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# Diastereoselective Synthesis of $CF_3$-Containing Vicinal Diamines

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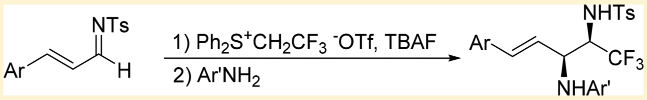
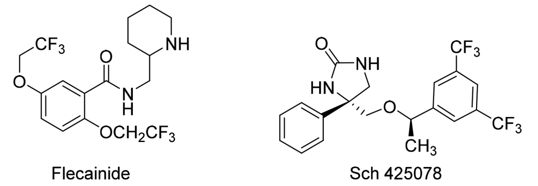
Supporting Information

**ABSTRACT:** The highly diastereoselective synthesis of $CF_3$-containing vicinal diamines by a convenient two-step procedure without the need to isolate the intermediate products is described.



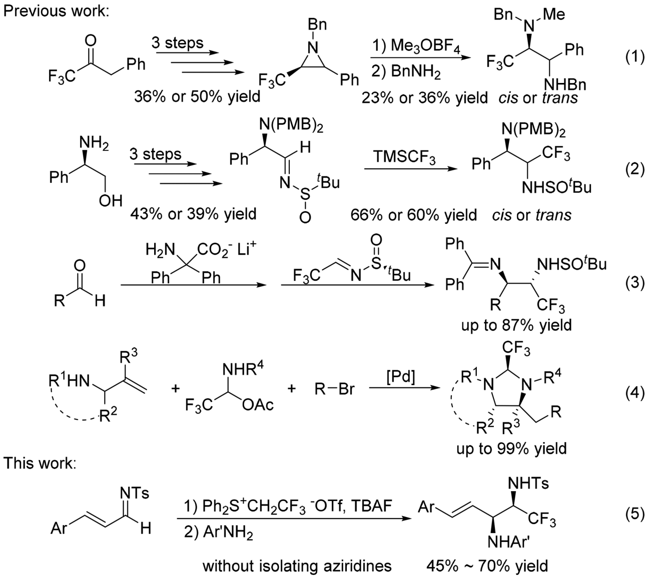
Vicinal diamines represent highly important scaffolds in natural products, pharmaceuticals, and agrochemicals.[1] Because the trifluoromethyl group ($CF_3$) has proved to be a valuable pharmacophore in medicinal chemistry and agrochemistry,[2] its incorporation into vicinal diamines may improve biological properties. Indeed, biologically active $CF_3$-containing vicinal diamines have appeared. For instance, Flecainide is a commercially available drug which is used to prevent and treat tachyarrhythmias (abnormal fast rhythms of the heart),[3] and Sch 425078 has been shown to be a potent neurokinin antagonist (Scheme 1).[4] Therefore, it is highly desirable to develop mild protocols to access $CF_3$-vicinal diamines. Surprisingly, although significant efforts have been directed toward the exploration of efficient methods to prepare vicinal diamines,[1e,5] the diastereoselective synthesis of $CF_3$-containing vicinal diamines remains largely unexplored.

**Scheme 1. Biologically Active $CF_3$-Containing Vicinal Diamines**



Although $CF_3$-containing vicinal diamines could be easily obtained,[6] their diastereoselective synthesis has not been realized until recently. In 2014, D'hooghe, De Kimpe et al. described the Lewis acid promoted ring opening of aziridine to give $CF_3$-vicinal diamines (Scheme 2, eq 1).[7] Almost at the same time, Fustero and co-workers obtained the diamine derivatives by trifluoromethylation of $\alpha$-amino imines (eq 2).[8] Although these examples represented a breakthrough in the diastereoselective synthesis of $CF_3$-vicinal diamines, a multistep procedure and low yields limit their synthetic utility. In 2015, Han, Soloshonok et al. reported a two-step procedure to achieve diamines in high yields (eq 3).[9] Recently, the group of Waser disclosed the Pd-catalyzed three-component synthesis of diamines via in situ aminal formation and carboamination (eq 4).[10] In all of these reactions, high diastereoselectivity was obtained (eqs 1−4). But the convenient and diastereoselective synthesis of $CF_3$-containing vicinal diamines remains a challenging task.

**Scheme 2. Synthesis of $CF_3$-Containing Vicinal Diamines**



We have previously found that $CF_3$-aziridines could be easily prepared in high yields and with high diastereoselectivity by cyclization of imines with sulfonium ylide $[Ph_2S^+CH^-CF_3]$ generated in situ from sulfonium salt **1** $[Ph_2S^+CH_2CF_3$ $^-OTf]$.[11] We speculated that ring opening of $CF_3$-azirindes with amines may furnish $CF_3$-containing vicinal diamines. In continuation of our research interest in the incorporation of

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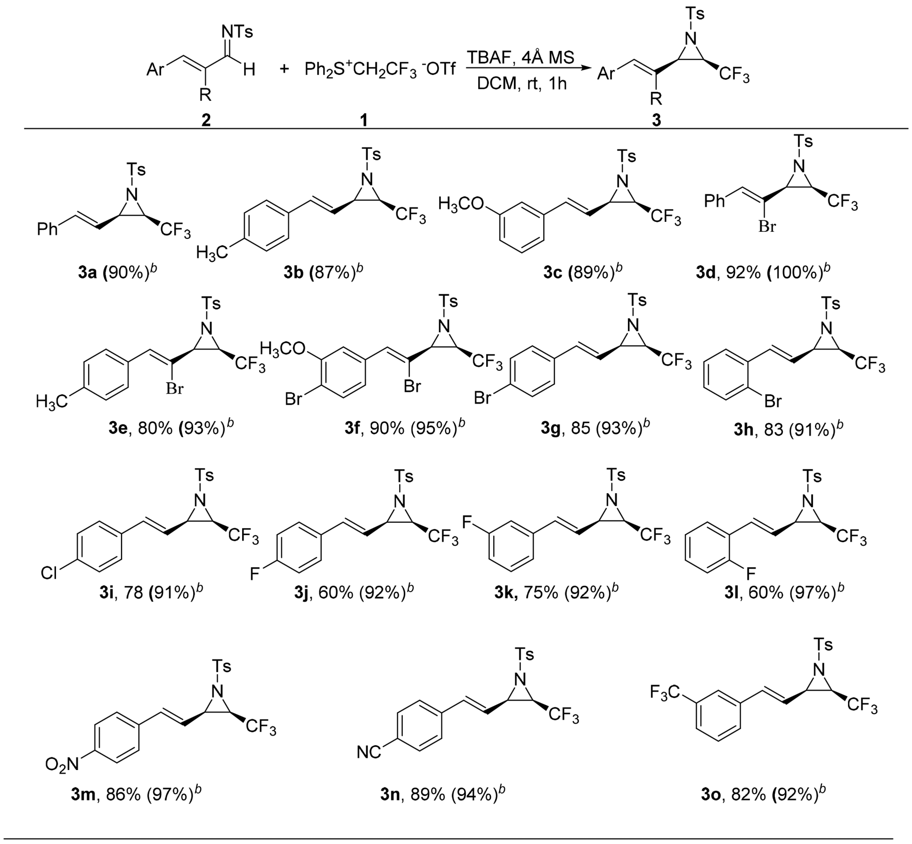
**Scheme 3. Synthesis of Vinyl Imines**[a]



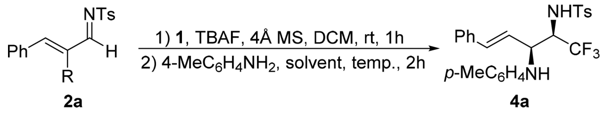
[a] Isolated yields. [b] The yields in parentheses were determined by $^{19}F$ NMR spectrometry.

$CF_3$ moiety,[11,12] we have now investigated the convenient synthesis of $CF_3$-vicinal diamines from imines without the need to isolate the intermediate $CF_3$-aziridines (Scheme 2, eq 5).

The $CF_3$-aziridines we have made before were aryl aziridines.[11] In this work, we were interested in vinyl aziridines since the vinyl moiety is also a potential functionality for further transformation. Therefore, we first tested if vinyl aziridines could be easily obtained. To our delight, under the same conditions for cyclization of aryl imines,[11] vinyl imines were converted smoothly into vinyl aziridines in high yields (Scheme 3). High diastereoselectivity was observed in all reactions (*cis*/*trans* > 9:1). Although high yields were obtained for the conversion of electron-neutral and -rich imines, the desired products were unstable and therefore could not be isolated (**3a**–**3c**). Interestingly, the presence of a bromo-substituent in the vinyl moiety could stabilize the products (**3d**–**3f**). Electron-deficient imines were well transformed, and the expected products were isolated in good yields (**3g**–**3o**). The structure and relative configuration of product **3m** were confirmed by single crystal X-ray diffraction.[13]

Since the availability of $CF_3$-aziridines was not an issue, we then examined the convenient synthesis of $CF_3$-vicinal diamines without isolating $CF_3$-aziridines. A two-step procedure was performed, including cyclization of vinyl imine to give aziridines (step 1) and ring opening of aziridine by an aryl amine (step 2) to afford the desired product. To our delight, the one-pot procedure by cyclization of substrate **2a** and the subsequent direct addition of 4-methylphenyl amine (4-$MeC_6H_4NH_2$) could furnish product **4a** in 56% yield (Table 1, entry 1). Elevating the reaction temperature of step 2 increased the yield

**Table 1. Screening Conditions for the Synthesis of $CF_3$-Vicinal Diamines**[a]



| entry | solvent | temp (°C) | ratio[b] | yield (%)[c] |
|---|---|---|---|---|
| 1[d] | DCM | rt | 1:1:1.25:1 | 56 |
| 2[d] | DCM | reflux | 1:1:1.25:1 | 65 |
| 3 | DMA | 60 | 1:1:1.25:1 | 76 |
| 4 | NMP | 60 | 1:1:1.25:1 | 35 |
| 5 | $CH_3CN$ | 60 | 1:1:1.25:1 | trace |
| 6 | THF | 60 | 1:1:1.25:1 | 33 |
| 7 | 1,4-Dioxane | 60 | 1:1:1.25:1 | 35 |
| 8 | DMA | 60 | 1:1:1.25:1.25 | 74 |
| 9 | DMA | 60 | 1:1:1.25:1.7 | 65 |
| 10 | DMA | rt | 1:1:1.25:1 | 72 |
| 11 | DMA | 40 | 1:1:1.25:1 | 71 |
| 12 | DMA | 80 | 1:1:1.25:1 | 71 |

[a] Reaction conditions: substrate **2a** (0.2 mmol), salt **1**, TBAF, 4 Å MS (80 mg) in DCM (2 mL) at rt under a $N_2$ atmosphere for 1 h (step 1). 4 Å MS was removed by filtration. The filtrate was concentrated to give crude aziridine, into which was added 4-$MeC_6H_4NH_2$ and solvent (2 mL) under a $N_2$ atmosphere. The reaction system was further stirred at the indicated temperature for 2 h (step 2). [b] Molar ratio of **2a**/**1**/TBAF/4-$MeC_6H_4NH_2$. [c] The yields were determined by $^{19}F$ NMR spectrometry. [d] After step 1, 4-$MeC_6H_4NH_2$ was added directly, and the resulting mixture was further stirred to afford product **4a**.

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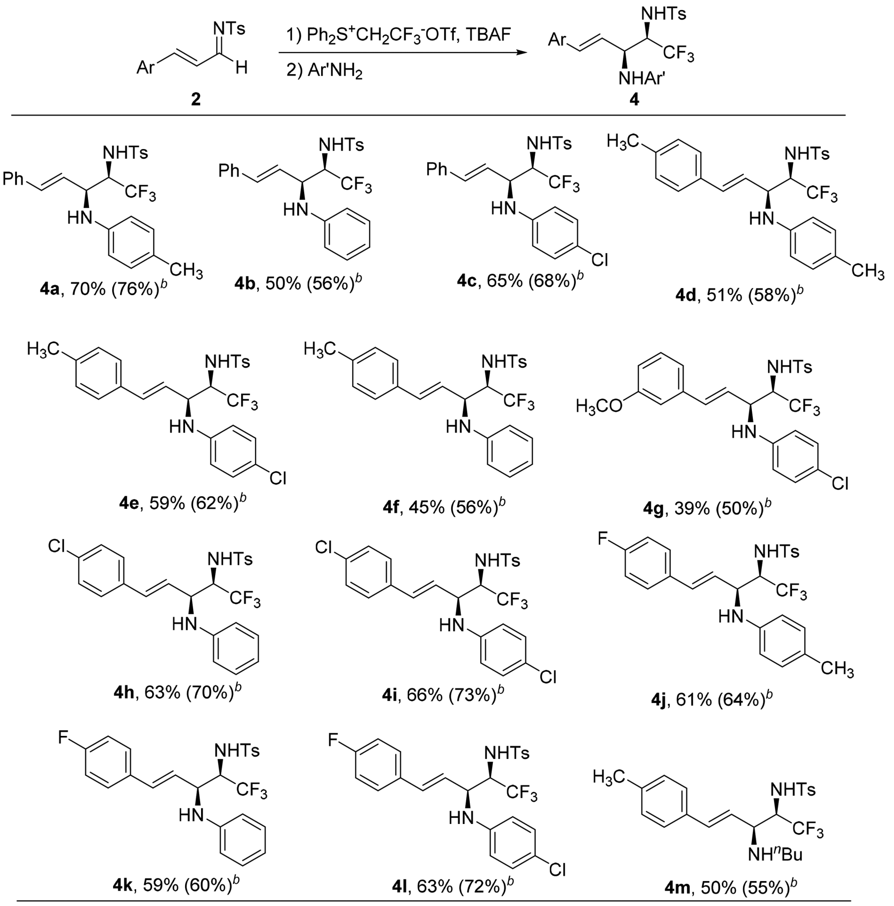
Scheme 4. Synthesis of $CF_3$-Vicinal Diamines[a]



[a] Reaction conditions: substrate **2** (0.2 mmol), salt **1** (1 equiv), TBAF (0.25 mL, 1 M), 4 Å MS (80 mg) in DCM (2 mL) at rt for 1 h (step 1); 4 Å MS was removed by filtration. The filtrate was concentrated to give crude aziridine, into which was added amine (1 equiv) and DMA (2 mL). The reaction system was further stirred at 60 °C for 2 h (step 2). Isolated yields. [b] The yields in parentheses were determined by $^{19}F$ NMR spectrometry.

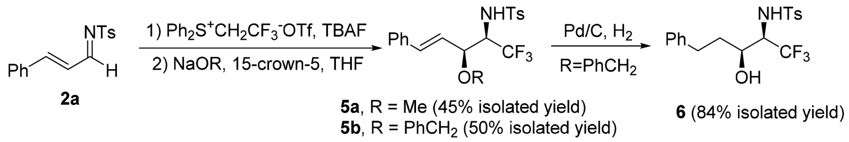
slightly (entry 2). DCM in step 2 should be replaced by another solvent which has a higher boiling point; otherwise, the reaction temperature cannot be further elevated. 4 Å MS used in step 1 might have side effects on step 2 since it could act as a Lewis acid. Therefore, 4 Å MS was removed by filtration after step 1. Indeed, the yield was further increased in DMA without 4 Å MS present in step 2 (entry 3). A brief survey of the reaction solvent in step 2 (entries 3−7) revealed that DMA was a suitable solvent (entry 3). Increasing the loading of the amine led to the decrease in the yield (entries 8−9). Slightly lower yields were obtained by lowering (entries 10−11) or elevating (entry 12) the reaction temperature in step 2.

With the optimized reaction conditions in hand (Table 1, entry 3), we then investigated the substrate scope of the convenient two-step reaction for the synthesis of $CF_3$-vicinal diamines (Scheme 4). It is noteworthy that high diastereoselectivity (syn/anti > 94:6) was observed for all reactions. Although electron-neutral and -rich aziridines (**3a**−**3c** in Scheme 3) were too unstable to be isolated, their corresponding $CF_3$-vicinal diamines were isolated in moderate to good yields (Scheme 4, **4a**−**4g**). The structure and relative configuration of product **4b** were confirmed by single crystal X-ray diffraction.[14] Moderate yields were obtained for the conversion of electron-deficient imines (**4h**−**4l**). Electron-rich (**4a**, **4d**, and **4j**), -neutral (**4b**, **4f**, **4h**, and **4k**), and -deficient (**4c**, **4e**, **4g**, **4i**, and **4l**) aryl amines were all effective to react with aziridines to obtain diamines. Besides aryl amines, an alkyl amine could also lead to the ring opening of aziridines to give $CF_3$-vicinal diamine (**4m**). In contrast to vinyl imines, although an aryl imine such as phenyl imine (PhCH = NHTs) could be converted into aziridine, the resulting azirinde could not undergo further ring opening with amines to give vicinal diamines.

Apparently, the two-step procedure is quite convenient for the preparation of $CF_3$-containing vicinal diamines. Crude $CF_3$-aziridines were obtained simply by filtration and concentration, and the subsequent ring-opening reaction proceeded smoothly to give diamines. Since purification of aziridines is avoided, this protocol is attractive and promising.

The synthesis of vicinal amino alcohols has also received a great deal of attention due to the occurrence of the vicinal amino alcohol motif in a vast range of natural products, bioactive compounds, and reagents used for the stereoselective synthesis.[15] The incorporation of the $CF_3$ moiety into vicinal amino alcohols may result in profound modification of their physicochemical properties. Since $CF_3$-vicinal diamines could be obtained by ring opening of aziridines with amines, $CF_3$-containing vicinal amino alcohols may also be prepared by ring opening of aziridines with an alkoxyl anion. Indeed, the use of sodium methoxide and sodium phenylmethanolate as the nucleophiles could give the desired product **5a** and **5b**, respectively, without the need to isolate aziridines (Scheme

**Scheme 5. Synthesis of $CF_3$-Containing Vicinal Amino Alcohol Derivative**



5). The structure and relative configuration of product **5a** were confirmed by single crystal X-ray diffraction.[16] The reduction of **5b** successfully gave the expected vicinal amino alcohol **6**.

In conclusion, we have described the efficient synthesis of $CF_3$-containing vicinal diamines by a simple two-step procedure without the need to isolate the intermediate products. The convenient procedure could also be applied to the preparation of a $CF_3$-containing vicinal amino alcohol derivative. This work represents a mild protocol for the incorporation of the $CF_3$ moiety into vicinal diamines with high diastereoselectivity and in good yields. This two-step strategy may also find synthetic utility in the incorporation of the fluorinated group into other biologically active compounds.

## ■ EXPERIMENTAL SECTION

**General Information.** $^1H$, $^{13}C$, and $^{19}F$ NMR spectra were detected on a 500, 400, or 300 MHz NMR spectrometer. Data for $^1H$, $^{13}C$, and $^{19}F$ NMR were recorded as follows: chemical shift ($\delta$, ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, q = quartet, coupling constant (s) in Hz). Mass spectra were obtained on GC-MS or LC-MS (ESI). High resolution mass data were recorded on a high resolution mass spectrometer in the EI, ESI, or MALDI mode. The mass analyzer types for HRMS-EI, HRMS-ESI, and HRMS-MALDI are time-of-flight, Fourier transform mass spectrometer, and Fourier transform mass spectrometer, respectively. Unless otherwise noted, all reagents were obtained commercially and used without further purification. The $^{19}F$ NMR yields were calculated based on the $^{19}F$ NMR spectra of the reaction system with addition of an internal standard ($PhCF_3$).

**Procedure for the Synthesis of Sulfonium Salt 1.**[11] The mixture of 2,2,2-trifluoroethyl triflate (4.64 g, 20 mmol) and diphenyl sulfide (18.6 g, 100 mmol) in a sealed tube was stirred at 150 °C for 30 h. After the reaction mixture was cooled to room temperature, diethyl ether (10 mL) was added to precipitate the crude product, which was then washed with dry diethyl ether to give the final product **1** (5.9 g, 70% yield); $^1H$ NMR (400 MHz, acetone-$d_6$) $\delta$ 8.36 (d, $J$ = 7.6 Hz, 4H), 7.95−7.89 (m, 2H), 7.87−7.79 (m, 4H), 5.74 (q, $J$ = 8.8 Hz, 2H). $^{19}F$ NMR (376 MHz, acetone-$d_6$) $\delta$ −61.26 (t, $J$ = 8.8 Hz, 3F), −78.98 (s, 3F).

**General Procedure for the Synthesis of 2.** Aldehyde (31.5 mmol), sulfonamide (30 mmol), and tetraethyl orthosilicate (120 mmol) were mixed in a flask equipped with a Dean−Stark apparatus which was used to collect ethanol produced from the reaction. The mixture was stirred at 160 °C until no more ethanol was produced. After the reaction system was cooled to room temperature, ethyl acetate/*n*-hexane (1:3) was added to precipitate the crude product. After filtration, the solid was washed with ethyl acetate/*n*-hexane (1:3) followed by ethanol to give the pure product **2**.

*(E)-4-Methyl-N-((E)-3-phenylallylidene)benzenesulfonamide* ***(2a)***.[17] 7.78 g, 91% yield; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ 8.78 (d, $J$ = 9.4 Hz, 1H), 7.86 (d, $J$ = 7.8 Hz, 2H), 7.60−7.39 (m, 6H), 7.34 (d, $J$ = 7.8 Hz, 2H), 6.99 (dd, $J$ = 15.7, 9.4 Hz, 1H), 2.44 (s, 3H).

*(E)-4-Methyl-N-((E)-3-(p-tolyl)allylidene)benzenesulfonamide* ***(2b)***.[17] 8.52g, 95% yield; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ 8.76 (d, $J$ = 9.4 Hz, 1H), 7.85 (d, $J$ = 7.9 Hz, 2H), 7.50−7.41 (m, 3H), 7.33 (d, $J$ = 7.9 Hz, 2H), 7.22 (d, $J$ = 7.7 Hz, 2H), 6.94 (dd, $J$ = 15.7, 9.4 Hz, 1H), 2.43 (s, 3H), 2.39 (s, 3H).

*(E)-N-((E)-3-(3-Methoxyphenyl)allylidene)-4-methylbenzenesulfonamide* ***(2c)***.[18] 8.50 g, 90% yield; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ 8.76 (d, $J$ = 9.4 Hz, 1H), 7.86 (d, $J$ = 8.0 Hz, 2H), 7.44 (d, $J$ = 15.8 Hz, 1H), 7.35−7.28 (m, 3H), 7.13 (d, $J$ = 7.5 Hz, 1H), 7.05 (s, 1H), 7.00−6.89 (m, 2H), 3.80 (s, 3H), 2.42 (s, 3H).

*(E)-N-((Z)-2-Bromo-3-phenylallylidene)-4-methylbenzenesulfonamide* ***(2d)***. Yellow solid, 6.10 g, 56% yield; mp 127.3−128.1 °C. $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ 8.74 (s, 1H), 7.98 (d, $J$ = 5.8 Hz, 2H), 7.92−7.83 (m, 3H), 7.55−7.39 (m, 3H), 7.33 (d, $J$ = 7.4 Hz, 2H), 2.43 (s, 3H). $^{13}C$ NMR (101 MHz, $CDCl_3$) $\delta$ 169.5 (s), 151.2 (s), 144.8 (s), 134.9 (s), 133.3 (s), 131.8 (s), 131.2 (s), 129.9 (s), 128.7 (s), 128.1 (s), 118.5 (s), 21.7 (s). IR (neat) $\nu$ = 3050, 1611, 1583, 1570, 1449, 1330, 1300, 1289, 1147, 1084, 998, 864, 823, 811, 787, 755, 689, 669, 593, 573, 505 $cm^{-1}$. HRMS (MALDI/DHB): calcd for $C_{16}H_{15}BrNO_2S$ $[M + H]^+$, 364.0001; found, 364.0013.

*(Z)-N-((Z)-2-Bromo-3-(p-tolyl)allylidene)-4-methylbenzenesulfonamide* ***(2e)***. Yellow solid, 7.35 g, 65% yield; mp 145.3−147.1 °C. $^1H$ NMR (500 MHz, $CDCl_3$) $\delta$ 8.70 (s, 1H), 7.91 (d, $J$ = 7.5 Hz, 2H), 7.89 (d, $J$ = 7.5 Hz, 2H), 7.83 (s, 1H), 7.35 (d, $J$ = 8.1 Hz, 2H), 7.28 (d, $J$ = 8.1 Hz, 2H), 2.44 (s, 3H), 2.41 (s, 3H). $^{13}C$ NMR (126 MHz, $CDCl_3$) $\delta$ 169.4 (s), 151.0 (s), 144.6 (s), 142.9 (s), 135.1 (s), 131.4 (s), 130.6 (s), 129.8 (s), 129.5 (s), 128.0 (s), 117.4 (s), 21.7 (s), 21.6 (s). IR (neat) $\nu$ = 3050, 2935, 2835, 1595, 1568, 1468, 1438, 1418, 1319, 1304, 1293, 1242, 1155, 1089, 1058, 1018, 823, 809, 698, 623, 587, 551 $cm^{-1}$. HRMS (ESI): calcd for $C_{17}H_{17}BrNO_2S$ $[M + H]^+$, 378.0158; found, 378.0153.

*(E)-N-((Z)-2-Bromo-3-(4-bromo-3-methoxyphenyl)allylidene)-4-methylbenzenesulfonamide* ***(2f)***. Yellow solid, 6.63 g, 47% yield; mp 145.3−147.1 °C. $^1H$ NMR (500 MHz, $CDCl_3$) $\delta$ 8.78 (s, 1H), 8.03 (s, 1H), 7.91 (d, $J$ = 7.9 Hz, 2H), 7.65 (d, $J$ = 2.1 Hz, 1H), 7.55 (d, $J$ = 8.8 Hz, 1H), 7.38 (d, $J$ = 7.9 Hz, 2H), 6.90 (dd, $J$ = 8.8, 2.2 Hz, 1H), 3.83 (s, 3H), 2.46 (s, 3H). $^{13}C$ NMR (126 MHz, $CDCl_3$) $\delta$ 168.5 (s), 158.4 (s), 149.4 (s), 144.9 (s), 134.7 (s), 133.9 (s), 133.7 (s), 129.9 (s), 128.2 (s), 121.8 (s), 118.5 (s), 116.1 (s), 115.9 (s), 55.7 (s), 21.7 (s). IR (neat) $\nu$ = 3050, 2935, 2835, 1595, 1568, 1468, 1438, 1418, 1319, 1304, 1293, 1242, 1155, 1089, 1058, 1018, 823, 809, 698, 623, 587, 551 $cm^{-1}$. HRMS (ESI): calcd for $C_{17}H_{16}Br_2NO_3S$ $[M + H]^+$, 471.9212; found, 471.9207.

*(E)-N-((E)-3-(4-Bromophenyl)allylidene)-4-methylbenzenesulfonamide* ***(2g)***. Yellow solid, 9.7 g, 89% yield; mp 191.7−192.3 °C. $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ 8.78 (d, $J$ = 9.3 Hz, 1H), 7.87 (d, $J$ = 7.9 Hz, 2H), 7.57 (d, $J$ = 8.1 Hz, 2H), 7.48−7.40 (m, 3H), 7.36 (d, $J$ = 7.8 Hz, 2H), 6.97 (dd, $J$ = 15.8, 9.4 Hz, 1H), 2.45 (s, 3H). $^{13}C$ NMR (101 MHz, $CDCl_3$) $\delta$ 170.5 (s), 152.0 (s), 144.6 (s), 135.2 (s), 133.0 (s), 132.5 (s), 129.8 (s), 129.8 (s), 128.0 (s), 126.1 (s), 125.3 (s), 21.6 (s). IR (neat) $\nu$ = 3020, 1621, 1591, 1574, 1486, 1404, 1316, 1304, 1289, 1156, 1085, 1070, 1002, 966, 859, 807, 777, 678, 590, 553 $cm^{-1}$. HRMS (ESI): calcd for $C_{16}H_{14}BrNNaO_2S$ $[M + Na]^+$, 385.9821; found, 385.9809.

*(E)-N-((E)-3-(2-Bromophenyl)allylidene)-4-methylbenzenesulfonamide* ***(2h)***. Yellow solid, 5.99 g, 55% yield; mp 123.4−124.9 °C. $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ 8.84 (d, $J$ = 9.4 Hz, 1H), 7.95−7.85 (m, 3H), 7.65 (d, $J$ = 8.0 Hz, 1H), 7.64 (d, $J$ = 8.0 Hz, 1H), 7.33−7.39 (m, 3H), 7.28 (td, $J$ = 8.0, 1.6 Hz, 1H), 6.94 (dd, $J$ = 15.8, 9.4 Hz, 1H), 2.45 (s, 3H). $^{13}C$ NMR (101 MHz, $CDCl_3$) $\delta$ 170.5 (s), 151.4 (s), 144.6 (s), 135.1 (s), 133.9 (s), 133.7 (s), 132.4 (s), 129.8 (s), 128.0 (s), 128.0 (s), 128.0 (s), 126.9 (s), 125.9 (s), 21.6 (s). IR (neat) $\nu$ = 3029, 2360, 1915, 1618, 1578, 1440, 1372, 1314, 1303, 1287, 1168, 1153, 1088, 1009, 970, 855, 813, 774, 751, 683, 668, 581, 553 $cm^{-1}$. HRMS (ESI): calcd for $C_{16}H_{15}BrNO_2S$ $[M + H]^+$, 364.0001; found, 364.0001.

*(E)-N-((E)-3-(4-Chlorophenyl)allylidene)-4-methylbenzenesulfonamide* ***(2i)***.[17] 8.13 g, 85% yield; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ 8.76 (d, $J$ = 9.3 Hz, 1H), 7.85 (d, $J$ = 7.4 Hz, 2H), 7.60−7.27 (m, 7H), 6.94 (dd, $J$ = 15.6, 9.3 Hz, 1H), 2.43 (s, 3H).

*(E)-N-((E)-3-(4-Fluorophenyl)allylidene)-4-methylbenzenesulfonamide (**2j**).* Yellow solid, 6.45 g, 71% yield; mp 108.9−109.4 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.77 (d, *J* = 9.4 Hz, 1H), 7.85 (d, *J* = 8.3 Hz, 2H), 7.61−7.52 (m, 2H), 7.47 (d, *J* = 15.8 Hz, 1H), 7.32 (d, *J* = 8.3 Hz, 2H), 7.09 (t, *J* = 8.3 Hz, 2H), 6.89 (dd, *J* = 15.8, 9.4 Hz, 1H), 2.41 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −106.58 to −106.86 (m, 1F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 170.8 (s), 164.5 (d, *J* = 254.0 Hz), 152.4 (s), 144.5 (s), 135.3 (s), 130.7 (d, *J* = 8.8 Hz), 130.5 (d, *J* = 3.3 Hz), 129.8 (s), 127.9 (s), 124.3 (s), 116.4 (d, *J* = 22.1 Hz), 21.6 (s). IR (neat) $\nu$ = 3052, 1900, 1620, 1591, 1577, 1511, 1363, 1311, 1289, 1256, 1235, 1168, 1085, 1023, 976, 868, 823, 814, 800, 755, 681, 596, 552, 507 cm$^{-1}$. HRMS (ESI): calcd for $C_{16}H_{15}FNO_2S$ [M + H]$^+$: 304.0802; found, 304.0807.

*(E)-N-((E)-3-(3-Fluorophenyl)allylidene)-4-methylbenzenesulfonamide (**2k**).* Yellow solid, 4.54 g, 50% yield; mp 107.9−109.2 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.75 (d, *J* = 9.3 Hz, 1H), 7.83 (d, *J* = 8.3 Hz, 2H), 7.43 (d, *J* = 15.8 Hz, 1H), 7.40−7.27 (m, 4H), 7.20 (d, *J* = 9.5 Hz, 1H), 7.10 (td, *J* = 8.3, 1.6 Hz, 1H), 6.92 (dd, *J* = 15.8, 9.3 Hz, 1H), 2.41 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −111.65 to −111.82 (m, 1F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 170.4 (s), 162.9 (d, *J* = 247.7 Hz), 151.9 (d, *J* = 2.8 Hz), 144.6 (s), 136.2 (d, *J* = 7.7 Hz), 135.0 (s), 130.7 (d, *J* = 8.3 Hz), 129.8 (s), 127.9 (s), 125.8 (s), 124.5 (d, *J* = 2.9 Hz), 118.3 (d, *J* = 21.4 Hz), 114.7 (d, *J* = 22.1 Hz), 21.6 (s). IR (neat) $\nu$ = 3070, 1624, 1578, 1450, 1318, 1305, 1291, 1265, 1170, 1153, 1090, 963, 821, 803, 793, 786, 747, 680, 583, 550 cm$^{-1}$. HRMS (ESI): calcd for $C_{16}H_{15}FNO_2S$ [M + H]$^+$, 304.0802; found, 304.0801.

*(E)-N-((E)-3-(2-Fluorophenyl)allylidene)-4-methylbenzenesulfonamide (**2l**).* Yellow solid, 8.20 g, 90% yield; mp 99.3−99.9 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.77 (d, *J* = 9.4 Hz, 1H), 7.86 (d, *J* = 8.1 Hz, 2H), 7.62 (d, *J* = 16.0 Hz, 1H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.47−7.37 (m, 1H), 7.34 (d, *J* = 8.1 Hz, 2H), 7.20 (t, *J* = 7.4 Hz, 1H), 7.12 (t, *J* = 7.4 Hz, 1H), 7.05 (dd, *J* = 16.0, 9.4 Hz, 1H), 2.43 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −113.57 to −113.75 (m, 1F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 170.9 (s), 161.3 (d, *J* = 255.7 Hz), 145.8 (d, *J* = 3.2 Hz), 144.6 (s), 135.1 (s), 133.2 (d, *J* = 9.0 Hz), 129.8 (s), 129.0 (s), 128.0 (s), 126.9 (d, *J* = 6.2 Hz), 124.8 (d, *J* = 3.6 Hz), 122.3 (d, *J* = 11.4 Hz), 116.4 (d, *J* = 21.7 Hz), 21.6 (s). IR (neat) $\nu$ = 3053, 2980, 1930, 1622, 1587, 1483, 1321, 1291, 1228, 1168, 1153, 1088, 1013, 973, 805, 770, 675, 588 cm$^{-1}$. HRMS (MALDI/DHB): calcd for $C_{16}H_{15}FNO_2S$ [M + H]$^+$, 304.0802; found, 304.0812.

*(E)-4-Methyl-N-((E)-3-(4-nitrophenyl)allylidene)benzenesulfonamide (**2m**).*[18] 9.01 g, 91% yield; $^{1}$H NMR (300 MHz, CDCl$_3$) $\delta$ 8.80 (d, *J* = 9.1 Hz, 1H), 8.28 (d, *J* = 7.1 Hz, 2H), 7.86 (d, *J* = 6.9 Hz, 2H), 7.70 (d, *J* = 6.9 Hz, 2H), 7.52 (d, *J* = 15.8 Hz, 1H), 7.36 (d, *J* = 7.1 Hz, 2H), 7.08 (dd, *J* = 15.8, 9.1 Hz, 1H), 2.45 (s, 3H).

*(E)-N-((E)-3-(4-Cyanophenyl)allylidene)-4-methylbenzenesulfonamide (**2n**).* Yellow solid, 4.74 g, 51% yield; mp 188.9−190.2 °C. $^{1}$H NMR (400 MHz, CDC$_3$) $\delta$ 8.78 (d, *J* = 9.2 Hz, 1H), 7.85 (d, *J* = 8.1 Hz, 2H), 7.70 (d, *J* = 8.3 Hz, 2H), 7.63 (d, *J* = 8.3 Hz, 2H), 7.47 (d, *J* = 15.9 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 2H), 7.04 (dd, *J* = 15.9, 9.2 Hz, 1H), 2.44 (s, 3H). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 169.6 (s), 150.0 (s), 144.8 (s), 138.1 (s), 134.8 (s), 132.8 (s), 129.9 (s), 128.7 (s), 128.1 (s), 127.8 (s), 118.0 (s), 114.4 (s), 21.6 (s). IR (neat) $\nu$ = 3051, 2224, 1626, 1607, 1582, 1414, 1314, 1289, 1260, 1180, 1156, 1089, 1017, 997, 964, 875, 820, 805, 782, 683, 597, 558, 545 cm$^{-1}$. HRMS (ESI): calcd for $C_{17}H_{15}N_2O_2S$ [M + H]$^+$, 311.0849; found, 311.0847.

*(E)-4-Methyl-N-((E)-3-(3-(trifluoromethyl)phenyl)allylidene)benzenesulfonamide (**2o**).* Yellow solid, 5.51 g, 52% yield; mp 107.4−108.7 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.81 (d, *J* = 9.3 Hz, 1H), 7.88 (d, *J* = 8.2 Hz, 2H), 7.79 (s, 1H), 7.75 (d, *J* = 7.8 Hz, 1H), 7.71 (d, *J* = 7.8 Hz, 1H), 7.59 (t, *J* = 7.8 Hz, 1H), 7.52 (d, *J* = 15.9 Hz, 1H), 7.37 (d, *J* = 8.2 Hz, 2H), 7.06 (dd, *J* = 15.9, 9.3 Hz, 1H), 2.47 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −61.40 to −65.31 (m, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 170.0 (s), 151.0 (s), 144.7 (s), 135.0 (s), 134.9 (s), 131.8 (q, *J* = 32.8 Hz), 131.2 (s), 129.8 (s), 129.8 (s), 128.0 (s), 127.7 (q, *J* = 3.6 Hz), 126.5 (s), 125.1 (q, *J* = 3.8 Hz), 123.5 (q, *J* = 272.6 Hz), 21.6 (s). IR (neat) $\nu$ = 3029, 1626, 1595, 1581, 1566, 1334, 1314, 1291, 1250, 1206, 1170, 1131, 1092, 1072, 1011, 969, 803, 790, 755, 694, 679, 665, 589, 553 cm$^{-1}$. HRMS (ESI): calcd for $C_{17}H_{15}F_3NO_2S$ [M + H]$^+$, 354.0770; found, 354.0768.

**Procedure for the Synthesis of 3.** Into the mixture of diphenyl(2,2,2-trifluoroethyl)sulfonium triflate **1** (209.2 mg, 0.5 mmol), imine **2** (1 mmol), and 4 Å MS (400 mg) in dichloromethane (10 mL) was added TBAF (0.75 mL, 1 M in THF) dropwise under a $N_2$ atmosphere. The reaction mixture was stirred at room temperature for 1 h. After filtration, the solid was washed with DCM (10 mL). The combined organic phase was washed with water, sat. sodium bisulfite, and water in sequence and then dried over $Na_2SO_4$. The solvent was removed by concentration, and the residue was subjected to flash column chromatography with hexane/ethyl acetate (50:1−20:1) as the eluent to afford the final product **3**.

*(2SR,3RS)-2-((Z)-1-Bromo-2-phenylvinyl)-1-tosyl-3-(trifluoromethyl)aziridine (**3d**).* Yellow solid, 204.7 mg, 92% yield; mp 118.0−118.8 °C. $^{1}$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.94 (d, *J* = 8.2 Hz, 2H), 7.53 (d, *J* = 6.9 Hz, 2H), 7.43 (d, *J* = 8.2 Hz, 2H), 7.41−7.31 (m, 3H), 7.11 (s, 1H), 3.96 (d, *J* = 6.8 Hz, 1H), 3.52−3.42 (m, 1H), 2.50 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −65.86 (d, *J* = 5.2 Hz, 3F). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 146.0 (s), 134.0 (s), 133.0 (s), 131.4 (q, *J* = 1.2 Hz), 130.1 (s), 128.9 (s), 128.7 (s), 128.3 (s), 128.2 (s), 122.0 (q, *J* = 276.0 Hz), 111.5 (s), 46.4 (q, *J* = 1.2 Hz), 42.7 (q, *J* = 40.5 Hz), 21.7 (s). IR (neat) $\nu$ = 3068, 3022, 2975, 2926, 1595, 1493, 1447, 1428, 1365, 1338, 1283, 1198, 1186, 1163, 1104, 1088, 1021, 935, 923, 862, 813, 771, 756, 692, 675, 548, 525 cm$^{-1}$. HRMS (ESI): calcd for $C_{18}H_{15}BrF_3NNaO_2S$ [M + Na]$^+$, 467.9851; found, 467.9849.

*(2SR,3RS)-2-((Z)-1-Bromo-2-(p-tolyl)vinyl)-1-tosyl-3-(trifluoromethyl)aziridine (**3e**).* Yellow solid, 183.6 mg, 80% yield; mp 124.45−125.56 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.94 (d, *J* = 8.1 Hz, 2H), 7.46−7.44 (m, 4H), 7.18 (d, *J* = 8.1 Hz, 2H), 7.07 (s, 1H), 3.95 (d, *J* = 6.7 Hz, 1H), 3.51−3.38 (m, 1H), 2.51 (s, 3H), 2.37 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −65.90 (d, *J* = 5.2 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 146.0 (s), 138.8 (s), 133.1 (s), 131.3 (s), 131.2 (s), 130.1 (s), 128.9 (s), 128.9 (s), 128.3 (s), 122.0 (q, *J* = 276.0 Hz), 110.5 (s), 46.4 (s), 42.7 (q, *J* = 40.4 Hz), 21.7 (s), 21.3 (s). IR (neat) $\nu$ = 3030, 2978, 2922, 1609, 1597, 1512, 1438, 1364, 1342, 1284, 1181, 1166, 1150, 1090, 1021, 934, 910, 882, 869, 812, 801, 792, 751, 674, 610, 547, 527 cm$^{-1}$. HRMS (ESI): calcd for $C_{19}H_{17}BrF_3NNaO_2S$ [M + Na]$^+$, 482.0008; found, 482.0011.

*(2SR,3RS)-2-((Z)-1-Bromo-2-(4-bromo-3-methoxyphenyl)vinyl)-1-tosyl-3-(trifluoromethyl)aziridine (**3f**).* Yellow solid, 248.4 mg, 90% yield; mp 97.3−98.5 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.92 (d, *J* = 8.3 Hz, 2H), 7.44−7.37 (m, 3H), 7.10 (d, *J* = 3.0 Hz, 1H), 7.06 (s, 1H), 6.73 (dd, *J* = 8.8, 3.0 Hz, 1H), 3.90 (d, *J* = 6.8 Hz, 1H), 3.77 (s, 3H), 3.56−3.48 (m, 1H), 2.47 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −65.80 (d, *J* = 5.1 Hz, 3F).$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 158.3 (s), 146.0 (s), 135.3 (s), 133.1 (s), 133.0 (s), 131.4 (s), 130.2 (s), 128.3 (s), 121.9 (q, *J* = 272.3 Hz), 115.9 (s), 115.8 (s), 114.6 (s), 114.0 (s), 55.5 (s), 46.5 (s), 42.1 (q, *J* = 40.7 Hz), 21.7 (s). IR (neat) $\nu$ = 3022, 2966, 2941, 2835, 1592, 1468, 1444, 1403, 1372, 1338, 1317, 1295, 1238, 1213, 1167, 1145, 1088, 1049, 1022, 905, 931, 865, 818, 793, 745, 678, 609, 558, 532 cm$^{-1}$. HRMS (ESI): calcd for $C_{19}H_{16}Br2F_3NNaO_3S$ [M + Na]$^+$, 575.9062; found, 575.9034.

*(2R,3R)-2-((E)-4-Bromostyryl)-1-tosyl-3-(trifluoromethyl)aziridine (**3g**).* Yellow solid, 189.1 mg, 85% yield; mp 72.7−73.7 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.86 (d, *J* = 8.3 Hz, 2H), 7.43 (d, *J* = 8.4 Hz, 2H), 7.37 (d, *J* = 8.3 Hz, 2H), 7.20 (d, *J* = 8.4 Hz, 2H), 6.81 (d, *J* = 16.0 Hz, 1H), 5.98 (ddd, *J* = 16.0, 8.4, 1.2 Hz, 1H), 3.75 (t, *J* = 7.7 Hz, 1H), 3.49−3.36 (m, 1H), 2.44 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −66.01 (d, *J* = 5.7 Hz, 3F). $^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 145.6 (s), 137.3 (s), 134.2 (s), 133.6 (s), 131.8 (s), 130.0 (s), 128.2 (s), 128.0 (s), 122.7 (s), 122.4 (q, *J* = 275.5 Hz), 119.4 (s), 43.8 (q, *J* = 0.7 Hz), 42.3 (q, *J* = 40.1 Hz), 21.7 (s). IR (neat) $\nu$ = 3041, 2956, 1742, 1684, 1653, 1596, 1488, 1440, 1407, 1336, 1305, 1291, 1233, 1164, 1110, 1070, 1017, 1008, 938, 857, 828, 840, 755, 670, 600, 553 cm$^{-1}$. HRMS (ESI): calcd for $C_{18}H_{15}BrF_3NNaO_2S$ [M + Na]$^+$, 467.9851; found, 467.9845.

*(2RS,3RS)-2-((E)-2-Bromostyryl)-1-tosyl-3-(trifluoromethyl)aziridine (**3h**).* Yellow solid, 185 mg, 83% yield; mp 85.9−86.3 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.85 (d, *J* = 8.0 Hz, 2H), 7.53 (d, *J* = 7.9 Hz, 1H), 7.42 (d, *J* = 7.5 Hz, 1H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.25−7.17 (m, 2H), 7.12 (t, *J* = 7.5 Hz, 1H), 5.92 (dd, *J* = 15.6, 8.3 Hz, 1H), 3.78

(t, $J$ = 7.5 Hz, 1H), 3.51−3.39 (m, 1H), 2.44 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −65.98 (d, $J$ = 5.9 Hz, 3F).$^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 145.6 (s), 137.1 (s), 135.1 (s), 133.5 (s), 133.0 (s), 130.0 (s), 129.9 (s), 128.0 (s), 127.5 (s), 127.1 (s), 123.7 (s), 122.4 (q, $J$ = 275.8 Hz), 121.4 (s), 43.9 (s), 42.0 (q, $J$ = 40.2 Hz), 21.7 (s). IR (neat) $\nu$ = 3062, 3009, 2927, 1598, 1469, 1432, 1389, 1338, 1296, 1277, 1203, 1158, 1089, 1026, 971, 947, 852, 830, 815, 757, 748, 678, 599, 569, 557, 540 cm$^{-1}$. HRMS (ESI): calcd for $C_{18}H_{16}BrF_3NO_2S$ [M + H]$^+$, 446.0032; found, 446.0028.

*(2RS,3RS)-2-((E)-4-Chlorostyryl)-1-tosyl-3-(trifluoromethyl)-aziridine (**3i**).* Yellow solid, 156.4 mg, 78% yield; mp 77.3−78.9 °C. $^1$H NMR (500 MHz, $CDCl_3$) $\delta$ 7.87 (d, $J$ = 8.2 Hz, 2H), 7.39 (d, $J$ = 8.2 Hz, 2H), 7.33−7.26 (m, 4H), 6.84 (d, $J$ = 15.9 Hz, 1H), 5.98 (dd, $J$ = 15.9, 8.5 Hz, 1H), 3.76 (t, $J$ = 7.7 Hz, 1H), 3.49−3.37 (m, 1H), 2.47 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −66.04 (d, $J$ = 5.6 Hz, 3F).$^{13}$C NMR (126 MHz, $CDCl_3$) $\delta$ 145.6 (s), 137.2 (s), 134.5 (s), 133.8 (s), 133.7 (s), 130.0 (s), 128.9 (s), 128.0 (s), 127.9 (s), 122.4 (q, $J$ = 275.2 Hz), 119.2 (s), 43.8 (s), 42.3 (q, $J$ = 40.1 Hz), 21.7 (s). IR (neat) $\nu$ = 3029, 2964, 1652, 1597, 1507, 1491, 1435, 1409, 1336, 1286, 1277, 1229, 1188, 1162, 1148, 1088, 1024, 1011, 973, 867, 842, 809, 749, 682, 670, 566, 471 cm$^{-1}$. HRMS (ESI): calcd for $C_{18}H_{15}ClF_3NNaO_2S$ [M + Na]$^+$: 424.0356; found, 424.0361. Elemental Analysis calcd for $C_{18}H_{15}ClF_3NO_2S$: C, 53.80; H, 3.76; N, 3.49. Found: C, 53.67; H, 3.94; N, 3.50.

*(2RS,3RS)-2-((E)-4-Fluorostyryl)-1-tosyl-3-(trifluoromethyl)-aziridine (**3j**).* Yellow solid, 115.5 mg, 60% yield; mp 74.1−75.6 °C. $^1$H NMR (500 MHz, $CDCl_3$) $\delta$ 7.87 (d, $J$ = 8.0 Hz, 2H), 7.39 (d, $J$ = 8.0 Hz, 2H), 7.36−7.32 (m, 2H), 7.02 (t, $J$ = 8.2 Hz, 2H), 6.85 (d, $J$ = 15.8 Hz, 1H), 5.92 (dd, $J$ = 15.8, 8.5 Hz, 1H), 3.77 (t, $J$ = 7.7 Hz, 1H), 3.48−3.37 (m, 1H), 2.47 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −66.03 (d, $J$ = 5.7 Hz, 3F), −112.27 to −112.37 (m, 1F). $^{13}$C NMR (126 MHz, $CDCl_3$) $\delta$ 162.9 (d, $J$ = 248.7 Hz), 145.5 (s), 137.3 (s), 133.7 (s), 131.5 (d, $J$ = 3.3 Hz), 129.9 (s), 128.4 (d, $J$ = 8.4 Hz), 128.0 (s), 122.5 (q, $J$ = 275.4 Hz), 118.3 (s), 115.6 (d, $J$ = 21.8 Hz), 43.9 (s), 42.2 (q, $J$ = 40.1 Hz), 21.7 (s). IR (neat) $\nu$ = 3038, 2926, 1654, 1600, 1508, 1431, 1417, 1342, 1278, 1222, 1187, 1162, 1089, 1028, 970, 947, 857, 816, 747, 675, 599, 572, 545 cm$^{-1}$. HRMS (ESI): calcd for $C_{18}H_{15}F_4NNaO_2S$ [M + Na]$^+$, 408.0652; found, 408.0653.

*(2RS,3RS)-2-((E)-3-Fluorostyryl)-1-tosyl-3-(trifluoromethyl)-aziridine (**3k**).* Yellow liquid, 145 mg, 75% yield; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.86 (d, $J$ = 8.2 Hz, 2H), 7.37 (d, $J$ = 8.2 Hz, 2H), 7.33−7.24 (m, 1H), 7.11 (d, $J$ = 7.7 Hz, 1H), 7.06−7.02 (m, 1H), 6.97 (td, $J$ = 8.3, 1.8 Hz, 1H), 6.83 (d, $J$ = 15.9 Hz, 1H), 6.00 (ddd, $J$ = 15.9, 8.3, 1.4 Hz, 1H), 3.76 (t, $J$ = 7.7 Hz, 1H), 3.52−3.37 (m, 1H), 2.45 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −66.04 (d, $J$ = 5.9 Hz, 3F), −112.98 (td, $J$ = 9.1, 6.1 Hz, 1F).$^{13}$C NMR (126 MHz, $CDCl_3$) $\delta$ 162.9 (d, $J$ = 246.1 Hz), 145.6 (s), 137.5 (d, $J$ = 7.7 Hz), 137.2 (s), 133.6 (s), 130.2 (d, $J$ = 8.3 Hz), 130.0 (s), 128.1 (s), 122.6 (d, $J$ = 2.8 Hz), 122.4 (q, $J$ = 275.3 Hz), 120.1 (s), 115.58 (d, $J$ = 21.4 Hz), 113.1 (d, $J$ = 22.0 Hz), 43.6 (s), 42.28 (q, $J$ = 40.2 Hz), 21.7 (s). IR (neat) $\nu$ = 3035, 2924, 1611, 1598, 1585, 1490, 1448, 1340, 1293, 1274, 1214, 1166, 1091, 1025, 972, 928, 872, 849, 818, 758, 746, 678, 585 cm$^{-1}$. HRMS (ESI): calcd for $C_{18}H_{16}F_4NO_2S$ [M + H]$^+$, 386.0832; found, 386.0830.

*(2RS,3RS)-2-((E)-2-Fluorostyryl)-1-tosyl-3-(trifluoromethyl)-aziridine (**3l**).* Yellow solid, 129.5 mg, 67% yield; mp 76.6−78.3 °C. $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.86 (d, $J$ = 8.3 Hz, 2H), 7.41−7.33 (m, 3H), 7.30−7.20 (m, 1H), 7.10−6.97 (m, 3H), 6.09 (ddd, $J$ = 16.1, 8.5, 1.5 Hz, 1H), 3.76 (t, $J$ = 7.7 Hz, 1H), 3.54−3.31 (m, 1H), 2.43 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −66.00 (d, $J$ = 5.7 Hz, 3F), −117.12 (ddd, $J$ = 10.9, 7.5, 5.3 Hz, 1F). $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 160.3 (d, $J$ = 251.0 Hz), 145.6 (s), 133.7 (s), 131.0 (d, $J$ = 3.2 Hz), 130.1 (d, $J$ = 8.5 Hz), 130.0 (s), 128.1 (s), 127.7 (d, $J$ = 3.3 Hz), 124.2 (d, $J$ = 3.6 Hz), 123.2 (d, $J$ = 11.9 Hz), 122.5 (q, $J$ = 274.4 Hz), 121.2 (s), 115.8 (d, $J$ = 21.9 Hz), 44.2 (s), 42.2 (q, $J$ = 40.2 Hz), 21.6 (s). IR (neat) $\nu$ = 3068, 3021, 2926, 1612, 1598, 1581, 1491, 1457, 1436, 1391, 1339, 1306, 1288, 1260, 1235, 1224, 1190, 1152, 1091, 1023, 974, 943, 874, 853, 844, 823, 764, 678, 558, 525 cm$^{-1}$. HRMS (ESI): calcd for $C_{18}H_{16}F_4NO_2S$ [M + H]$^+$, 386.0832; found, 386.0830.

*(2RS,3RS)-2-((E)-4-Nitrostyryl)-1-tosyl-3-(trifluoromethyl)aziridine (**3m**).* Yellow solid, 179.5 mg, 86% yield; mp 74.5−75.6 °C. $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 8.21 (d, $J$ = 8.8 Hz, 2H), 7.89 (d, $J$ = 8.2 Hz, 2H), 7.52 (d, $J$ = 8.8 Hz, 2H), 7.41 (d, $J$ = 8.2 Hz, 2H), 6.97 (d, $J$ = 16.0 Hz, 1H), 6.19 (dd, $J$ = 16.0, 8.2 Hz, 1H), 3.81 (t, $J$ = 7.7 Hz, 1H), 3.55−3.39 (m, 1H), 2.49 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −66.00 (d, $J$ = 5.8 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 147.6 (s), 145.8 (s), 141.4 (s), 136.1 (s), 133.5 (s), 130.0 (s), 128.1 (s), 127.3 (s), 124.1 (s), 123.5 (s), 122.3 (q, $J$ = 275.3 Hz), 43.0 (s), 42.5 (q, $J$ = 38.7 Hz), 21.7 (s). IR (neat) $\nu$ = 3039, 2931, 1596, 1517, 1495, 1441, 1417, 1347, 1304, 1283, 1229, 1206, 1187, 1163, 1107, 1091, 1016, 938, 867, 839, 822, 808, 759, 744, 672, 600 cm$^{-1}$. HRMS (MALDI/DHB): calcd for $C_{18}H_{16}F_3N_2O_4S$ [M + H]$^+$, 413.0777; found, 413.0788.

*4-((E)-2-((2RS,3RS)-1-Tosyl-3-(trifluoromethyl)aziridin-2-yl)vinyl)-benzonitrile (**3n**).* Yellow solid, 174.2 mg, 89% yield; mp 71.2−72.0 °C. $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.85 (d, $J$ = 7.9 Hz, 2H), 7.60 (d, $J$ = 7.7 Hz, 2H), 7.43 (d, $J$ = 7.9 Hz, 2H), 7.38 (d, $J$ = 7.7 Hz, 2H), 6.89 (d, $J$ = 15.9 Hz, 1H), 6.11 (dd, $J$ = 15.9, 8.1 Hz, 1H), 3.77 (t, $J$ = 7.7 Hz, 1H), 3.50−3.33 (m, 1H), 2.46 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −66.58 (d, $J$ = 5.8 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 145.8 (s), 139.6 (s), 136.5 (s), 133.5 (s), 132.5 (s), 130.0 (s), 128.1 (s), 127.2 (s), 122.7 (s), 122.3 (q, $J$ = 276.0 Hz), 118.5 (s), 112.0 (s), 43.2 (s), 42.4 (q, $J$ = 39.8 Hz), 21.7 (s). IR (neat) $\nu$ = 3024, 2964, 2227, 1607, 1600, 1437, 1412, 1391, 1376, 1330, 1308, 1293, 1281, 1207, 1164, 1140, 1103, 1092, 1032, 967, 948, 856, 829, 818, 750, 676, 601, 571, 556 cm$^{-1}$. HRMS (ESI): calcd for $C_{19}H_{16}F_3N_2O_2S$ [M + H]$^+$, 393.0879; found, 393.0878.

*(2RS,3RS)-1-Tosyl-2-(trifluoromethyl)-3-((E)-3-(trifluoromethyl)-styryl)aziridine (**3o**).* Yellow solid, 177.3 mg, 82% yield; mp 85.3−87.0 °C. $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.86 (d, $J$ = 8.3 Hz, 2H), 7.57 (s, 1H), 7.55−7.50 (m, 2H), 7.48−7.41 (m, 1H), 7.38 (d, $J$ = 8.3 Hz, 2H), 6.90 (d, $J$ = 16.0 Hz, 1H), 6.06 (ddd, $J$ = 16.0, 8.2, 1.2 Hz, 1H), 3.77 (t, $J$ = 7.6 Hz, 1H), 3.48−3.40 (m, 1H), 2.46 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −62.90 (s, 3F), −66.05 (d, $J$ = 5.8 Hz, 3F). $^{13}$C NMR (126 MHz, $CDCl_3$) $\delta$ 145.7 (s), 136.9 (s), 136.0 (s), 133.6 (s), 131.2 (q, $J$ = 32.4 Hz), 130.0 (s), 129.7 (q, $J$ = 1.2 Hz), 129.2 (s), 128.1 (s), 125.2 (q, $J$ = 3.8 Hz), 124.2 (q, $J$ = 274.1 Hz), 123.4 (q, $J$ = 3.8 Hz), 122.4 (q, $J$ = 275.4 Hz), 120.7 (s), 43.5 (s), 42.3 (q, $J$ = 40.2 Hz), 21.7 (s). IR (neat) $\nu$ = 3074, 3023, 2930, 1599, 1493, 1451, 1430, 1392, 1332, 1282, 1234, 1201, 1158, 1126, 1090, 1070, 1033, 984, 950, 887, 844, 821, 799, 746, 680, 599, 556 cm$^{-1}$. HRMS (ESI): calcd for $C_{19}H_{15}F_6NNaO_2S$ [M + Na]$^+$, 458.0620; found, 458.0611.

**Procedure for the Synthesis of 4.** Into a mixture of diphenyl(2,2,2-trifluoroethyl)sulfonium triflate **1** (0.2 mmol), imine **2** (0.2 mmol), and 4 Å MS (80 mg) in dichloromethane (2 mL) was added TBAF (0.25 mL, 1 M in THF) dropwise under a $N_2$ atmosphere. The reaction mixture was stirred at room temperature for 1 h. 4 Å MS was removed by filtration. The filtrate was concentrated to give crude aziridine, into which was added aryl amine (0.2 mmol) and DMA (2 mL) under a $N_2$ atmosphere. The reaction mixture was stirred at 60 °C for 2 h. After being cooled to room temperature, the solution was subjected to flash column chromatography (petroleum ether/EA = 20:1) to afford the pure product.

*4-Methyl-N-((2S,E)-1,1,1-trifluoro-5-phenyl-3-(p-tolylamino)pent-4-en-2-yl)benzenesulfonamidenamide (**4a**).* Yellow liquid, 66.3 mg, 70% yield ; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ 7.74 (d, $J$ = 8.2 Hz, 2H), 7.35−7.17 (m, 7H), 6.98 (d, $J$ = 8.1 Hz, 2H), 6.67−6.50 (m, 3H), 6.03 (dd, $J$ = 15.8, 7.4 Hz, 1H), 5.38 (d, $J$ = 9.5 Hz, 1H), 4.41−4.28 (m, 1H), 4.22−4.04 (m, 1H), 3.68 (br, 1H), 2.38 (s, 3H), 2.22 (s, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) $\delta$ −71.00 (d, $J$ = 7.2 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ 144.1 (s), 143.4 (s), 137.1 (s), 135.9 (s), 133.5 (s), 129.9 (s), 129.8 (s), 128.5 (s), 128.3 (s), 128.1 (s), 127.1 (s), 126.7 (s), 125.3 (s), 124.4 (q, $J$ = 283.9 Hz), 114.4 (s), 57.6 (q, $J$ = 28.1 Hz), 56.3 (s), 21.5 (s), 20.4 (s). IR (neat) $\nu$ = 549.6, 568.9, 667.6, 694.2, 750.3, 811.5, 966.7, 1092.3, 1160.3, 1265.3, 1329.8, 1448.8, 1520.6, 1598.1, 1616.8, 2921.2, 3027.2, 3282.4 cm$^{-1}$. HRMS (ESI): calcd for $C_{25}H_{25}O_2N_2F_3NaS$ [M + Na]$^+$, 497.1481; found, 497.1479.

*4-Methyl-N-((2S,E)-1,1,1-trifluoro-5-phenyl-3-(phenylamino)-pent-4-en-2-yl)benzenesulfonamide (**4b**).* Yellow solid, 45.8 mg, 50%

yield; mp 151−153 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.76 (d, *J* = 8.2 Hz, 2H), 7.32−7.23 (m, 5H), 7.23−7.14 (m, 4H), 6.77 (t, *J* = 7.3 Hz, 1H), 6.67−6.56 (m, 3H), 6.05 (dd, *J* = 15.7, 7.0 Hz, 1H), 5.74 (d, *J* = 6.6 Hz, 1H), 4.50−4.39 (m, 1H), 4.22−4.11 (m, 1H), 3.93 (d, *J* = 8.6 Hz, 1H), 2.36 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −70.92 (d, *J* = 7.3 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 145.7 (s), 144.2 (s), 137.0 (s), 135.8 (s), 133.7 (s), 129.8 (s), 129.4 (s), 128.6 (s), 128.2 (s), 127.1 (s), 126.7 (s), 125.0 (s), 124.3 (q, *J* = 281.5 Hz), 119.0 (s), 114.1 (s), 57.5 (q, *J* = 28.2 Hz), 56.0 (s), 21.5 (s). IR (neat) ν = 547.6, 570.6, 688.8, 704.2, 765.1, 812.2, 959.9, 970.8, 1091.8, 1155.5, 1187.5, 1266.7, 1327.3, 1349.1, 1448.1, 1497.6, 1602.2, 2924.6, 324.91, 3363.5, 3413.8 cm$^{-1}$. HRMS (ESI): calcd for $C_{24}H_{22}O_2N_2F_3S$ [M − H]$^{-}$, 459.1354; found, 459.1356.

*N-((2R,3S,E)-3-((4-Chlorophenyl)amino)-1,1,1-trifluoro-5-phenylpent-4-en-2-yl)-4-methylbenzenesulfonamide* ***(4c)***. Yellow solid, 64.3 mg, 65% yield; mp 120−122 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.73 (d, *J* = 8.2 Hz, 2H), 7.35−7.18 (m, 7H), 7.10 (d, *J* = 8.8 Hz, 2H), 6.66−6.49 (m, 3H), 6.02 (dd, *J* = 15.9, 7.0 Hz, 1H), 5.53 (d, *J* = 9.8 Hz, 1H), 4.40−4.32 (m, 1H), 4.19−4.07 (m, 1H), 3.94 (s, 1H), 2.37 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −71.01 (d, *J* = 7.3 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 144.4 (s), 144.3 (s), 136.9 (s), 135.6 (s), 133.7 (s), 129.8 (s), 129.2 (s), 128.6 (s), 128.2 (s), 127.0 (s), 126.7 (s), 124.8 (s), 124.2 (q, *J* = 283.8 Hz), 123.4 (s), 115.2 (s), 57.6 (q, *J* = 28.9 Hz), 55.9 (s), 21.5 (s). IR (neat) ν = 502.81, 549.39, 568.84, 666.12, 692.63, 732.87, 752.07, 814.30, 909.86, 967.02, 1004.82, 1091.91, 1159.77, 1185.36, 1266.44, 1328.80, 1403.43, 1448.87, 1493.68, 1598.89, 2923.74, 3028.61, 3275.04 cm$^{-1}$. HRMS (ESI): calcd for $C_{24}H_{23}O_2N_2ClF_3S$ [M + H]$^{+}$, 495.1115; found, 495.1115.

*4-Methyl-N-((2S,E)-1,1,1-trifluoro-5-(p-tolyl)-3-(p-tolylamino)pent-4-en-2-yl)benzenesulfonamide* ***(4d)***. Yellow liquid, 49.7 mg, 51% yield; $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.75 (d, *J* = 8.2 Hz, 2H), 7.23 (d, *J* = 8.4 Hz, 2H), 7.17 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 7.9 Hz, 2H), 6.98 (d, *J* = 8.1 Hz, 2H), 6.61−6.48 (m, 3H), 5.97 (dd, *J* = 15.6, 7.3 Hz, 1H), 5.52 (d, *J* = 9.7 Hz, 1H), 4.42−4.27 (m, 1H), 4.20−4.05 (m, 1H), 3.69 (br, 1H), 2.38 (s, 3H), 2.32 (s, 3H), 2.23 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −70.93 (d, *J* = 7.2 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 144.0 (s), 143.3 (s), 138.1 (s), 137.1 (s), 133.6 (s), 133.0 (s), 129.9 (s), 129.8 (s), 129.3 (s), 128.4 (s), 127.1 (s), 126.6 (s), 124.4 (q, *J* = 283.7 Hz), 124.0 (s), 114.5 (s), 57.38 (q, *J* = 26.8 Hz), 56.6 (s), 21.5 (s), 21.2 (s), 20.4 (s). IR (neat) ν = 548.9, 667.2, 677.3, 810.5, 919.8, 968.6, 1092.2, 1160.2, 1265.7, 1330.0, 1496.6, 1520.6, 1539.9, 1616.6, 2921.6, 3025.2, 3282.6 cm$^{-1}$. HRMS (ESI): calcd for $C_{26}H_{27}O_2N_2F_3NaS$ [M + Na]$^{+}$, 511.1638; found, 511.1636.

*N-((2S,E)-3-((4-Chlorophenyl)amino)-1,1,1-trifluoro-5-(p-tolyl)pent-4-en-2-yl)-4-methylbenzenesulfonamide* ***(4e)***. Yellow solid, 60.1 mg, 59% yield; mp 120−122 °C. $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.73 (d, *J* = 8.2 Hz, 2H), 7.27−7.21 (m, 2H), 7.21−7.15 (m, 2H), 7.14−7.06 (m, 4H), 6.64−6.43 (m, 3H), 5.96 (dd, *J* = 15.7, 7.7 Hz, 1H), 5.40 (d, *J* = 9.9 Hz, 1H), 4.39−4.25 (m, 1H), 4.17−4.07 (m, 1H), 3.90 (s, 1H), 2.38 (s, 3H), 2.32 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −70.81 (d, *J* = 6.9 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 144.3 (s), 144.2 (s), 138.3 (s), 136.9 (s), 133.9 (s), 132.8 (s), 129.8 (s), 129.3 (s), 129.2 (s), 127.0 (s), 126.6 (s), 124.3 (q, *J* = 283.7 Hz), 123.6 (s), 123.3 (s), 115.2 (s), 57.4 (q, *J* = 27.7 Hz), 56.4 (s), 21.5 (s), 21.2 (s). IR (neat) ν = 548.41, 566.40, 666.20, 732.15, 813.83, 911.39, 968.56, 1091.55, 1159.08, 1266.10, 1328.43, 1451.36, 1493.31, 1598.54, 2923.38 cm$^{-1}$. HRMS (ESI): calcd for $C_{25}H_{25}O_2N_2ClF_3S$ [M + H]$^{+}$, 509.1272; found, 509.1272.

*4-Methyl-N-((2S,E)-1,1,1-trifluoro-3-(phenylamino)-5-(p-tolyl)pent-4-en-2-yl)benzenesulfonamide* ***(4f)***. Yellow liquid, 42.6 mg, 45% yield; $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.75 (d, *J* = 8.2 Hz, 2H), 7.24 (d, *J* = 8.0 Hz, 2H), 7.20−7.13 (m, 4H), 7.09 (d, *J* = 7.9 Hz, 2H), 6.77 (t, *J* = 7.3 Hz, 1H), 6.63 (d, *J* = 7.9 Hz, 2H), 6.57 (d, *J* = 15.7 Hz, 1H), 5.98 (dd, *J* = 15.9, 7.4 Hz, 1H), 5.38 (d, *J* = 9.9 Hz, 1H), 4.44−4.33 (m, 1H), 4.20−4.09 (m, 1H), 3.83 (d, *J* = 7.1 Hz, 1H), 2.38 (s, 3H), 2.32 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −70.79 (d, *J* = 7.4 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 145.6 (s), 144.1 (s), 138.2 (s), 137.0 (s), 133.9 (s), 132.9 (s), 129.8 (s), 129.4 (s), 129.3 (s), 127.1 (s), 126.6 (s), 124.4 (q, *J* = 283.7 Hz), 123.6 (s), 119.0 (s), 114.1 (s), 57.2 (q, *J* = 28.8 Hz), 56.4 (s), 21.5 (s), 21.2 (s). IR (neat) ν = 549.0, 571.0, 666.1, 690.4, 714.9, 750.2, 812.3, 919.9, 969.0, 1092.7, 1160.2, 1266.2, 1328.3, 1436.5, 1498.5, 1513.3, 1602.2, 2922.1, 3026.8, 3052.0, 3283.1 cm$^{-1}$. HRMS (ESI): calcd for $C_{25}H_{25}O_2N_2F_3NaS$ [M + Na]$^{+}$, 497.1481; found, 497.1481.

*N-((2S,E)-3-((4-Chlorophenyl)amino)-1,1,1-trifluoro-5-(3-methoxyphenyl)pent-4-en-2-yl)-4-methylbenzenesulfonamide* ***(4g)***. Yellow liquid, 40.8 mg, 39% yield; $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.72 (d, *J* = 8.3 Hz, 2H), 7.25−7.16 (m, 3H), 7.09 (d, *J* = 8.8 Hz, 2H), 6.86 (d, *J* = 7.7 Hz, 1H), 6.82−6.77 (m, 2H), 6.58−6.51 (m, 3H), 6.00 (dd, *J* = 15.8, 7.0 Hz, 1H), 5.79−5.65 (m, 1H), 4.42−4.31 (m, 1H), 4.17−4.06 (m, 1H), 3.99 (br, 1H), 3.77 (s, 3H), 2.36 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −70.93 (d, *J* = 6.9 Hz, 3F).$^{13}$C NMR (101 MHz, CDCl$_3$) δ 159.8 (s), 144.3 (s), 144.3 (s), 137.0 (s), 136.8 (s), 133.8 (s), 129.8 (s), 129.6 (s), 129.2 (s), 127.0 (s), 124.9 (s), 124.2 (q, *J* = 283.7 Hz), 123.6 (s), 119.3 (s), 115.2 (s), 113.9 (s), 112.1 (s), 57.5 (q, *J* = 28.3 Hz), 56.1 (s), 55.2 (s), 21.5 (s). IR (neat) ν = 522.4, 549.2, 568.8, 667.1, 703.9, 814.7, 969.8, 1005.1, 1092.1, 1159.1, 1185.0, 1266.5, 1289.8, 1328.0, 1493.0, 1599.2, 2923.6, 3031.4, 3280.6 cm$^{-1}$. HRMS (ESI): calcd for $C_{25}H_{23}O_3$ $N_2F_3ClS$ [M − H]$^{-}$, 523.1070; found, 523.1067.

*N-((2S,E)-5-(4-Chlorophenyl)-1,1,1-trifluoro-3-(phenylamino)pent-4-en-2-yl)-4-methylbenzenesulfonamide* ***(4h)***. Yellow liquid, 62.2 mg, 63% yield; $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.75 (d, *J* = 8.1 Hz, 2H), 7.25−7.11 (m, 8H), 6.76 (t, *J* = 7.3, 1H), 6.62 (d, *J* = 8.0 Hz, 2H), 6.54 (d, *J* = 15.8 Hz, 1H), 6.08−5.97 (m, 2H), 4.47 (br, 1H), 4.23−4.10 (m, 1H), 4.02 (br, 1H), 2.36 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −71.01 (d, *J* = 7.2 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 145.7 (s), 144.2 (s), 136.9 (s), 134.4 (s), 133.8 (s), 132.2 (s), 129.8 (s), 129.4 (s), 128.7 (s), 127.9 (s), 127.0 (s), 125.9 (s), 124.3 (q, *J* = 284.1 Hz), 119.0 (s), 114.0 (s), 57.5 (q, *J* = 28.4 Hz), 55.7 (s), 21.5 (s). IR (neat) ν = 549.0, 570.7, 666.1, 692.6, 750.9, 811.8, 968.4, 994.1, 1092.7, 1159.8, 1265.1, 1328.0, 1436.8, 1492.3, 1559.0, 1602.0, 2924.0, 3054.3, 3281.4 cm$^{-1}$. HRMS (ESI): calcd for $C_{24}H_{21}O_2N_2ClF_3S$ [M − H]$^{-}$, 493.0964; found, 493.0965.

*N-((2S,E)-5-(4-Chlorophenyl)-3-((4-chlorophenyl)amino)-1,1,1-trifluoropent-4-en-2-yl)-4-methylbenzenesulfonamide* ***(4i)***. Yellow liquid, 69.8 mg, 66% yield; $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.72 (d, *J* = 8.3 Hz, 2H), 7.22−7.12 (m, 6H), 7.10−7.04 (m, 2H), 6.54−6.47 (m, 3H), 6.05 (d, *J* = 9.5 Hz, 1H), 5.99 (dd, *J* = 16.3, 6.5 Hz, 1H), 4.43−4.36 (m, 1H), 4.18−4.09 (m, 1H), 4.07 (d, *J* = 9.1 Hz, 1H), 2.35 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −71.07 (d, *J* = 7.2 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 144.3 (s), 144.2 (s), 136.8 (s), 134.1 (s), 134.0 (s), 132.6 (s), 129.8 (s), 129.3 (s), 128.8 (s), 127.9 (s), 127.0 (s), 125.4 (s), 124.2 (q, *J* = 284.1 Hz), 123.7 (s), 115.2 (s), 57.5 (q, *J* = 28.4 Hz), 56.1 (s), 21.5 (s). IR (neat) ν = 501.96, 548.73, 664.86, 734.58, 813.27, 910.62, 968.00, 1012.62, 1091.48, 1159.19, 1185.11, 1264.87, 1328.55, 1404.26, 1453.88, 1492.41, 1598.33, 2924.10 cm$^{-1}$. HRMS (ESI): calcd for $C_{24}H_{22}O_2N_2Cl_2F_3S$ [M + H]$^{+}$, 529.0726; found, 529.0726.

*4-Methyl-N-((2S,E)-1,1,1-trifluoro-5-(4-fluorophenyl)-3-(p-tolylamino)pent-4-en-2-yl)benzenesulfonamide* ***(4j)***. Yellow liquid, 60.1 mg, 61% yield; $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.74 (d, *J* = 8.2 Hz, 2H), 7.31−7.19 (m, 4H), 7.07−6.90 (m, 4H), 6.65−6.48 (m, 3H), 5.97 (dd, *J* = 15.6, 7.4 Hz, 1H), 5.42 (d, *J* = 9.6 Hz, 1H), 4.40 (br, 1H), 4.19−4.04 (m, 1H), 3.81 (d, *J* = 8.1 Hz, 1H), 2.39 (s, 3H), 2.22 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) δ −71.05 (d, *J* = 7.3 Hz, 3F), −111.22 to −114.99 (m, 1F). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 162.6 (d, *J* = 247.6 Hz), 144.1 (s), 143.3 (s), 137.1 (s), 132.2 (s), 132.1 (d, *J* = 3.3 Hz), 129.9 (s), 129.8 (s), 128.4 (s), 128.3 (d, *J* = 8.1 Hz), 127.0 (s), 125.1 (s), 124.3 (q, *J* = 284.62 Hz), 115.4 (d, *J* = 21.7 Hz), 114.4 (s), 57.5 (q, *J* = 28.1 Hz), 56.2 (s), 21.5 (s), 20.4 (s). IR (neat) ν = 549.1, 586.0, 667.3, 705.3, 811.9, 920.0, 968.1, 1092.6, 1159.3, 1230.4, 1265.7, 1329.9, 1456.1, 1508.5, 1520.3, 1558.5, 1616.7, 1716.7, 2922.4, 3031.8, 3283.2 cm$^{-1}$. HRMS (ESI): calcd for $C_{25}H_{24}O_2N_2F_4NaS$ [M + Na]$^{+}$, 515.1387; found, 515.1387.

*4-Methyl-N-((2S,E)-1,1,1-trifluoro-5-(4-fluorophenyl)-3-(phenylamino)pent-4-en-2-yl)benzenesulfonamide* ***(4k)***. Yellow liquid, 56.4 mg, 59% yield; $^{1}$H NMR (400 MHz, CDCl$_3$) δ 7.74 (d, *J* = 8.1 Hz, 2H), 7.30−7.22 (m, 4H), 7.18 (t, $J$ = 7.8 Hz, 2H), 6.97 (t, $J$ = 8.5 Hz, 2H), 6.77 (t, $J$ = 7.2 Hz, 1H), 6.68−6.52 (m, 3H), 5.99 (dd, $J$ = 15.6, 7.0 Hz, 1H), 5.38 (d, $J$ = 9.9 Hz, 1H), 4.45−4.35 (m, 1H), 4.22−4.07 (m, 1H), 3.83 (d, $J$ = 8.6 Hz, 1H), 2.39 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −70.97 (d, $J$ = 7.3 Hz, 3F), −112.48 to −114.78 (m, 1F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 162.6 (d, $J$ = 247.6 Hz), 145.7 (s), 144.2 (s), 137.0 (s), 132.3 (s), 132.0 (d, $J$ = 3.3 Hz), 129.8 (s), 129.4 (s), 128.3 (d, $J$ = 8.1 Hz), 127.0 (s), 124.9 (s), 124.3 (q, $J$ = 283.4 Hz), 119.0 (s), 115.5 (d, $J$ = 21.7 Hz), 114.0 (s), 57.6 (q, $J$ = 28.1 Hz), 55.8 (s), 21.5 (s). IR (neat) $\nu$ = 548.8, 570.8, 665.7, 691.1, 750.9, 813.0, 919.6, 968.2, 1092.9, 1159.0, 1185.1, 1229.1, 1266.0, 1328.0, 1508.2, 1602.2, 2924.5, 3054.1, 3282.6 cm$^{-1}$. HRMS (ESI): calcd for $C_{24}H_{22}O_2N_2F_4NaS$ [M + Na]$^+$, 501.1230; found, 501.1231

*N-((2S,E)-3-((4-Chlorophenyl)amino)-1,1,1-trifluoro-5-(4-fluorophenyl)pent-4-en-2-yl)-4-methylbenzenesulfonamide (**4l**).* Yellow solid, 64.5 mg, 63% yield; mp 109−111 °C. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.73 (d, $J$ = 8.3 Hz, 2H), 7.25−7.14 (m, 4H), 7.11−7.04 (m, 2H), 6.93 (t, $J$ = 8.6 Hz, 2H), 6.56−6.49 (m, 3H), 6.01−5.90 (m, 2H), 4.42−4.34 (m, 1H), 4.16−4.09 (m, 1H), 4.05 (d, $J$ = 9.0 Hz, 1H), 2.36 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −71.02 (d, $J$ = 7.3 Hz, 3F), −113.26 to −113.35 (m, 1F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 162.6 (d, $J$ = 248.0 Hz), 144.3 (s), 136.8 (s), 132.5 (s), 131.9 (d, $J$ = 3.2 Hz), 129.8 (s), 129.2 (s), 128.3 (d, $J$ = 8.1 Hz), 127.0 (s), 124.5 (s), 124.2 (q, $J$ = 283.7 Hz), 123.6 (s), 123.5 (s), 115.5 (d, $J$ = 21.7 Hz), 115.1 (s), 57.64 (q, $J$ = 29.3 Hz), 55.9 (s), 21.5 (s). IR (neat) $\nu$ = 548.89, 566.93, 666.25, 733.40, 814.07, 847.17, 910.10, 968.20, 1092.35, 1158.91, 1184.87, 1231.77, 1265.93, 1291.00, 1328.77, 1403.59, 1452.45, 1493.76, 1508.90, 1600.23, 2924.41, 3277.96 cm$^{-1}$. HRMS (ESI): calcd for $C_{24}H_{22}O_2N_2ClF_4S$ [M + H]$^+$, 513.1021; found, 513.1021.

*(E)-N-(3-(Butylamino)-1,1,1-trifluoro-5-(p-tolyl)pent-4-en-2-yl)-4-methylbenzenesulfonamide (**4m**).* Yellow solid, 45.2 mg, 50% yield; mp 71.76−72.31 °C. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.74 (d, $J$ = 8.3 Hz, 2H), 7.22 (d, $J$ = 7.9 Hz 2H), 7.15−7.09 (m, 4H), 6.47 (d, $J$ = 15.8 Hz, 1H), 5.69 (dd, $J$ = 15.8, 8.8 Hz, 1H), 3.89 (qd, $J$ = 7.9, 2.3 Hz, 1H), 3.59 (dd, $J$ = 8.8, 2.0 Hz, 1H), 2.65−2.40 (m, 2H), 2.36 (s, 3H), 2.33 (s, 3H), 1.41−1.35 (m, 2H), 1.32−1.25 (m, 2H), 0.87 (t, $J$ = 7.2 Hz, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) −72.79 (d, $J$ = 7.9 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 143.6 (s), 138.0 (s), 137.8 (s), 133.2 (s), 133.1 (s), 129.6 (s), 129.2 (s), 127.0 (s), 126.6 (s), 125.84 (s), 124.6 (q, $J$ = 283.3 Hz), 58.5 (s), 58.1 (q, $J$ = 29.1 Hz), 46.9 (s), 32.1 (s), 21.5 (s), 21.2 (s), 20.2 (s), 13.9 (s). IR (neat) $\nu$ = 3333.4, 3025.1, 2985.5, 2930.8, 2863.2, 1598.7, 1468.8, 1353.6, 1273.0, 1171.6, 1134.3, 1094.6, 967.4, 815.9, 800.3, 685.7, 576.2, 561.7, 550.9, 464.1 cm$^{-1}$. HRMS (ESI): calcd for $C_{23}H_{28}O_2N_2F_3S$ [M − H]$^-$, 453.1829; found, 453.1829.

**Procedure for the Synthesis of 5.** Into the mixture of diphenyl(2,2,2-trifluoroethyl)sulfonium triflate **1** (209.2 mg, 0.5 mmol), imine **2a** (285.1 mg, 1 mmol), and 4 Å MS (400 mg) in dichloromethane (5 mL) was added TBAF (0.75 mL, 1 M in THF) dropwise under a N$_2$ atmosphere. The reaction mixture was stirred at room temperature for 1 h. 4 Å MS was removed by filtration. The filtrate was concentrated to give crude aziridine, into which was added sodium methoxide (135.1 mg, 2.5 mmol), 15-Crown-5 (110.1 mg, 0.5 mmol), and THF (5 mL) under a N$_2$ atmosphere. The reaction mixture was stirred at 80 °C for 2 h. After being cooled to room temperature, the solution was subjected to flash column chromatography (petroleum ether/EA = 20:1) to afford the pure product.

*4-Methyl-N-((2S,3R,E)-1,1,1-trifluoro-3-methoxy-5-phenylpent-4-en-2-yl)benzenesulfonamide (**5a**).* Yellow solid, 89.8 mg, 45% yield; $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.71 (d, $J$ = 8.2 Hz, 2H), 7.35−7.22 (m, 5H), 7.18 (d, $J$ = 8.0 Hz, 2H), 6.58 (d, $J$ = 15.9 Hz, 1H), 5.82 (dd, $J$ = 15.9, 8.0 Hz, 1H), 5.49 (d, $J$ = 9.3 Hz, 1H), 4.09 (d, $J$ = 8.0 Hz, 1H), 4.04−3.92 (m, 1H), 3.29 (s, 3H), 2.33 (s, 3H). $^{19}$F NMR (282 MHz, CDCl$_3$) $\delta$ −73.34 (d, $J$ = 7.4 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 143.6 (s), 137.9 (s), 135.5 (s), 135.1 (s), 129.6 (s), 128.6 (s), 128.4 (s), 126.9 (s), 126.9 (s), 124.0 (q, $J$ = 283.5 Hz), 123.9 (s), 78.0 (q, $J$ = 1.9 Hz), 58.3 (q, $J$ = 30.3 Hz), 56.6 (s), 21.5 (s). IR (neat) $\nu$ = 549.0, 570.1, 660.9, 690.0, 757.5, 844.9, 964.3, 1088.3, 1135.2, 1165.2, 1273.9, 1351.8, 1450.8, 1466.3, 1495.9, 1597.1, 2968.1, 3027.9, 3300.2. HRMS (ESI): calcd for $C_{19}H_{19}O_3NF_3S$ [M − H]$^-$, 398.1038; found, 398.1038.

*(E)-N-(3-(Benzyloxy)-1,1,1-trifluoro-5-phenylpent-4-en-2-yl)-4-methylbenzenesulfonamide (**5b**).* Yellow solid, 118.7 mg, 50% yield; mp 119.8−121.5 °C. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.70 (d, $J$ = 8.3 Hz, 2H), 7.39−7.22 (m, 10H), 7.18 (d, $J$ = 8.0 Hz, 2H), 6.58 (d, $J$ = 15.9 Hz, 1H), 5.92 (dd, $J$ = 15.9, 8.2 Hz, 1H), 5.53 (s, 1H), 4.59 (d, $J$ = 11.5 Hz, 1H), 4.38 (d, $J$ = 11.5 Hz, 1H), 4.33 (d, $J$ = 8.2 Hz, 1H), 4.03 (q, $J$ = 6.6 Hz, 1H), 2.34 (s, 3H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −72.99 (d, $J$ = 7.4 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 143.7 (s), 137.8 (s), 136.8 (s), 135.5 (s, $J$ = 11.4 Hz), 135.4 (s), 129.6 (s), 128.6 (s), 128.53 (s), 128.50 (s), 128.1 (d, $J$ = 1.6 Hz), 128.0 (s), 126.97 (s), 126.96 (s), 124.02 (q, $J$ = 283.9 Hz), 123.9 (s), 75.7 (s), 70.6 (s), 58.50 (q, $J$ = 30.2 Hz), 21.5 (s). IR (neat) $\nu$ = 3289.3, 3065.6, 3027.0, 2922.8, 2876.6, 1597.1, 1495.3, 1429.5, 1340.7, 1272.0, 1242.4, 1174.7, 1125.8, 1049.5, 1011.2, 870.1, 755.7, 695.5, 663.5, 616.9, 550.6, 499.0 cm$^{-1}$. HRMS (ESI): calcd for $C_{25}H_{23}O_3NF_3S$ [M − H]$^-$, 474.1356; found, 474.1348.

**Procedure for the Synthesis of 6.** The mixture of compound **5b** (94.8 mg, 0.2 mmol) and palladium on activated carbon (30 mg, 10%) in methanol (15 m) was stirred at room temperature for 24 h under a hydrogen atmosphere (30 atm). The solid was removed by filtration. The filtrate was subjected to flash column chromatography (petroleum ether/EA = 10:1) to afford the pure product.

*4-Methyl-N-((2S,3R)-1,1,1-trifluoro-3-hydroxy-5-phenylpentan-2-yl)benzenesulfonamide (**6**).* White solid, 65.8 mg, 84% yield; mp 115.3−116.5 °C. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.73 (d, $J$ = 8.3 Hz, 2H), 7.32−7.15 (m, 5H), 7.16−7.05 (m, 2H), 5.81 (d, $J$ = 9.1 Hz, 1H), 4.12−3.97 (m, 1H), 3.88 (p, $J$ = 8.0 Hz, 1H), 2.75−2.57 (m, 2H), 2.42 (d, $J$ = 4.5 Hz, 1H), 2.35 (s, 3H), 1.86−1.74 (m, 1H), 1.74−1.60 (m, 1H). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ −73.22 (d, $J$ = 7.8 Hz, 3F). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 143.8 (s), 140.5 (s), 137.8 (s), 129.6 (s), 128.5 (s), 128.3 (s), 126.9 (s), 126.1 (s), 124.5 (q, $J$ = 281.9 Hz), 67.5 (s), 57.6 (q, $J$ = 29.1 Hz), 35.3 (s), 31.4 (s), 21.5 (s). IR (neat) $\nu$ = 3550.8, 3319.8, 3064.8, 2951.9, 2869.6, 1599.6, 1496.4, 1456.7, 1384.1, 1345.3, 1308.4, 1274.5, 1166.2, 1139.5, 1122.7, 922.3, 815.3, 724.4, 703.3, 670.3, 578.3, 549.8, 475.0 cm$^{-1}$. HRMS (ESI): calcd for $C_{18}H_{19}O_3NF_3S$ [M − H]$^-$, 386.1043; found, 386.1039.

## ■ ASSOCIATED CONTENT

### Ⓢ Supporting Information

The Supporting Information is available free of charge on the ACS Publications website at DOI: 10.1021/acs.joc.7b01261.

Copies of $^1$H/$^{19}$F/$^{13}$C NMR spectra of compounds and crystal structures of compounds **3m**, **4b**, and **5a** (PDF)

Crystallographic data (CIF)

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### Notes

The authors declare no competing financial interest.

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