2-phenylvinyl)-4-(trifluoromethyl)benzenesulfonamide (3da)** : 68.4 mg (79%), R_f = 0.4 (EtOAc:hexane = 1:3); green oil; *E*/*Z* ratio 1.2:1; ^1H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.97 (d, J = 8.2 Hz, 2H), 7.82 (d, J = 8.2 Hz, 2H), 7.36-7.33 (m, 2H), 7.25-7.22 (m, 2H), 7.12-7.10 (m, 2H), 6.90-6.82 (m, 3H), 6.76 (d, J = 11.4 Hz, 1H), 6.38 (d, J = 11.4 Hz, 1H), 3.83 (s, 3H); data for the minor isomer; 7.96 (d, J = 8.0 Hz, 2H), 7.82 (d, J = 8.2 Hz, 2H), 7.36-7.33 (m, 1H), 7.03 (dt, J = 9.8, 2.6 Hz, 2H), 6.90-6.82 (m, 4H), 6.80 (d, J = 8.9 Hz, 2H), 6.70 (d, J = 11.3 Hz, 1H), 6.29 (d, J = 11.3 Hz, 1H), 3.78 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 159.5, 159.2, 143.1, 143.0, 139.3, 136.3, 134.9, 134.6, 131.6, 130.7, 129.5, 129.3, 128.5, 128.4, 127.8, 127.6 (q, J_{cf} = 38.6 Hz), 127.4, 127.34, 127.32, 126.6, 126.53 (q, J_{cf} = 3.3 Hz), 124.5, 119.1, 117.8, 114.9, 113.9, 55.4, 55.3; IR (film): 3261, 3060, 1730, 1650, 1323, 1167, 1130, 840

cm^{-1}; HRMS (EI): *m/z* calcd for $C_{22}H_{18}F_3NO_3S$: 433.0959; found : 433.0957.

***N*-(2-(4-Methoxyphenyl)-2-(m-tolyl)vinyl)-4-methylbenzenesulfonamide (3ea)** : 69.2 mg (88%), R_f = 0.4 (EtOAc:hexane = 1:3); brown oil; *E*/*Z* ratio 1:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73-7.70 (m, 4H), 7.35-7.33 (m, 4H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.7 Hz, 2H), 6.93 (s, 1H), 6.90-6.74 (m, 9H), 6.69-6.74 (m, 2H), 6.59 (s, 1H), 6.26 (d, *J* = 11.6 Hz, 1H), 6.18 (d, *J* = 11.5 Hz, 1H), 3.83 (s, 3H), 3.77 (s, 3H), 2.45 (s, 3H), 2.44 (s, 3H), 2.28 (s, 3H), 2.25 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 159.3, 158.9, 144.0, 143.9, 139.6, 139.0, 138.0, 136.8, 136.6, 136.4, 132.1, 130.8, 130.0, 129.98, 129.90, 129.2, 128.9, 128.4, 128.3, 127.9, 127.8, 126.85, 126.81, 126.5, 126.0, 123.8, 119.9, 118.8, 114.8, 113.8, 55.38, 55.36, 21.6, 21.47, 21.46; IR (film): 3275, 3053, 1724, 1330, 1169, 1090 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{23}NO_3S$: 393.1399; found : 393.1397.

***N*-(2-(4-Methoxyphenyl)-2-(p-tolyl)vinyl)-4-methylbenzenesulfonamide (3fa)** : 63.0 mg (80%), R_f = 0.4 (EtOAc:hexane = 1:3); pale green oil; *E*/*Z* ratio 1.76:1; ^{1}H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.71 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 7.9 Hz, 2H), 7.14 (d, *J* = 7.8 Hz, 1H), 7.06-7.00 (m, 4H), 6.87-6.73 (m, 3H), 6.72 (d, *J* = 11.6 Hz, 1H), 6.24 (d, *J* = 11.9 Hz, 1H), 3.82 (s, 3H), 2.45 (s, 3H), 2.30 (s, 3H); data for the minor isomer; 7.71 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 7.9 Hz, 2H), 7.14 (d, *J* = 7.8 Hz, 1H), 7.06-7.00 M, 2H), 6.87-6.73 (m, 5H), 6.66 (d, *J* = 11.5 Hz, 1H), 6.20 (d, *J* = 11.8 Hz, 1H), 3.77 (s, 3H), 2.45 (s, 3H), 2.36 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 159.3, 158.9, 144.0, 143.9, 138.0, 137.0, 136.9, 136.8, 136.7, 136.6, 133.5, 132.2, 130.8, 130.0, 129.95, 129.92, 129.4, 129.1, 128.5, 127.8, 126.83, 126.80, 126.5, 126.2, 126.0, 119.3, 118.6, 114.8, 113.8, 55.34, 55.33, 21.6, 21.2, 21.1; IR (film): 3366, 1915, 1633, 1433, 1260, 1124 cm^{-1}; HRMS (EI): m/z calcd for $C_{23}H_{23}NO_3S$: 393.1399; found : 393.1400.

***N*-(2-(2-Methoxyphenyl)-2-(4-methoxyphenyl)vinyl)-4-methylbenzenesulfonamide (3ga)** : 65.5 mg (80%), R_f = 0.3 (EtOAc:hexane = 1:3); yellow oil; *E*/*Z* ratio 2.5:1; ^{1}H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.70 (d, *J* = 8.3 Hz, 2H), 7.34-7.29 (m, 3H), 7.04 (dt, *J* = 9.8, 2.6 Hz,

2H), 6.94-6.80 (m, 3H), 6.79-6.71 (m, 3H), 6.15 (d, J = 11.3 Hz, 1H), 3.76 (s, 3H), 3.54 (s, 3H), 2.42 (s, 3H); data for the minor isomer; 7.73 (d, J = 8.3 Hz, 2H), 7.34-7.29 (m, 2H), 7.20-7.16 (m, 1H), 6.94-6.80 (m, 5H), 6.79-6.72 (m, 3H), 3.80 (s, 3H), 3.67 (s, 3H), 2.44 (s, 3H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 158.9, 158.7, 157.3, 156.8, 143.8, 143.7, 137.2, 137.0, 132.0, 131.6, 130.8, 130.6, 130.2, 129.9, 129.8, 129.7, 126.9, 126.8, 126.5, 124.7, 122.8, 122.0, 121.9, 121.4, 120.5, 119.6, 114.5, 111.9, 111.4, 58.1, 55.6, 55.5, 55.3, 21.6, 21.5; IR (film): 3279, 30624 1916, 16421 1351, 1162, 1089 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{23}NO_4S$: 409.1348; found : 409.1347.

***N*-(2-(3-Methoxyphenyl)-2-(4-methoxyphenyl)vinyl)-4-methylbenzenesulfonamide (3ha)** : 65.5 mg (80%), R_f = 0.3 (EtOAc:hexane = 1:3); brown solid; mp: 148-151 ^{o}C; *E*/*Z* ratio 1.25:1; ^{1}H NMR (400 MHz, $CDCl_3$) data for the major isomer; δ 7.71 (dd, J = 8.3, 1.4 Hz, 2H), 7.34 (d, J = 8.0 Hz, 2H), 7.16 (t, J = 8.0 Hz, 1H), 7.04 (d, J = 8.9 Hz, 1H), 6.88-6.74 (m, 5H), 6.68 (d, J = 11.6 Hz, 1H), 6.62 (t, J = 2.1 Hz, 1H), 6.27 (d, J = 11.6 Hz, 1H), 3.83 (s, 3H), 3.74 (s, 3H), 2.45 (s, 3H); data for the minor isomer; 7.71 (dd, J = 8.3, 1.4 Hz, 2H), 7.33 (d, J = 8.0 Hz, 2H), 7.25 (t, J = 7.1 Hz, 1H), 7.04 (d, J = 4.6 Hz, 1H), 6.88-6.74 (m, 4H), 6.72-6.69 (m, 1H), 6.46 (dt, J = 7.5, 1.2 Hz), 6.44-6.43 (m, 1H), 6.26 (d, J = 11.5 Hz, 1H), 3.77 (s, 3H), 3.71 (s, 3H), 2.44 (s, 3H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 160.3, 159.6, 159.4, 158.9, 144.0, 143.9, 141.2, 137.9, 136.7, 131.8, 130.4, 129.94, 129.91, 129.3, 128.2, 127.7, 126.8, 125.9, 125.7, 121.7, 120.3, 119.1, 118.7, 114.83, 114.80, 113.8, 113.7, 113.5, 112.6, 112.1, 55.3, 55.32, 55.23, 55.2, 21.63, 21.62; IR (film): 3268, 3064, 3001, 2936, 1682, 1635, 1597, 1350, 1161, 1036 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{23}NO_4S$: 409.1348; found : 409.1346.

***N*-(2,2-Bis(4-methoxyphenyl)vinyl)-4-methylbenzenesulfonamide (3ia)** : 73.6 mg (90%), R_f = 0.3 (EtOAc:hexane = 1:3); yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, J = 8.3 Hz, 2H), 7.345 (d, J = 8.0 Hz, 2H), 7.02 (dt, J = 9.9, 2.7 Hz, 2H), 6.86 (dt, J = 9.1, 2.4 Hz, 2H), 6.81-6.77 (m, 4H), 6.65 (d, J = 11.5 Hz, 1H), 6.22 (d, J = 11.4 Hz, 1H), 3.82 (s, 3H), 3.77 (s, 3H), 2.44 (s, 3H);

$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 159.3, 158.9, 143.9, 136.7, 132.3, 132.2, 130.7, 129.9, 128.8, 128.5, 127.7, 126.8, 125.9, 118.5, 114.7, 113.7, 113.4, 55.34, 55.32, 21.6; IR (film): 3337, 2916, 1916, 1730, 1595, 1417, 1121 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{23}NO_4S$: 409.1348; found : 409.1344.

***N*-(2-(3-Chlorophenyl)-2-(4-methoxyphenyl)vinyl)-4-methylbenzenesulfonamide (3ja)** : 69.4 mg (84%), R_f = 0.4 (EtOAc:hexane = 1:3); white solid; mp: 58-65 ^{o}C; *E*/*Z* ratio 1.76:1; ^{1}H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.73-7.30 (m, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.17-7.16 (m, 2H), 7.05-7.04 (m, 1H), 6.87 (dt, *J* = 9.2, 2.4 Hz, 2H), 6.84-6.80 (m, 2H), 6.79-6.75 (m, 2H), 6.79-6.75 (m, 2H), 6.33 (d, *J* = 11.6 Hz, 1H), 3.83 (s, 3H), 2.46 (s, 3H); data for the minor isomer; 7.73-7.70 (m, 2H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.31-7.27 (m, 2H), 7.01-6.99 (m, 3H), 6.84-6.80 (m, 2H), 6.78-6.73 (m, 1H), 6.68 (d, *J* = 11.6 Hz, 1H), 6.16 (d, *J* = 11.5 Hz, 1H), 3.78 (s, 3H), 2.45 (s, 3H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 159.5, 159.1, 144.2, 141.7, 138.5, 136.7, 136.4, 135.1, 134.4, 131.4, 130.8, 130.7, 130.1, 130.0, 129.6, 129.5, 128.4, 127.8, 127.7, 127.6, 127.0, 126.8, 126.6, 125.3, 124.6, 124.4, 121.1, 119.4, 116.0, 115.0, 114.8, 113.9, 55.4, 55.3, 21.7; IR (film): 3263, 3065, 1716, 1635, 1349, 1248, 1164, 736 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{22}H_{20}ClNO_3S$: 413.0852; found : 413.0856.

***N*-(2-(4-Chlorophenyl)-2-(4-methoxyphenyl)vinyl)-4-methylbenzenesulfonamide (3ka)** : 71.0 mg (86%), R_f = 0.4 (EtOAc:hexane = 1:3); yellow oil; *E*/*Z* ratio 1.67:1; ^{1}H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.72 (d, *J* = 8.3 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.20 (td, *J* = 9.1, 2.3 Hz, 2H), 7.04-6.98 (m, 2H), 6.90-6.86 (m, 2H), 6.83-6.78 (m, 2H), 6.74 (d, *J* = 11.6 Hz, 1H), 6.29 (d, *J* = 11.6 Hz, 1H), 3.83 (s, 3H), 2.46 (s, 3H); data for the minor isomer; 7.72 (d, *J* = 8.3 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.31 (d, *J* = 8.4 Hz, 2H), 7.04-6.98 (m, 2H), 6.83-6.78 (m, 4H), 6.69 (d, 11.6 Hz, 1H), 6.13 (d, *J* = 11.6 Hz, 1H), 3.78 (s, 3H), 2.46 (s, 3H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 159.5, 159.1, 144.1, 138.3, 136.7, 136.6, 135.1, 134.1, 132.8, 131.7, 131.1, 130.8, 130.0,

129.6, 128.5, 127.8, 126.8, 126.7, 124.9, 124.6, 120.4, 119.2, 114.9, 113.9, 55.4, 55.3, 21.6; IR (film): 3261, 3070, 1689, 1632, 1310, 1250, 720 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{22}H_{20}ClNO_3S$: 413.0852; found : 413.0853.

***N*-(2-(2-Bromophenyl)-2-(4-methoxyphenyl)vinyl)-4-methylbenzenesulfonamide (3la) :** 69.5 mg (76%), R_f = 0.4 (EtOAc:hexane = 1:3); white solid; mp: 140-145 ^oC; *E*/*Z* ratio 10:1; ^1H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.71 (d, *J* = 8.3 Hz, 2H), 7.62 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.32-7.27 (m, 1H), 7.22 (td, *J* = 11.6, 1.8 Hz, 1H), 7.00 (dt, *J* = 9.8, 2.6 Hz, 2H), 6.89 (dd, *J* = 7.5, 1.8 Hz, 1H), 6.82-6.77 (m, 3H), 5.96 (d, *J* = 11.6 Hz, 1H), 3.76 (s, 3H), 2.43 (s, 3H); data for the minor isomer; 7.77 (d, *J* = 8.4 Hz, 2H), 7.51 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.40-7.34 (m, 4H), 7.18-7.10 (m, 2H), 6.92-6.91 (m, 1H), 6.82-6.77 (m, 2H), 6.68 (d, *J* = 11.7 Hz, 1H), 6.44 (d, *J* = 11.6 Hz, 1H), 3.78 (s, 3H), 2.45 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 159.0, 158.8, 144.1, 144.0, 141.0, 136.9, 136.8, 133.7, 133.3, 132.1, 131.9, 130.3, 130.2, 130.1, 130.0, 129.97, 129.95, 129.90, 129.0, 128.6, 128.3, 127.3, 127.0, 126.8, 124.5, 124.3, 124.1, 124.0, 123.0, 119.8, 114.5, 114.0, 61.4, 55.3, 21.7, 21.6; IR (film): 3266, 3062, 3000, 1715, 1643, 1511, 1165, 560 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{22}H_{20}BrNO_3S$: 457.0347; found : 457.0347.

***N*-(2-(4-Methoxyphenyl)-2-(4-(trifluoromethyl)phenyl)vinyl)-4-methylbenzenesulfonamide (3ma)** : 71.5 mg (80%), R_f = 0.4 (EtOAc:hexane = 1:3); pale green oil; *E*/*Z* ratio 2.3:1; ^1H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.73 (d, *J* = 8.3 Hz, 2H), 7.48 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.1 Hz, 2H), 7.20 (d, *J* = 8.1 Hz, 2H), 6.92-6.77 (m, 5H), 6.41 (d, *J* = 11.6 Hz, 1H), 3.83 (s, 3H), 2.45 (s, 3H); data for the minor isomer; 7.73 (d, *J* = 8.3 Hz, 2H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.35 (d, *J* = 8.1 Hz, 2H), 7.04 (d, *J* = 8.0 Hz, 2H), 6.99 (dt, *J* = 9.7, 2.6 Hz, 2H), 6.91-6.77 (m, 2H), 6.73 (d, *J* = 11.6 Hz, 1H), 6.22 (d, *J* = 11.5 Hz, 1H), 3.78 (s, 3H), 2.46 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 159.6, 159.2, 144.3, 143.3, 140.7, 136.7, 136.6, 131.4, 130.8, 130.0, 128.8 (q, J_{cf} = 3.8 Hz), 127.9, 127.5, 126.8, 126.7, 126.6, 126.3 (q, J_{cf} = 3.8 Hz), 125.5, 125.3 (q, J_{cf} = 3.8 Hz),

124.6, 124.1, 121.9, 119.7, 115.1, 114.0, 55.37, 55.34, 21.6; IR (film): 3281, 3064, 1702, 1639, 1324, 1245, 842 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{20}F_3NO_3S$: 447.1116; found : 447.1117.

***N*-(2-(4-Methoxyphenyl)-2-(4-nitrophenyl)vinyl)-4-methylbenzenesulfonamide (3na)** : 73.8 mg (87%), R_f = 0.3 (EtOAc:hexane = 1:3); yellow solid; mp 152-155 ^oC; *E*/*Z* ratio 3:1; ^1H NMR (400 MHz, $CDCl_3$), date for the major isomer; δ 8.08 (td, *J* = 9.5, 2.3 Hz, 2H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.37 (d, *J* = 8.0 Hz, 2H), 7.27 (td, *J* = 9.5, 2.3 Hz, 2H), 7.00-6.95 (m, 1H), 6.94-6.85 (m, 4H), 6.51 (d, *J* = 11.7 Hz, 1H), 3.84 (s. 3H), 2.46 (s, 3H); data for the minor isomer; 8.17 (td, *J* = 9.2, 2.2 Hz, 2H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.37 (d, *J* = 8.6 Hz, 2H), 7.11 (d, *J* = 9.3, 2.2 Hz, 2H), 7.00-6.95 (m, 2H), 6.80 (td, *J* = 9.8, 2.6 Hz, 2H), 6.75 (d, *J* = 11.6 Hz, 1H), 6.40 (d, *J* = 11.6 Hz, 1H), 3.79 (s, 3H), 2.47 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 159.8, 159.3, 147.3, 146.4, 146.3, 144.5, 144.4, 144.0, 136.6, 136.5, 131.2, 130.9, 130.6, 130.1, 130.0, 128.2, 126.8, 126.7, 126.6, 124.5, 123.8, 123.7, 123.6, 123.1, 120.6, 115.3, 114.1, 55.4, 55.3, 21.7; IR (film): 3268, 3074, 3003, 1723, 1593, 1161 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{22}H_{20}N_2O_5S$: 424.1093; found : 424.1093.

***N*-(2-(4-Methoxyphenyl)-2-(naphthalen-2-yl)vinyl)-4-methylbenzenesulfonamide (3oa)** : 68.7 mg (80%), R_f = 0.4 (EtOAc:hexane = 1:3); yellow oil; *E*/*Z* ratio 1.76:1 ^1H NMR (400 MHz, $CDCl_3$) δ 7.85-7.70 (m, 6.5H), 7.69-7.63 (m, 1.6H), 7.53-7.50 (m, 1.2H), 7.43-7.30 (m, 3.7H), 7.37-7.30 (m, 4.2H), 7.06 (dt, *J* = 9.8, 2.6 Hz, 1.1H), 6.97 (dd, *J* = 8.4, 1.7 Hz, 0.6H), 6.92-6.87 (m, 5H), 6.80-6.76 (m, 1.6H), 6.35 (d, *J* = 11.6 Hz, 0.6H), 3.84 (s, 3H), 3.78 (s, 1.7H), 2.49 (s, 1.7H), 2.45 (s, 3H) $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 159.4, 159.0, 144.1, 144.0, 137.0, 136.8, 136.7, 134.0, 133.5, 133.4, 132.8, 132.5, 132.05, 130.9, 130.0, 129.2, 128.4, 128.2, 128.0, 127.96, 127.94, 127.83, 127.80, 127.5, 127.3, 126.9, 126.8, 126.6, 126.4, 126.3, 125.9, 125.8, 125.6, 124.5, 120.5, 119.2, 114.9, 113.9, 55.4, 55.3, 21.7, 21.6; IR (film): 3268, 3061, 1418, 1244, 1089 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{26}H_{23}NO_3S$: 429.1399; found : 429.1399.

***N*-(2-(4-Methoxyphenyl)-2-(thiophen-3-yl)vinyl)-4-methylbenzenesulfonamide (3pa)** : 46.2 mg

(60%), R_f = 0.35 (EtOAc:hexane = 1:3); yellow oil; *E*/*Z* ratio 3:1; ^{1}H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.70 (d, *J* = 8.3 Hz, 2H), 7.37-7.32 (m, 2H), 7.25 (t, *J* = 4.0 Hz, 1H), 7.08-7.04 (m, 1H), 6.89-6.84 (m, 4H), 6.80 (t, *J* = 4.4 Hz, 1H), 6.69-6.66 (m, 1H), 6.18 (d, *J* = 11.5 Hz, 1H), 3.83 (s, 3H), 2.44 (s, 3H); data for the minor isomer; 7.74 (d, *J* = 8.3 Hz, 2H), 7.37-7.32 (m, 2H), 7.25 (t, *J* = 4.0 Hz, 2H), 7.08-7.04 (m, 2H), 6.89-6.84 (m, 1H), 6.77 (s, 1H), 6.69-6.66 (m, 2H), 6.42 (d, *J* = 11.5 Hz, 1H), 3.78 (s, 3H), 2.44 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 159.4, 159.0, 144.0, 143.97, 141.3, 136.8, 136.7, 136.6, 131.8, 130.5, 130.0, 129.9, 128.4, 128.3, 127.9, 127.7, 127.1, 126.0, 124.9, 124.2, 121.8, 121.1, 120.8, 119.5, 114.8, 113.8, 55.4, 21.6; IR (film): 3269, 3065, 1718, 1636, 1509, 1338, 1169, 1089 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{20}H_{19}NO_3S_2$: 385.0806; found : 385.0804.

***N*-(1-(4-Methoxyphenyl)-3,4-dihydronaphthalen-2-yl)-4-methylbenzenesulfonamide (3qa)** : 56.7 mg (70%), R_f = 0.3 (EtOAc:hexane = 1:4); pale yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 (app d, *J* = 8.2 Hz, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 7.11 (dd, *J* = 7.3, 0.9 Hz, 1H), 7.04 (td, *J* = 11.0, 1.3 Hz, 1H), 6.96 (td, *J* = 11.3, 1.3 Hz, 1h), 6.87 (app d, *J* = 8.6 Hz, 2H), 6.62 (app d, *J* = 8.6 Hz, 2H), 6.43 (dd, *J* = 7.6, 0.7 Hz, 1H), 6.26 (s, 1H), 3.85 (s, 3H), 2.85 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 159.3, 144.0, 136.1, 135.8, 133.8, 132.1, 131.2, 129.7, 127.3, 127.0, 126.7, 126.4, 126.3, 125.3, 124.8, 114.8, 55.3, 28.1, 24.5, 21.6; IR (film): 3319, 2936, 1608, 1335, 1164, 912 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{24}H_{23}NO_3S$: 405.1399; found : 405.1396.

***N*-(2-(4-Ethoxyphenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4ab)** : 55.9 mg (71%), R_f = 0.4 (EtOAc:hexane = 1:3); pale yellow oil; *E*/*Z* ratio 2.27:1; ^{1}H NMR (400 MHz, $CDCl_3$) data for the major isomer; δ 7.72 (app d, *J* = 8.3 Hz, 2H), 7.34 (app d, *J* = 8.3 Hz, 2H), 7.35-7.30 (m, 1H), 7.25-7.18 (m, 2H), 7.12-7.08 (m, 2H), 6.89-6.79 (m, 4H), 6.76 (d, *J* = 11.5 Hz, 1H), 6.28 (d, *J* = 11.5 Hz, 1H), 4.04 (q, *J* = 6.9 Hz, 2H), 2.45 (s, 3H), 1.44 (t, *J* = 6.9 Hz, 3H); data for the minor isomer; 7.71 (app d, *J* = 8.3 Hz, 2H), 7.35-7.30 (m, 2H), 7.25-7.18 (m, 3H), 7.01 (app d, *J* = 8.8 Hz,

2H), 6.89-6.79 (m, 2H), 6.77 (app d, J = 8.8 Hz, 2H), 6.68 (d, J = 11.5 Hz, 1H), 6.19 (d, J = 11.5 Hz, 1H), 3.99 (q, J = 6.8 Hz, 2H), 2.45 (s, 3H), 1.39 (t, J = 7.0 Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 158.7, 158.3, 144.0, 143.9, 139.7, 136.7, 136.67, 136.6, 131.8, 130.8, 129.94, 129.92, 129.5, 129.3, 128.4, 128.1, 127.7, 127.0, 126.83, 126.81, 126.6, 126.3, 126.0, 120.0, 118.7, 115.3, 114.3, 63.5, 63.4, 21.6, 14.85, 14.82; IR (film): 3269, 2980, 1509, 1335, 1164, 813, 669 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{23}NO_3S$: 393.1399; found : 393.1396.

4-Methyl-*N*-(2-(4-phenoxyphenyl)-2-phenylvinyl)benzenesulfonamide (4ac) : 72.4 mg (82%), R_f = 0.3 (EtOAc:hexane = 1:3); pale yellow solid; mp 148-153 ^oC; *E/Z* ratio 10:1; ^1H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, J = 8.3 Hz, 2.3H), 7.39-7.30 (m, 8H), 7.24-7.16 (m, 0.4H), 7.13-7.04 (m, 3.6H), 7.00-6.98 (m, 2H), 6.94-6.86 (m, 4.6H), 6.78 (d, J = 11.6 Hz, 0.14H), 6.74 (d, J = 11.6 Hz, 1H), 2.45 (s, 3H), 2.43 (s, 0.3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 157.5, 157.0, 156.6, 156.3, 144.1, 144.0, 139.0, 136.70, 136.68, 136.4, 134.5, 131.1, 130.7, 130.0, 129.9, 129.8, 129.7, 129.6, 129.4, 128.4, 128.3, 127.9, 127.2, 126.82, 126.80, 126.6, 125.7, 125.5, 124.0, 123.4, 120.3, 119.64, 119.60, 119.02, 119.00, 118.6, 21.7; IR (film): 3265, 3063, 1719, 1350, 1244, 1089 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{27}H_{23}NO_3S$: 441.1399; found : 441.1397.

***N*-(2-(4-(4-Methoxyphenoxy)phenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4ad)** : 75.4 mg (80%), R_f = 0.3 (EtOAc:hexane = 1:3); yellow oil; *E/Z* ratio 1.1:1; ^1H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.73-7.70 (m, 3.6H), 7.36-7.33 (m, 7H), 7.25-7.21 (m, 2.8H), 7.12-7.10 (m, 4H), 6.97-6.87 (m, 9.1H), 6.86-6.80 (m, 4.5H), 6.77 (d, J = 11.6 Hz, 1H), 6.71 (d, J = 11.6 Hz, 1H), 6.30 (d, J = 11.6 Hz, 1H), 6.22 (d, J = 11.6 Hz, 1H), 3.83 (s, 2.8H), 3.80 (s, 3H), 2.46 (s, 2.8H), 2.44 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 158.7, 157.9, 156.3, 156.0, 149.8, 149.2, 144.1, 144.0, 139.5, 136.7, 136.6, 136.4, 133.7, 131.0, 130.0, 129.94, 129.90, 129.5, 129.4, 128.4, 128.2, 127.8, 127.1, 126.8, 126.7, 126.6, 125.8, 125.5, 121.4, 120.9, 120.2, 119.3, 117.8, 117.3, 115.0, 114.9, 55.7, 21.6; IR (film): 3268, 1687, 1649, 1498, 1336, 1231, 1163 cm^{-1}; HRMS (EI): *m/z* calcd

for $C_{28}H_{25}NO_4S$: 471.1504; found : 471.1500.

***N*-(2-(4-Methoxy-2-methylphenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4ae)** : 55.1 mg (70%), R_f = 0.4 (EtOAc:hexane = 1:3); yellow oli; *E*/*Z* ratio 1.7:1; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72-7.68 (m, 3.4H), 7.33-7.29 (m, 3.5H), 7.23-7.20 (m, 2.8H), 7.19-7.16 (m, 1.4H), 7.13-7.10 (m, 1.3H), 7.09-7.07 (m, 2H), 6.90 (d, *J* = 11.6 Hz, 1H), 6.83 (d, *J* = 11.3 Hz, 0.62H), 6.78 (d, *J* = 2.5 Hz, 1H), 6.74 (s, 0.9H), 6.72-6.69 (m, 1.4H), 6.65-6.62 (m, 1.7H), 6.21 (d, *J* = 11.3 Hz, 0.62H), 6.00 (d, *J* = 11.6 Hz, 1H), 3.82 (s, 3H), 3.52 (s, 1.87H), 2.43 (s, 3H), 2.42 (s, 1.76H), 2.37 (s, 1.89H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 159.6, 156.7, 144.0, 143.7, 140.1, 139.5, 138.9, 138.5, 137.2, 136.9, 131.4, 131.1, 129.9, 129.7, 128.5, 128.3, 126.87, 126.85, 126.8, 126.7, 126.6, 126.0, 125.4, 124.8, 122.1, 121.9, 121.4, 120.9, 120.5, 116.4, 112.7, 112.1, 55.5, 55.2, 21.66, 21.61, 21.6, 19.4; IR (film): 3258, 2923, 1348, 1167, 813, 667 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{23}NO_3S$: 393.1399; found : 393.1400.

***N*-(2-(3,4-Dimethoxyphenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4af)** : 59.8 mg (73%), R_f = 0.2 (EtOAc:hexane = 1:3); white solid; mp 173.8-176.3 °C; E/Z ratio 3.8:1; ^{1}H NMR (400 MHz, $CDCl_3$) data for the major isomer; δ 7.73 (app d, *J* = 8.3 Hz, 2H), 7.33 (app d, *J* = 7.8 Hz, 2H), 7.36-7.32 (m, 1H), 7.28-7.19 (m, 2H), 7.14-7.11 (m, 2H), 6.84 (d, *J* = 8.2 Hz, 1H), 6.80 (d, *J* = 11.5 Hz, 1H), 6.50 (dd, *J* = 8.1, 1.9 Hz, 1H), 6.42 (d, *J* = 1.9 Hz, 1H), 6.34 (d, *J* = 11.5 Hz, 1H), 3.91 (s, 3H), 3.69 (s, 3H), 2.44 (s, 3H); data for the minor isomer; 7.72 (app d, *J* = 8.3 Hz, 2H), 7.36-7.33 (m, 2H), 7.28-7.19 (m, 3H), 6.89-6.87 (m, 2H), 6.74 (d, *J* = 8.4 Hz, 1H), 6.71 (d, *J* = 11.5 Hz, 1H), 6.65 (d, *J* = 2.1 Hz, 1H), 6.59 (dd, *J* = 8.3, 2.1 Hz, 1H), 6.21 (d, *J* = 11.5 Hz, 1H), 3.85 (s, 3H), 3.80 (s, 3H), 2.46 (s, 3H) ; ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 149.7, 148.8, 148.5, 144.0, 143.9, 139.4, 136.9, 136.6, 136.5, 132.4, 129.9, 129.5, 129.3, 128.6, 128.4, 128.2, 127.1, 126.8, 126.7, 126.4, 125.8, 121.9, 120.1, 119.5, 119.0, 112.4, 111.7, 110.9, 109.7, 56.0, 55.9, 55.8, 21.65, 21.61; IR (film): 3213, 2932, 1513, 1160, 768 cm^{-1}; HRMS (EI): m/z calcd for $C_{23}H_{23}NO_4S$:

409.1348; found : 409.1349.

***N*-(2-(2,4-Dimethoxyphenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4ag)** : 63.1 mg (77%), R_f = 0.2 (EtOAc:hexane = 1:3); white solid; mp 82.3-85.3 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73-7.70 (m, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.25-7.20 (m, 2H), 7.19-7.15 (m, 1H), 7.13-7.10 (m, 2H), 6.84 (d, *J* = 11.4 Hz, 1H), 6.69 (d, *J* = 8.3 Hz, 1H), 6.49 (d, *J* = 2.3 Hz, 1H), 6.46 (dd, *J* = 8.3, 2.4 Hz, 1H), 6.20 (d, *J* = 11.3 Hz, 1H), 3.84 (s, 3H), 3.51 (s, 3H), 2.43 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 161.1, 157.9, 143.7, 139.7, 137.2, 132.2, 129.8, 128.3, 126.8, 126.7, 126.0, 121.7, 120.9, 116.8, 105.5, 99.3, 55.6, 55.5, 21.6; IR (film): 3248, 2940, 1600, 1317, 1161, 1029, 814 cm^{-1}; HRMS (EI): m/z calcd for $C_{23}H_{23}NO_4S$: 409.1348; found : 409.1345.

***N*-(2-(2,3-Dihydrobenzofuran-5-yl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4ah)** : 50.9 mg (65%), R_f = 0.3 (EtOAc:hexane = 1:3); white solid; mp 168.3-171 ^{o}C; *E*/*Z* ratio 7.7:1; ^{1}H NMR (400 MHz, $CDCl_3$) data for the major isomer; δ 7.72 (app d, *J* = 8.2 Hz, 2H), 7.34 (app d *J* = 8.0 Hz, 2H), 7.27-7.18 (m, 3H) 7.12 (app d, *J* = 8.2 Hz, 2H), 6.74 (d, *J* = 11.5 Hz, 1H), 6.72 (d, *J* = 8.1 Hz, 1H), 6.68 (s, 1H), 6.59 (dd, *J* = 8.1, 1.6 Hz, 1H), 6.29 (d, *J* = 11.5 Hz, 1H), 4.59 (t, 8.7 Hz, 2H), 3.14 (t, *J* = Hz, 2H), 2.45 (s, 3H); data for the minor isomer; 7.71 (app d, *J* = 8.1 Hz, 2H), 7.35-7.31 (m, 3H), 7.27-7.18 (m, 2H), 6.96 (s, 1H), 6.88-6.86 (m, 2H), 6.83 (dd, *J* = 8.2, 1.8 Hz, 1H), 6.67 (d, *J* = 9.7 Hz, 1H), 6.66 (d, *J* = 11.7 Hz, 1H), 6.18 (d, *J* = 11.6 Hz, 1H), 4.54 (t, *J* = 8.7 Hz, 2H), 3.14 (t, *J* = 8.7 Hz, 2H), 2.45 Hz (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 160.0, 159.6, 144.0, 143.9, 139.8, 136.8, 136.7, 136.6, 132.2, 129.9, 129.5, 129.4, 129.3, 128.3, 128.1, 127.3, 127.0, 126.8, 126.7, 126.6, 126.5, 126.2, 123.2, 119.9, 118.5, 110.0, 109.0, 71.4, 29.6, 21.6; IR (film): 3252, 2919, 1492, 1348, 1167, 669 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{21}NO_3S$: 391.1242 ; found : 391.1243.

***N*-(2-(Benzo[d][1,3]dioxol-5-yl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4ai)** : 55.1 mg (70%), R_f = 0.3 (EtOAc:hexane = 1:3); white solid; mp 68.9-72.5 ^{o}C; *E*/*Z* ratio 1.42:1; ^{1}H NMR 400 MHz, $CDCl_3$) data for the major isomer; δ 7.72 (app d, *J* = 8.3 Hz, 2H), 7.34 (app d, *J* = 7.2 Hz,

2H), 7.35-7.31 (m, 1H), 7.27-7.21 (, 2H), 7.11 (app d, J = 8.3 Hz, 2H), 6.78 (d, J = 7.9 Hz, 1H), 6.75 (d, J = 11.6 Hz, 1H), 6.38 (dd, J = 7.9, 1.6 Hz, 1H), 6.33 (d, J = 1.6 Hz, 1H), 6.32 (d, J = 11.6 Hz, 1H), 5.99 (s, 2H), 2.45 (s, 3H); data for the minor isomer; 7.71 (app d, J = 8.2 Hz, 2H), 7.35-7.31 (m, 2H), 7.27-7.21 (m, 3H), 6.89-6.87 (m, 2H), 6.68 (d, J = 8.0 Hz, 1H), 6.66 (d, J = 11.4 Hz, 1H), 6.59 (d, J = 1.7 Hz, 1H), 6.54 (dd, J = 8.0, 1.8 Hz, 1H), 6.20 (d, J = 11.5 Hz, 1H), 5.92 (s, 2H), 2.46 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 148.5, 147.8, 147.5, 146.9, 144.0, 144.0, 139.4, 136.66, 136.64, 136.4, 133.8, 130.0, 129.9, 129.5, 129.4, 128.4, 128.2, 127.1, 126.8, 126.7, 126.2, 125.8, 122.9, 120.5, 120.3, 119.2, 109.9, 109.1, 108.1, 107.0, 101.3, 101.1, 21.6; IR (film): 3280, 3060, 2897, 1487, 1352, 1166, 812 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{22}H_{19}NO_4S$: 393.1035 ; found : 393.1032.

***N*-(2-(4-Methoxynaphthalen-1-yl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4aj)**[7] : 68.7 mg (80%), R_f = 0.3 (EtOAc:hexane = 1:3); white solid; mp 170-173.3 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 8.29 (d, J = 8.4 Hz, 1H), 7.60 (d, J = 8.3 Hz, 2H), 7.43 (ddd, J = 8.2, 6.7, 1.2 Hz, 1H), 7.28-7.25 (m, 3H), 7.21-7.15 (m, 3H), 7.14-7.11 (m, 3H), 7.09 (d, J = 11.6 Hz, 1h), 7.00 (d, J = 7.8 Hz, 1H), 6.80 (d, J = 7.9 Hz, 1H), 5.98 (d, J = 11.6 Hz, 1H), 4.04 (s, 3H), 2.45 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 155.9, 143.9, 139.3, 136.8, 132.2, 129.8, 128.5, 128.2, 127.1, 126.9, 126.8, 126.2, 125.6, 125.5, 124.8, 124.7, 123.7, 122.5, 121.6, 103.8, 55.6, 21.6; IR (film): 3266, 3062, 1585, 1348, 1162, 1089, 764 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{26}H_{23}NO_3S$: 429.1399 ; found : 429.1398.

4-Methyl-*N*-(2-phenyl-2-(2,4,5-trimethoxyphenyl)vinyl)benzenesulfonamide (4ak) : 62.4 mg (71%), R_f = 0.2 (EtOAc:hexane = 1:3); pale yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ 7.73 (app d, J = 8.3 Hz, 2H), 7.30 (d, J = 8.0 Hz, 2H), 7.27-7.23 (m, 2H), 7.21-7.18 (m, 1H), 7.17-7.14 (m, 2H), 6.85 (d, J = 11.2 Hz, 1H), 6.56 (s, 1H), 6.35 (d, J = 11.2 Hz, 1H), 6.29 (s, 1H), 3.93 (s, 3H), 3.63 (s, 3H), 3.49 (s, 3H), 2.41 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 151.1, 149.8, 143.8, 143.6,

139.6, 137.3, 129.7, 128.4, 126.8, 126.2, 121.4, 121.1, 115.8, 114.2, 98.8, 57.0, 56.1, 21.5; IR (film): 3259, 2935, 1511, 1158, 811, 668 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{24}H_{25}NO_5S$: 439.1453 ; found : 439.1451.

4-Methyl-*N*-(2-phenyl-2-(2,4,6-trimethoxyphenyl)vinyl)benzenesulfonamide (4al) : 46.6 mg (53%), R_f = 0.15 (EtOAc:hexane = 1:3); white solid; mp 165-168 ^oC; ^1H NMR (400 MHz, $CDCl_3$) δ 7.71 (app d, *J* = 8.3 Hz, 2H), 7.28 (d, *J* = 8.3 Hz, 2H), 7.22-7.18 (m, 2H), 7.15-7.11 (m, 3H), 6.92 (d, *J* = 11.4 Hz, 1H), 6.13 (s, 2H), 6.10 (d, *J* = 10.4 Hz, 1H), 3.85 (s, 3H), 3.45 (s, 6H), 2.40 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 161.8, 158.8, 143.4, 139.2, 137.7, 129.6, 128.2, 126.8, 126.3, 125.1, 121.5, 117.1, 104.6, 91.0, 55.6, 55.4, 21.5; IR (film): 3260, 2939, 1587, 1328, 1161, 813 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{24}H_{25}NO_5S$: 439.1453 ; found : 439.1454.

***N*-(2-(4-Methoxy-2-(pent-2-yn-1-yloxy)phenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4am)** : 81.2 mg (88%), R_f = 0.35 (EtOAc:hexane = 1:3); brown oil; *E*/*Z* ratio 1.5:1; ^1H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.71 (dt, *J* = 8.7, 1.9 Hz, 2H), 7.30 (d, *J* = 8.4 Hz, 2H), 7.24-7.10 (m, 4H), 6.84 (d, *J* = 11.4 Hz, 1H), 6.70-6.67 (m, 1H), 6.57 (d, *J* = 2.4 Hz, 1H), 6.53-6.49 (m, 1H), 6.24 (d, *J* = 11.4 Hz, 1H), 4.68 (t, *J* = 2.1 Hz, 2H), 3.49 (s, 3H), 2.42 (s, 3H), 2.25 (qt, *J* = 12.5, 2.1 Hz, 2H), 1.16 (t, *J* = 7.5 Hz, 3H); data for the minor isomer; 7.75 (dt, *J* = 8.7, 1.9 Hz, 2H), 7.30 (d, *J* = 8.4 Hz, 2H), 7.24-7.10 (m, 4H), 6.80 (d, *J* = 11.4 Hz, 1H), 6.71 (d, *J* = 8.4 Hz, 1H), 6.69-6.67 (m, 1H), 6.52-6.49 (m, 1H), 6.34 (d, *J* = 11.3 Hz, 1H), 4.34 (t, *J* = 2.1 Hz, 2H), 3.83 (s, 3H), 2.42 (s, 3H), 2.18 (qt, *J* = 12.5, 2.1 Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.7, 159.4, 157.9, 155.8, 143.7, 143.6, 140.0, 139.7, 137.3, 137.2, 132.3, 132.1, 129.8, 129.7, 128.3, 128.2, 126.9, 126.8, 126.7, 126.6, 126.3, 126.0, 121.6, 121.2, 121.03, 121.00, 117.7, 117.3, 106.9, 106.5, 101.2, 100.2, 90.3, 90.0, 77.3, 74.0, 73.9, 56.68, 56.65, 55.6, 55.5, 21.6, 13.7, 13.6, 12.6, 12.5; IR (film): 3276, 3061, 3029, 2976, 1606, 1348, 1164, 1090 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{27}H_{27}NO_4S$: 461.1661 ; found : 461.1662.

***N*-(2-(4-(4-Bromobutoxy)phenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (4an)** : 70.7 mg (70%), R_f = 0.35 (EtOAc:hexane = 1:3); yellow oil; *E*/*Z* ratio 1.36:1; ^{1}H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.72 (d, *J* = 8.3 Hz, 2H), 7.34-7.30 (m, 2H), 7.26-.7.18 (m, 2H), 7.11-7.08 (m, 2H), 6.89-6.77 (m, 4H), 6.75 (d, *J* = 3.2 Hz, 1H), 6.30 (d, *J* = 11.6 Hz, 1H), 4.00 (t, *J* = 6.0 Hz, 2H), 3.51 (t, *J* = 6.6 Hz, 2H), 2.44 (s, 3H), 2.12-1.88 (m, 4H); data for the minor isomer; 7.71 (d, *J* = 8.3 Hz, 2H), 7.34-7.30 (m, 3H), 7.26-7.18 (m, 2H), 7.01 (dt, *J* = 9.8, 2.5 Hz, 2H), 6.89-6.77 (m, 4H), 6.69 (d, *J* = 11.5 Hz, 1H), 6.22 (d, *J* = 11.6 Hz, 1H), 3.95 (t, *J* = 6.0 Hz, 2H), 3.47 (t, *J* = 6.6 Hz, 2H), 2.44 (s, 3H), 2.12-1.88 (m, 4H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 158.7, 158.2, 144.0, 143.9, 139.7, 136.7, 136.6, 136.5, 132.1, 130.9, 130.0, 129.9, 129.6, 129.4, 128.4, 128.1, 127.8, 127.1, 126.8, 126.7, 126.6, 126.2, 125.9, 120.1, 118.8, 115.3, 114.3, 66.9, 66.8, 33.5, 29.4, 27.9, 21.7; IR (film): 3271, 3059, 2950, 1722, 1350, 1163, 1083, 543 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{25}H_{26}BrNO_3S$: 499.0817 ; found : 499.0819.

***N*-(2-(2-Bromophenyl)-2-(4-phenoxyphenyl)vinyl)-4-methylbenzenesulfonamide (4lc)** : 69.6 mg (65%), R_f = 0.3 (EtOAc:hexane = 1:3); ivory solid; mp 167-170 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$); δ 7.72 (d, *J* = 8.3 Hz, 2H), 7.62 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.34-7.29 (m, 5H), 7.25-7.21 (m, 1H), 7.11-7.07 (m, 1H), 7.03 (dt, *J* = 9.6, 2.5 Hz, 2H), 7.00-6.97 (m, 2H), 6.93 (dd, *J* = 7.5, 1.7 Hz, 1H), 6.89-6.83 (m, 3H), 6.01 (d, *J* = 11.6 Hz, 1H), 2.42 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 156.9, 156.5, 144.1, 136.9, 136.6, 133.8, 132.8, 131.9, 130.2, 129.9, 129.8, 128.4, 127.0, 126.9, 124.5, 123.7, 123.5, 120.6, 119.0, 118.7, 21.6; IR (film): 3222, 1705, 1659, 1471, 1320, 1204, 540 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{27}H_{22}BrNO_3S$: 519.0504 ; found : 519.0502.

***N*-(2-(2-Bromophenyl)-2-(2,4-dimethoxyphenyl)vinyl)-4-methylbenzenesulfonamide (4lg)** : 87.9 mg (90%), R_f = 0.3 (EtOAc:hexane = 1:3); brown soild; mp 34-40 ^{o}C; *E*/*Z* ratio 3:1; ^{1}H NMR (400 MHz, $CDCl_3$), data for the major isomer; δ 7.75 (d, *J* = 8.2 Hz, 2H), 7.48 (d, *J* = 7.8 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 2H), 7.27-7.24 (m, 2H), 7.12-7.07 (m, 1H), 6.80 (d, *J* = 11.0 Hz, 1H), 6.68 (d, *J*

= 8.5 Hz, 1H), 6.50-6.46 (m, 2H), 6.38 (dd, *J* = 8.5, 2.4 Hz, 1H), 3.77 (s, 3H), 3.63 (s, 3H), 2.43 (s, 3H); data for the minor isomer; 7.73 (d, *J* = 8.7 Hz, 2H), 7.57 (dd, *J* = 8.0, 1.0 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 2H), 7.27-7.24 (m, 1H), 7.21-7.14 (m, 2H), 7.11-7.01 (m, 1H), 6.96 (dd, *J* = 7.5, 1.7 Hz, 1H), 6.68 (d, *J* = 8.5 Hz, 1H), 6.44 (d, *J* = 2.4 Hz, 1H), 6.33 (dd, *J* = 8.6, 2.4 Hz, 1H), 5.95 (d, *J* = 11.9 Hz, 1H), 3.75 (s, 3H), 3.74 (s, 3H), 2.42 (s, 3H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 160.7, 159.7, 15.8, 157.1, 143.77, 143.66, 141.8, 137.9, 137.5, 137.1, 133.5, 133.2, 132.08, 132.06, 131.6, 130.5, 129.7, 129.5, 128.5, 128.1, 127.2, 127.0, 126.8, 124.4, 124.2, 124.1, 123.3, 120.7, 120.4, 119.7, 118.0, 105.5, 104.2, 99.4, 99.2, 55.9, 55.5, 55.4, 55.3, 21.6; IR (film): 3279, 3062, 2937, 1607, 1347, 1164, 1090, 544 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{22}BrNO_4S$: 487.0453 ; found : 487.0456.

***N*-(2-(2-Bromophenyl)-2-(2,3-dihydrobenzofuran-5-yl)vinyl)-4-methylbenzenesulfonamide (4lh)** : 53.6 mg (57%), R_f = 0.3 (EtOAc:hexane = 1:3); ivory solid; mp 51-53 ^{o}C; *E*/*Z* ratio 1.5:1; ^{1}H NMR (400 MHz, $CDCl_3$), data for the major isomer; 7.71 (d, *J* = 8.4 Hz, 2H), 7.61 (dd, *J* =8.0, 1.2 Hz, 1H), 7.31-7.29 (m, 2H), 6.96 (d, *J* = 1.4 Hz, 1H), 6.88 (dd, *J* = 7.6, 1.9 Hz, 1H), 6.79-6.76 (m, 2H), 6.73-6.64 (m, 3H), 5.97 (d, *J* = 11.6 Hz, 1H), 4.56-4.51 (m, 2H), 3.12 (q, *J* = 8.6 Hz, 2H), 2.43 (s, 3H); data for the minor isomer; 7.76 (d, *J* = 8.3 Hz, 2H), 7.51 (dd, *J* = 7.9, 1.1 Hz, 1H), 7.35-7.34 (m, 2H), 7.31-7.29 (m, 1H), 7.28-7.26 (m, 1H), 7.24-7.22 (m, 2H), 7.17-7.10 (m, 2H), 6.80-6.76 (m, 1H), 6.42 (d, *J* = 11.6 Hz, 1H), 4.56-4.51 (m, 2H), 3.12 (q, *J* = 8.6 Hz, 2H), 2.45 (s, 3H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 159.7, 159.5, 144.1, 144.0, 141.2, 137.1, 136.9, 136.86, 133.7, 133.2, 132.1, 131.9, 130.4, 130.0, 129.93, 129.90, 128.9, 128.7, 128.5, 128.3, 128.0, 127.6, 127.3, 127.0, 125.9, 125.4, 124.6, 124.5, 124.4, 124.2, 122.9, 122.2, 119.5, 109.7, 109.2, 77.3, 71.5, 29.64, 29.60, 21.66, 21.63; IR (film): 3267, 1641, 1348, 1166, 1147, 1090, 667 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{20}BrNO_3S$: 469.0347 ; found : 469.0346.

Synthetic procedure of indene derivatives *via* intramolecular arylation : Solvent was added to a

mixture of $Rh_2(esp)_2$ (1.52 mg, 0.002 mmol) and 4-(3-methoxyphenethyl)-1-tosyl-1*H*-1,2,3-triazole (71.5 mg, 0.2 mmol) in an oven-dried test tube equipped with a stir bar. The mixture was stirred for 30 min at 100 °C until **5** was completely consumed by TLC. Then, the resulting mixture was purified *via* silica gel flash column chromatography using EtOAc:hexane = 1:3 or ether:DCM:hexane = 1:2:5 to give the product **6**.

4-(3-Methoxyphenethyl)-1-tosyl-1*H*-1,2,3-triazole (5)[1b,12,13] : R_f = 0.4 (EtOAc:hexane = 1:5); ivory solid; mp 71-74 °C; ^{1}H NMR (400 MHz, $CDCl_3$); δ 7.94 (d, J = 8.4 Hz, 2H), 7.69 (s, 1H), 7.38 (d, J = 8.0 Hz, 2H), 7.17 (t, J = 7.9 Hz, 1H), 6.77-6.74 (m, 1H), 3.76 (s, 3H), 3.05-2.92 (m, 4H), 2.46 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 159.7, 147.10, 147.05, 142.0, 133.3, 130.4, 129.5, 128.5, 120.8, 120.7, 114.1, 111.7, 55.1, 35.1, 27.2, 21.9; IR (film): 3268, 3065, 1683, 1338, 1161, 1088 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{18}H_{19}N_3O_3S$: 357.1147 ; found : 357.1146.

***N*-((5-Methoxy-2,3-dihydro-1*H*-inden-1-ylidene)methyl)-4-methylbenzenesulfonamide (6)** : 32.9 mg (50%), R_f = 0.3 (ether:DCM:hexane = 1:2:5); ivory soild; mp 45-51 °C; ^{1}H NMR (400 MHz, $CDCl_3$) 7.78 (d, J = 8.3 Hz, 2H), 7.29 (d, J = 8.5 Hz, 2H), 7.24 (d, J = 9.2 Hz, 1H), 6.73-6.71 (m, 2H), 6.51 (dt, J = 10.4, 2.4 Hz, 1H), 6.40 (d, J = 10.5 Hz, 1H), 3.77 (s, 3H), 2.93-2.89 (m, 2H), 2.53-2.49 (m, 2H), 2.40 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 159.7, 147.1, 143.7, 135.2, 132.3, 129.8, 128.6, 126.8, 120.2, 113.4, 111.3, 109.8, 55.4, 30.2, 26.8, 21.6; IR (film): 3251, 3061, 1701, 1330, 1207, 1052 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{18}H_{19}NO_3S$: 329.1086 ; found : 329.1084.

Synthetic procedure of benzenesulfonamide derivatives *via* hydrogenation : Toluene was added to a mixture of 2,2-diaryl enamine (0.2 mmol), and $NaBH_3CN$ (25.1 mg) in an oven-dried test tube equipped with a stir bar. The mixture was stirred for 8 h at 80 °C until **3** and **4** was completely consumed by TLC. Then, the resulting mixture was diluted with ether and filtered through a pad of Celite. The filtrate was concentrated under reduced pressure, and the residue was purified *via* silica gel flash column chromatography to give the product **9**.

***N*-(2-(4-Methoxyphenyl)-2-phenylethyl)-4-methylbenzenesulfonamide (9a)**[14] : 65.6 mg (86%), R_f = 0.2 (EtOAc:hexane = 1:3); white solid; mp 120.1-123.5 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, J = 8.2 Hz, 2H), 7.30 (d, J = 8.1 Hz, 2H), 7.29-7.24 (m, 2H), 7.21-7.18 (m, 1H), 7.07 (app d, J = 7.1 Hz, 2H), 7.00 (app d, J = 8.7 Hz, 2H), 6.80 (app d, J = 8.7 Hz, 2H), 4.33 (t, J = 6.0 Hz, 1H), 4.00 (t, J = 8.0 Hz, 1H), 3.76 (s, 3H), 3.55-3.45 (m, 2H), 2.44 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 158.6, 143.5, 141.1, 136.7, 132.7, 129.8, 129.0, 128.9, 127.2, 127.1, 114.3, 55.3, 49.7, 47.4, 21.6; IR (film): 3281, 2933, 1512, 1328, 1159, 814 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{22}H_{23}NO_3S$: 381.1399 ; found : 381.1400.

4-methyl-*N*-(2-(4-phenoxyphenyl)-2-phenylethyl)benzenesulfonamide (9b) : 55.0 mg (62%), R_f = 0.35 (EtOAc:hexane = 1:3); white solid; mp 117.7-120.5 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (d, J = 8.2 Hz, 2H), 7.35-7.25 (m, 6H), 7.24-7.20 (m, 1H), 7.12-7.08 (m, 3H), 7.04 (app d, J = 8.6 Hz, 2H), 6.98 (app d, J = 7.6 Hz, 2H), 6.89 (app d, J = 8.6 Hz, 2H), 4.34 (s, 1H), 4.04 (t, J = 7.9 Hz, 1H), 3.53 (d, J = 7.9 Hz, 1H), 3.51 (d, J = 7.9 Hz, 1H), 2.44 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 156.8, 156.4, 143.6, 140.7, 136.7, 135.3, 129.8, 129.2, 128.9, 127.9, 127.2, 127.1, 123.5, 119.0, 118.9, 49.9, 47.3, 21.6; IR (film): 3282, 3029, 1488, 1328, 1159, 814, 699 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{27}H_{25}NO_3S$: 443.1555 ; found : 443.1553.

***N*-(2-(4-Methoxynaphthalen-1-yl)-2-phenylethyl)-4-methylbenzenesulfonamide (9c)**[14] : 64.7 mg (75%), R_f = 0.25 (EtOAc:hexane = 1:3); white solid; mp 197.6-200.5 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (app d, J = 7.7 Hz, 1H), 7.74 (app d, J = 7.7 Hz, 1H), 7.65 (d, J = 8.2 Hz, 1H), 7.46-7.38 (m, 2H), 7.26-7.23 (m, 4H), 7.20-7.11 (m, 4H), 6.72 (d, J = 8.0 Hz, 1H), 4.73 (t, J = 7.6 Hz, 1H), 4.50 (t, J = 6.0 Hz, 1H), 3.98 (s, 3H), 3.71-3.57 (m, 2H), 2.43 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 154.9, 143.5, 141.1, 136.8, 132.5, 129.7, 128.8, 128.1, 127.8, 127.1, 127.0, 126.8, 126.2, 125.1, 124.4, 123.0, 122.7, 102.9, 55.5, 47.1, 45.6, 21.6; IR (film): 3293, 2928, 1585, 1384, 1150, 900, 759 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{26}H_{25}NO_3S$: 431.1555 ; found : 431.1555.

Synthetic procedure of indole derivatives : Toluene was added to a mixture of CuI (5 mol %), K_3PO_4 (2.0 equiv), *N,N*'-DMEDA (10 mol %), and 2,2-diaryl enamine (0.2 mmol, 1 equiv) in an oven-dried test tube equipped with a stir bar. The mixture was stirred for 4.5 h at 75 ^oC until **4** was completely consumed by TLC. Then, the resulting mixture was purified *via* silica gel flash column chromatography using EtOAc:hexane = 1:10 to give the product **10**.

3-(4-Phenoxyphenyl)-1-tosyl-1*H*-indole (10a) : 57.1 mg (65%), R_f = 0.3 (EtOAc:hexane = 1:3); yellow solid; mp 55-62 ^oC; ^1H NMR (400 MHz, $CDCl_3$); 7.98 (d, *J* = 8.2 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.67 (d, *J* = 7.7 Hz, 1H), 7.59 (s, 1H), 7.48 (dt, *J* = 9.4, 2.4 Hz, 2H), 7.31-7.26 (m, 3H), 7.22-7.18 (m, 1H), 7.14 (d, *J* = 8.0 Hz, 2H), 7.08-7.03 (m, 1H), 7.03-6.98 (m, 4H), 2.25 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 157.0, 156.9, 145.1, 135.5, 135.2, 130.0, 129.9, 129.4, 129.3, 128.0, 126.9, 124.9, 123.57, 123.55, 123.4, 122.7, 120.4, 119.2, 119.1, 113.9, 21.6; IR (film): 3051, 1728, 1589, 1488, 1372, 1175, 1132 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{27}H_{21}NO_3S$: 439.1242 ; found : 439.1241.

3-(2,4-Dimethoxyphenyl)-1-tosyl-1*H*-indole (10b) : 67.6 mg (83%), R_f = 0.3 (EtOAc:hexane = 1:10); yellow solid; mp 51-57 ^oC; ^1H NMR (400 MHz, $CDCl_3$); 8.02 (d, *J* = 8.2 Hz, 1H), 7.79 (d, *J* = 8.2 Hz, 2H), 7.73 (s, 1H), 7.57 (d, *J* = 7.8 Hz, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.24-7.19 (m, 3H), 6.60-6.56 (m, 2H), 3.85 (s, 3H), 3.80 (s, 3H), 2.31 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.5, 158.0, 144.8, 135.4, 135.0, 131.1, 130.5, 129.8, 126.9, 124.7, 124.4, 123.1, 121.1, 119.4, 114.4, 113.6, 104.5, 99.1, 55.50, 55.48, 21.6; IR (film): 3050, 2959, 1614, 1370, 1174, 1089 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{21}NO_4S$: 407.1191 ; found : 407.1193.

3-(2,3-Dihydrobenzofuran-5-yl)-1-tosyl-1*H*-indole (10c) : 53.7 mg (69%), R_f = 0.3 (EtOAc:hexane = 1:10); yellow oil; ^1H NMR (400 MHz, $CDCl_3$); 8.05 (d, *J* = 8.2 Hz, 1H), 7.79 (d, *J* =8.4 Hz, 2H), 7.73 (d, *J* = 7.8 Hz, 1H), 7.60 (s, 1H), 7.41 (s, 1H), 7.37-7.33 (m, 2H), 7.28-7.25 (m, 2H), 7.20 (d, *J* = 8.2 Hz, 2H), 6.87 (d, *J* = 8.2 Hz, 1H), 4.62 (t, *J* = 8.7 Hz, 2H), 3.27 (t, *J* = 8.7 Hz,

2H), 2.30 (s, 3H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 159.8, 144.9, 135.5, 135.2, 129.9, 129.6, 127.8, 126.9, 125.3, 124.8, 124.6, 124.2, 123.5, 122.2, 120.4, 113.9, 109.7, 71.5, 29.8, 21.6; IR (film): 3051, 2918, 1596, 1490, 1370, 1174, 1127 cm^{-1}; HRMS (EI): *m/z* calcd for $C_{23}H_{19}NO_3S$: 389.1086 ; found : 389.1083.

ASSOCIATED CONTENT

Supporting Information

Copies of NMR spectra for all products. 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.

ACKNOWLEDGMENT

This work was supported by the National Research Foundation of Korea (NRF) grant funded by the Korea government (MSIP) (No. 2014001403).

REFERENCES

1. (a) Yoo, E. J.; Ahlquist, M.; Kim, S. H.; Bae, I.; Fokin, V. V.; Sharpless, K. B.; Chang, S. *Angew. Chem., Int. Ed.* **2007**, *46*, 1730. (b) Raushel, J.; Fokin, V. V. *Org. Lett.* **2010**, *12*, 4952. (c) Liu, Y.;

Wang, X.; Xu, J.; Zhang, Q.; Zhao, Y.; Hu, Y. *Tetrahedron* **2011**, *67*, 6294.

2. For reviews, see: (a) Chattopadhyay, B.; Gevorgyan, V. *Angew. Chem., Int. Ed.* **2012**, *51*, 862. (b) Davies, H. M. L.; Alford, J. S. *Chem. Soc. Rev.* **2014**, *43*, 5151. (c) Anbarasan, P.; Yadagiri, D.; Rajasekar, S. *Synthesis* **2014**, *46*, 3004 and references therein.

3. (a) Horneff, T.; Chuprakov, S.; Chernyak, N.; Gevorgyan, V.; Fokin, V. V. *J. Am. Chem. Soc.* **2008**, *130*, 14972. (b) Miura, T.; Yamauchi, M.; Murakami, M. *Chem. Commun.* **2009**, 1470. (c) Zibinsky, M.; Fokin, V. V. *Org. Lett.* **2011**, *13*, 4870. (d) Chattopadhyay, B.; Gevorgyan, V. *Org. Lett.* **2011**, *13*, 3746. (e) Spangler, J. E.; Davies, H. M. L. *J. Am. Chem. Soc.* **2013**, *135*, 6802. (f) Alford, J. S.; Spangler, J. E.; Davies, H. M. L. *J. Am. Chem. Soc.* **2013**, *135*, 11712. (g) Schultz, E. E.; Sarpong, R. *J. Am. Chem. Soc.* **2013**, *135*, 4696. (h) Chuprakov, S.; Kwok, S. W.; Fokin, V. V. *J. Am. Chem. Soc.* **2013**, *135*, 4652. (i) Parr, B. T.; Green, S. A.; Davies, H. M. L. *J. Am. Chem. Soc.* **2013**, *135*, 4716. (j) Gulevich, A. V.; Gevorgyan, V. *Angew. Chem., Int. Ed.* **2013**, *52*, 1371. (k) Zibinsky, M.; Fokin, V. V. *Angew. Chem., Int. Ed.* **2013**, *52*, 1507. (l) Shi, Y.; Gevorgyan, V. *Org. Lett.* **2013**, *15*, 5394. (m) Miura, T.; Hiraga, K.; Biyajima, T.; Nakamuro, T.; Murakami, M. *Org. Lett.* **2013**, *15*, 3298. (n) Liu, R.; Zhang, M.; Winston-McPherson, G.; Tang, W. *Chem. Commun.* **2013**, *49*, 4376. (o) Miura, T.; Funakoshi, Y.; Murakami, M. *J. Am. Chem. Soc.* **2014**, *136*, 2272. (p) Kwok, S. W.; Zhang, L.; Grimster, N. P.; Fokin, V. V. *Angew. Chem., Int. Ed.* **2014**, *53*, 3452. (q) Jung, D. J.; Jeon, H. J.; Kim, J. H.; Kim, Y.; Lee, S.-g. *Org. Lett.* **2014**, *16*, 2208. (r) Tang, X.-Y.; Zhang, Y.-S.; He, L.; Wei, Y.; Shi, M. *Chem. Commun.* **2015**, *51*, 133.

4. (a) Kim, C.-E.; Park, S.; Eom, D.; Seo, B.; Lee, P. H. *Org. Lett.* **2014**, *16*, 1900. (b) Kim, S.; Mo, J.; Kim, J.; Ryu, T.; Lee, P. H. *Asian J. Org. Chem.* **2014**, *3*, 926. (c) Kim, C.-E.; Park, Y.; Park, S.; Lee, P. H. *Adv. Synth. Catal.* **2015**, *357*, 210. (d) Seo, B.; Jeon, W.; Kim, J.; Kim, S.; Lee, P. H. *J. Org. Chem.* **2015**, *80*, 722. (e) Ryu, T.; Baek, Y.; Lee, P. H. *J. Org. Chem.* **2015**, *80*, 2376. (f) Lee, E.; Ryu, T.; Shin, E.; Son, J.-Y.; Choi, W.; Lee, P. H. *Org. Lett.* DOI: 10.1021/acs.orglett.5b00977.

5. (a) Doyle, M. P.; McKervey, M. A. *Modern Catalytic Methods for Organic Synthesis with Diazo Compounds*; Wiley: New York, 1998. (b) Díaz-Requejo, M. M.; Pérez, P. J. *Chem. Rev.* **2008**, *108*, 3379. (c) Doyle, M. P.; Duffy, R.; Ratnikov, M.; Zhou, L. *Chem. Rev.* **2010**, *110*, 704. (d) Davies, H. M. L.; Morton, D. *Chem. Soc. Rev.* **2011**, *40*, 1857. (e) Davies, H. M. L.; Lian, Y.-J. *Acc. Chem. Res.* **2012**, *45*, 923.

6. Selander, N.; Worrell, B. T.; Chuprakov, S.; Velaparthi, S.; Fokin, V. V. *J. Am. Chem. Soc.* **2012**, *134*, 14670.

7. Yadagiri, D.; Anbarasan, P. *Org. Lett.* **2014**, *16*, 2510.

8. Park, S.; Yong, W.-S.; Kim, S.; Lee, P. H. *Org. Lett.* **2014**, *16*, 4468.

9. Miura, T.; Funakoshi, Y.; Murakami, M. *J. Am. Chem. Soc.* **2014**, *136*, 2272.

10. (a) Tan, E. S.; Naylor, J. C.; Groban, E. S.; Bunzow, J. R.; Jacobson, M. P.; Grandy, D. K.; Scanlan, T. S. *ACS Chem. Biol.* **2009**, *4*, 209. (b) Tan, E. S.; Groban, E. S.; Jacobson, M. P.; Scanlan, T. S. *Chem. Biol.* **2008**, *15*, 343.(c) Tan, E. S.; Miyakawa, M.; Bunzow, J. R.; Grandy, D. K.; Scanlan, T. S. *J. Med. Chem.* **2007**, *50*, 2787.

11. (a) Surry, D. S.; Buchwald, S. L. *Chem. Sci.* **2010**, *1*, 13. (b) Klapars, A.; Huang, X. H.; Buchwald, S. L. *J. Am. Chem. Soc.* **2002**, *124*, 7421.

12. Wang, L.; Peng, S.; Danence, L. J. T.; Gao, Y.; Wang, J. *Chem. Eur. J.* **2012**, *18*, 6088.

13. Reddy, B. V. S.; Borkar, P.; Yadav, J. S.; Sridhar, B.; Grée, R. *J. Org. Chem.* **2011**, *76*, 7677.

14. Bera, M.; Roy, S. *J. Org. Chem.* **2010**, *75*, 4402.