

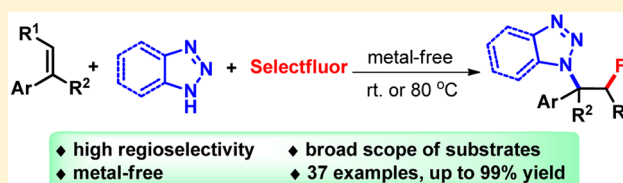
Metal-Free Three-Component Regioselective Aminofluorination of Styrene Derivatives

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S Supporting Information

ABSTRACT: The first metal-free, three-component radical aminofluorination of styrene derivatives has been developed, which employs Selectfluor as a fluorine source and azole derivatives as a nitrogen source. This transformation proceeds with high regioselectivity, providing various 1,2-aminofluorination compounds under mild conditions. Mechanistic studies suggest that the aminofluorination process might involve radical fluorination followed by nucleophilic amination.



Organic compounds containing vicinal amino and fluorine moieties have been found in anticancer, anticholinergic, anti-inflammatory drugs, and therapeutic b-peptides.¹ Accordingly, their synthesis has attracted much attention, resulting in various strategies for its construction, especially the aminofluorination of alkenes, a straightforward and step-economical protocol. Tandem intramolecular amination cyclization/intermolecular fluorination of alkenes presents a facile and efficient access to nitrogen-containing heterocycles with vicinal amino and fluorine moieties.² Intermolecular aminofluorination provides an alternative way toward various chain β -fluoroamine derivatives.³ Recently, Liu group^{3d} and our group^{3e} independently realized palladium- and copper-catalyzed aminofluorination of styrene derivatives with opposite regioselectivity (Scheme 1(i), a and b). Liu and co-workers also reported a palladium-catalyzed oxidative aminofluorination of styrenes with *N*-methyl-*p*-toluenesulfonamide (TsMeNH) and AgF (Scheme 1(i), c).^{3f} Pérez and co-workers depicted a copper-molybdenum cocatalyzed aminofluorination of styrenes with Ph-I = N-Ts and Et₃N·3HF (Scheme 1(i), d).^{3g} Xu and co-workers described an iron-catalyzed aminofluorination of alkenes with acyloxy carbamates and XtaFluor-E/Et₃N·3HF (Scheme 1(i), e).^{3h} However, these elegant works usually required metal catalysts and preprepared nitrogen sources. Therefore, the development of simple and facile methods for alkenes aminofluorination with a readily available nitrogen source is highly desirable.

Fluorination is greatly significant because of the widespread use of fluorine-containing compounds in pharmaceutical and agrochemical industries.⁴ To date, various novel fluorination strategies have been developed, including nucleophilic, electrophilic, and radical fluorination. Nevertheless, in contrast to the two former fluorinations, radical fluorination is far less investigated. Recently, radical fluorinations were reported by using classic electrophilic fluorine reagents such as NFSI and Selectfluor as the radical fluorine source, where fluorine atom transfer usually occurred at the terminal step.^{5–9} Thus,

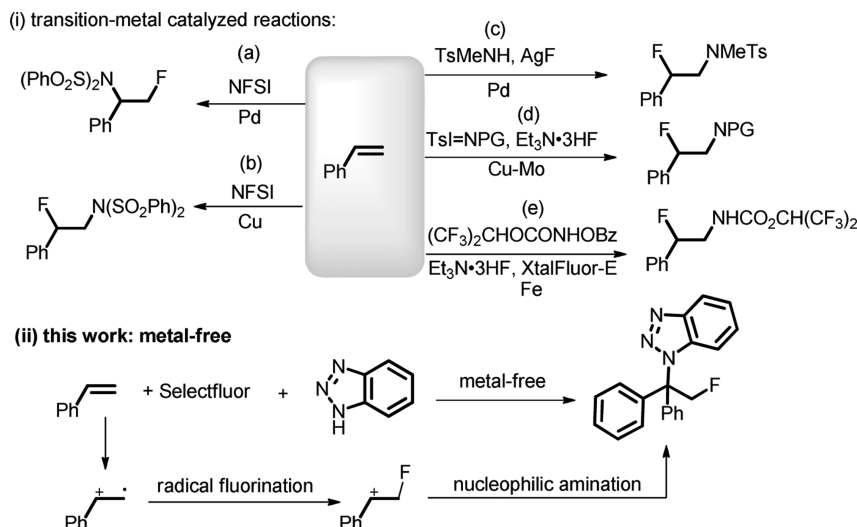
hydrofluorination,^{5a} phosphonofluorination,^{5b} hydroxyfluorination,⁶ carbofluorination,⁷ and aminofluorination^{2g,3e} of alkenes provided fluorinated products with the same regioselectivity. We imagine, if alkenes radical fluorination occurs first, a fluorinative functionalization with completely different regioselectivity will be accomplished. Recently, Guo and co-workers employed ESI-MS to observe a radical cation intermediate during the reaction of triphenylethylene and tetraphenylethylene with Selectfluor.¹⁰ We envisioned that via choosing suitable styrene derivatives, with Selectfluor as both the oxidant and fluorine source, the fluorine atom transfer might occur first with the in situ generated radical cation intermediate, and then the subsequent nucleophilic amination reaction might provide an aminofluorination product. Herein, we reported a metal-free three-component regioselective radical aminofluorination of styrenes with Selectfluor and azoles derivatives (Scheme 1, (ii)).

To realize our hypothesis, the reaction of 1,1-diphenylethylene (**1a**, 0.2 mmol) with benzotriazole (**2a**, 1.5 equiv) and Selectfluor (**3**, 2.0 equiv) was chosen as the model. To our delight, after the reaction was performed in CH₃CN (2 mL) at room temperature for 24 h, the desired aminofluorination product **4a** was obtained in 22% yield (Table 1, entry 1). Interestingly, fluorination followed by the Ritter-reaction product reported by Stavber^{3a} was not observed. Other solvents, such as DCE, THF, or toluene, were not suitable for the reaction (entries 2–4), while CH₃NO₂ could afford **4a** in 69% yield (entry 5). When the temperature was increased to 50 and 80 °C, the yield of **4a** decreased to 57% and 49%, respectively (entries 6 and 7).¹¹ When the feeding ratio of **1a** to **2a** was changed from 1:1.5 to 1:1, the yield of **4a** was improved to 72%, albeit a small amount of fluorohydroxylation product was detected (entry 8). By further changing the ratio of **1a** to

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Scheme 1. Intermolecular Aminofluorination Reaction of Styrene

Table 1. Optimization of Reaction Conditions^a

entry	solvent	T (°C)	F source	yield (%) ^b
1	CH ₃ CN	rt	Selectfluor	22
2	DCE	rt	Selectfluor	0
3	THF	rt	Selectfluor	0
4	toluene	rt	Selectfluor	0
5	CH ₃ NO ₂	rt	Selectfluor	69
6	CH ₃ NO ₂	50	Selectfluor	57
7	CH ₃ NO ₂	80	Selectfluor	49
8	CH ₃ NO ₂	rt	Selectfluor	72
9 ^c	CH ₃ NO ₂	rt	Selectfluor	99 (95)
10 ^{c,d}	CH ₃ NO ₂	rt	Selectfluor ^d	92
11 ^{c,e}	CH ₃ NO ₂	rt	Selectfluor ^e	86
12 ^c	CH ₃ NO ₂	rt	NFSI	50
13 ^c	CH ₃ NO ₂	rt	NFPT	0

^aReaction condition: **1a** (0.2 mmol), **2a** (1.5 equiv, 0.3 mmol), F source **3** (2.0 equiv, 0.4 mmol), solvent (2 mL), 24 h, air atmosphere. ^b¹H NMR yield with 1,3,5-trimethoxybenzene as internal standard (isolated yield in parentheses). ^cThe ratio of **1a**:**2a** is 1.5:1. ^d1.5 equiv Selectfluor was used. ^e1.0 equiv Selectfluor was used.

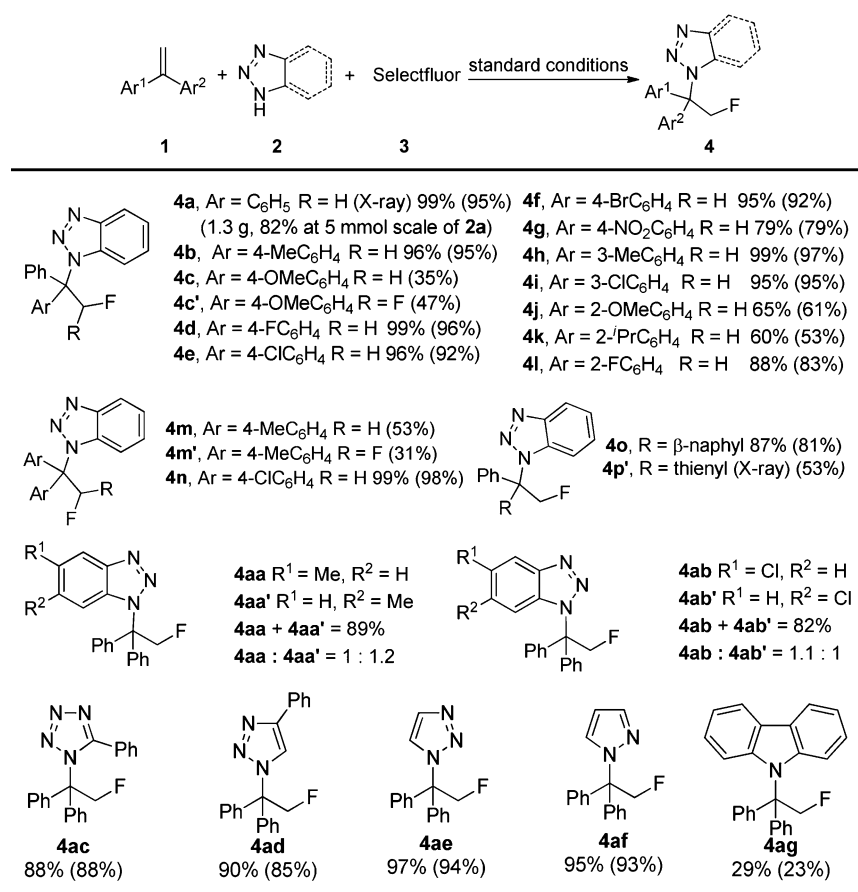
2a to 1.5:1, pleasingly, **4a** was obtained almost in quantitative yield (entry 9). When the amount of Selectfluor was decreased to 1.5 and 1.0 equiv, the yield was decreased to 92% and 86%, respectively (entries 10 and 11). Other F sources, such as NFSI and *N*-Fluoropyridinium tetrafluoroborate (NFPT), were not as effective as Selectfluor (entries 12 and 13).

With the optimized reaction conditions, we turned our focus to explore the scope of this aminofluorination reaction. As shown in Table 2, 1,1-diarylethylene derivatives **1a–1i** with an electron-donating or -withdrawing group on the benzene ring reacted smoothly and afforded the desired products **4a–4i** in good to excellent yields. *ortho*-Substituted 1,1-diarylethylene **1j** and **1k** gave **4j** and **4k** in moderate yields of 65% and 60%, respectively. For *para*-methyloxy or -methyl substituted substrates **1c** and **1m**, besides the desired **4c** and **4m**, difluoroamination products **4c'** and **4m'** were also obtained.

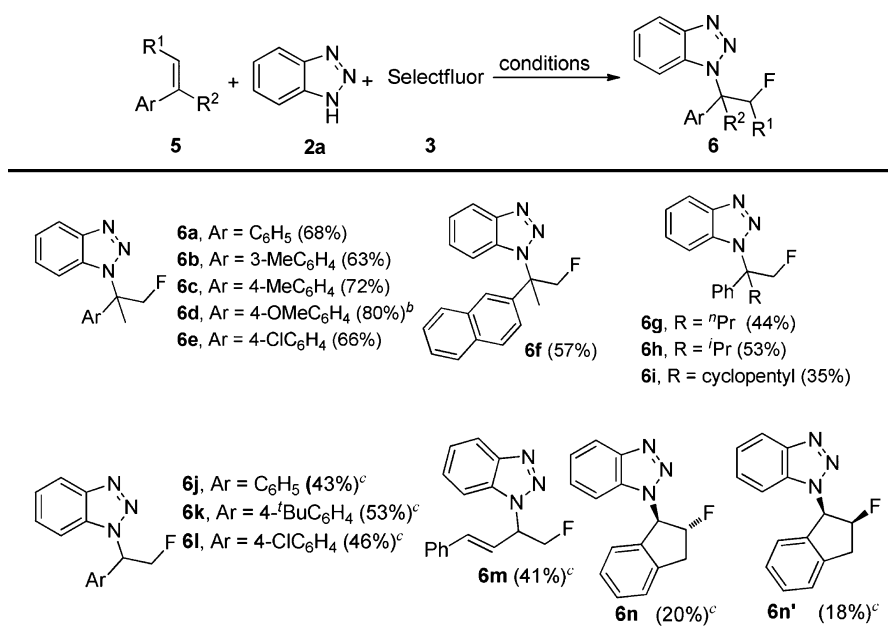
Substrate **1o** with a naphyl moiety was also viable, providing **4o** in 87% yield. Substrate **1p** containing a thienyl group only afforded difluoroamination product **4p'**. The structures of **4a** and **4p'** were further confirmed by X-ray diffraction analysis (see Figure S2 and Table S1, Figure S3 and Table S2 of the Supporting Information (SI)).¹² Other nitrogen sources were also scanned. 5-Substituted benzotriazole **2aa** and **2ab** could undergo the aminofluorination and give a mixture of regioisomers **4aa/4aa'** and **4ab/4ab'** in a total yield of 89% and 82%, respectively. 5-Phenyltetrazole (**2c**), 4-phenyltriazole (**2d**), triazole (**2e**), and diazole (**2f**) were effective nitrogen sources to afford **4ac–4af** in excellent yields. Carbazole (**2g**) was also tolerated, but **4ag** was formed in a low yield. Unfortunately, pyrrolidine, indole, morpholine, benzulfamide, and saccharin were incompatible. In addition, a gram-scale reaction readily afforded **4a** in 82% yield.

Inspired by the above excellent results, we turned to study the scope of styrenes (Table 3). Various α -methylstyrene derivatives **5a–5f** with an electron-donating or -withdrawing group on the benzene ring reacted with **2a** and **3** smoothly, providing **6a–6f** in 57–80% yields. The substrate with an electron-donating group seemed to be more efficient, and gave expected product in higher yield (**6d**, 80%). Alkyl groups substituted substrates **5g–5i** were tolerated, albeit forming **6g–6i** with relatively lower yields. Styrenes **5j–5l** also produced **6j–6l** in 43–53% yields. For conjugated diene **5m**, the aminofluorination reaction exclusively proceed at the terminal double bond to form **6m** in 43% yield. For 1*H*-indene **5n**, both *trans*- and *cis*-aminofluorination product **6n** and **6n'** were obtained in 20% and 18% yields, respectively. The relative configuration of **6n** was further determined by X-ray diffraction analysis (see Figure S4 and Table S3 of SI).¹³ However, aliphatic alkenes such as 3-(4-fluorophenyl)-1-propene and 2-ethyl-1-butene were not suitable to the reaction.

To understand the reaction mechanism, some control experiments were conducted (Scheme 2). When the reaction of **1a** was performed under a nitrogen or an oxygen atmosphere, the reaction proceeded very well. This suggested that oxygen did not take part in this transformation. When adding 2.0 equiv of BHT, only 32% of **4a** was obtained. Upon adding 2.0 equiv of TEMPO, the aminofluorination was completely suppressed, and an aminoxygenation product **7**

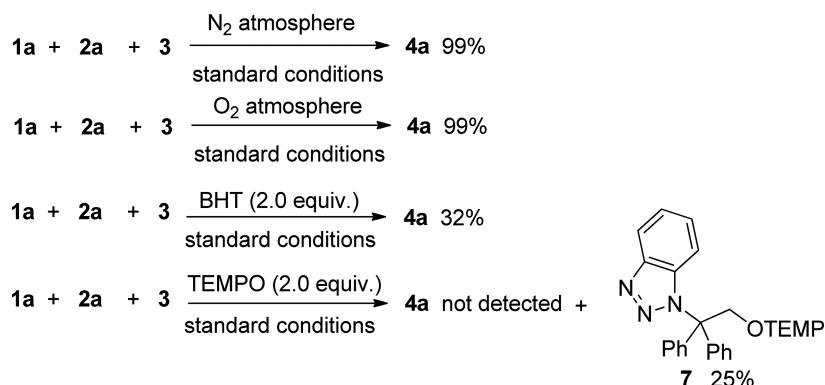
Table 2. Scope of Diarylethylenes and Nitrogen Sources^a

^aReaction conditions: **1** (0.3 mmol), **2** (0.2 mmol), **3** (0.4 mmol), CH₃NO₂ (2 mL), air atmosphere, room temperature, 24 h. ¹H NMR yield with 1,3,5-trimethoxybenzene as internal standard (isolated yield in parentheses).

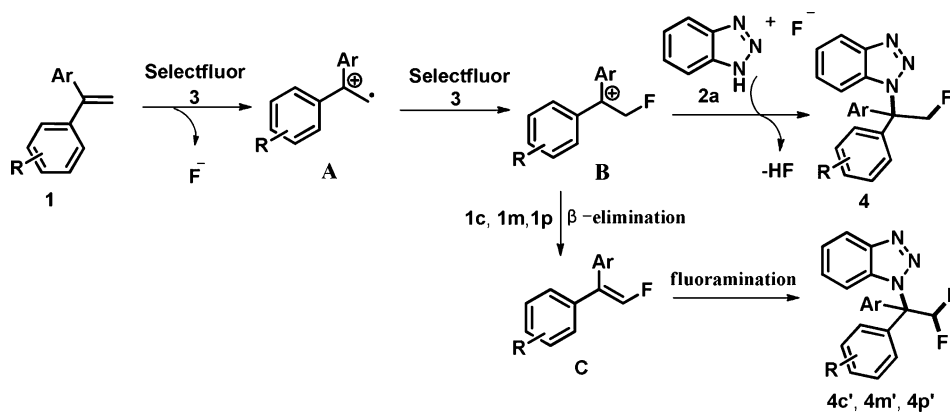
Table 3. Variety of Styrenes^a

^a**5** (0.4 mmol), **2a** (0.2 mmol), **3** (0.4 mmol), CH₃NO₂ (2 mL), air atmosphere, 80 °C, 36 h. Isolated yield in parentheses. ^bRoom temperature. ^c0.5 mmol **5** was used.

Scheme 2. Control Experiments



Scheme 3. Proposed Mechanism



was isolated in 25% yield. These results suggested that the fluorination might be a radical process.

Base on the above-mentioned results and previous reports,^{6,14} we proposed a possible mechanism for the reaction (Scheme 3). First, styrene **1a** was oxidized by Selectfluor via single electron transfer, generating a radical cation **A** and along with a fluorine anion. The following fluorine transfer between **A** and Selectfluor occurred to form carbon cation **B**. **A** and **B** could be observed through an ESI mass spectrum (for details see Figure S1 and Scheme S1 of SI). Finally, nucleophilic amination between **B** and **2a** assisted by a fluorine anion afforded **4a**. For substrates **1c**, **1m**, and **1p** with a very electron-rich substituent, **B** might undergo β -elimination to form fluorostyrene **C**, which underwent a second aminofluorination procedure to furnish difluoroamination **4c'**, **4m'**, and **4p'**. Although **1j** bears a strong electron-donating group, the steric effect might hinder the difluoroamination reaction, thus resulting in **4j** as the only product with a relatively high yield (vs **4c**). For **5m**, the aminofluorination might be favored to give a relatively stable conjugated product **6m** as the only product.

In summary, we have successfully developed the first metal-free high regioselective three-component aminofluorination of styrene derivatives with Selectfluor and azole derivatives. Various 1,2-aminofluorination compounds were efficiently synthesized under mild conditions. The mechanism showed that the reaction underwent radical fluorination followed by nucleophilic amination. This novel and facile aminofluorination strategy provides a new alternative for difunctionalization of alkenes. Further studies are underway in our lab.

EXPERIMENTAL SECTION

General Experimental Methods. All the reagents were used as purchased from commercial suppliers without further purification. Analytical thin layer chromatography (TLC) was performed on precoated alumina-backed silica gel plates (0.2 mm thickness) which were developed using UV fluorescence. Flash chromatography was performed on silica gel (300–400 mesh). Melting points were measured on a standard melting point apparatus and are uncorrected. ¹H NMR spectra were recorded on a 400, 500, or 600 MHz spectrometer, while ¹³C NMR spectra were recorded on a 100, 125, and 150 MHz instrument. Chemical shifts are reported in δ ppm referenced to an internal TMS standard for ¹H NMR and chloroform ($\delta = 77.0$ ppm) for ¹³C NMR. HRMS spectra were recorded using FAB (TOF analyzer) or ESI (TOF analyzer). Single-crystal X-ray diffraction data were recorded at a temperature of 293(2) K on an Oxford Diffraction Gemini R Ultra diffractometer, using a ω scan technique with Mo K α radiation ($\lambda = 0.71073$ Å).

General Procedure A for the Synthesis of Products 4 and 6. With **4a** as the example: In an open air atmosphere, a glass tube equipped with a magnetic stir bar was charged with benzotriazole (**2a**, 0.2 mmol, 23.8 mg), Selectfluor (**3**, 0.4 mmol, 141.7 mg), CH₃NO₂ (2 mL), and 1,1-diphenylethylene (**1a**, 0.3 mmol); the test tube was then sealed off. Then the resulting mixture was stirred at room temperature until full conversion was observed as monitored by TLC (24 h). After the reaction finished, the reaction mixture was evaporated under vacuum. The residue was purified by column chromatography (petroleum ether/ethyl acetate 30:1 (v/v)) to give the corresponding product **4a**. When **5** was α -methylstyrene or styrene derivatives, the standard conditions should be changed, with the amounts of alkene improved to 2.0 or 2.5 equiv, respectively, the temperature improved to 80 °C, and the reaction time at about 36 h, to obtain the corresponding product **6**.

ESI-MS Experiment. A glass tube equipped with a magnetic stir bar was charged with benzotriazole (**2a**, 0.2 mmol, 23.8 mg),

Selectfluor (3, 0.4 mmol, 141.7 mg), CH₃NO₂ (2 mL), and 1,1-diphenylethylene (1a, 0.3 mmol). The test tube was then sealed off, and the resulting mixture was stirred at room temperature for 20 min.

Characterization Data for Aminofluorination Products. 4a–4ag, 6a–6n, and 7 were synthesized as follows.

1-(2-Fluoro-1,1-diphenylethyl)-1H-benzo[d][1,2,3]triazole (4a). Following the general procedure A, 4a was obtained as a white solid (95% yield, 60.3 mg). Mp: 126–127 °C. NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, *J* = 8.4 Hz, 1H), 7.41–7.33 (m, 6H), 7.29–7.25 (m, 1H), 7.14–7.09 (m, 5H), 6.19 (d, *J* = 8.8 Hz, 1H), 5.77 (d, *J* = 47.2 Hz, 2H). ¹³C NMR (125 MHz, CDCl₃) δ 146.0, 137.9, 133.8, 128.8, 128.7, 128.0 (d, *J* = 2.1 Hz), 127.2, 123.7, 120.0, 112.2, 87.2 (d, *J* = 185.1 Hz), 72.7 (d, *J* = 19.0 Hz). ¹⁹F NMR (470 MHz, CDCl₃) δ –216.87 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₀H₁₆NaFN₃⁺ ([M + Na]⁺), 340.1220; found, 340.1224.

1-(2-Fluoro-1-phenyl-1-(*p*-tolylethyl)-1H-benzo[d][1,2,3]triazole (4b). Following the general procedure A, 4b was obtained as a white solid (95% yield, 62.9 mg). Mp: 123–124 °C. NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, *J* = 8.4 Hz, 1H), 7.41–7.33 (m, 3H), 7.30–7.26 (m, 1H), 7.17–7.15 (m, 2H), 7.13–7.10 (m, 3H), 7.03 (d, *J* = 8.4 Hz, 2H), 6.23 (d, *J* = 8.8 Hz, 1H), 5.83–5.66 (m, 2H), 2.37 (s, 3H). ¹³C NMR (125 MHz, CDCl₃) δ 146.1, 138.8, 138.2, 134.9, 133.9, 129.4, 128.7 (2C), 128.0 (d, *J* = 2.6 Hz), 127.1, 123.7, 120.1, 112.4, 87.3 (d, *J* = 184.9 Hz), 72.6 (d, *J* = 18.9 Hz), 21.0. ¹⁹F NMR (470 MHz, CDCl₃) δ –216.87 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₈NaFN₃⁺ ([M + Na]⁺), 354.1377; found, 354.1371.

1-(2-Fluoro-1-(4-methoxyphenyl)-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4c). Following the general procedure A, 4c was obtained as a colorless oil (35% yield, 24.3 mg). NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, *J* = 8.4 Hz, 1H), 7.39–7.33 (m, 3H), 7.30–7.26 (m, 1H), 7.15–7.08 (m, 5H), 6.90–6.87 (m, 2H), 6.23 (d, *J* = 8.8 Hz, 1H), 5.82–5.65 (m, 2H), 3.81 (s, 3H). ¹³C NMR (125 MHz, CDCl₃) δ 159.7, 146.1, 138.4, 133.9, 129.9, 129.6 (d, *J* = 2.3 Hz), 128.7, 128.7, 127.8 (d, *J* = 2.1 Hz), 127.2, 123.7, 120.1, 114.0, 112.4, 87.3 (d, *J* = 184.9 Hz), 72.4 (d, *J* = 18.9 Hz), 55.3. ¹⁹F NMR (470 MHz, CDCl₃) δ –216.77 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₈NaFON₃⁺ ([M + Na]⁺), 370.1326; found, 370.1324.

1-(2,2-Difluoro-1-(4-methoxyphenyl)-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4c'). Following the general procedure A, 4c' was obtained as a colorless oil (47% yield, 34.3 mg). NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, *J* = 8.4 Hz, 1H), 7.42–7.34 (m, 4H), 7.32–7.24 (m, 1H), 7.16–7.13 (m, 5H), 6.91–6.87 (m, 2H), 6.17 (d, *J* = 8.4 Hz, 1H), 3.82 (s, 3H). ¹³C NMR (125 MHz, CDCl₃) δ 160.1, 145.7, 135.7, 133.8, 130.5, 129.2, 128.7, 128.6, 127.5, 126.8, 123.9, 120.2, 115.7 (t, *J* = 250.3 Hz), 113.9, 112.3, 74.33 (t, *J* = 22.6 Hz), 55.3. ¹⁹F NMR (470 MHz, CDCl₃) δ –123.72 (dd, *J* = 278.2, 55.0 Hz, 1F), –124.3 (dd, *J* = 278.2, 55.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₇NaF₂ON₃⁺ ([M + Na]⁺), 388.1232; found, 388.1236.

1-(2-Fluoro-1-(4-fluorophenyl)-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4d). Following the general procedure A, 4d was obtained as a white solid (96% yield, 64.3 mg). Mp: 140–141 °C. NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.10 (d, *J* = 8.4 Hz, 1H), 7.43–7.35 (m, 3H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.17–7.04 (m, 7H), 6.21 (d, *J* = 8.4 Hz, 1H), 5.85–5.64 (m, 2H). ¹³C NMR (125 MHz, CDCl₃) δ 162.7 (d, *J* = 248.3 Hz), 146.1, 137.8, 134.0 (d, *J* = 2.1 Hz), 133.7, 130.1 (dd, *J* = 8.1 Hz, 2.6 Hz), 129.0, 128.9, 127.9 (d, *J* = 2.0 Hz), 127.4, 123.9, 120.2, 115.7 (d, *J* = 21.5 Hz), 112.08, 87.2 (d, *J* = 185.0 Hz), 72.2 (d, *J* = 19.3 Hz). ¹⁹F NMR (470 MHz, CDCl₃) δ –114.41 (m, 1F), –216.97 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₀H₁₅NaF₂N₃⁺ ([M + Na]⁺), 358.1126; found, 358.1123.

1-(1-(4-chlorophenyl)-2-fluoro-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4e). Following the general procedure A, 4e was obtained as a white solid (92% yield, 60.9 mg). Mp: 160–161 °C. NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, *J* = 8.4 Hz, 1H), 7.43–7.28 (m, 6H), 7.17–7.08 (m, 5H), 6.25 (d, *J* = 8.4 Hz, 1H), 5.85–5.63 (m, 2H). ¹³C NMR (125 MHz, CDCl₃) δ 146.0,

137.4, 136.6, 134.9, 133.6, 129.5 (d, *J* = 2.5 Hz), 129.1, 128.9, 127.9 (d, *J* = 1.9 Hz), 127.4, 123.9, 120.2, 112.0, 87.0 (d, *J* = 185.1 Hz), 72.2 (d, *J* = 19.3 Hz). ¹⁹F NMR (470 MHz, CDCl₃) δ –217.04 (d, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₀H₁₅NaClFN₃⁺ ([M + Na]⁺), 374.0831; found, 374.0821.

1-(1-(4-Bromophenyl)-2-fluoro-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4f). Following the general procedure A, 4f was obtained as a white solid (92% yield, 72.7 mg). Mp: 154–155 °C. NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, *J* = 8.4 Hz, 1H), 7.50–7.48 (m, 2H), 7.41–7.35 (m, 3H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.20–7.11 (m, 3H), 7.02 (d, *J* = 8.4 Hz, 2H), 6.25 (d, *J* = 8.8 Hz, 1H), 5.85–5.62 (m, 2H). ¹³C NMR (125 MHz, CDCl₃) δ 146.1, 137.3, 137.2, 133.6, 131.9, 129.8 (d, *J* = 2.5 Hz), 129.1, 128.9, 128.0 (d, *J* = 2.0 Hz), 127.5, 123.9, 123.2, 120.2, 112.0, 87.0 (d, *J* = 185.1 Hz), 72.2 (d, *J* = 19.1 Hz). ¹⁹F NMR (470 MHz, CDCl₃) δ –217.09 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₀H₁₅NaBrFN₃⁺ ([M + Na]⁺), 418.0326; found, 418.0313.

1-(2-Fluoro-1-(4-nitrophenyl)-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4g). Following the general procedure A, 4g was obtained as a white solid (79% yield, 57.2 mg). Mp: 178–179 °C. NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.22 (d, *J* = 8.8 Hz, 2H), 8.13 (d, *J* = 8.4 Hz, 1H), 7.49–7.40 (m, 3H), 7.38–7.32 (m, 3H), 7.23–7.15 (m, 3H), 6.23 (d, *J* = 8.4 Hz, 1H), 5.89 (dd, *J* = 47.2, 10.0 Hz, 1H), 5.71 (dd, *J* = 46.8, 10.0 Hz, 1H). ¹³C NMR (125 MHz, CDCl₃) δ 147.9, 146.1, 145.3, 136.5, 133.4, 129.6, 129.2, 129.1 (d, *J* = 2.8 Hz), 128.0 (d, *J* = 1.1 Hz), 127.8, 124.2, 123.8, 120.5, 111.7, 86.9 (d, *J* = 185.5 Hz), 72.2 (d, *J* = 19.5 Hz). ¹⁹F NMR (470 MHz, CDCl₃) δ –217.14 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₀H₁₅NaFO₂N₄⁺ ([M + Na]⁺), 385.1071; found, 385.1066.

1-(2-Fluoro-1-phenyl-1-(*m*-tolylethyl)-1H-benzo[d][1,2,3]triazole (4h). Following the general procedure A, 4h was obtained as a colorless oil (97% yield, 64.2 mg). NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.08 (d, *J* = 8.4 Hz, 1H), 7.40–7.32 (m, 3H), 7.29–7.27 (m, 1H), 7.25–7.18 (m, 2H), 7.14–7.09 (m, 3H), 6.98 (s, 1H), 6.89 (d, *J* = 7.2 Hz, 1H), 6.21 (d, *J* = 8.4 Hz, 1H), 5.84–5.66 (m, 2H), 2.28 (s, 3H). ¹³C NMR (125 MHz, CDCl₃) δ 146.0, 138.4, 138.1, 137.8, 133.8, 129.6, 128.8, 128.6, 128.5 (2C), 128.0 (d, *J* = 2.1 Hz), 127.1, 125.2 (d, *J* = 1.6 Hz), 123.7, 112.0, 112.3, 87.2 (d, *J* = 185.1 Hz), 72.6 (d, *J* = 18.9 Hz), 21.5. ¹⁹F NMR (470 MHz, CDCl₃) δ –216.53 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₈NaFN₃⁺ ([M + Na]⁺), 354.1377; found, 354.1371.

1-(1-(3-Chlorophenyl)-2-fluoro-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4i). Following the general procedure A, 4i was obtained as a white solid (95% yield, 66.7 mg). Mp: 125–126 °C. NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.10 (d, *J* = 8.4 Hz, 1H), 7.44–7.35 (m, 4H), 7.32–7.27 (m, 2H), 7.20–7.11 (m, 4H), 6.99 (d, *J* = 8.0 Hz, 1H), 6.24 (d, *J* = 8.4 Hz, 1H), 5.86–5.64 (m, 2H). ¹³C NMR (125 MHz, CDCl₃) δ 146.0, 140.2, 137.2, 134.8, 133.6, 129.9, 129.1, 129.0, 128.9, 128.2 (d, *J* = 2.8 Hz), 128.0 (d, *J* = 1.9 Hz), 127.5, 126.2 (d, *J* = 2.4 Hz), 123.9, 120.2, 112.0, 87.0 (d, *J* = 185.3 Hz), 72.2 (d, *J* = 19.1 Hz). ¹⁹F NMR (470 MHz, CDCl₃) δ –216.96 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₀H₁₅NaClFN₃⁺ ([M + Na]⁺), 374.0831; found, 374.0834.

1-(2-Fluoro-1-(2-methoxyphenyl)-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4j). Following the general procedure A, 4j was obtained as a white solid (61% yield, 42.3 mg). Mp: 142–143 °C. NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.08 (d, *J* = 8.4 Hz, 1H), 7.42–7.31 (m, 4H), 7.28–7.24 (m, 1H), 7.15–7.07 (m, 3H), 6.93–6.88 (m, 2H), 6.64–6.61 (m, 1H), 6.23 (d, *J* = 8.4 Hz, 1H), 5.97 (d, *J* = 46.8 Hz, 2H), 3.43 (s, 3H). ¹³C NMR (125 MHz, CDCl₃) δ 157.9, 145.7, 139.2, 134.0, 130.8, 130.6, 128.5, 128.4, 127.7 (d, *J* = 3.3 Hz), 126.9, 125.5, 123.5, 120.8, 119.9, 112.0, 111.7, 86.5 (d, *J* = 180.6 Hz), 73.0 (d, *J* = 20.4 Hz), 55.4. ¹⁹F NMR (470 MHz, CDCl₃) δ –214.68 (t, *J* = 47.0 Hz, 1F). HRMS (ESI-TOF) (*m/z*): calcd for C₂₁H₁₈NaFON₃⁺ ([M + Na]⁺), 370.1326; found, 370.1319.

1-(2-Fluoro-1-(2-isopropylphenyl)-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4k). Following the general procedure A, 4k was obtained as a colorless oil (53% yield, 38.1 mg). NMR spectroscopy: ¹H NMR (400 MHz, CDCl₃) δ 8.08 (d, *J* = 8.4 Hz, 1H), 7.45–7.35 (m, 7H), 7.29–7.24 (m, 1H), 7.24–7.20 (m, 1H), 7.13–7.09 (m, 1H),

7.07–7.05 (m, 1H), 6.29 (d, $J = 8.4$ Hz, 1H), 5.81–5.53 (m, 2H), 2.45–2.38 (m, 1H), 0.73 (d, $J = 6.8$ Hz, 3H), 0.54 (d, $J = 6.8$ Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 149.0, 146.0, 139.0, 134.4, 129.6, 128.8 (d, $J = 2.8$ Hz), 128.6 (3C), 128.5, 128.4, 127.1, 126.0, 123.8, 120.0, 112.6, 87.2 (d, $J = 186.1$ Hz), 74.1 (d, $J = 19.1$ Hz), 29.5, 23.8, 23.6. ^{19}F NMR (470 MHz, CDCl_3) δ -210.85 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{23}\text{H}_{22}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 382.1690; found, 382.1688.

1-(2-Fluoro-1-(2-fluorophenyl)-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4l). Following the general procedure A, **4l** was obtained as a colorless oil (83% yield, 55.6 mg). NMR spectroscopy: ^1H NMR (600 MHz, $\text{DMSO}-d_6$) δ 8.14 (d, $J = 8.4$ Hz, 1H), 7.56–7.38 (m, 1H), 7.45–7.44 (m, 3H), 7.40–7.36 (m, 1H), 7.33–7.28 (m, 2H), 7.26–7.23 (m, 1H), 7.21–7.20 (m, 2H), 6.73 (t, $J = 7.8$ Hz, 1H), 6.49 (d, $J = 8.4$ Hz, 1H), 5.95–5.76 (m, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 160.4 (d, $J = 248.4$ Hz), 146.0, 137.4, 133.8, 131.3 (d, $J = 8.8$ Hz), 130.2 (d, $J = 1.9$ Hz), 129.1, 128.9, 127.7 (d, $J = 3.0$ Hz), 127.4, 124.6 (d, $J = 3.1$ Hz), 123.8, 120.2, 116.7 (d, $J = 23.1$ Hz), 111.7, 86.1 (dd, $J = 183.9$ Hz, 7.4 Hz), 72.2 (dd, $J = 19.8$ Hz, 3.4 Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -108.75 (m, 1F), -214.90 (td, $J = 47.0$ Hz, 2.8 Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{20}\text{H}_{15}\text{NaF}_2\text{N}_3^+$ ($[\text{M} + \text{Na}]^+$), 358.1126; found, 358.1124.

1-(2-Fluoro-1,1-di-*p*-tolylethyl)-1H-benzo[d][1,2,3]triazole (4m). Following the general procedure A, **4m** was obtained as a white solid (53% yield, 36.8 mg). Mp: 123–124 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.4$ Hz, 1H), 7.29–7.25 (m, 1H), 7.16–7.10 (m, 5H), 7.02–7.00 (m, 4H), 6.27 (d, $J = 8.4$ Hz, 1H), 5.71 (d, $J = 46.8$ Hz, 2H), 2.35 (s, 6H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.0, 138.6, 135.1, 133.8, 129.3, 127.9 (d, $J = 2.0$ Hz), 127.0, 123.6, 120.0, 112.5, 87.2 (d, $J = 184.9$ Hz), 72.4 (d, $J = 18.9$ Hz), 21.0. ^{19}F NMR (470 MHz, CDCl_3) δ -216.80 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{22}\text{H}_{20}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 368.1533; found, 368.1528.

1-(2,2-Difluoro-1,1-di-*p*-tolylethyl)-1H-benzo[d][1,2,3]triazole (4m'). Following the general procedure A, **4m'** was obtained as a white solid (31% yield, 22.6 mg). Mp: 182–183 °C. NMR spectroscopy: ^1H NMR (600 MHz, CDCl_3) δ 8.09 (d, $J = 8.4$ Hz, 1H), 7.41–7.38 (m, 1H), 7.30–7.25 (m, 2H), 7.17–7.14 (m, 5H), 7.07 (d, $J = 8.4$ Hz, 3H), 6.21 (d, $J = 8.4$ Hz, 1H), 2.37 (s, 6H). ^{13}C NMR (150 MHz, CDCl_3) δ 145.8, 139.3, 133.8, 132.3, 129.3, 128.8, 127.3, 123.9, 120.2, 115.7 (t, $J = 250.1$ Hz), 112.5, 74.4 (t, $J = 22.5$ Hz), 21.0. ^{19}F NMR (565 MHz, CDCl_3) δ -122.2 (d, $J = 56.5$ Hz). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{22}\text{H}_{19}\text{NaF}_2\text{N}_3^+$ ($[\text{M} + \text{Na}]^+$), 368.1439; found, 368.1457.

1-(1,1-Bis(4-chlorophenyl)-2-fluoroethyl)-1H-benzo[d][1,2,3]triazole (4n). Following the general procedure A, **4n** was obtained as a white solid (98% yield, 75.5 mg). Mp: 132–133 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.11 (d, $J = 8.4$ Hz, 1H), 7.36–7.31 (m, 5H), 7.22–7.18 (m, 1H), 7.07 (d, $J = 8.4$ Hz, 4H), 6.30 (d, $J = 8.4$ Hz, 1H), 5.71 (d, $J = 46.8$ Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.2, 136.1, 135.2, 133.4, 129.4 (d, $J = 2.3$ Hz), 129.1, 127.7, 124.1, 120.4, 111.9, 87.0 (d, $J = 185.1$ Hz), 71.7 (d, $J = 19.5$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -217.36 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{20}\text{H}_{14}\text{NaCl}_2\text{FN}_3^+$ ($[\text{M} + \text{Na}]^+$), 408.0441; found, 408.0451.

1-(2-Fluoro-1-(naphthalen-2-yl)-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (4o). Following the general procedure A, **4o** was obtained as a white solid (81% yield, 59.4 mg). Mp: 121–122 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.10 (d, $J = 8.4$ Hz, 1H), 7.82 (t, $J = 7.6$ Hz, 2H), 7.68 (d, $J = 8.0$ Hz, 1H), 7.54–7.44 (m, 3H), 7.42–7.34 (m, 3H), 7.32–7.30 (m, 1H), 7.28–7.23 (m, 1H), 7.20–7.18 (m, 2H), 7.06 (t, $J = 7.6$ Hz, 1H), 6.25 (d, $J = 8.4$ Hz, 1H), 5.94–5.76 (m, 2 H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.0, 137.9, 135.3, 133.8, 132.9, 132.7, 128.9, 128.7, 128.5, 128.1 (2C), 127.6 (d, $J = 1.5$ Hz), 127.4, 127.3, 127.0, 126.6, 125.3 (d, $J = 2.4$ Hz), 123.8, 120.1, 112.3, 87.2 (d, $J = 185.0$ Hz), 72.8 (d, $J = 19.0$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -216.63 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{24}\text{H}_{18}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 390.1377; found, 390.1375.

1-(2,2-Difluoro-1-phenyl-1-(thiophen-2-yl)ethyl)-1H-benzo[d][1,2,3]triazole (4p'). Following the general procedure A, **4p'** was obtained as a white solid (53% yield, 36.1 mg). Mp: 127–128 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.11 (d, $J = 8.4$ Hz, 1H), 7.47–7.42 (m, 2H), 7.39–7.35 (m, 2H), 7.34–7.30 (m, 2H), 7.20–7.18 (m, 1H), 7.16–7.11 (m, 3H), 7.09–7.07 (m, 1H), 6.12 (d, $J = 8.4$ Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 145.7, 138.1, 135.3, 133.6, 130.3 (d, $J = 2.4$ Hz), 129.7, 128.6, 128.3 (d, $J = 3.1$ Hz), 128.0, 127.7, 126.9, 124.1, 120.2, 114.9 (t, $J = 251.5$ Hz), 112.03, 72.4 (t, $J = 22.6$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -124.70 (dd, $J = 277.3$, 55.0 Hz, 1F), -125.95 (dd, $J = 277.3$, 55.0 Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{18}\text{H}_{13}\text{NaF}_2\text{N}_3\text{S}^+$ ($[\text{M} + \text{Na}]^+$), 364.0690; found, 364.0688.

1-(2-Fluoro-1,1-diphenylethyl)-5-methyl-1H-benzo[d][1,2,3]triazole (4aa) and 1-(2-Fluoro-1,1-diphenylethyl)-6-methyl-1H-benzo[d][1,2,3]triazole (4aa'). Following the general procedure A, **4aa** and **4aa'** could not be readily separated by silica gel chromatography; they were characterized as a mixture. The ratio of the isomer was determined by ^1H NMR spectroscopy. Colorless oil (**4aa** + **4aa'** = 89%, 58.9 mg, **4aa**:**4aa'** = 1:1.2). NMR Spectroscopy of **4aa** and **4aa'**: ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, $J = 8.8$ Hz, 1H), 7.84 (s, 1H), 7.41–7.32 (m, 12H), 7.15–7.09 (m, 9H), 6.96–6.93 (m, 1H), 6.07 (d, $J = 8.4$ Hz, 1H), 5.91 (s, 1H), 5.81–5.69 (m, 4H), 2.43 (s, 3H), 2.19 (s, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.6, 144.7, 138.0, 137.7, 134.3, 133.8, 132.3, 129.4, 128.79, 128.76, 128.7, 128.11, 128.09, 128.06, 126.0, 119.4, 119.0, 111.7, 111.4, 87.3 (d, $J = 184.9$ Hz), 87.2 (d, $J = 184.9$ Hz), 72.5 (d, $J = 18.9$ Hz), 72.5 (d, $J = 19.0$ Hz), 21.9, 21.2. ^{19}F NMR (470 MHz, CDCl_3) δ -216.76 (t, $J = 47.0$ Hz, 1F), -216.96 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{22}\text{H}_{18}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 354.1377; found, 354.1371.

5-Chloro-1-(2-fluoro-1,1-diphenylethyl)-1H-benzo[d][1,2,3]triazole (4ab) and 6-Chloro-1-(2-fluoro-1,1-diphenylethyl)-1H-benzo[d][1,2,3]triazole (4ab'). Following the general procedure A, **4ab** and **4ab'** were obtained as a colorless oil (**4ab** + **4ab'** = 82%, 57.6 mg, **4ab**:**4ab'** = 1.1:1). NMR spectroscopy of **4ab**: ^1H NMR (400 MHz, CDCl_3) δ 8.07–8.06 (m, 1H), 7.44–7.35 (m, 6H), 7.12–7.07 (m, 5H), 6.09 (d, $J = 8.8$ Hz, 1H), 5.79 (d, $J = 46.8$ Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.7, 137.6, 132.6, 129.8, 129.1, 128.9, 128.2, 128.0 (d, $J = 2.3$ Hz), 119.4, 113.2, 87.1 (d, $J = 185.8$ Hz), 73.0 (d, $J = 18.9$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -216.82 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{20}\text{H}_{15}\text{NaClFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 374.0831; found, 374.0831. NMR Spectroscopy of **4ab'**: ^1H NMR (400 MHz, CDCl_3) δ 8.02–8.00 (m, 1H), 7.45–7.37 (m, 6H), 7.27–7.24 (m, 1H), 7.13–7.10 (m, 4H), 6.10–6.09 (m, 1H), 5.74 (d, $J = 47.2$ Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 144.6, 137.6, 134.5, 133.7, 129.1, 128.9, 128.0 (d, $J = 2.3$ Hz), 125.1, 120.9, 112.0, 87.1 (d, $J = 185.8$ Hz), 73.0 (d, $J = 18.5$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -216.69 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{20}\text{H}_{15}\text{NaClFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 374.0831; found, 374.0831.

1-(2-Fluoro-1,1-diphenylethyl)-5-phenyl-1H-tetrazole (4ac). Following the general procedure A, **4ac** was obtained as a colorless oil (88% yield, 60.5 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.18–8.15 (m, 2H), 7.48–7.45 (m, 3H), 7.38–7.34 (m, 6H), 7.22–7.18 (m, 4H), 5.68 (d, $J = 46.4$ Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 164.6, 138.4, 130.4, 128.9, 128.8, 128.5, 128.2 (d, $J = 1.8$ Hz), 127.2, 127.0, 85.2 (d, $J = 186.1$ Hz), 76.1 (d, $J = 18.3$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -218.55 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{21}\text{H}_{17}\text{NaFN}_4^+$ ($[\text{M} + \text{Na}]^+$), 367.1329; found, 367.1328.

1-(2-Fluoro-1,1-diphenylethyl)-4-phenyl-1H-1,2,3-triazole (4ad). Following the general procedure A, **4ad** was obtained as a white solid (85% yield, 58.3 mg). Mp: 121–122 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 7.95 (s, 1H), 7.80–7.78 (m, 2H), 7.42–7.38 (m, 2H), 7.36–7.31 (m, 7H), 7.20–7.15 (m, 4H), 5.65 (d, $J = 46.8$ Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 147.7, 139.8, 131.2, 130.2, 128.8, 128.5, 128.4, 128.3 (d, $J = 2.1$ Hz), 128.2, 126.1, 85.9 (d, $J = 183.9$ Hz), 75.6 (d, $J = 18.4$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -218.89 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{22}\text{H}_{18}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 366.1377; found, 366.1372.

1-(2-Fluoro-1,1-diphenylethyl)-1H-1,2,3-triazole (4ae). Following the general procedure A, **4ae** was obtained as a colorless oil (94% yield, 45.8 mg). NMR spectroscopy: ^1H NMR (600 MHz, CDCl_3) δ 7.73 (d, $J = 1.2$ Hz, 1H), 7.41–7.36 (m, 7H), 7.09–7.07 (m, 4H), 5.57 (d, $J = 46.8$ Hz, 2H). ^{13}C NMR (150 MHz, CDCl_3) δ 139.1, 133.0, 128.9, 128.7, 128.0 (d, $J = 2.4$ Hz), 125.2 (d, $J = 2.3$ Hz), 86.1 (d, $J = 185.6$ Hz), 72.5 (d, $J = 18.2$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ -214.49 (t, $J = 46.9$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{16}\text{H}_{14}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 290.1064; found, 290.1066.

1-(2-Fluoro-1,1-diphenylethyl)-1H-pyrazole (4af). Following the general procedure A, **4af** was obtained as a colorless oil (93% yield, 49.5 mg). NMR spectroscopy: ^1H NMR (600 MHz, CDCl_3) δ 7.67 (d, $J = 1.8$ Hz, 1H), 7.35–7.34 (m, 6H), 7.19 (d, $J = 2.4$ Hz, 1H), 7.0–7.05 (m, 4H), 6.28 (t, $J = 2.4$ Hz, 1H), 5.52 (d, $J = 47.4$ Hz, 2H). ^{13}C NMR (150 MHz, CDCl_3) δ 140.5 (d, $J = 1.4$ Hz), 139.9, 131.1 (d, $J = 1.5$ Hz), 128.5, 128.4, 128.1 (d, $J = 2.7$ Hz), 105.2, 86.7 (d, $J = 183.8$ Hz), 72.1 (d, $J = 17.9$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ -215.32 (t, $J = 47.4$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{15}\text{H}_{14}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 278.1064; found, 278.1073.

9-(2-Fluoro-1,1-diphenylethyl)-9H-carbazole (4ag). Following the general procedure A, **4ag** was obtained as a colorless oil (23% yield, 16.8 mg). NMR spectroscopy: ^1H NMR (600 MHz, CDCl_3) δ 8.03 (s, 1H), 7.92 (d, $J = 7.8$ Hz, 1H), 7.83 (d, $J = 1.8$ Hz, 1H), 7.42–7.37 (m, 2H), 7.34–7.27 (m, 7H), 7.21–7.18 (m, 4H), 7.18–7.15 (m, 2H), 5.46 (d, $J = 48.9$ Hz, 2H). ^{13}C NMR (150 MHz, CDCl_3) δ 144.8 (d, $J = 2.6$ Hz), 139.9, 138.2, 135.5 (d, $J = 2.7$ Hz), 129.4 (d, $J = 2.0$ Hz), 128.1, 127.5 (d, $J = 1.7$ Hz), 126.7, 125.9, 123.3, 123.1, 120.9 (d, $J = 2.1$ Hz), 120.3, 119.5, 110.6, 110.1, 89.0 (d, $J = 181.7$ Hz), 57.8 (d, $J = 16.8$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ -209.15 (t, $J = 47.5$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{26}\text{H}_{20}\text{NaFN}^+$ ($[\text{M} + \text{Na}]^+$), 388.1472; found, 388.1465.

1-(1-Fluoro-2-phenylpropan-2-yl)-1H-benzo[d][1,2,3]triazole (6a). Following the general procedure A, **6a** was obtained as a white solid (68% yield, 34.7 mg). Mp: 91–92 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.4$ Hz, 1H), 7.38–7.35 (m, 3H), 7.30–7.28 (m, 1H), 7.20–7.16 (m, 3H), 6.71 (d, $J = 8.4$ Hz, 1H), 5.39 (dd, $J = 46.8$, 9.6 Hz, 1H), 5.06 (dd, $J = 46.8$, 9.6 Hz, 1H), 2.22 (d, $J = 2.0$ Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.6, 139.1, 132.4, 129.0, 128.6, 126.9, 126.2, 123.8, 112.0, 112.0, 87.0 (d, $J = 182.3$ Hz), 66.8 (d, $J = 19.4$ Hz), 23.8 (d, $J = 4.5$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -221.26 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{15}\text{H}_{14}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 278.1064; found, 278.1073.

1-(1-Fluoro-2-(*m*-tolyl)propan-2-yl)-1H-benzo[d][1,2,3]triazole (6b). Following the general procedure A, **6b** was obtained as a white solid (63% yield, 33.9 mg). Mp: 104–105 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.4$ Hz, 1H), 7.30–7.25 (m, 2H), 7.23–7.17 (m, 2H), 7.01–6.97 (m, 2H), 6.74 (d, $J = 8.4$ Hz, 1H), 5.39 (dd, $J = 47.4$, 9.6 Hz, 1H), 5.03 (dd, $J = 46.8$, 9.6 Hz, 1H), 2.31 (s, 3H), 2.21 (d, $J = 1.6$ Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.7, 139.1, 138.8, 132.5, 129.4, 128.9, 126.8, 126.7, 123.7, 123.3, 112.0, 112.1, 87.1 (d, $J = 182.3$ Hz), 66.8 (d, $J = 19.4$ Hz), 23.8 (d, $J = 4.5$ Hz), 21.5. ^{19}F NMR (470 MHz, CDCl_3) δ -221.22 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{16}\text{H}_{16}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 292.1220; found, 292.1219.

1-(1-Fluoro-2-(*p*-tolyl)propan-2-yl)-1H-benzo[d][1,2,3]triazole (6c). Following the general procedure A, **6c** was obtained as a colorless oil (72% yield, 38.7 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.06 (d, $J = 8.0$ Hz, 1H), 7.29–7.25 (m, 1H), 7.20–7.16 (m, 3H), 7.08–7.06 (m, 2H), 6.74 (d, $J = 8.4$ Hz, 1H), 5.37 (dd, $J = 47.2$, 9.6 Hz, 1H), 5.02 (dd, $J = 46.8$, 9.6 Hz, 1H), 2.35 (s, 3H), 2.19 (d, $J = 2.4$ Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.6, 138.5, 136.1, 132.4, 129.7, 126.8, 126.0, 123.7, 119.9, 112.1 (d, $J = 1.1$ Hz), 87.0 (d, $J = 182.1$ Hz), 66.7 (d, $J = 19.4$ Hz), 23.7 (d, $J = 4.4$ Hz), 21.0. ^{19}F NMR (470 MHz, CDCl_3) δ -221.18 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{16}\text{H}_{16}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 292.1220; found, 292.1223.

1-(1-Fluoro-2-(4-methoxyphenyl)propan-2-yl)-1H-benzo[d][1,2,3]triazole (6d). Following the general procedure A, **6d** was obtained as a colorless oil (80% yield, 45.6 mg). NMR spectroscopy: ^1H NMR (600 MHz, CDCl_3) δ 8.05 (d, $J = 8.4$ Hz, 1H), 7.28–7.26

(m, 1H), 7.20–7.17 (m, 1H), 7.13–7.11 (m, 2H), 6.89–6.87 (m, 2H), 6.73 (d, $J = 8.4$ Hz, 1H), 5.35 (dd, $J = 46.8$, 9.6 Hz, 1H), 5.03 (dd, $J = 47.4$, 9.6 Hz, 1H), 3.80 (s, 3H), 2.18 (d, $J = 2.4$ Hz, 3H). ^{13}C NMR (150 MHz, CDCl_3) δ 159.6, 146.6, 132.4, 131.1, 127.5 (d, $J = 0.8$ Hz), 126.8, 123.7, 119.9, 114.3, 112.1 (d, $J = 1.1$ Hz), 87.1 (d, $J = 182.1$ Hz), 66.4 (d, $J = 19.5$ Hz), 55.2, 23.7 (d, $J = 4.5$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ -218.99 (m, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{16}\text{H}_{16}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 308.1179; found, 308.1170.

1-(2-(4-Chlorophenyl)-1-fluoropropan-2-yl)-1H-benzo[d][1,2,3]triazole (6e). Following the general procedure A, **6e** was obtained as a colorless oil (66% yield, 38.1 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.07 (m, 1H), 7.36–7.27 (m, 3H), 7.25–7.21 (m, 1H), 7.14–7.12 (m, 2H), 6.75 (dd, $J = 8.4$, 0.8 Hz, 1H), 5.33 (dd, $J = 46.8$, 9.6 Hz, 1H), 5.07 (dd, $J = 46.8$, 9.6 Hz, 1H), 2.19 (d, $J = 2.4$ Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.7, 137.7, 134.7, 132.2, 129.2, 127.7, 127.1, 123.9, 120.1, 111.8 (d, $J = 1.5$ Hz), 86.8 (d, $J = 182.4$ Hz), 66.3 (d, $J = 19.6$ Hz), 23.7 (d, $J = 4.5$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -221.44 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{15}\text{H}_{13}\text{NaClFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 312.0674; found, 312.0668.

1-(1-Fluoro-2-(naphthalen-2-yl)propan-2-yl)-1H-benzo[d][1,2,3]triazole (6f). Following the general procedure A, **6f** was obtained as a white solid (57% yield, 34.8 mg). Mp: 121–122 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.08 (d, $J = 8.4$ Hz, 1H), 7.86–7.77 (m, 4H), 7.57–7.52 (m, 2H), 7.28–7.24 (m, 1H), 7.12–7.08 (m, 2H), 6.70 (dd, $J = 8.4$, 0.4 Hz, 1H), 5.49 (dd, $J = 47.2$, 9.6 Hz, 1H), 5.17 (dd, $J = 46.8$, 9.6 Hz, 1H), 2.32 (d, $J = 2.4$ Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.7, 136.5, 133.0, 132.5, 129.1, 128.3, 127.6, 126.9 (d, $J = 6.1$ Hz), 126.8, 125.3, 123.8 (d, $J = 5.0$ Hz), 120.0, 112.0, 87.1 (d, $J = 182.3$ Hz), 66.9 (d, $J = 19.5$ Hz), 23.8 (d, $J = 4.5$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ -221.34 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{19}\text{H}_{16}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 328.1220; found, 328.1224.

1-(1-Fluoro-2-phenylpentan-2-yl)-1H-benzo[d][1,2,3]triazole (6g). Following the general procedure A, **6g** was obtained as a colorless oil (44% yield, 24.9 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.4$ Hz, 1H), 7.37–7.34 (m, 3H), 7.29–7.26 (m, 1H), 7.19–7.14 (m, 3H), 6.64 (d, $J = 8.4$ Hz, 1H), 5.45 (dd, $J = 47.6$, 9.6 Hz, 1H), 5.17 (dd, $J = 46.8$, 9.6 Hz, 1H), 2.74–2.62 (m, 2H), 1.39–1.26 (m, 1H), 0.91–0.81 (m, 4H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.4, 138.8, 132.6, 128.8, 128.4, 126.8, 126.6, 123.8, 112.0, 112.1, 85.4 (d, $J = 178.3$ Hz), 69.2 (d, $J = 20.0$ Hz), 37.5 (d, $J = 3.5$ Hz), 16.8, 14.1. ^{19}F NMR (470 MHz, CDCl_3) δ -226.80 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{17}\text{H}_{18}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 306.1377; found, 306.1374.

1-(1-Fluoro-3-methyl-2-phenylbutan-2-yl)-1H-benzo[d][1,2,3]triazole (6h). Following the general procedure A, **6h** was obtained as a colorless oil (53% yield, 30.0 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.05 (d, $J = 8.4$ Hz, 1H), 7.40–7.36 (m, 3H), 7.27–7.23 (m, 1H), 7.20–7.17 (m, 2H), 7.14–7.09 (m, 1H), 6.46 (dd, $J = 8.4$, 0.8 Hz, 1H), 5.44 (dd, $J = 47.2$, 9.6 Hz, 1H), 5.13 (dd, $J = 46.4$, 10.0 Hz, 1H), 3.54–3.47 (m, 1H), 1.09 (d, $J = 6.8$ Hz, 3H), 1.01 (d, $J = 7.2$ Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.4, 135.3, 133.6, 128.4, 128.3, 127.9, 126.4, 123.5, 119.7, 113.4 (d, $J = 2.0$ Hz), 85.3 (d, $J = 179.5$ Hz), 73.0 (d, $J = 17.8$ Hz), 31.9 (d, $J = 1.8$ Hz), 18.3, 18.1. ^{19}F NMR (470 MHz, CDCl_3) δ -226.46 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{17}\text{H}_{18}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 306.1377; found, 306.1376.

1-(1-Cyclopentyl-2-fluoro-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (6i). Following the general procedure A, **6i** was obtained as a colorless oil (35% yield, 21.6 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 8.4$ Hz, 1H), 7.39–7.35 (m, 3H), 7.27–7.23 (m, 1H), 7.19–7.17 (m, 2H), 7.13–7.09 (m, 1H), 6.44 (d, $J = 8.4$ Hz, 1H), 5.41 (dd, $J = 47.2$, 9.6 Hz, 1H), 5.09 (dd, $J = 46.8$, 9.6 Hz, 1H), 3.53–3.44 (m, 1H), 2.10–2.05 (m, 1H), 1.89–1.85 (m, 1H), 1.61–1.48 (m, 3H), 1.45–1.32 (m, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.2, 136.3, 133.4, 128.4, 128.4, 128.0, 126.4, 123.5, 119.7, 113.3 (d, $J = 2.5$ Hz), 86.2 (d, $J = 180.4$ Hz), 72.2 (d, $J = 17.8$ Hz), 44.4, 27.9, 27.6, 24.7, 24.5. ^{19}F NMR (470 MHz, CDCl_3) δ -224.46 (t, $J = 47.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{19}\text{H}_{20}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 332.1533; found, 332.1535.

1-(2-Fluoro-1-phenylethyl)-1H-benzo[d][1,2,3]triazole (6j). Following the general procedure A, **6j** was obtained as a colorless oil (43% yield, 20.7 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.09 (d, $J = 9.2$ Hz, 1H), 7.41–7.33 (m, 8H), 6.16–6.10 (m, 1H), 5.63 (ddd, $J = 46.4, 9.6, 8.0$ Hz, 1H), 5.13 (ddd, $J = 46.0, 10.0, 4.8$ Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.1, 134.3 (d, $J = 5.8$ Hz), 133.1, 129.2, 128.0, 127.5, 127.2, 124.1, 120.1, 109.6, 83.0 (d, $J = 178.6$ Hz), 62.8 (d, $J = 21.3$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ –219.90 (td, $J = 46.1, 14.1$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{14}\text{H}_{12}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 264.0907; found, 264.0906.

1-(1-(4-(tert-Butyl)phenyl)-2-fluoroethyl)-1H-benzo[d][1,2,3]triazole (6k). Following the general procedure A, **6k** was obtained as a white solid (53% yield, 31.5 mg). Mp: 112–113 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.08 (d, $J = 8.4$ Hz, 1H), 7.41–7.32 (m, 5H), 7.30–7.28 (m, 2H), 6.14–6.08 (m, 1H), 5.62 (ddd, $J = 46.8, 9.6, 8.4$ Hz, 1H), 5.10 (ddd, $J = 46.0, 10.0, 4.8$ Hz, 1H), 1.27 (s, 9H). ^{13}C NMR (125 MHz, CDCl_3) δ 152.3, 146.1, 133.2, 131.1 (d, $J = 6.0$ Hz), 127.4, 126.9, 126.1, 124.0, 120.0, 109.6, 83.0 (d, $J = 178.6$ Hz), 62.6 (d, $J = 21.0$ Hz), 34.6, 31.1. ^{19}F NMR (470 MHz, CDCl_3) δ –219.43 (td, $J = 46.1, 13.6$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{18}\text{H}_{20}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 320.1533; found, 320.1538.

1-(1-(4-Chlorophenyl)-2-fluoroethyl)-1H-benzo[d][1,2,3]triazole (6l). Following the general procedure A, **6l** was obtained as a colorless oil (46% yield, 25.3 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.10 (d, $J = 8.4$ Hz, 1H), 7.46–7.29 (m, 7H), 6.13–6.06 (m, 1H), 5.57 (ddd, $J = 46.4, 9.6, 7.6$ Hz, 1H), 5.13 (ddd, $J = 46.0, 10.0, 5.2$ Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.1, 135.3, 133.0, 132.8 (d, $J = 5.0$ Hz), 129.4, 128.6, 127.8, 124.3, 120.2, 109.4, 82.8 (d, $J = 178.8$ Hz), 62.0 (d, $J = 21.9$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ –220.43 (td, $J = 46.5, 14.6$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{14}\text{H}_{11}\text{NaClFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 298.0518; found, 298.0510.

(E)-1-(1-Fluoro-4-phenylbut-3-en-2-yl)-1H-benzo[d][1,2,3]triazole (6m). Following the general procedure A, **6m** was obtained as a colorless oil (41% yield, 24.5 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.10 (d, $J = 8.4$ Hz, 1H), 7.62–7.60 (m, 1H), 7.51–7.47 (m, 1H), 7.41–7.36 (m, 3H), 7.34–7.28 (m, 3H), 6.72–6.68 (d, $J = 16.4$ Hz, 1H), 6.59–6.53 (m, 1H), 5.86–5.77 (m, 1H), 5.30–5.14 (m, 1H), 5.09–4.94 (m, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.1, 135.8, 135.2, 133.0, 128.7 (2C), 127.6, 126.8, 124.1, 121.0 (d, $J = 6.1$ Hz), 120.1, 109.9, 83.4 (d, $J = 178.5$ Hz), 61.3 (d, $J = 21.3$ Hz). ^{19}F NMR (470 MHz, CDCl_3) δ –222.73 (td, $J = 46.5, 16.0$ Hz, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{16}\text{H}_{14}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 290.1064; found, 290.1067.

trans-1-(2-Fluoro-2,3-dihydro-1H-inden-1-yl)-1H-benzo[d][1,2,3]triazole (6n). Following the general procedure A, **6n** was obtained as a white solid (20% yield, 10.1 mg). Mp: 91–92 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.12–8.10 (m, 1H), 7.44–7.36 (m, 4H), 7.26–7.23 (m, 1H), 7.14–7.12 (m, 1H), 7.06 (d, $J = 7.6$ Hz, 1H), 6.57 (dd, $J = 19.2, 4.0$ Hz, 1H), 5.83–5.66 (m, 1H), 3.76–3.66 (m, 1H), 3.45–3.33 (m, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.4, 139.4 (d, $J = 2.3$ Hz), 135.9 (d, $J = 3.8$ Hz), 132.5, 130.0, 128.1, 127.6, 125.6, 125.1, 124.1, 120.4, 109.6, 97.7 (d, $J = 187.4$ Hz), 69.1 (d, $J = 28.0$ Hz), 37.6 (d, $J = 22.5$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ –179.06 (m, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{15}\text{H}_{12}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 276.0907; found, 276.0907.

cis-1-(2-Fluoro-2,3-dihydro-1H-inden-1-yl)-1H-benzo[d][1,2,3]triazole (6n'). Following the general procedure A, **6n'** was obtained as a colorless oil (18% yield, 9.1 mg). NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.08–8.06 (m, 1H), 7.49–7.41 (m, 2H), 7.33–7.29 (m, 1H), 7.26–7.22 (m, 2H), 6.93 (d, $J = 8.0$ Hz, 1H), 6.85–6.82 (m, 1H), 6.76 (dd, $J = 24.0$ Hz, 4.8 Hz, 1H), 5.78–5.62 (m, 1H), 3.55–3.32 (m, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.5, 139.2, 135.2, 133.4, 129.6, 127.7, 127.0, 125.8, 125.0, 123.7, 119.8, 112.3 (d, $J = 5.6$ Hz), 95.3 (d, $J = 188.6$ Hz), 67.0 (d, $J = 17.3$ Hz), 38.2 (d, $J = 22.1$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ –188.92 (m, 1F). HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{15}\text{H}_{12}\text{NaFN}_3^+$ ($[\text{M} + \text{Na}]^+$), 276.0907; found, 276.0913.

1-(1,1-Diphenyl-2-((2,2,6,6-tetramethylpiperidin-1-yl)oxy)ethyl)-1H-benzo[d][1,2,3]triazole (7). General procedure: Under standard

conditions, 2.0 equiv TEMPO was added to the reaction system, after 24 h, **7** was obtained as a white solid (25% yield, 22.7 mg). Mp: 179–180 °C. NMR spectroscopy: ^1H NMR (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.4$ Hz, 1H), 7.34–7.28 (m, 6H), 7.27–7.23 (m, 5H), 7.10–7.06 (m, 1H), 6.29 (d, $J = 8.4$ Hz, 1H), 5.22 (s, 2H), 1.46–1.38 (m, 5H), 1.26–1.24 (m, 1H), 1.05 (s, 6H), 0.91 (s, 6H). ^{13}C NMR (125 MHz, CDCl_3) δ 146.3, 139.0, 133.9, 128.6, 128.2, 128.1, 126.5, 123.4, 119.9, 113.2, 80.8, 73.5, 60.3, 40.3, 32.4, 20.4, 16.9. HRMS (ESI-TOF) (m/z): calcd for $\text{C}_{29}\text{H}_{34}\text{NaON}_4^+$ ($[\text{M} + \text{Na}]^+$), 477.2625; found, 477.2614.

■ ASSOCIATED CONTENT

Supporting Information

The Supporting Information is available free of charge on the ACS Publications website at DOI: 10.1021/acs.joc.7b01089.

ESI-MS analysis, spectral data for new compounds, and crystal data and structure refinement for **4a**, **4p'**, and **6n** (PDF)

Crystallographic data for **4a** (CIF)

Crystallographic data for **4p'** (CIF)

Crystallographic data for **6n** (CIF)

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(12) For the crystal structure of **4a**, see CCDC 1487181; for **4p'**, see CCDC 1525081.

(13) For the crystal structure of **6n**, see CCDC 1525082.

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