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$FeCl_3$: an efficient catalyst for reactions of electron-rich arenes with imines or aziridines

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ABSTRACT

Iron(III) chloride was discovered highly effective as catalyst in the Friedel–Crafts reactions of electron-rich arenes with imines or aziridines. It was found that reactions of imines were highly substrate-dependent, which generated mono- or double-addition products, while arenes reacted with aziridines regioselectively leading to the formation of desired ring-opening products in 2 min with moderate to good yields.

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1. Introduction

Recently, iron salts have attracted the attention of synthetic organic chemists since iron is one of the most abundant metals on earth, consequently one of the most inexpensive and environmentally friendly ones, and many iron salts and complexes are commercially available.[1] These iron salts have been found to show promising catalytic abilities in many organic transformations, including Friedel–Crafts reactions.[1f] Friedel–Crafts reactions of various arenes, especially electron-rich arenes, are a well-known process for the formation of new C–C bonds from aromatic C–H bonds.[2] Herein, we would like to disclose our recent efforts for $FeCl_3$-catalyzed Friedel–Crafts reactions of electron-rich arenes with imines or aziridines.

2. Results and discussion

Usually, the mono Friedel–Crafts reaction of carbonyl compounds and imines seems to be restricted to highly electrophilic substrates such as glyoxylates,[3] chloral,[4] pyruvates,[5] trifluoromethyl imines,[6] or α-imino esters.[3c,7] Among these, expensive or heavy metal catalysts have to be utilized. For example, Li[7b] reported an imino Friedel–Crafts type addition of electron-rich arenes to α-imino esters by using a combination of gold and silver catalysts. Since iron salts are inexpensive and environmentally friendly ones as described above, the feasibility on $FeCl_3$-catalyzed Friedel–Crafts reactions of electron-rich arenes with imines was then examined using 1,3,5-trimethoxybenzene **1a** and imine **2a** as the model substrates (Scheme 1). Iron chloride (5 mol %) was initially applied for the reaction. To our delight, the desired product **3a** was generated with 72% isolated yield when the reaction was performed in CH_3NO_2 (Scheme 1, Eq. 1). This result provided a good example for the mono aza-Friedel–Crafts reactions of imine.[8] Inferior results were displayed when other solvents were utilized in the reaction. Imine **2b** was also employed in the reaction of 1,3,5-trimethoxybenzene **1a**, which afforded the corresponding product **3b** in 52% yield. However, to our surprise, when 1,2-dimethoxybenzene **1b** was used in the reaction of imine **2a** or **2b** under the iron(III)-catalyzed conditions, symmetrical triaryl methane **4a** or **4b** was generated via a double Friedel–Crafts reaction. This result was similar to those of previous reports,[9,10] since aromatic aldehydes[9] and their imines,[3c,10] generally evolve according to a double Friedel–Crafts reaction to give symmetric triaryl methanes due to the intrinsic instability of the intermediate benzylic alcohol or amine derivative under the acidic reaction conditions. Other electron-rich arenes were also employed in the $FeCl_3$-catalyzed reactions of imines **2**, and low yields (<15%) of symmetrical triaryl methanes were afforded (data not shown in Scheme 1). Due to the similar activities between imines and aziridines, we then shifted our focus to aziridines for this $FeCl_3$-catalyzed Friedel–Crafts reaction of electron-rich arenes.

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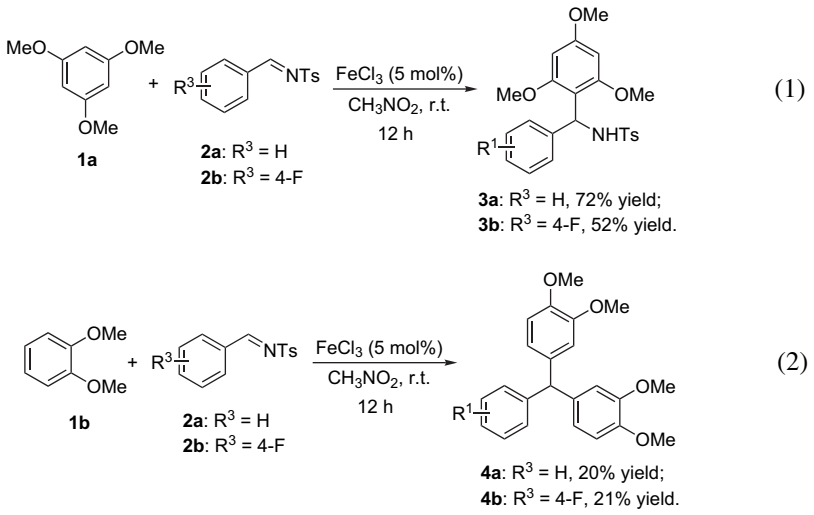
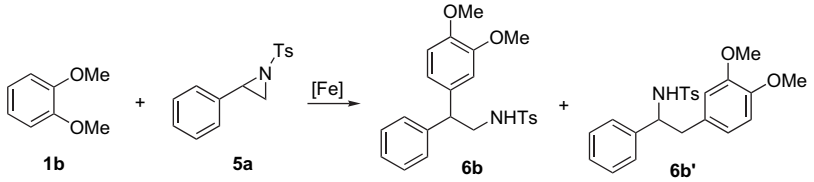


Scheme 1.

Aziridine is a versatile building block for the synthesis of many nitrogen-containing biologically active molecules.[11] Among the procedures of ring opening of aziridines, a nucleophilic ring-opening reaction is one of the major routes to highly functionalized compounds.[11] Although ring-opening reactions of aziridines with various nucleophiles (such as thiols, amines, alcohols, and silylated nucleophiles) have been developed, there are only few examples of utilizing arenes as substrates, which employed Lewis acids [$AlCl_3$,[12] $BF_3{\cdot}OEt_2$,[13] $In(OTf)_3$,[14] $AuCl_3$,[15] and $AgPF_6$[16]] as the promoter or catalyst. For example, stoichiometric amount of $AlCl_3$ or $BF_3{\cdot}OEt_2$ had to be utilized as a promoter for reactions of aziridines with arenes.[12,13] Indium triflate was also employed for the reaction of aziridines with arenes.[14] The reaction was usually completed in several hours in the presence of catalytic amount of $In(OTf)_3$ (5–10 mol %) and afforded the product as mixture of regioisomers in most cases. Prompted by these results and our efforts for aziridine transformation,[15] we envisaged that aziridine might also be employed as substrate in the iron(III)-catalyzed reaction of arenes, due to the unique activity of iron catalyst for functionalization of arenes.[8]

Initial studies were performed by using $FeCl_3$ (5 mol %) as catalyst in the reaction of *N*-tosyl-2-phenylaziridine **5a** with 1,2-dimethoxybenzene **1b** (1.1 equiv) in CH_3NO_2 at room temperature (Table 1, entry 1). This reaction was found highly efficient, which was finished in 2 min, and the desired product **6b** was afforded in 90% yield. Furthermore, under this catalytic condition, regiospecificity was observed and the only isomer, which was from attack on the benzylic position of *N*-tosyl-2-phenylaziridine **5a** was obtained. Even in the analysis of ^1H NMR spectra of crude mixture, we still could not find the peak of isomer. It may be due to electron effect since the benzylic position of *N*-tosyl-2-arylaziridine is highly positive. When CH_3NO_2 was replaced by other solvents, lower yields were observed. For instance, 27% yield or 25% of product **6b** was obtained, respectively, when the reaction was performed in CH_2Cl_2 or $ClCH_2CH_2Cl$ (Table 1, entries 2 and 3). Only trace amount of product was detected when THF was employed as the solvent (Table 1, entry 4). The reaction was retarded when 1 mol % of $FeCl_3$ was utilized in the reaction (12 h, 48% yield, Table 1, entry 5). It is presumably due to the fact that the resulting amine product might act as a Lewis base to deactivate or inhibit the iron(III) catalyst. Moreover, it is noteworthy that the product, which could be easily generated from attacking of aziridine **5a** by chloride, was not observed during the reaction process. Other iron salts with different forms were also screened in the reaction of aziridine **5a** with arene

Table 1
Reaction of aziridine **5a** and arene **1b** catalyzed by iron salt at room temperature[a]



Entry	Iron salt	Solvent	Time	Yield[a] (%)
1	$FeCl_3$ (5 mol %)	CH_3NO_2	2 min	90 (**6b**)
2	$FeCl_3$ (5 mol %)	CH_2Cl_2	2 h	27 (**6b**)
3	$FeCl_3$ (5 mol %)	$(CH_2Cl)_2$	2 h	25 (**6b**)
4	$FeCl_3$ (5 mol %)	THF	2 h	Trace
5	$FeCl_3$ (1 mol %)	CH_3NO_2	12 min	48 (**6b**)
6	$Fe(acac)_3$ (5 mol %)	CH_3NO_2	1 h	NR
7	$Fe(OAc)_2$ (5 mol %)	CH_3NO_2	1 h	NR
8	$Fe_2(SO_4)_3$ (5 mol %)	CH_3NO_2	2 h	40 (**6b**)
9	$FeCl_3{\cdot}6H_2O$ (5 mol %)	CH_3NO_2	5 min	58 (**6b**)
10	$Fe(NO_3)_3{\cdot}9H_2O$ (5 mol %)	CH_3NO_2	12 h	50 (**6b**/**6b′**: 3/1)[b]
11	$NH_4Fe(SO_4)_2{\cdot}12H_2O$ (5 mol %)	CH_3NO_2	5 min	57 (**6b**)

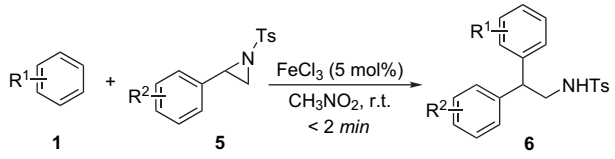
[a] Isolated yield based on aziridine **5a**.
[b] The ratio was determined by ^1H NMR.

1b (Table 1, entries 6–11). Anhydrous $FeCl_3$ was demonstrated to be the most effective catalyst in the reaction. We observed the generation of regioisomer **6b′** when $Fe(NO_3)_3{\cdot}9H_2O$ (5 mol %) was employed in the reaction (Table 1, entry 10). Again, the major product comes from the attack of arene on the benzylic position of aziridine **5a**.

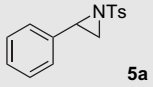
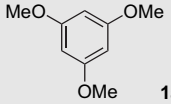
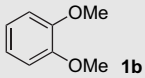
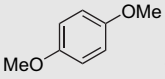
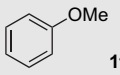
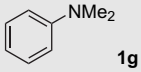
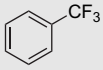
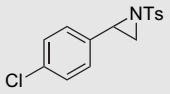
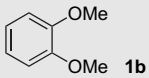
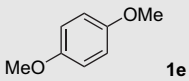
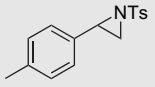
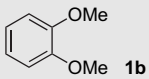
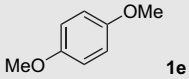
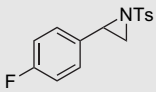
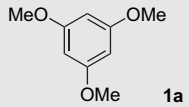
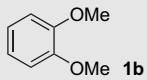
To test the effectiveness of the iron(III) chloride catalytic system, a range of *N*-tosyl-2-arylaziridines and arenes was examined using the preliminary optimized reaction conditions (CH_3NO_2 as the solvent, 5 mol % of $FeCl_3$, room temperature) and the results are summarized in Table 2. Although reactions of electron-rich arenes proceeded well under the conditions, electron-deficient arenes were not good substrates for this kind of transformation. When chlorobenzene **1i** or trifluoromethylbenzene **1j** was employed as substrate in the reaction of aziridine **5a** catalyzed by $FeCl_3$ (5 mol %) (Table 2, entries 9 and 10), complicated reaction mixture was afforded although aziridine **5a** disappeared after 5 min. Again, under the catalytic conditions only one isomer was generated for this regiospecific ring-opening reaction of aziridines with all electron-rich arenes. The reactions were highly efficient, and we found that these conditions allowed us to perform a broad range of reactions of electron-rich arenes with aziridines within 2 min. Thus, aziridine **5a** reacted with 1,3,5-trimethoxybenzene **1a** or *o*-xylene **1c** to give the desired product **6a** or **6c** in 61% or 82% yield, respectively (Table 2, entries 1 and 3). Similarly, the reaction of aziridine **5a** with benzo[*d*][1,3]dioxole **1d** furnished the expected product **6d** in 77% yield (Table 2, entry 4). Reaction of 1,4-dimethoxybenzene **1e** or anisole **1f** with aziridine **5a** provided the corresponding product **6e** or **6f** in 60% or 77% yield (Table 2, entries 5 and 6). Heterocycle, such as furan **1h** reacted smoothly with aziridine **5a** leading to the desired product **6g** in 56% yield (Table 2, entry 8) under these conditions. However, no reaction occurred when *N*,*N*-dimethylbenzenamine **1g** was utilized in the reaction (Table 2, entry 7). Other aziridines, such as **5b**, **5c**, and **5d**, were all good substrates for the $FeCl_3$-catalyzed ring-opening reactions with electron-rich arenes, which afforded the expected product in moderate to good yields (Table 2, entries 11–19).

Moreover, we tested other aziridines under the conditions shown in Table 2. For instance, aziridine **5e** reacted with 1,2-dimethoxybenzene **1b** leading to the formation of regioisomers **6t** and **6t′** in 70% yield (Scheme 2, Eq. 1). When *N*-tosyl group was replaced by *N*-nosyl group, the reaction also proceeded smoothly to afford the desired product in good yield (Scheme 2, Eq. 2). However, no product was detected when aziridine **7a** or **7b** was utilized as substrate in the reaction of 1,2-dimethoxybenzene **1b**, and only starting material was recovered after 12 h at room temperature

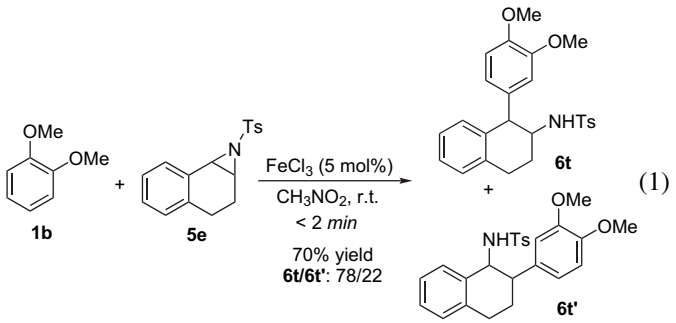
Table 2
Reaction of aziridine **5** and arene **1** catalyzed by $FeCl_3$ (5 mol %) in CH_3NO_2 at room temperature[a]



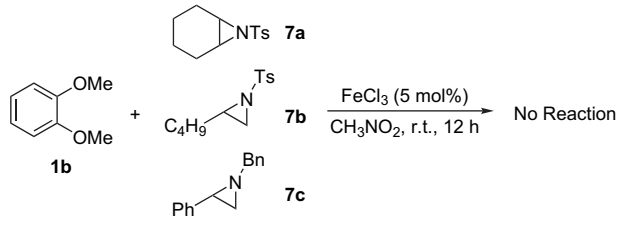
1 5 6

Entry	Aziridine	Arene	Product	Yield[b] (%)
1	 **5a**	 **1a**	**6a**	61
2	**5a**	 **1b**	**6b**	90
3	**5a**	 **1c**	**6c**	82
4	**5a**	 **1d**	**6d**	77
5	**5a**	 **1e**	**6e**	60
6	**5a**	 **1f**	**6f**	77
7	**5a**	 **1g**	**6g**	NR
8	**5a**	 **1h**	**6h**	56
9	**5a**	 **1i**	**6i**	—
10	**5a**	 **1j**	**6j**	—
11	 **5b**	 **1b**	**6k**	77
12	**5b**	 **1d**	**6l**	80
13	**5b**	 **1e**	**6m**	52
14	 **5c**	 **1b**	**6n**	75
15	**5c**	 **1d**	**6o**	81
16	**5c**	 **1e**	**6p**	50
17	 **5d**	 **1a**	**6q**	64
18	**5d**	 **1b**	**6r**	75
19	**5d**	 **1d**	**6s**	71

[a] Reaction conditions: aziridine **1** (0.5 mmol), arene **2** (0.6 mmol, 1.2 equiv), $FeCl_3$ (5 mol %), CH_3NO_2 (2.0 mL), rt, <2 min.
[b] Isolated yield based on aziridine **1**.



Scheme 2.



Scheme 3.

(Scheme 3). We also examined the reaction of 1,2-dimethoxybenzene **1b** with aziridine without tosyl group attached on the nitrogen. No reaction occurred when 1-benzyl-2-phenyl aziridine **7c** was employed in the reaction. These results were similar to the previous reports.[12–16]

3. Conclusion

In summary, we have described iron(III) chloride as a highly effective catalyst for Friedel–Crafts reactions of electron-rich arenes with imines or aziridines. This catalyst shows high efficiency in regiospecific ring-opening reaction of aziridines with electron-rich arenes, which provides a facile and convenient route for the synthesis of β-aryl amines. We also found that it could promote the addition reactions of imines with arenes to afford the mono- or double-addition products. The advantages of this method include: (1) high efficiency and excellent regioselectivity (less than 2 min); (2) experimentally operational ease; and (3) mild conditions. Efforts to explore synthetic utilities of the reactions reported here are in progress in our laboratory.

4. Experimental section

4.1. General

All reactions were performed in test tubes under nitrogen atmosphere. Flash column chromatography was performed using silica gel (60-Å pore size, 32–63 μm, standard grade). Analytical thin-layer chromatography was performed using glass plates pre-coated with 0.25 mm 230–400 mesh silica gel impregnated with a fluorescent indicator (254 nm). Aziridines were prepared according to literature methods.[11] Solvents were re-distilled prior to use in the reactions. Other commercial reagents were used as-received.

*4.1.1. General procedure for reaction of electron-rich arene **1** with imine **2** catalyzed by $FeCl_3$ (5 mol%) in CH_3NO_2 at room temperature*

Under nitrogen atmosphere, imine **2** (0.50 mmol) and arene **1** (0.60 mmol) were added subsequently to a solution of iron(III) chloride (5 mol%) in anhydrous nitromethane (2.5 mL) at room temperature. After completion of the reaction as indicated by TLC, the reaction mixture was quenched with water (10 mL) and extracted with EtOAc (2×10 mL). Evaporation of the solvent followed by purification on silica gel afforded pure product **3** or **4**.

*4.1.1.1. 4-Methyl-N-(phenyl(2,4,6-trimethoxyphenyl)methyl)-benzenesulfonamide (**3a**).*[7b] ^{1}H NMR (400 MHz, $CDCl_3$) 2.30 (s, 3H), 3.61 (s, 6H), 3.74 (s, 3H), 5.88 (s, 2H), 6.10 (d, J=11.0 Hz, 1H), 6.22 (d, J=11.0 Hz, 1H), 7.00 (d, J=8.2 Hz, 2H), 7.12–7.24 (m, 5H), 7.50 (d, J=7.8 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.2, 51.4, 55.2, 55.5, 90.5, 108.6, 126.3, 126.4, 126.7, 127.8, 128.5, 137.4, 141.2, 142.3, 157.7, 160.8. IR (KBr): 3308, 2929, 1593, 1444, 1332, 1152, 814 cm^{-1}. MS (ESI): m/z 428.0 (M^++1).

*4.1.1.2. N-((4-Fluorophenyl)(2,4,6-trimethoxyphenyl)methyl)-4-methylbenzenesulfonamide (**3b**).* ^{1}H NMR (400 MHz, $CDCl_3$) 2.30 (s, 3H), 3.62 (s, 6H), 3.74 (s, 3H), 5.88 (s, 2H), 6.05 (d, J=11.0 Hz, 1H), 6.21 (d, J=11.0 Hz, 1H), 6.85–6.92 (m, 2H), 7.00 (d, J=7.7 Hz, 2H), 7.18–7.21 (m, 2H), 7.49 (d, J=7.8 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.3, 50.9, 55.2, 55.5, 90.5, 108.5, 114.4, 114.6, 126.7, 127.9, 128.0, 128.6, 137.4, 142.4, 157.7, 160.9. IR (KBr): 3308, 2919, 1588, 1449, 1337, 1157, 814 cm^{-1}. MS (ESI): m/z 446.0 (M^++1). Anal. Calcd for $C_{23}H_{24}FNO_5S$: C, 62.01; H, 5.43; N, 3.14. Found: C, 62.04; H, 5.45; N, 3.01.

*4.1.1.3. 4-((3,4-Dimethoxyphenyl)(phenyl)methyl)-1,2-dimethoxybenzene (**4a**).*[17] ^{1}H NMR (400 MHz, $CDCl_3$) 3.75 (s, 6H), 3.85 (s, 6H), 5.44 (s, 1H), 6.58–6.60 (m, 2H), 6.66 (s, 2H), 6.78 (d, J=8.2 Hz, 2H), 7.11 (d, J=8.2 Hz, 2H), 7.25–7.28 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) 55.7, 55.8, 110.7, 112.6, 121.3, 126.2, 128.2, 129.2, 136.6, 147.3, 148.6. IR (KBr): 2919, 1516, 1460, 1260, 1132, 814 cm^{-1}. MS (ESI): m/z 365.0 (M^++1).

*4.1.1.4. 4-((3,4-Dimethoxyphenyl)(4-fluorophenyl)methyl)-1,2-dimethoxybenzene (**4b**).* ^{1}H NMR (400 MHz, $CDCl_3$) 3.76 (s, 6H), 3.85 (s, 6H), 5.41 (s, 1H), 6.51–6.58 (m, 2H), 6.64 (d, J=1.8 Hz, 2H), 6.78 (d, J=8.7 Hz, 2H), 6.78–7.10 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) 55.7, 55.8, 110.8, 112.5, 114.9, 115.1, 121.2, 130.6, 130.7, 136.4, 147.5, 148.7. IR (KBr): 2921, 1510, 1463, 1263, 1138, 817 cm^{-1}. MS (ESI): m/z 383.0 (M^++1). Anal. Calcd for $C_{23}H_{23}FO_4$: C, 72.24; H, 6.06. Found: C, 72.04; H, 6.25.

*4.1.2. General procedure for reaction of electron-rich arene **1** with aziridine **5** catalyzed by $FeCl_3$ (5 mol%) in CH_3NO_2 at room temperature*

Under nitrogen atmosphere, aziridine **5** (0.50 mmol) and arene **1** (0.60 mmol) were added subsequently to a solution of iron(III) chloride (5 mol%) in anhydrous nitromethane (2.5 mL) at room temperature. After completion of the reaction as indicated by TLC (less than 2 min), the reaction mixture was quenched with water (10 mL) and extracted with EtOAc (2×10 mL). Evaporation of the solvent followed by purification on silica gel afforded pure product **6**.

*4.1.2.1. 4-Methyl-N-(2-phenyl-2-(2,4,6-trimethoxyphenyl)ethyl)benzenesulfonamide (**6a**).* ^{1}H NMR (400 MHz, $CDCl_3$) 2.42 (s, 3H), 3.61 (s, 6H), 3.69–3.71 (m, 2H), 3.78 (s, 3H), 4.38 (m, 1H), 4.65 (m, 1H), 6.04

(s, 2H), 7.13–7.25 (m, 7H), 7.64 (d, *J*=8.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.4, 39.6, 45.2, 55.2, 55.4, 91.0, 108.8, 125.9, 127.0, 127.6, 127.9, 129.4, 137.0, 141.8, 142.8, 159.2, 160.3. IR (KBr): 3288, 2939, 2837, 1609, 1325, 1152, 809 cm^{-1}. MS (ESI): *m*/*z* 442.0 (M^++1). Anal. Calcd for $C_{24}H_{27}NO_5S$: C, 65.28; H, 6.16; N, 3.17. Found: C, 65.40; H, 6.05; N, 3.01.

*4.1.2.2. N-(2-(3,4-Dimethoxyphenyl)-2-phenylethyl)-4-methylbenzenesulfonamide (**6b**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.41 (s, 3H), 3.45–3.53 (m, 2H), 3.74 (s, 3H), 3.81 (s, 3H), 4.02 (t, *J*=7.8 Hz, 1H), 4.65 (t, *J*=5.9 Hz, 1H), 6.58 (s, 1H), 6.65 (d, *J*=8.3 Hz, 1H), 6.74 (d, *J*=8.3 Hz, 1H), 7.09 (d, *J*=7.3 Hz, 2H), 7.18–7.27 (m, 5H), 7.65 (d, *J*=8.3 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.3, 47.3, 50.0, 55.7, 55.8, 111.2, 111.3, 119.7, 126.9, 127.0, 127.7, 128.7, 129.6, 133.0, 136.7, 141.0, 143.4, 148.0, 149.1. IR (KBr): 3265, 2935, 1593, 1324, 1152, 804 cm^{-1}. MS (ESI): *m*/*z* 412.0 (M^++1).

*4.1.2.3. N-(2-(3,4-Dimethoxyphenyl)-1-phenylethyl)-4-methylbenzenesulfonamide (**6b′**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.40 (s, 3H), 2.93–3.28 (m, 2H), 3.87 (s, 3H), 3.90 (s, 3H), 4.72 (m, 1H), 4.96 (m, 1H), 6.84 (s, 1H), 6.95–7.03 (m, 2H), 7.19–7.34 (m, 5H), 7.60–7.63 (m, 2H), 7.72 (d, *J*=8.2 Hz, 2H). IR (KBr): 3265, 2935, 1593, 1324, 1152, 804 cm^{-1}. MS (ESI): *m*/*z* 412.0 (M^++1).

*4.1.2.4. N-(2-(3,4-Dimethylphenyl)-2-phenylethyl)-4-methylbenzenesulfonamide (**6c**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.16 (s, 3H), 2.18 (s, 3H), 2.41 (s, 3H), 3.45–3.54 (m, 2H), 3.98 (t, *J*=7.8 Hz, 1H), 4.52 (t, *J*=5.8 Hz, 1H), 6.78–6.84 (m, 2H), 6.98–7.27 (m, 8H), 7.65 (d, *J*=8.0 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 19.4, 19.9, 21.7, 47.4, 50.2, 125.3, 127.1, 127.3, 127.9, 128.9, 129.4, 129.8, 130.2, 135.6, 136.9, 137.2, 138.1, 141.2, 143.6. IR (KBr): 3277, 2919, 1598, 1328, 1159, 1091, 817 cm^{-1}. MS (ESI): *m*/*z* 380.0 (M^++1).

*4.1.2.5. N-(2-(Benzo[d][1,3]dioxol-5-yl)-2-phenylethyl)-4-methylbenzenesulfonamide (**6d**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.41 (s, 3H), 3.41–3.51 (m, 2H), 3.97 (t, *J*=7.8 Hz, 1H), 4.70 (br, 1H), 5.84 (s, 2H), 6.51–6.57 (m, 2H), 6.65 (d, *J*=7.8 Hz, 1H), 7.06 (d, *J*=7.3 Hz, 2H), 7.15–7.26 (m, 5H), 7.65 (d, *J*=8.3 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.5, 47.2, 50.1, 100.9, 108.2, 108.3, 120.9, 126.9, 127.0, 127.6, 128.7, 129.6, 134.5, 136.6, 140.8, 143.4, 146.4, 147.9. IR (KBr): 3277, 2914, 1599, 1325, 1147, 1091, 819 cm^{-1}. MS (ESI): *m*/*z* 396.0 (M^++1).

*4.1.2.6. N-(2-(2,4-Dimethoxyphenyl)-2-phenylethyl)-4-methylbenzenesulfonamide (**6e**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.41 (s, 3H), 3.44–3.55 (m, 2H), 3.65 (s, 3H), 3.67 (s, 3H), 4.46 (t, *J*=7.8 Hz, 1H), 4.56 (t, *J*=5.9 Hz, 1H), 6.51 (d, *J*=3.0 Hz, 1H), 6.66–6.75 (m, 2H), 7.09 (d, *J*=6.9 Hz, 2H), 7.15–7.27 (m, 5H), 7.64 (d, *J*=7.8 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.5, 43.5, 46.2, 55.4, 55.9, 111.5, 111.7, 114.9, 126.8, 127.0, 128.0, 128.5, 129.5, 130.3, 136.7, 140.3, 143.2, 151.2, 153.5. IR (KBr): 3293, 2934, 1597, 1495, 1328, 1159, 1052, 813 cm^{-1}. MS (ESI): *m*/*z* 412.0 (M^++1).

*4.1.2.7. N-(2-(4-Methoxyphenyl)-2-phenylethyl)-4-methylbenzenesulfonamide (**6f**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.43 (s, 3H), 3.46–3.52 (m, 2H), 3.75 (s, 3H), 4.0 (t, *J*=7.8 Hz, 1H), 4.43 (t, *J*=5.8 Hz, 1H), 6.78 (d, *J*=8.3 Hz, 2H), 7.0 (d, *J*=8.8 Hz, 2H), 7.07 (d, *J*=6.8 Hz, 2H), 7.18–7.29 (m, 5H), 7.66 (d, *J*=7.8 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.5, 47.3, 49.7, 55.2, 114.2, 126.9, 127.1, 127.8, 128.8, 128.9, 129.7, 132.6, 136.7, 141.1, 143.5, 158.5. IR (KBr): 3277, 2926, 1610, 1512, 1326, 1156, 818 cm^{-1}. MS (ESI): *m*/*z* 382.0 (M^++1).

*4.1.2.8. N-(2-(Furan-2-yl)-2-phenylethyl)-4-methylbenzenesulfonamide (**6h**).*[18] ^{1}H NMR (400 MHz, $CDCl_3$) 2.43 (s, 3H), 3.37–3.43 (m, 1H), 3.54–3.61 (m, 1H), 4.09 (t, *J*=7.6 Hz, 1H), 4.51 (t, *J*=6.4 Hz, 1H), 6.00 (d, *J*=2.8 Hz, 1H), 6.27 (d, *J*=3.2 Hz, 1H), 7.11 (d, *J*=6.4 Hz, 2H), 7.24–7.31 (m, 6H), 7.68 (d, *J*=8.4 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.5, 45.2, 46.6, 107.0, 110.2, 127.1, 127.5, 127.9, 128.9, 129.7, 136.9, 138.7, 142.0, 143.5, 154.0. IR (KBr): 3298, 2919, 1597, 1321, 1155, 1086, 816 cm^{-1}. MS (ESI): *m*/*z* 342.0 (M^++1).

*4.1.2.9. N-(2-(4-Chlorophenyl)-2-(3,4-dimethoxyphenyl)ethyl)-4-methylbenzenesulfonamide (**6k**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.42 (s, 3H), 3.42–3.49 (m, 2H), 3.74 (s, 3H), 3.79 (s, 3H), 4.00 (t, *J*=7.8 Hz, 1H), 4.96 (t, *J*=6.4 Hz, 1H), 6.55 (d, *J*=2.0 Hz, 1H), 6.62 (d, *J*=8.3 Hz, 1H), 6.74 (d, *J*=8.3 Hz, 1H), 7.03 (d, *J*=8.8 Hz, 2H), 7.17 (d, *J*=8.3 Hz, 2H), 7.23 (d, *J*=8.3 Hz, 2H), 7.62 (d, *J*=8.3 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.4, 47.1, 49.4, 55.6, 55.7, 111.1, 111.2, 119.6, 126.8, 128.6, 129.0, 129.6, 132.5, 132.7, 136.5, 139.7, 143.4, 147.9, 149.0. IR (KBr): 3291, 2932, 1516, 1318, 1151, 1090, 817 cm^{-1}. MS (ESI): *m*/*z* 446.0 (M^++1).

*4.1.2.10. N-(2-(Benzo[d][1,3]dioxol-5-yl)-2-(4-chlorophenyl)ethyl)-4-methylbenzenesulfonamide (**6l**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.42 (s, 3H), 3.38–3.48 (m, 2H), 3.96 (t, *J*=7.8 Hz, 1H), 4.82 (t, *J*=6.4 Hz, 1H), 5.87 (s, 2H), 6.48 (d, *J*=1.5 Hz, 1H), 6.54 (d, *J*=8.3 Hz, 1H), 6.66 (d, *J*=7.8 Hz, 1H), 7.0 (d, *J*=8.3 Hz, 2H), 7.17 (d, *J*=8.3 Hz, 2H), 7.25 (d, *J*=7.8 Hz, 2H), 7.63 (d, *J*=8.3 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.5, 47.1, 49.6, 101.0, 108.1, 108.4, 120.9, 127.0, 128.8, 129.1, 129.7, 132.7, 134.1, 136.5, 139.5, 143.5, 146.6, 148.0. IR (KBr): 3279, 2878, 1593, 1489, 1320, 1153, 1096, 817 cm^{-1}. MS (ESI): *m*/*z* 430.0 (M^++1).

*4.1.2.11. N-(2-(4-Chlorophenyl)-2-(2,5-dimethoxyphenyl)ethyl)-4-methylbenzenesulfonamide (**6m**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.43 (s, 3H), 3.44–3.52 (m, 2H), 3.67 (s, 3H), 3.68 (s, 3H), 4.42 (t, *J*=7.8 Hz, 1H), 4.50 (t, *J*=5.9 Hz, 1H), 6.48 (d, *J*=2.9 Hz, 1H), 6.69–6.76 (m, 2H), 7.04 (d, *J*=8.3 Hz, 2H), 7.18 (d, *J*=8.3 Hz, 2H), 7.25 (d, *J*=8.3 Hz, 2H), 7.63 (d, *J*=8.3 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.4, 43.2, 46.1, 55.6, 55.9, 111.7, 111.8, 114.8, 127.1, 128.6, 129.4, 129.6, 129.8, 132.6, 136.7, 139.1, 143.4, 151.2, 153.6. IR (KBr): 3255, 2943, 1589, 1497, 1329, 1162, 1092, 817 cm^{-1}. MS (ESI): *m*/*z* 446.0 (M^++1).

*4.1.2.12. N-(2-(3,4-Dimethoxyphenyl)-2-p-tolylethyl)-4-methylbenzenesulfonamide (**6n**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.27 (s, 3H), 2.40 (s, 3H), 3.43–3.49 (m, 2H), 3.74 (s, 3H), 3.79 (s, 3H), 3.98 (t, *J*=7.8 Hz, 1H), 4.68 (t, *J*=5.8 Hz, 1H), 6.59 (s, 1H), 6.64 (d, *J*=7.8 Hz, 1H), 6.73 (d, *J*=8.3 Hz, 1H), 6.97 (d, *J*=7.8 Hz, 2H), 7.04 (d, *J*=7.8 Hz, 2H), 7.25 (d, *J*=8.3 Hz, 2H), 7.64 (d, *J*=8.3 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 20.9, 21.4, 47.3, 49.6, 55.6, 55.7, 111.1, 111.2, 119.6, 127.0, 127.5, 129.3, 129.6, 133.3, 136.5, 136.6, 137.9, 143.3, 147.8, 149.0. IR (KBr): 3294, 2929, 1593, 1514, 1331, 1155, 1086, 810 cm^{-1}. MS (ESI): *m*/*z* 426.0 (M^++1).

*4.1.2.13. N-(2-(Benzo[d][1,3]dioxol-5-yl)-2-p-tolylethyl)-4-methylbenzenesulfonamide (**6o**).* ^{1}H NMR (400 MHz, $CDCl_3$) 2.29 (s, 3H), 2.44 (s, 3H), 3.45–3.47 (m, 2H), 3.92 (t, *J*=7.8 Hz, 1H), 4.28 (t, *J*=5.8 Hz, 1H), 5.90 (s, 2H), 6.51–6.53 (m, 2H), 6.69 (d, *J*=7.8 Hz, 1H), 6.95 (d, *J*=8.2 Hz, 2H), 7.04 (d, *J*=8.2 Hz, 2H), 7.30 (d, *J*=8.2 Hz, 2H), 7.68 (d, *J*=8.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 20.9, 21.5, 47.2, 49.7, 101.0, 108.1, 108.3, 120.8, 127.0, 127.5, 129.4. 129.6, 134.7, 136.6, 136.7, 137.7, 143.4, 146.4, 147.9. IR (KBr): 3288, 2914, 1588, 1490, 1321, 1147, 1086, 815 cm^{-1}. MS (ESI): *m*/*z* 410.0 (M^++1). Anal. Calcd for $C_{23}H_{23}NO_4S$: C, 67.46; H, 5.66; N, 3.42. Found: C, 67.35; H, 5.81; N, 3.53.

*4.1.2.14. N-(2-(2,5-Dimethoxyphenyl)-2-p-tolylethyl)-4-methylbenzenesulfonamide (**6p**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 2.28 (s, 3H), 2.43 (s, 3H), 3.43–3.53 (m, 2H), 3.66 (s, 3H), 3.68 (s, 3H), 4.12 (t, *J*=7.8 Hz, 1H), 4.48 (s, 1H), 6.49 (d, *J*=2.9 Hz, 1H), 6.66–6.74 (m, 2H), 6.98 (d, *J*=8.3 Hz, 2H), 7.04 (d, *J*=7.8 Hz, 2H), 7.25 (d, *J*=7.8 Hz, 2H), 7.64 (d, *J*=7.8 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 20.9, 21.5, 43.1, 46.3, 55.5, 55.9, 111.4, 111.7, 114.9, 127.1, 128.0, 129.3, 129.6, 130.5, 136.5, 136.8, 137.2, 143.2, 151.3, 153.6. IR (KBr): 3288, 2929, 1593, 1495, 1321, 1158, 1086, 819 cm^{-1}. MS (ESI): *m*/*z* 426.0 (M^++1).

*4.1.2.15. N-(2-(4-Fluorophenyl)-2-(2,4,6-trimethoxyphenyl)ethyl)-4-methylbenzenesulfonamide (**6q**).* ^{1}H NMR (400 MHz, $CDCl_3$) 2.42 (s, 3H), 3.61 (s, 6H), 3.65–3.71 (m, 2H), 3.78 (s, 3H), 4.39–4.41 (m, 1H), 4.62 (d, *J*=8.3 Hz, 1H), 6.04 (s, 2H), 6.85 (d, *J*=8.7 Hz, 2H), 7.08–7.11 (m, 2H), 7.25 (d, *J*=7.8 Hz, 2H), 7.64 (d, *J*=7.8 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.4, 38.9, 45.2, 55.2, 55.4, 90.9, 108.6, 114.5, 114.7, 127.0, 129.1, 129.2, 129.4, 136.9, 137.4, 142.9, 159.0, 160.3. IR (KBr): 3334, 2939, 2841, 1604, 1329, 1157, 815 cm^{-1}. MS (ESI): *m*/*z* 460.0 (M^++1). Anal. Calcd for $C_{24}H_{26}FNO_5S$: C, 62.73; H, 5.70; N, 3.05. Found: C, 62.90; H, 5.96; N, 3.01.

*4.1.2.16. N-(2-(3,4-Dimethoxyphenyl)-2-(4-fluorophenyl)ethyl)-4-methylbenzenesulfonamide (**6r**).* ^{1}H NMR (400 MHz, $CDCl_3$) 2.42 (s, 3H), 3.42–3.49 (m, 2H), 3.76 (s, 3H), 3.81 (s, 3H), 4.01 (t, *J*=7.8 Hz, 1H), 4.46 (t, *J*=5.5 Hz, 1H), 6.54 (d, *J*=1.8 Hz, 1H), 6.62 (dd, *J*=8.2 Hz, 2.3 Hz, 1H), 6.77 (d, *J*=8.3 Hz, 1H), 6.92–7.07 (m, 4H), 7.29 (d, *J*=7.8 Hz, 2H), 7.66 (d, *J*=8.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.5, 47.3, 49.2, 55.7, 55.8, 111.1, 111.2, 115.4, 115.6, 119.6, 127.0, 129.2, 129.3, 129.7, 132.7, 136.5, 136.7, 136.8, 143.5, 148.1, 149.1. IR (KBr): 3273, 2934, 1599, 1511, 1328, 1159, 1093, 813 cm^{-1}. MS (ESI): *m*/*z* 430.0 (M^++1). Anal. Calcd for $C_{23}H_{24}FNO_4S$: C, 64.32; H, 5.63; N, 3.26. Found: C, 64.70; H, 5.75; N, 3.31.

*4.1.2.17. N-(2-(Benzo[d][1,3]dioxol-5-yl)-2-(4-fluorophenyl)ethyl)-4-methylbenzenesulfonamide (**6s**).* ^{1}H NMR (400 MHz, $CDCl_3$) 2.44 (s, 3H), 3.40–3.49 (m, 2H), 3.97 (t, *J*=7.8 Hz, 1H), 4.38 (t, *J*=5.9 Hz, 1H), 5.91 (s, 2H), 6.50 (s, 2H), 6.54 (d, *J*=7.8 Hz, 1H), 6.71 (d, *J*=7.7 Hz, 1H), 6.94 (d, *J*=8.7 Hz, 2H), 7.02–7.09 (m, 2H), 7.30 (d, *J*=8.2 Hz, 2H), 7.67 (d, *J*=8.2 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 21.5, 47.3, 49.4, 101.1, 108.1, 108.4, 115.5, 115.7, 120.9, 127.0, 129.1, 129.2, 129.7, 134.2, 136.5, 143.6, 146.6, 148.1. IR (KBr): 3284, 2923, 1601, 1507, 1327, 1159, 1094, 813 cm^{-1}. MS (ESI): *m*/*z* 414.0 (M^++1). Anal. Calcd for $C_{22}H_{20}FNO_4S$: C, 63.91; H, 4.88; N, 3.39. Found: C, 64.07; H, 5.05; N, 3.41.

*4.1.2.18. N-(1-(3,4-Dimethoxyphenyl)-1,2,3,4-tetrahydronaphthalen-2-yl)-4-methylbenzenesulfonamide (**6t**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 1.79–1.82 (m, 1H), 2.33–2.38 (m, 1H), 2.40 (s, 3H), 2.90–2.97 (m, 2H), 3.38–3.40 (m, 1H), 3.56 (s, 3H), 3.87 (s, 3H), 4.57 (d, *J*=5.5 Hz, 1H), 6.10 (d, *J*=1.8 Hz, 1H), 6.47 (dd, *J*=7.8 Hz, 1.8 Hz, 1H), 6.66–6.69 (m, 2H), 7.11–7.15 (m, 5H), 7.43 (d, *J*=8.2 Hz, 2H). IR (KBr): 3284, 2932, 1596, 1514, 1327, 1158, 1094, 812 cm^{-1}. MS (ESI): *m*/*z* 438.0 (M^++1).

*4.1.2.19. N-(2-(3,4-Dimethoxyphenyl)-1,2,3,4-tetrahydronaphthalen-1-yl)-4-methylbenzenesulfonamide (**6t'**).*[14] ^{1}H NMR (400 MHz, $CDCl_3$) 1.62–1.70 (m, 1H), 1.82–1.93 (m, 1H), 2.43 (s, 3H), 2.86–3.00 (m, 2H), 3.75–3.83 (m, 1H), 3.78 (s, 3H), 3.85 (s, 3H), 4.18–4.21 (m, 2H), 6.39 (dd, *J*=8.2 Hz, 1.8 Hz, 1H), 6.55 (d, *J*=1.8 Hz, 1H), 6.74 (d, *J*=8.2 Hz, 1H), 6.89 (d, *J*=7.3 Hz, 1H), 6.98–7.02 (m, 4H), 7.26–7.28 (m, 1H), 7.68 (d, *J*=8.2 Hz, 2H). IR (KBr): 3284, 2932, 1596, 1514, 1327, 1158, 1094, 812 cm^{-1}. MS (ESI): *m*/*z* 438.0 (M^++1).

*4.1.2.20. N-(2-(3,4-Dimethoxyphenyl)-2-phenylethyl)-4-nitrobenzenesulfonamide (**6u**).* ^{1}H NMR (400 MHz, $CDCl_3$) 3.50–3.58 (m, 2H), 3.76 (s, 3H), 3.84 (s, 3H), 4.01 (t, *J*=7.7 Hz, 1H), 4.38 (t, *J*=6.4 Hz, 1H), 6.57 (d, *J*=1.8 Hz, 1H), 6.64 (dd, *J*=8.2 Hz, 2.3 Hz, 1H), 6.77 (d, *J*=8.2 Hz, 1H), 7.09 (d, *J*=6.9 Hz, 2H), 7.19–7.29 (m, 2H), 7.51 (t, *J*=7.8 Hz, 2H), 7.58–7.62 (m, 1H), 7.80 (d, *J*=7.3 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) 47.3, 50.0, 55.8, 55.9, 111.2, 119.7, 127.0, 127.1, 127.7, 128.8, 129.1, 132.7, 132.9, 139.7, 140.8, 148.1, 149.1. IR (KBr): 3274, 2935, 1592, 1516, 1329, 1265, 1162, 1027 cm^{-1}. MS (ESI): *m*/*z* 443.0 (M^++1). Anal. Calcd for $C_{22}H_{22}N_2O_6S$: C, 59.72; H, 5.01; N, 6.33. Found: C, 60.07; H, 5.05; N, 6.41.

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