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Arylation and Heteroarylation of Thienylsulfonamides with Organotrifluoroborates

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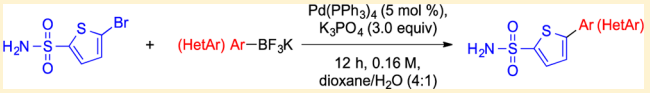
Supporting Information

ABSTRACT: A mild, practical protocol has been developed for the Suzuki cross-coupling of unprotected thienylsulfonamides from air- and bench-stable organotrifluoroborates in the absence of a protecting group on the sulfonamide nitrogen. The developed synthetic method can be applied to the preparation of various arylated and heteroarylated thienylsulfonamides under conditions that are tolerant of a broad range of functional groups.



Substituted thiophenes are important motifs in biologically active molecules and are routinely utilized as heterocyclic building blocks.[1−6] Their structural rigidity and electronic features also make them abundant in organic light-emitting diodes, organic field-effect transistors, and organic solar cells.[7−11]

Thienylsulfonamides have been of particular interest in medicinal chemistry and are abundant in many biologically active compounds.[12] Thienylsulfonamide **I** (Figure 1), the first drug-like compound selective to AT_2 receptor agonist M024/C21, was reported in 2004.[13] Additionally, Lawrence and co-workers reported the design and synthesis of a novel class of proteasome inhibitors, and their screening efforts led to the identification of PI 8182 (compound **II**, Figure 1) containing a thienylsulfonamide.[14] Further, Waters and co-workers identified substituted thienylsulfonamides as drug targets against malarial and mammalian cyclin dependent protein kinases.[15] Finally, compound **III** (Tasisulam, **LY573636·Na**, Figure 1) is a novel anticancer agent that was classified as a cytotoxic compound and shown to initiate apoptosis.[16]

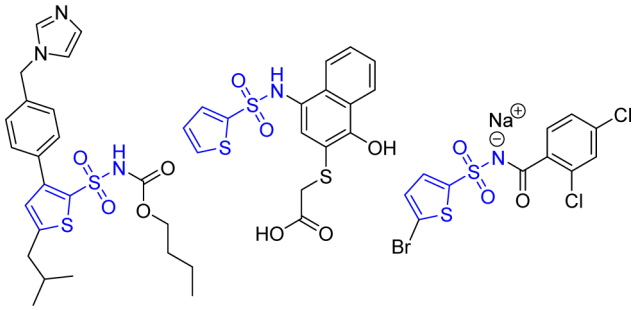


Figure 1. Biologically active thienylsulfonamides.

To date, the most common access to arylated thienylsulfonamides is by Suzuki−Miyaura cross-coupling of protected thienylsulfonamides using arylboronic acids.[17−19] However, the need for protection of the sulfonamide nitrogen and the homocoupling of the boronic acid as a significant side reaction are perceived shortcomings of this protocol.[18] An alternative method toward protected arylated thienylsulfonamides involves sulfonylation of arylated thiophenes with sulfuric acid in the presence of Ac_2O, thus requiring very stable substrates.[20] Therefore, a general coupling method requiring no nitrogen protection and tolerating a broader scope of functional groups would be greatly desired.

Arylated thienylsulfonamides prepared by methods using aryltrifluoroborates have never been examined. Trifluoroborates[21−28] are increasingly important reagents because of their favorable physical and chemical properties; additionally, they offer an alternative to toxic organometallic species such as organostannanes. The tetracoordinate nature of the trifluoroborates makes them resistant to a variety of reaction conditions. This characteristic allows one to build complexity into a molecule while leaving the carbon−boron bond intact. Unlike boronic acids, which are susceptible to undesired side reactions, organotrifluoroborates have proven to be air-, moisture-, and bench-stable reagents. The conventional preparation of aryltrifluoroborates includes (i) transmetalation, (ii) Miyaura borylation, and (iii) C−H activation.[21−28] Herein, we report an effective and practical protocol for the preparation of unprotected thienylsulfonamides from various aryl- and heteroaryltrifluoroborates in the presence of a simple palladium catalyst and in the absence of a protecting group on the sulfonamide nitrogen, under conditions that are tolerant of a broad range of functional groups.

In initial screening, 5-bromothienyl-2-sulfonamide (**1**) was treated with phenyltrifluoroborate (1.1 equiv) in the presence of inexpensive $Pd(PPh_3)_4$ (5 mol %) under an argon atmosphere for 12 h, examining a variety of bases and solvents

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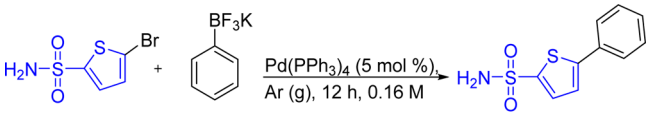
(Table 1). The use of K_2CO_3, Cs_2CO_3, and KOAc gave low to zero yields of the desired product, and the reaction proved to be ineffective in the absence of base. Under the conditions tested, K_3PO_4 proved to be the best base for this reaction, affording the highest yield. Lowering the temperature below 100 °C gave lower yields. The nature of the solvent proved to be critical, with toluene (entries 6 and 7) being ineffective, while dioxane afforded the best results.

Table 1. Optimization of Reaction Conditions



1 2a 3a

entry	base	solvent	temp (°C)	yield (%)
1		dioxane/H_2O (4:1)	100	n.r.
2	K_3PO_4 (2 equiv)	dioxane/H_2O (4:1)	100	74
3	K_3PO_4 (2 equiv)	dioxane/H_2O (1:1)	100	84
4	K_3PO_4 (3 equiv)	dioxane/H_2O (4:1)	100	87
5	K_3PO_4 (3 equiv)	dioxane/H_2O (1:1)	100	83
6	K_2CO_3(3 equiv)	dioxane/H_2O (4:1)	100	n.r.
7	K_3PO_4 (2 equiv)	toluene/H_2O (4:1)	100	n.r.
8	K_3PO_4 (3 equiv)	toluene/H_2O (1:1)	100	n.r.
9	K_3PO_4 (3 equiv)	dioxane/H_2O (4:1)	90	77
10	K_3PO_4 (3 equiv)	dioxane/H_2O (4:1)	75	38
11	KOAc (3 equiv)	dioxane/H_2O (4:1)	100	n.r.
12	Cs_2CO_3 (3 equiv)	dioxane/H_2O (4:1)	100	<10

Under the conditions developed, the scope of the Suzuki coupling was evaluated. Various aryl- and heteroaryltrifluoroborates were employed, for which the results are described herein. The coupling reaction between 5-bromothienyl-2-sulfonamide (**1**) and aryltrifluoroborates took place efficiently, giving good to excellent yields (Table 2, **3a**−**3ee**) of arylated thienylsulfonamides, thus demonstrating the feasibility of this general method. Both electron-donating and electron-withdrawing groups were well-tolerated in this reaction and thus aldehydes, esters, methoxy, hydroxyl, and nitrile groups afforded good to excellent yields. In addition, there was no *ortho* steric effect on the yield of the reaction, with methyl, aldehyde, methoxy, and hydroxyl groups at that position leading to no discernible diminution of yield (Table 2, **3f,g,i,p,s,t,z,bb**). In those instances where modest yields were obtained, typically, unreacted starting material was recovered together with negligible amounts of protodeboronation.

Under the same optimized conditions, heteroaryltrifluoroborates were also investigated (Table 3), demonstrating the effectiveness of this protocol. Diverse nitrogen-, oxygen-, and sulfur-containing heteroaryltrifluoroborates of various ring sizes were successfully cross-coupled with 5-bromothienyl-2-sulfonamide (**1**). Isoquinolinyl (**5a**), pyridinyl (**5b,c,h, j**), furyl (**5o**−**q**), thienyl (**5d,f,g,k,m**), isoxazolyl (**5e**), indolyl (**5i,n**), and dibenzothienyl (**5l**) trifluoroborates were all examined under the reaction conditions and afforded the desired products in good to excellent yields. Of note, chloride, nitro, and aldehyde substituents on the heteroaryltrifluoroborate were well-tolerated.

In conclusion, the results described herein reveal that the approach developed serves as a general, versatile method for the synthesis of diversely substituted NH_2-free thienylsulfonamides from stable, commercially available, aryl- and heteroaryltrifluoroborates using inexpensive $Pd(PPh_3)_4$ as a catalyst.

EXPERIMENTAL SECTION

General. Melting points (°C) are uncorrected. All known compounds were characterized by ^1H and ^{13}C NMR and melting point determination (for solids) and compared with literature values. All new compounds were characterized by ^1H, ^{13}C, ^{19}F NMR spectra, high-resolution mass spectrometry (HRMS), and melting point determination (for solids). ^1H, ^{13}C, and ^{19}F NMR spectra were recorded at 500.4, 125.8, and 470.8 MHz, respectively. HRMS (CI) data were obtained in positive mode, using ethane as the ionizing gas. HRMS (ESI) data were obtained in positive or negative mode. Reactions were performed using sealed biotage microwave vials purged with argon several times before use. Reactions were monitored by thin-layer chromatography carried out on silica plates using UV light for visualization. Chromatography was performed on a Combiflash Rf 200 using hexanes and ethyl acetate as eluent.

General Experimental Procedure for Suzuki−Miyaura Cross-Coupling Reaction of 5-Bromothienyl-2-sulfonamide with Aryl- and Heteroaryltrifluoroborates. To 5-bromothienyl-2-sulfonamide (242.1 mg, 1.00 mmol) was added aryl/heteroaryltrifluoroborate (1.1 mmol), K_3PO_4 (636 mg, 3.0 mmol), and $Pd(PPh_3)_4$ (58 mg, 0.05 mmol) in a vial, which was sealed and purged with argon three times. Then, 1,4-dioxane (5 mL) was added, followed by the addition of H_2O (1.25 mL). The solution was stirred at 100 °C, and the reaction was monitored by GCMS/TLC. After the reaction was complete, it was cooled to rt. Extraction was performed with EtOAc (30 mL × 3) to obtain the organic layer, which was filtered and dried (Na_2SO_4). The solvent was removed under reduced pressure. The residue obtained was purified by Combiflash column chromatography using EtOAc and hexanes to obtain the desired product. The product was characterized by spectroscopic techniques.

5-Phenylthienyl-2-sulfonamide (3a).[14] Obtained as a yellow solid (208 mg, 87%). mp 200−201 °C; ^1H NMR (500 MHz, acetone-d_6) δ 7.74−7.69 (m, 2H), 7.64−7.53 (m, 1H), 7.51−7.38 (m, 4H), 6.94 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 150.7, 145.9, 134.6, 133.0, 131.0, 130.6, 127.7, 127.6, 124.9, 124.9; HRMS (TOF MS ES−) m/z calcd. for $C_{10}H_8NO_2S_2$ $[M - H]^-$, 237.9994; found, 237.9996.

5-(3,5-Diisopropylphenyl)thienyl-2-sulfonamide (3b). Obtained as a white solid (220 mg, 68%). mp 185−186 °C; ^1H NMR (500 MHz, acetone-d_6) δ 7.58 (dd, J = 4.0, 1.0 Hz, 1H), 7.44 (dd, J = 4.0, 1.0 Hz, 1H), 7.40 (br s, 2H), 7.20 (br s, 1H), 6.87 (br s, 2H), 3.02−2.88 (m, 2H), 1.29 (d, J = 7.0 Hz, 12H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 150.9, 150.7, 144.6, 133.8, 132.1, 126.3, 123.8, 122.6, 34.8, 24.2; HRMS (TOF MS ES+) m/z calcd. for $C_{16}H_{22}NO_2S_2$ $[M + H]^+$, 324.1092; found, 324.1088.

5-(3-Cyanophenyl)thienyl-2-sulfonamide (3c). Obtained as a yellow solid (232 mg, 88%). mp 168−170 °C; ^1H NMR (500 MHz, DMSO-d_6) δ 8.27 (s, 1H), 8.04 (d, J = 8.0 Hz, 1H), 7.86 (d, J = 8.0 Hz, 1H), 7.82 (br s, 2H), 7.00 (d, J = 3.5 Hz, 1H), 7.67 (t, J = 8.0 Hz, 1H), 7.59 (d, J = 4.0 Hz, 1H); ^{13}C NMR (125.8 MHz, DMSO-d_6) δ 145.6, 145.1, 133.6, 132.2, 131.0, 130.6, 130.5, 129.2, 125.4, 118.3, 112.5; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_7N_2O_2S_2$ $[M - H]^-$, 262.9949; found, 262.9948.

5-(2,5-Dimethoxyphenyl)thienyl-2-sulfonamide (3d). Obtained as a yellow solid (287 mg, 96%). mp 170−172 °C; ^1H NMR (500 MHz, acetone-d_6) δ 7.64−7.58 (m, 2H), 7.40−7.37 (m, 1H), 7.26 (dd, J = 9.0, 2.0 Hz, 1H), 7.00−6.96 (m, 1H), 6.85 (br s, 2H), 3.97 (s, 3H), 3.86 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 154.7, 150.7, 145.4, 144.5, 130.3, 125.1, 122.9, 115.8, 114.2, 113.5, 56.5, 55.9; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_{14}NO_4S_2$ $[M + H]^+$, 300.0364; found, 300.0364.

5-(3-Hydroxyphenyl)thienyl-2-sulfonamide (3e). Obtained as a yellow solid (240 mg, 94%). mp 176−178 °C; ^1H NMR (500 MHz, acetone-d_6) δ 7.56 (dd, J = 3.5, 1.5 Hz, 1H), 7.38 (dd, J = 4.0, 1.5 Hz, 1H), 7.31−7.24 (m, 2H), 7.20−7.14 (m, 3H), 6.89 (d, J = 8.0 Hz, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 158.6, 149.7, 144.6, 134.8,

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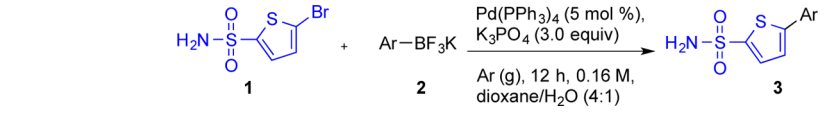
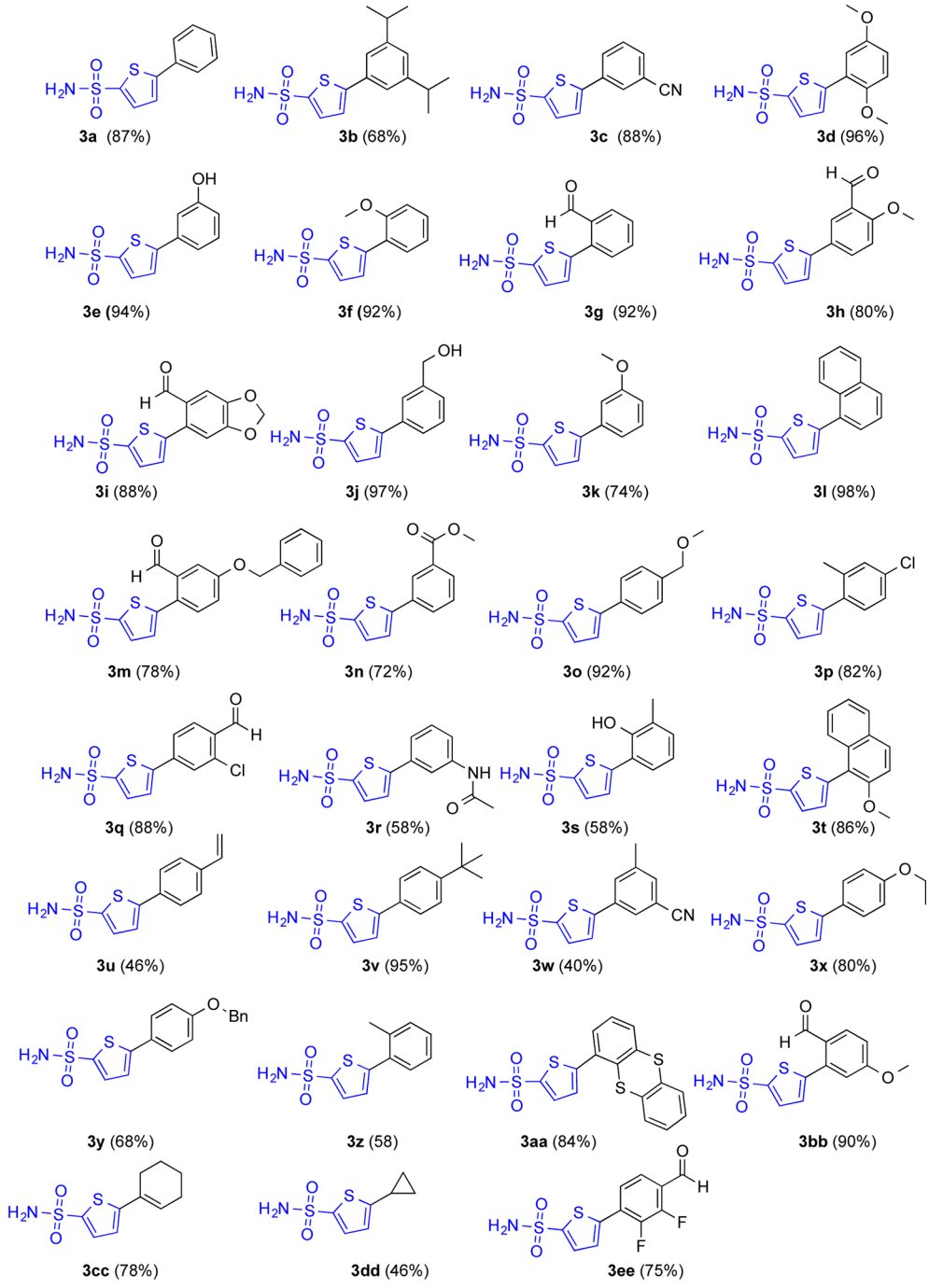
Table 2. Substrate Scope of Arylated Thienylsulfonamides





132.0, 131.0, 123.7, 118.0, 116.7, 113.3; HRMS (TOF MS ES+) m/z calcd. for $C_{10}H_8NO_3S_2$ $[M - H]^-$, 253.9946; found, 253.9943.

5-(2-Methoxyphenyl)thienyl-2-sulfonamide (3f). Obtained as a yellow solid (248 mg, 92%). mp 180−181 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.85−7.75 (m, 1H), 7.65−7.50 (m, 2H), 7.40−7.30 (m, 1H), 7.20−7.10 (m, 1H), 7.10−7.00 (m, 1H), 6.85 (br s, 2H), 3.98 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 156.0, 144.8, 144.5, 130.4, 130.1, 128.2, 124.6, 121.8, 121.5, 112.5, 55.7; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_{12}NO_3S_2$ $[M + H]^+$, 270.0259; found, 270.0254.

5-(2-Formylphenyl)thienyl-2-sulfonamide (3g). Obtained as a yellow solid (246 mg, 92%). mp 177−178 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 10.18 (s, 1H), 7.99 (d, J = 7.5 Hz, 1H), 7.79−7.74 (m, 1H), 7.68−7.62 (m, 3H), 7.26 (dd, J = 7.8, 3.8 Hz, 1H), 7.01 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 191.3, 147.7, 144.5, 136.7, 135.2, 134.7, 132.3, 131.4, 130.3, 130.2, 128.9; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_9NO_3S_2Na$ $[M + Na]^+$, 289.9922; found, 289.9924.

5-(3-Formyl-4-methoxyphenyl)thienyl-2-sulfonamide (3h). Obtained as a yellow solid (262 mg, 88%). mp 192−193 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 10.50−10.45 (m, 1H), 8.01−7.95 (m,

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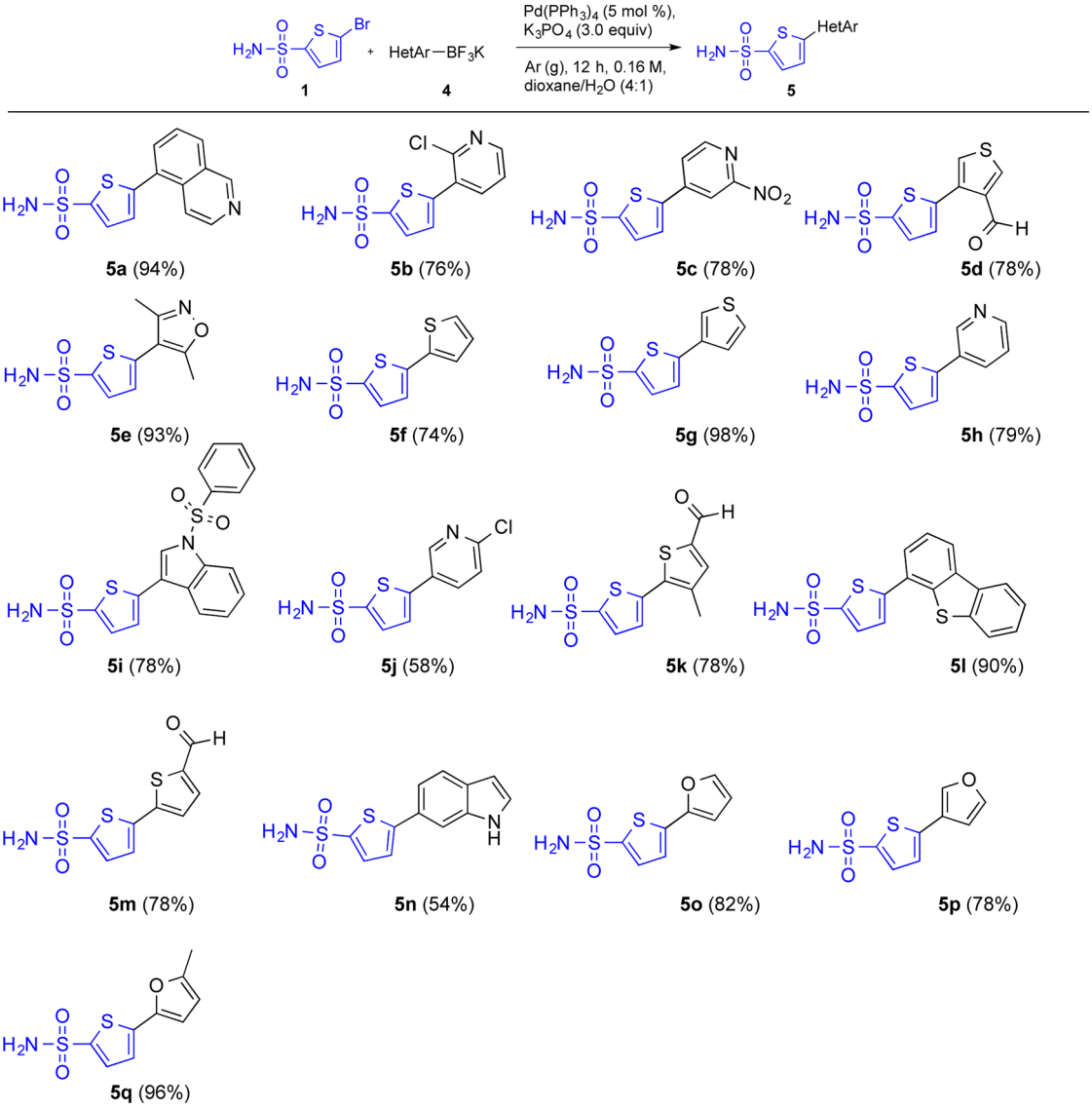
Table 3. Substrate Scope of Heteroarylated Thienylsulfonamides



2H), 7.60−7.56 (m, 1H), 7.50−7.42 (m, 1H), 7.37−7.30 (m, 1H), 6.92 (br s, 2H), 4.10−4.04 (m, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 189.1, 163.2, 148.6, 144.9, 134.3, 132.4, 126.7, 126.0, 125.8, 124.0, 114.4, 56.8; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_{12}NO_4S_2$ $[M + H]^+$, 298.0208; found, 298.0213.

5-(6-Formylbenzo[d][1,3]dioxol-5-yl)thienyl-2-sulfonamide (3i). Obtained as a yellow solid (274 mg, 88%). mp 210−212 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 9.94 (s, 1H), 7.63 (dd, J = 4.0, 1.5 Hz, 1H), 7.36 (s, 1H), 7.63 (dd, J = 4.0, 1.5 Hz, 1H), 7.07 (s, 1H), 6.99 (br s, 2H), 6.24 (s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 189.4, 153.4, 150.2, 147.8, 144.3, 133.9, 131.4, 130.8, 130.4, 111.6, 106.9, 104.1; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_9NO_5S_2Na$ $[M + Na]^+$, 333.9819; found, 333.9817.

5-(3-(Hydroxymethyl)phenyl)thienyl-2-sulfonamide (3j). Obtained as a yellow solid (261 mg, 97%). mp 180−181 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.69 (s, 1H), 7.58−7.56 (m, 2H), 7.44−7.37 (m, 3H), 6.88 (br s, 2H), 4.69 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 150.2, 145.1, 144.8, 133.8, 132.3, 130.1, 128.1, 125.4, 125.0, 124.1, 64.3; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_{10}NO_3S_2$ $[M - H]^-$, 268.0103; found, 268.0104.

5-(3-Methoxyphenyl)thienyl-2-sulfonamide (3k). Obtained as a yellow solid (199 mg, 74%). mp 170−171 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.60 (dd, J = 8.5, 4.5 Hz, 1H), 7.47 (dd, J = 8.0, 4.0 Hz, 1H), 7.42−7.36 (m, 1H), 7.30−7.22 (m, 2H), 7.01 (dd, J = 8.0, 2.5 Hz, 1H), 6.92 (br s, 2H), 3.89 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 161.2, 149.7, 145.1, 135.0, 132.1, 131.2, 124.2, 119.2, 115.4, 112.2, 55.7; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_{12}NO_3S_2$ $[M + H]^+$, 270.0259; found, 270.0272.

5-(Naphthalen-1-yl)thienyl-2-sulfonamide (3l). Obtained as a white solid (284 mg, 98%). mp 243−244 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.17−8.15 (m, 1H), 8.04 (d, J = 7.0 Hz, 2H), 7.01 (d, J = 4.0 Hz, 1H), 7.65 (d, J = 7.0 Hz, 1H), 7.62−7.58 (m, 3H), 7.32−7.30 (m, 1H), 7.04 (br s, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 147.5, 146.3, 134.9, 132.2, 131.5, 131.5, 130.4, 129.5, 129.3, 128.4, 128.0, 127.3, 126.3, 125.7; HRMS (TOF MS ES+) m/z calcd. for $C_{14}H_{10}NO_2S_2$ $[M - H]^-$, 288.0153; found, 288.0156.

5-(4-(Benzyloxy)-2-formylphenyl)thienyl-2-sulfonamide (3m). Obtained as a yellow solid (291 mg, 78%). mp 254−255 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 10.13 (s, 1H), 7.64 (d, J = 4.0 Hz, 1H), 7.60−7.50 (m, 4H), 7.45−7.32 (m, 4H), 7.17 (d, J = 3.5 Hz, 1H), 6.98 (br s, 2H), 5.28 (s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 191.0, 160.5, 147.3, 144.7, 137.7, 136.4, 133.9, 131.5, 129.9, 129.6, 129.5, 129.0, 128.6, 122.1, 113.4, 71.0; HRMS (TOF MS ES+) m/z calcd. for $C_{18}H_{15}NO_4S_2Na$ $[M + Na]^+$, 396.0340; found, 396.0337.

Methyl 3-(5-Sulfamoylthiophen-2-yl)benzoate (3n). Obtained as a yellow solid (214 mg, 72%). mp 235−236 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.25 (s, 1H), 8.01 (dd, J = 8.0, 1.0 Hz, 1H), 7.95 (d, J = 7.5 Hz, 1H), 7.62−7.57 (m, 2H), 7.53 (dd, J = 4.0, 1.5 Hz, 1H),

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6.95 (br s, 2H), 3.92 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 166.6, 148.4, 145.8, 134.2, 132.3, 132.2, 131.1, 130.5, 130.2, 127.3, 124.9, 52.6; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_{10}NO_4S_2$ [M − H]$^-$, 296.0051; found, 296.0056.

5-(4-(Methoxymethyl)phenyl)thienyl-2-sulfonamide (3o). Obtained as a yellow solid (260 mg, 92%). mp 191−192 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.70−7.65 (m, 2H), 7.58 (d, J = 3.5 Hz, 1H), 7.46−7.39 (m, 3H), 6.89 (br s, 2H), 4.47 (s, 2H), 3.36 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 149.8, 145.0, 140.8, 133.1, 132.3, 129.2, 126.8, 124.0, 74.4, 58.3; HRMS (TOF MS ES+) m/z calc. for $C_{12}H_{12}NO_3S_2$ [M − H]$^-$, 282.0259; found, 282.0259.

5-(4-Chloro-2-methylphenyl)thienyl-2-sulfonamide (3p). Obtained as a yellow solid (235 mg, 82%). mp 171−172 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.70 (d, J = 7.0 Hz, 1H), 7.55−7.45 (m, 2H), 7.39 (dd, J = 8.0, 2.0 Hz, 1H), 7.26−7.22 (m, 1H), 7.01 (br s, 2H), 2.51 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 147.1, 145.8, 139.0, 134.7, 132.3, 132.0, 131.3, 131.2, 127.7, 126.9, 20.7; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_{11}ClNO_2S_2$ [M + H]$^+$, 287.9913; found, 287.9912.

5-(3-Chloro-4-formylphenyl)thienyl-2-sulfonamide (3q). Obtained as a yellow solid (265 mg, 88%). mp 211−212 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 10.4 (s, 1H), 7.93 (d, J = 8.0 Hz, 1H), 7.88 (s, 1H), 7.81 (d, J = 8.0 Hz, 1H), 7.70 (d, J = 4.0 Hz, 1H), 7.64 (d, J = 3.5 Hz, 1H), 7.03 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 189.1, 147.6, 146.0, 140.1, 138.6, 132.7, 132.2, 130.9, 128.1, 126.9, 125.7; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_7ClNO_3S_2$ [M − H]$^-$, 299.9556; found, 299.9566.

***N*-(3-(5-Sulfamoylthiophen-2-yl)phenyl)acetamide (3r).** Obtained as a yellow solid (172 mg, 58%). mp 209−210 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 9.29 (s, 1H), 8.15 (s, 1H), 7.63−7.58 (m, 2H), 7.44−7.38 (m, 3H), 6.92 (br s, 2H), 2.13 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 169.3, 149.9, 145.1, 141.4, 134.3, 132.2, 130.5, 124.0, 121.5, 120.2, 117.3, 24.4; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_{13}N_2O_3S_2$ [M + H]$^+$, 297.0374; found, 297.0376.

5-(2-Hydroxy-3-methylphenyl)thienyl-2-sulfonamide (3s). Obtained as a brown solid (156 mg, 58%). mp 214−215 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.27 (br s, 1H), 7.60−7.50 (m, 3H), 7.18 (d, J = 7.0 Hz, 1H), 6.95−6.90 (m, 1H), 6.79 (br s, 2H), 2.36 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 152.0, 145.7, 144.7, 131.6, 130.3, 126.4, 126.1, 124.7, 121.3, 121.1, 16.5; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_{10}NO_3S_2$ [M − H]$^-$, 268.0102; found, 268.0112.

5-(2-Methoxynaphthalen-1-yl)thienyl-2-sulfonamide (3t). Obtained as a yellow solid (275 mg, 86%). mp 256−258 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.05 (d, J = 9.5 Hz, 1H), 7.91 (d, J = 8.0 Hz, 1H), 7.74 (d, J = 3.5 Hz, 1H), 7.69 (d, J = 9.0 Hz, 1H), 7.53 (d, J = 9.5 Hz, 1H), 7.49−7.44 (m, 1H), 7.43−7.38 (m, 1H), 7.09 (d, J = 4 Hz, 1H), 6.97 (br s, 2H), 3.93 (br s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 155.5, 145.7, 142.5, 134.0, 131.6, 130.5, 129.1, 129.1, 128.5, 127.5, 124.3, 124.1, 115.1, 113.6, 56.4; HRMS (TOF MS ES+) m/z calcd. for $C_{15}H_{12}NO_3S_2$ [M − H]$^-$, 318.0259; found, 318.0258.

5-(4-Vinylphenyl)thienyl-2-sulfonamide (3u). Obtained as a yellow solid (122 mg, 46%). mp 148−150 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.73−7.67 (m, 2H), 7.60−7.53 (m, 3H), 7.50−7.44 (m, 1H), 6.92 (br s, 2H), 6.85−6.75 (m, 1H), 5.91 (dd, J = 17.5, 4.5 Hz, 1H), 5.32 (dd, J = 11.0, 4.5 Hz, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 149.6, 145.2, 139.2, 137.1, 133.3, 132.3, 128.0, 127.1, 124.1, 115.3; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_{10}NO_2S_2$ [M − H]$^-$, 264.0153; found, 264.0163.

5-(4-(*tert*-Butyl)phenyl)thienyl-2-sulfonamide (3v). Obtained as a yellow solid (280 mg, 95%). mp 188−190 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.68−7.50 (m, 5H), 7.42 (d, J = 4 Hz, 1H), 6.90 (br s, 2H), 1.36 (s, 9H); ^{13}C NMR (125.8 MHz, acetone-d$_6$) δ 152.8, 149.9, 144.4, 132.1, 130.9, 126.9, 126.5, 123.4, 35.1, 31.7, 31.3; HRMS (TOF MS ES+) m/z calcd. for $C_{14}H_{16}NO_2S_2$ [M − H]$^-$, 294.0622; found, 294.0624.

5-(3-Cyano-5-methylphenyl)thienyl-2-sulfonamide (3w). Obtained as a yellow solid (111 mg, 40%). mp 196−198 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.47 (s, 1H), 8.27 (s, 1H), 8.23 (s, 1H), 7.74 (d, J = 4.0 Hz, 1H), 7.66 (d, J = 4.0 Hz, 1H), 7.02 (br s, 2H), 3.99 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 165.4, 147.1, 146.2, 135.6, 133.3, 132.5, 132.2, 130.8, 127.3, 126.4, 126.3, 53.1; HRMS (TOF MS ES+) m/z calc. for $C_{12}H_{10}N_2O_2S_2$ [M]$^+$, 278.35100; found, 278.35100.

5-(4-Ethoxyphenyl)thienyl-2-sulfonamide (3x). Obtained as a yellow solid (227 mg, 80%). mp 155−156 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.63 (dd, J = 7.0, 2.0 Hz, 2H), 7.54 (d, J = 4.0 Hz, 1H), 7.31 (d, J = 4.0 Hz, 1H), 7.01 (dd, J = 7.0, 2.0 Hz, 2H), 6.85 (br s, 2H), 4.15−4.06 (m, 2H), 1.42−1.35 (m, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 160.7, 150.2, 143.7, 132.3, 128.2, 126.2, 122.7, 115.9, 64.3, 15.0; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_{12}NO_3S_2$ [M − H]$^-$, 282.0259; found, 282.0254.

5-(2-(Benzyloxy)phenyl)thienyl-2-sulfonamide (3y). Obtained as a yellow solid (235 mg, 68%). mp 262−264 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.89 (dd, J = 7.5, 1.5 Hz, 1H), 7.68−7.60 (m, 4H), 7.51−7.46 (m, 2H), 7.45−7.39 (m, 2H), 7.32 (d, J = 6.5 Hz, 1H), 7.17−7.11 (m, 1H), 6.90 (br s, 2H), 5.45 (s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 155.4, 145.3, 144.9, 137.6, 130.6, 129.3, 129.1, 128.8, 128.4, 125.4, 122.7, 122.1, 114.3, 71.1; HRMS (TOF MS ES+) m/z calcd. for $C_{17}H_{15}NO_3S_2Na$ [M + Na]$^+$, 368.0391; found, 368.0393.

5-(*o*-Tolyl)thienyl-2-sulfonamide (3z). Obtained as a yellow solid (147 mg, 58%). mp 144−145 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.61 (d, J = 3.5 Hz, 1H), 7.41 (d, J = 7.5 Hz, 1H), 7.34 (d, J = 4.5 Hz, 2H), 7.30−7.26 (m, 1H), 7.14 (d, J = 4.0 Hz, 1H), 6.91 (br s, 2H), 2.41 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 148.8, 145.7, 136.9, 133.4, 131.9, 131.3, 131.0, 129.8, 127.5, 127.2, 21.1; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_{12}NO_2S_2$ [M + H]$^+$, 254.0309; found, 254.0318.

5-(Thianthren-1-yl)thienyl-2-sulfonamide (3aa). Obtained as a yellow solid (317 mg, 84%). mp 256−258 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.69−7.65 (m, 2H), 7.60 (dd, J = 7.5, 1.5 Hz, 1H), 7.53 (dd, J = 7.5, 1.5 Hz, 2H), 7.44 (t, J = 7.5 Hz, 1H), 7.39 (td, J = 7.5, 1.5 Hz, 1H), 7.35 (dd, J = 7.5, 1.5 Hz, 1H), 7.33 (d, J = 3.5 Hz, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 147.0, 146.0, 137.1, 136.6, 136.1, 135.7, 134.1, 131.1, 130.9, 130.4, 129.7, 129.4, 129.3, 129.0, 128.7; HRMS (TOF MS ES+) m/z calcd. for $C_{16}H_{10}NO_2S_4$ [M − H]$^-$, 375.9594; found, 375.9606.

5-(2-Formyl-5-methoxyphenyl)thienyl-2-sulfonamide (3bb). Obtained as a yellow solid (268 mg, 90%). mp 208−209 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 10.06 (s, 1H), 8.01 (d, J = 9.0 Hz, 1H), 7.68 (d, J = 3.5 Hz, 1H), 7.29 (d, J = 4.0 Hz, 1H), 7.23 (dd, J = 9.0, 2.5 Hz, 1H), 7.13 (d, J = 2.0 Hz, 1H), 7.03 (br s, 2H), 4.02 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 189.7, 164.7, 147.8, 144.5, 139.2, 131.4, 130.2, 130.2, 128.7, 116.9, 116.4, 59.5; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_{11}NO_4S_2Na$ [M + Na]$^+$, 320.0027; found, 320.0020.

5-(Cyclohex-1-en-1-yl)thienyl-2-sulfonamide (3cc). Obtained as a yellow solid (190 mg, 78%). mp 144−146 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.47 (d, J = 3.5 Hz, 1H), 7.02 (d, J = 3.5 Hz, 1H), 6.80 (br s, 2H), 6.33 (m, 1H), 2.43−2.41 (m, 2H), 2.24−2.08 (m, 2H), 1.82−1.75 (m, 2H), 1.70−1.62 (m, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 152.4, 142.6, 131.4, 131.4, 127.3, 121.8, 27.7, 26.1, 23.1, 22.4; HRMS (TOF MS ES+) m/z calcd. for $C_{10}H_{13}NO_2S_2$ Na [M + Na]$^+$, 266.0285; found, 266.0287.

5-Cyclopropylthienyl-2-sulfonamide (3dd). Obtained as a yellow solid (93 mg, 46%). mp 155−156 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.42−7.37 (m, 1H), 6.85−6.81 (m, 1H), 6.73 (br s, 2H), 2.86 (d, J = 7.5 Hz, 1H), 1.16−1.108 (m, 2H), 0.84−0.74 (m, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 155.8, 142.2, 131.4, 123.4, 12.1, 11.1; HRMS (TOF MS ES+) m/z calcd. for $C_7H_8NO_2S_2$ [M + H]$^+$, 204.0153; found, 204.0160.

5-(2,3-Difluoro-4-formylphenyl)thienyl-2-sulfonamide (3ee). Obtained as a yellow solid (227 mg, 75%). mp 212−214 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 10.36 (s, 1H), 7.90−7.71 (m, 4H), 7.11 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 186.4, 154.6, 152.5, 149.3, 149.2, 147.3, 147.2, 139.6, 131.7, 129.5, 129.5, 124.8, 124.4; HRMS (TOF MS ES+) m/z calcd. for $C_{11}H_6F_2NO_3S_2$ [M − H]$^-$, 301.9757; found, 301.9757.

5-(Isoquinolin-5-yl)thienyl-2-sulfonamide (5a). Obtained as a yellow solid (273 mg, 94%). mp 236−237 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 9.40 (s, 1H), 8.61−8.59 (m, 1H), 8.24 (d, J = 8.0 Hz, 1H), 8.00 (d, J = 5.5 Hz, 1H), 7.92 (dd, J = 7.5, 1.5 Hz, 1H), 7.80−

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7.73 (m, 2H), 7.41−7.39 (m, 1H), 7.06 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 154.0, 147.0, 145.7, 145.3, 134.5, 133.2, 131.7, 130.6, 130.1, 129.9, 128.8, 127.9, 118.2; HRMS (TOF MS ES+) m/z calcd. for $C_{13}H_{11}N_2O_2S_2$ $[M + H]^+$, 291.0262; found, 291.0260.

5-(2-Chloropyridin-3-yl)thienyl-2-sulfonamide (5b). Obtained as a yellow solid (209 mg, 76%). mp 194−195 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.47−8.45 (m, 1H), 8.14−8.11 (m, 1H), 7.65−7.63 (m, 1H), 7.56−7.52 (m, 1H), 7.52−7.49 (m, 1H), 7.04 (br s, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 150.2, 149.0, 147.7, 143.2, 140.7, 130.9, 129.4, 129.3, 124.1; HRMS (TOF MS ES+) m/z calcd. for $C_9H_8ClN_2O_2S_2$ $[M + H]^+$, 274.9714; found, 274.9709.

5-(2-Nitropyridin-4-yl)thienyl-2-sulfonamide (5c). Obtained as a yellow solid (223 mg, 78%). mp 202−203 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 9.02 (d, J = 1.5 Hz, 1H), 8.60−8.53 (m, 1H), 8.45−8.36 (m, 1H), 7.84 (d, J = 6.5 Hz, 1H), 7.71 (d, J = 6.5 Hz, 1H), 7.12 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 157.1, 148.7, 146.5, 143.1, 137.8, 135.3, 132.4, 128.1, 119.5; HRMS (TOF MS ES+) m/z calcd. for $C_9H_8N_3O_4S_2$ $[M + H]^+$, 285.9956; found, 285.9955.

4′-Formyl-[2,3′-bithienyl]-5-sulfonamide (5d). Obtained as a yellow solid (213 mg, 78%). mp 166−167 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 10.06 (s, 1H), 8.59 (d, J = 5.0 Hz, 1H), 7.85 (d, J = 5.0 Hz, 1H), 7.61 (dd, J = 8.5, 3.8 Hz, 1H), 7.41 (dd, J = 8.5, 4.0 Hz, 1H), 6.96 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 185.6, 146.0, 141.5, 140.3, 139.9, 133.7, 131.3, 128.9, 128.2; HRMS (TOF MS ES+) m/z calcd. for $C_9H_7NO_3S_3Na$ $[M + Na]^+$, 295.9486; found, 295.9491.

5-(3,5-Dimethylisoxazol-4-yl)thienyl-2-sulfonamide (5e). Obtained as a yellow solid (240 mg, 93%). mp 214−215 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.64 (d, J = 3.5 Hz, 1H), 7.20 (d, J = 4.0 Hz, 1H), 6.96 (br s, 2H), 2.55 (s, 3H), 2.35 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 167.3, 158.4, 145.3, 137.1, 131.3, 126.9, 109.9, 11.8, 10.8; HRMS (TOF MS ES+) m/z calcd. for $C_9H_{10}N_2O_3S_2Na$ $[M + Na]^+$, 281.0031; found, 281.0027.

[2,2′-Bithienyl]-5-sulfonamide (5f). Obtained as a yellow solid (182 mg, 74%). mp 188−189 °C; ^{1}H NMR (500 MHz, DMSO-d_6) δ 7.74 (br s, 2H), 7.64 (d, J = 5.5 Hz, 1H), 7.48 (d, J = 2.1 Hz, 1H), 7.46 (dd, J = 3.5, 1.0 Hz, 1H), 7.31 (d, J = 4.0 Hz, 1H), 7.15 (t, J = 4.0 Hz, 1H); ^{13}C NMR (125.8 MHz, DMSO-d_6) δ 143.4, 140.9, 134.7, 131.0, 128.7, 127.3, 125.9, 123.7; HRMS (TOF MS ES+) m/z calcd. for $C_8H_8NO_2S_3$ $[M + H]^+$, 245.9717; found, 245.9712.

[2,3′-Bithienyl]-5-sulfonamide (5g). Obtained as a brown solid (240 mg, 98%). mp 181−182 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.81−7.79 (m, 1H), 7.62 (dd, J = 5.0, 3.0 Hz, 1H), 5.54 (d, J = 4.0 Hz, 1H), 7.46 (dd, J = 5.0, 1.0 Hz, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 144.8, 144.0, 135.1, 132.1, 128.4, 126.7, 124.0, 122.7; HRMS (TOF MS ES+) m/z calcd. for $C_8H_6NO_2S_3$ $[M - H]^-$, 243.9561; found, 243.9572.

5-(Pyridin-3-yl)thienyl-2-sulfonamide (5h). Obtained as a white solid (190 mg, 79%). mp 200−201 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.94 (d, J = 2.0 Hz, 1H), 8.60 (d, J = 3.0 Hz, 1H), 8.10−8.05 (m, 1H), 7.63 (d, J = 3.5 Hz, 1H), 7.57 (d, J = 4.0 Hz, 1H), 7.50−7.46 (m, 1H), 6.99 (br s, 2H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 150.8, 147.8, 146.5, 146.1, 134.1, 132.3, 130.0, 125.5, 125.0; HRMS (TOF MS ES+) m/z calcd. for $C_9H_9N_2O_2S_2$ $[M + H]^+$, 241.0105; found, 241.0107.

5-(1-(Phenylsulfonyl)-3a,7a-dihydro-1*H*-indol-3-yl)thienyl-2-sulfonamide (5i). Obtained as a yellow solid (326 mg, 78%). mp 246−248 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.20 (s, 1H), 8.13 (d, J = 7.0 Hz, 1H), 7.92 (d, J = 7.5 Hz, 1H), 7.70 (t, J = 6.5 Hz, 1H), 7.65 (d, J = 4.0 Hz, 1H), 7.63−7.59 (m, 2H), 7.53 (d, J = 4.0 Hz, 1H), 7.48 (t, J = 8.0 Hz, 1H), 7.43−7.39 (m, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 144.7, 140.3, 138.4, 136.1, 135.4, 131.8, 130.5, 128.7, 127.9, 126.5, 125.6, 125.1, 121.1, 116.8, 114.6; HRMS (TOF MS ES+) m/z calcd. for $C_{18}H_{13}N_2O_4S_3$ $[M - H]^-$, 417.0037; found, 417.0036.

5-(6-Chloropyridin-3-yl)thienyl-2-sulfonamide (5j). Obtained as a yellow solid (159 mg, 58%). mp 196−197 °C; ^{1}H NMR (500 MHz, DMSO-d_6) δ 8.81 (d, J = 2.5 Hz, 1H), 8.20 (dd, J = 8.5, 2.5 Hz, 1H), 7.82 (br s, 2H), 7.69 (d, J = 3.5 Hz, 1H), 7.63 (dd, J = 8.0, 4.5 Hz, 1H), 7.59 (d, J = 4.0 Hz, 1H); ^{13}C NMR (125.8 MHz, DMSO-d_6) δ 150.0, 146.7, 145.8, 142.4, 136.8, 131.0, 128.1, 125.7, 124.7; HRMS (TOF MS ES+) m/z calcd. for $C_9H_8ClN_2O_2S_2$ $[M + H]^+$, 274.9722; found, 274.9721.

5′-Formyl-3′-methyl-[2,2′-bithienyl]-5-sulfonamide (5k). Obtained as a yellow solid (224 mg, 78%). mp 188−189 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 9.92 (s, 1H), 7.85 (s, 1H), 7.64 (d, J = 7.0 Hz, 1H), 7.43 (d, J = 4.0 Hz, 1H), 7.02 (br s, 2H), 2.80 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 183.9, 147.5, 142.5, 141.7, 140.6, 139.1, 137.9, 131.9, 128.1, 15.8; HRMS (TOF MS ES+) m/z calcd. for $C_{10}H_{10}NO_3S_3$ $[M + H]^+$, 285.9666; found, 285.9673.

5-(Dibenzo[b,d]thiophen-4-yl)thienyl-2-sulfonamide (5l). Obtained as a yellow solid (311 mg, 90%). mp 248−250 °C; ^{1}H NMR (500 MHz, DMSO-d_6) δ 8.48 (dd, J = 8.0, 1.0 Hz, 1H), 8.47−8.44 (m, 1H), 8.13−8.10 (m, 1H), 7.86 (s, 2H), 7.85 (d, J = 7.5 Hz, 1H), 7.72−7.69 (m, 2H), 7.67 (t, J = 7.5 Hz, 1H), 7.62−7.57 (m, 2H); ^{13}C NMR (125.8 MHz, DSMO-d_6) δ 145.4, 145.2, 138.1, 136.5, 136.4, 134.9, 130.7, 127.7, 127.6, 126.9, 126.1, 125.8, 125.3, 123.0, 122.6, 122.4; HRMS (TOF MS ES+) m/z calcd. for $C_{16}H_{12}NO_2S_3$ $[M + H]^+$, 346.0030; found, 346.0036.

5′-Formyl-[2,2′-bithienyl]-5-sulfonamide (5m). Obtained as a yellow solid (213 mg, 78%). mp 156−158 °C; ^{1}H NMR (500 MHz, DMSO-d_6) δ 9.93 (s, 1H), 8.04 (d, J = 4.0 Hz, 1H), 7.86 (br s, 2H), 7.68 (d, J = 4.0 Hz, 1H), 7.59 (d, J = 3.5 Hz, 1H), 7.56 (d, J = 4.0 Hz, 1H); ^{13}C NMR (125.8 MHz, DMSO-d_6) δ 184.2, 146.1, 143.3, 142.7, 139.1, 139.0, 131.1, 127.0, 126.6; HRMS (TOF MS ES−) m/z calcd. for $C_9H_5NO_3S_3$ $[M - H]^-$, 271.9510; found, 271.9512.

5-(1*H*-Indol-6-yl)thienyl-2-sulfonamide (5n). Obtained as a brown solid (150 mg, 54%). mp 209−210 °C; ^{1}H NMR (500 MHz, DMSO-d_6) δ 7.90 (br s, 1H), 7.68 (br s, 2H), 7.53−7.49 (m, 1H), 7.48−7.46 (m, 1H), 7.44−7.38 (m, 3H), 6.51 (s, 1H); ^{13}C NMR (125.8 MHz, DMSO-d_6) δ 150.5, 142.1, 136.2, 131.1, 128.1, 126.9, 123.6, 121.6, 119.5, 117.7, 112.3, 101.8; HRMS (TOF MS ES+) m/z calcd. for $C_{12}H_9N_2$ $[M - O_2S_2]^-$, 277.0112; found, 277.0117.

5-(Furan-2-yl)thienyl-2-sulfonamide (5o). Obtained as a blackish brown solid (188 mg, 82%). mp 165−167 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.68−7.66 (m, 1H), 7.54 (d, J = 3.5 Hz, 1H), 7.32 (d, J = 4.0 Hz, 1H), 6.94 (br s, 2H), 6.88 (d, J = 3.5 Hz, 1H), 6.61−6.59 (m, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 148.5, 144.1, 138.7, 131.9, 127.8, 122.8, 113.1, 108.3; HRMS (TOF MS ES+) m/z calcd. for $C_8H_8NO_3S_2$ $[M + H]^+$, 229.9946; found, 229.9957.

5-(Furan-3-yl)thienyl-2-sulfonamide (5p). Obtained as a brown solid (179 mg, 78%). mp 186−187 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 8.04−8.01 (m, 1H), 7.67−7.63 (m, 1H), 7.53−7.49 (m, 1H), 7.23−7.19 (m, 1H), 6.87 (br s, 2H), 6.80 (s, 1H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 145.2, 143.5, 140.4, 131.7, 129.3, 124.0, 120.2, 109.6; HRMS (TOF MS ES+) m/z calcd. for $C_8H_6NO_3S_2$ $[M - H]^-$, 227.9789; found, 227.9781.

5-(5-Methylfuran-2-yl)thienyl-2-sulfonamide (5q). Obtained as a brown solid (234 mg, 96%). mp 192−193 °C; ^{1}H NMR (500 MHz, acetone-d_6) δ 7.52 (d, J = 4.0 Hz, 1H), 7.21 (d, J = 4.0 Hz, 1H), 6.88 (br s, 2H), 6.71 (d, J = 3.5 Hz, 1H), 6.19−6.17 (m, 1H), 2.33 (s, 3H); ^{13}C NMR (125.8 MHz, acetone-d_6) δ 153.6, 146.6, 142.8, 139.0, 131.7, 121.5, 109.1, 109.0, 13.1; HRMS (TOF MS ES−) m/z calcd. for $C_9H_9NO_3S_2$ $[M - H]^-$, 241.9946; found, 241.9978.

■ ASSOCIATED CONTENT

Supporting Information

Spectral data (^{1}H, ^{13}C, and ^{19}F NMR) for all compounds prepared. This material is available free of charge via the Internet at http://pubs.acs.org.

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Notes

The authors declare no competing financial interest.

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