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Note

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Transition-Metal-Free Three-Component Reaction: Additive Controlled Synthesis of Sulfonylated Imidazoles

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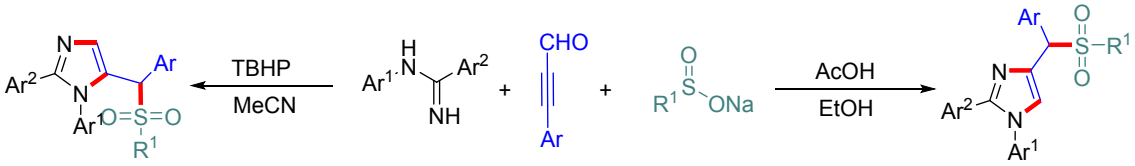
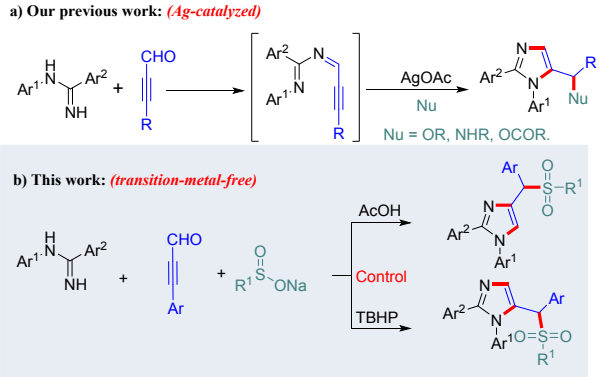


ABSTRACT: Two efficient transition-mental-free highly regioselective pathways for constructing sulfonylated imidazoles via three-component reactions of amidines, ynals and sodium sulfonates have been developed. The generations of different sulfonylated imidazoles were simply controlled by additives. In addition, this method features environmental friendliness, good functional group tolerance and high atom economy, which makes it practical.

Imidazole ring is an important and highly polar five-membered aromatic heterocycle, which was widely distributed in nature products, applied pharmaceuticals, materials and other fields.[1-3] Imidazole compounds have a wide range of pharmacological activities such as antifungal, antitumor, anti-inflammatory and antibacterial. Therefore, these compounds have received extensive concern from researchers.[4] Selected examples such as Olprinone, Trifenagrel and Alpidem. Classic method for synthesis of imidazoles was Debus-Radziszewski reaction.[5] To date, a large number of

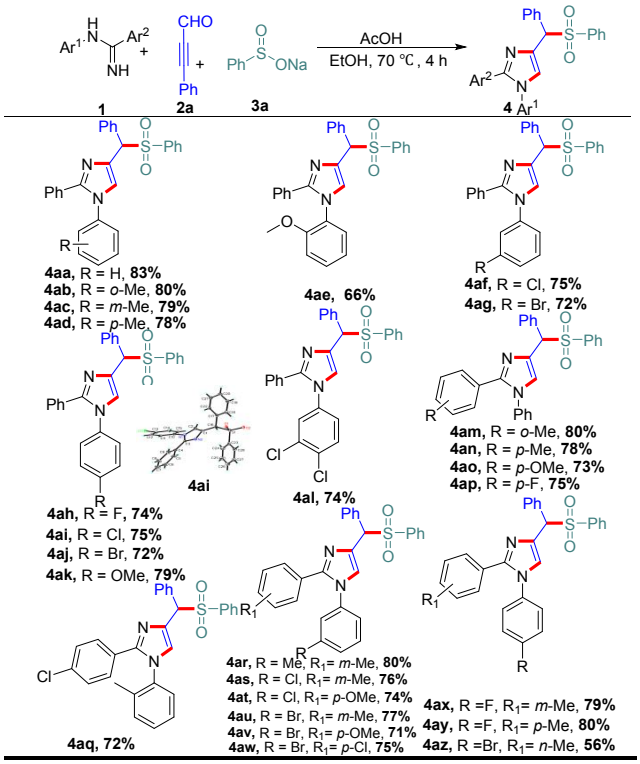
transition-mental-catalyzed approaches were applied to produce imidazole derivatives, such as iron catalyzed,[6] copper catalyzed,[7] zinc catalyzed,[8] silver catalyzed,[9] gold catalyzed,[10] palladium catalyzed,[11] nanocatalyzed[12] and so on. However, the formation of imidazole derivatives by metal-free[13] was seldom reported. To enrich these green synthesis methods, it is extremely important to develop metal-free strategies for the synthesis of functionalized imidazoles, especially sulfonylated derivatives,[14] which are otherwise difficult to construct and can be used in the synthesis of new nitrogen/sulfon-fused molecules.[15] Due to their unique chemical and biological properties, sulfonylated heterocycles[16,17] have emerged over the past few years as important synthetic targets and central pharmacophore in a large number of biologically active medicinal agents.[18] In particular, sulfonylated imidazoles were reported to show good activities against Gram-positives bacteria and Gram-negative bacteria that have the potential to overcome the increasing antibiotic resistance.[19]

Recently, our group has reported various Ag-catalyzed strategies for the synthesis of functionalized imidazoles (Scheme 1a).[20] It was found that amidines and ynals could go through an intramolecular dehydration to form the imine intermediate, which was activated by the silver-complex to react with nucleophiles such as alcohol, acid, amine and etc, giving different imidazole derivatives. Herein, we developed a three-component reaction[21] of amidines, ynals and sodium sulfinates to produce a series of different sulfonylated imidazoles under metal-free conditions. To the best of our knowledge, the selective construction of different imidazoles from the same starting materials by an additive selection has not been reported before.



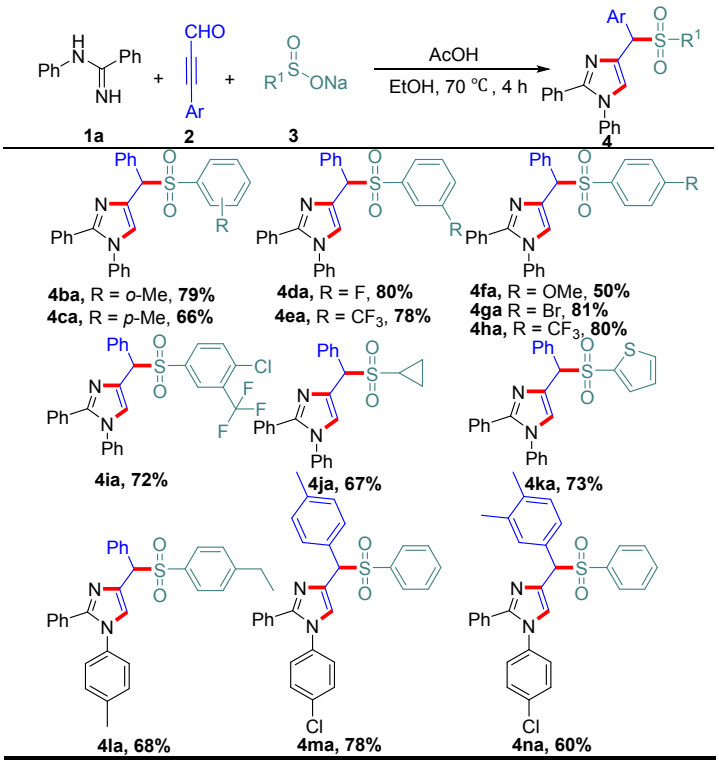
Scheme 1. Synthesis of imidazole derivatives from amidines, ynals and nucleophilic reagents.

With the optimized reaction conditions in hand (Table S1 in Surporting Information, entry 8), we first probed the scope for the formation of sulfonylated imidazoles by using different amidines[22] which has been reported. The results were showed in Scheme 2. Various *N*-substituted benzimidamides were employed and the desired products **4aa-4az** were obtained in 56-83% yields. Electron-rich groups on the benzene ring of *N*-substituted amidines (Ar^1) such as Me or OMe all worked well and led to the corresponding imidazoles **4aa-4ae** and **4ak** in 66-83% yields. Electron-poor groups on the benzene ring of *N*-substituted amidines (Ar^1) such as F, Cl or Br were also tolerated and the yields of products ranged from 72% to 75% (**4af-4aj** and **4al**). Furthermore, various substrates with electron-withdrawing and electron-donating groups on the other benzene ring of *N*-substituted amidines (Ar^2) all worked well (**4am-4ap**). In particular, multi-substituted amidines also underwent these cascade annulations smoothly to generate the target products in 56-80% yields (**4aq-4az**). Unfortunately, when alkyl amidines were used as substrates, the desired products were not detected.



Scheme 2. Substrate scope of amidines

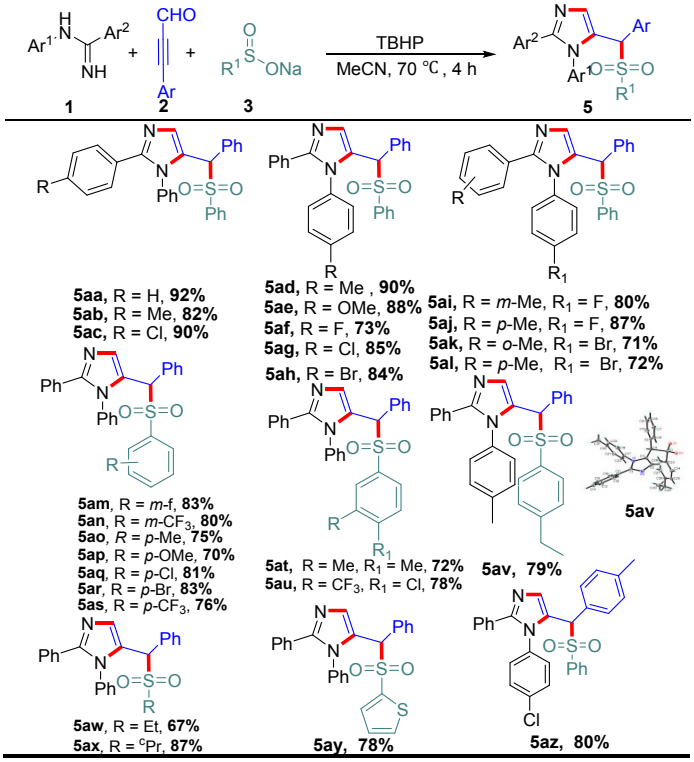
Subsequently, we examined different sodium sulfinates in this reaction for the synthesis of imidazoles, and the results are summarized in Scheme 3. A series of sodium sulfinates reactions proceeded smoothly under the standard conditions reaction, giving the desired products **4ba-4na** in good yields. We were pleased to find that sodium sulfinates with either electron-rich or electron-withdrawing groups on the benzene ring all afforded the corresponding products in good yields (50-81%, **4ba-4ia**). Then cyclopropyl and thienyl sodium sulfinates were tested and expected products **4ja** and **4ka** were formed in 67% and 73% yields, respectively. Moreover, multi-substituted imidazole products **4la-4na** were also obtained in moderate yields of 60-78%.



Scheme 3. Substrate scope of sodium sulfinates

To our delight, another kind of sulfonylated imidazole was successfully achieved in well yields in the presence of TBHP in MeCN at 70 °C for 4 h (Scheme 4). Various *N*-substituted benzimidamides were next probed. It was found that amidines with different substitutes reacted smoothly and afforded the corresponding sulfonylated imidazoles in good yields (**5aa-5al**). Subsequently, we further explored the scope of sodium sulfinates in this MCR for the synthesis of sulfonylated imidazoles. In perticular, reactions of sodium benzene sulfinate bearing either electron-rich or electron-poor groups obtained the expected imidazoles in good yields (70-83%, **5am-5av**). It should be note that sodium ethyl sulfinate, sodium cyclopropane sulfinate and sodium thiophene sulfinate were also reacted well and gave the target products yields ranged from 67% to 87% (**5aw-5ay**). In addition, substituted

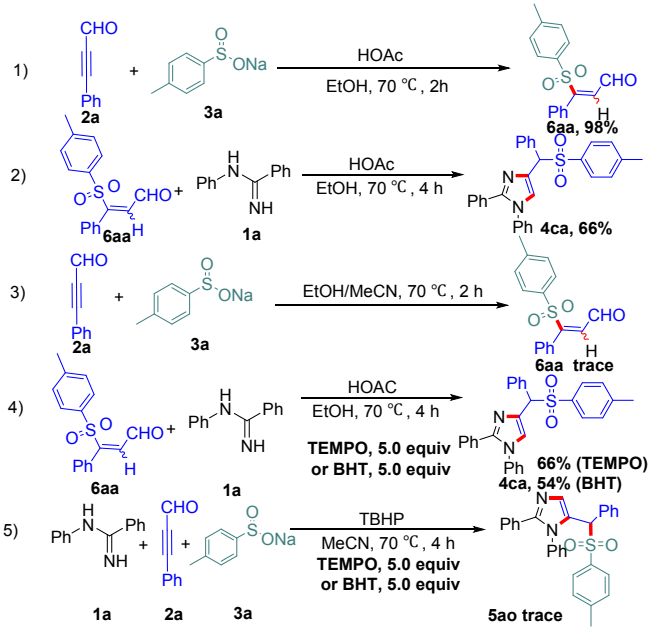
phenyl-propynal successfully obtained the sulfonylated imidazoles product **5az** in 80% yield.



Scheme 4. Substrate scope of amidines and sodium sulfinates

To gain further insight into the mechanism of this reaction for the synthesis of **4ca** and **5ao**, control experiments were conducted to determine the dehydration pathways (Scheme 5). First, AcOH-promoted intermolecular dehydration of **2a** and **3a** has occurred to give the intermediate **6aa** in 98% yield (Eqn. 1). Then **6aa** was react with **1a** to generate **4ca** in 66% yield (Eqn. 2). However, only trace amount of intermediate **6aa** was obtained when **2a** and **3a** were performed in the absence of AcOH (Eqn. 3). In addition, when the reaction was carried out with the adding of 1 equivalent amount of AcOH and 5 equivalent amount of TEMPO or BHT in EtOH at 70 °C for 4 h, the desired product **4ca** was formed with the yield of 66% or 54%, respectively (Eqn. 4). Only trace amount of product **5ao** was detected with the

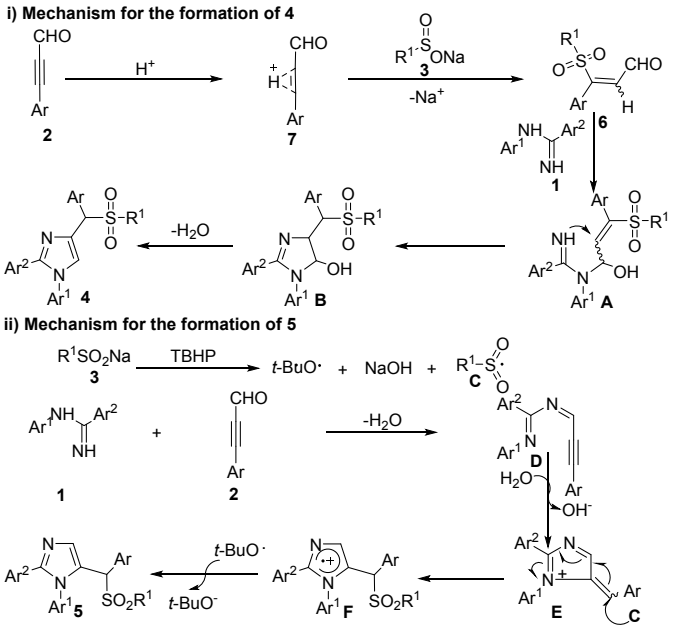
addition of TEMPO or BHT under optimazied condition (Eqn. 5). Therefore, the above results suggested that **6aa** was the intermediate for the generation of **4ca**, and the formation of **5ao** might involve a radical process, while **4ca** is not.



Scheme 5. Control experiments.

On the basis of all of the results and several previous references,[23,24] two possible mechanisms were proposed in Scheme 6. On one hand, for the formation of **4**, AcOH-promoted **2** converted to active station **7** at first, which underwent nucleophilic additon[25] with **3** to acquire compound **6**. Then, the nucleophilic attack of **1** to **6** produce the intermediate **A**, which underwent intramolecular cyclization to give the intermediate **B**. Finally, the desired product **4** was formed via intermolecular dehydration (Scheme 6, Path i). On the other hand, the radical mechanism is recommended for the synthesis of **5** (Scheme 6, Path ii). Sodium sulfinate **2** was oxidized by TBHP to give the sulfonyl radical **C**. At the meanwhile, intermolecular dehydration of **1** and **2** afforded the imine intermediate **D**. Subsequently,

intramolecular annulation and protonation of intermediate **D** generated charged species **E**. Addition of sulfonyl radical **C** to the intermediate **E** followed by aromatization led to the formation of the final sulfonylated product **5**.



Scheme 6. Possible Mechanism.

In summary, we have developed a novel additive-controlled highly regioselective strategy for the synthesis of functional imidazoles via three-component reaction of amidines, ynals and sodium sulfinates. On one hand, the vinyl sulfone intermediate **6** was generated under AcOH-promoted condition for affording the 4-sulfonylated imidazole product. On the other hand, in the presence of TBHP and sodium sulfinate, the sulfonyl radical was formed and further produced the 5-sulfonylated imidazole with the imine intermediate **D**. The features of this transformation are transition-metal-free, environmentally friendly and high atom economy, which makes it a new valuable route to synthesize good functional group tolerance imidazole

derivatives. Further applications of this reaction are currently underway in our laboratory, and the result will be reported in due course.

EXPERIMENTAL SECTION

General Methods

All reactions were performed in a heating mantle at 70 ^{o}C in sealed tube otherwise noted. Analytical thin layer chromatography was carried out using silica gel GF254, visualized under UV light (at 254 nm). Proton NMR (^{1}H) were recorded at 400 MHz, and Carbon NMR (^{13}C) at 100 MHz NMR spectrometer. Multiplicities are abbreviated as: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet. TOF type of mass analyzer was used for HRMS measurements.

General procedure

Synthesis of 1a according to the following procedure[22]:

Nitrile (10 mmol) is mixed with amine (10 mmol) in a 100 mL wide-mouthed flask, $AlCl_3$ (1.35 g, 10 mmol) was then added slowly during stirring. The mixture was allowed to heat at 200 ^{o}C for 30 minutes. Concentrated hydrochloric acid (0.3 mL) and ice-water (25 mL) was then added to the hot mixture while maintaining vigorous stirring. After the reaction was completed, the mixture was cooled externally in the water and filtered. The filtrate was washed a stirred solution of 3.3 g of sodium hydroxide in 18 mL of water. The flocculation precipitate was collected under reduced pressure, washed with water, and dried to constant weight. The residue was then purified by recrystallization.

Synthesis of 4aa according to the following procedure:

A 25 mL-schlenk tube was charged with a stirring bar, and *N*-phenylbenzimidamide (**1a,** 39.2 mg, 0.20 mmol), phenyl-propynal (**2a**, 26.0 mg, 0.20 mmol), sodium benzenesulfinate(**3a,** 32.8 mg, 0.20 mmol), AcOH (24.0 mg, 0.20 mmol), EtOH (2 mL or 3 mL) were added. The reaction was allowed to stir at 70 °C for 4 h. The crude product was separated by column chromatography (eluted with petroleum ether : ethyl acetate = 3:1) to give a pure sample of **4aa** in a 83% yield (74.7 mg).

Large-scale synthesis of 4ba according to the following procedure:

A 25 mL-Schlenk tube was charged with a stirring bar, and *N*-phenylbenzimidamide (**1a,** 196.0 mg, 1.0 mmol), phenyl-propynal (**2a**, 130.0 mg, 1.0 mmol), sodium *p*-methoxybenzenesulfinate (**3a,** 194.0 mg, 1.0 mmol), AcOH (120.0 mg, 1.0 mmol), EtOH (3 mL) were added. The reaction was allowed to stir at 70 °C for 4 h. The crude product was separated by column chromatography (eluted with petroleum ether : ethyl acetate = 3:1) to give a pure sample of **4ba** in a 79% yield (366.5 mg).

Synthesis of 5aa according to the following procedure:

A 25 mL-schlenk tube was charged with a stirring bar, and *N*-phenylbenzimidamide (**1a,** 39.2 mg, 0.20 mmol), phenyl-propynal (**2a**, 26.0 mg, 0.20 mmol), sodium benzenesulfinate(**3a,** 32.8 mg, 0.20mmol), TBHP (60.0 mg, 0.20 mmol), MeCN (2 mL or 3 mL) were added. The reaction was allowed to stir at 70 °C for 4 h. The crude

product was separated by column chromatography (eluted with petroleum ether : ethyl acetate = 3:1) to give a pure sample of **5aa** in a 92% yield (82.8 mg).

1,2-diphenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4aa (83%).

Yellow oil, 74.7 mg. **IR (cm^{-1})**: 3080, 3032, 1590, 1560, 1130, 1085, 698 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.68-7.66 (m, 2H), 7.61 (s, 1H), 7.56-7.51 (m, 3H), 7.41-7.37 (m, 5H), 7.30-7.28 (m, 3H), 7.25-7.17 (m, 7H), 5.63 (s, 1H). **^{13}C {1H} NMR (100MHz, $CDCl_3$)** δ 147.8, 137.6, 135.7, 133.8, 130.7, 130.5, 130.3, 130.3, 129.9, 129.8, 129.7, 129.7, 129.5, 129.5, 129.2, 128.8, 128.8, 128.8, 128.8, 128.6, 128.6, 128.2, 128.2, 128.2, 128.2, 128.1, 126.1, 67.3. **HRMS ESI (m/z)**: calcd for $C_{28}H_{23}N_2O_2S$ $[M + H]^+$: 452.1506, found: 452.1510.

2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1-(o-tolyl)-1H-imidazole 4ab (80%).

Yellow oil, 74.3 mg. **IR (cm^{-1})**: 3071, 3032, 1503, 1444, 1314, 1152, 770 cm^{-1}. ^{1}H **NMR (400 MHz, $CDCl_3$)** δ 7.79-7.67 (m, 2H), 7.63-7.53 (m, 3H), 7.46-7.27 (m, 10H), 7.24-7.14 (m, 5H), 5.67 (s, 1H), 1.90 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.6, 137.4, 134.9, 133.4, 133.4, 131.4, 130.6, 130.6, 129.9, 129.4, 129.4, 129.4, 128.8, 128.8, 128.6, 128.5, 128.4, 128.2, 128.2, 128.2, 127.8, 127.7, 127.6, 127.5, 127.5, 127.2, 122.9, 71.8, 17.6. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1632.

2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1-(m-tolyl)-1H-imidazole 4ac (79%).

Yellow oil, 73.3 mg. **IR (cm^{-1})**: 3063, 3016, 1513, 1506, 1306, 1052, 687 cm^{-1}. **^{1}H NMR** (**400 MHz, $CDCl_3$**) δ 7.68-7.66 (m, 2H), 7.59 (s, 1H), 7.54-7.51 (m, 3H), 7.40-7.36 (m, 2H), 7.32-7.27 (m, 4H), 7.25-7.14 (m, 6H), 7.07 (s, 1H), 6.95 (d, J = 7.6 Hz, 1H), 5.63 (s, 1H), 2.34 (s, 3H). **^{13}C {1H} NMR** (**100 MHz, $CDCl_3$**) δ 146.2, 139.8, 138.1, 138.0, 133.7, 133.5, 132.3, 130.5, 130.5, 129.8, 129.4, 129.4, 129.3, 129.2, 128.7, 128.7, 128.7 128.6, 128.6, 128.6, 128.5, 128.5, 128.1, 128.1, 126.3, 123.1, 122.9, 71.8, 21.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1637.

2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1-(p-tolyl)-1H-imidazole 4ad (78%).

White solid, bp. 96.4-97.2 ^{o}C. 72.4 mg. **IR (cm^{-1})**: 3063, 3032, 1513, 1506, 1318, 1152, 787 cm^{-1}. **^{1}H NMR** (**400 MHz, $CDCl_3$**) δ 7.69-7.67 (m, 2H), 7.59 (s, 1H), 7.57-7.52 (m, 3H), 7.41-7.37 (m, 2H), 7.34-7.26 (m, 5H), 7.25-7.18 (m, 5H), 7.09 (d, J = 8.4 Hz, 2H), 5.64 (s, 1H), 2.39 (s, 3H). **^{13}C {1H} NMR** (**100 MHz, $CDCl_3$**) δ 146.2, 138.5, 138.1, 135.6, 133.6, 133.5, 132.3, 130.5, 130.5, 130.1, 130.1, 129.8, 129.4, 129.4,128.7, 128.7, 128.7, 128.6, 128.5, 128.5, 128.5, 128.5, 128.2, 128.2, 125.7, 125.7, 123.0, 71.8, 21.2. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1631.

1-(2-methoxyphenyl)-2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4ae (66%).

Yellow oil, 63.4 mg. **IR (cm^{-1})**: 3035, 3016, 1527, 1507, 1341, 1286, 1144, 1081, 694 cm^{-1}. **^{1}H NMR** (**400 MHz, $CDCl_3$**) δ 7.74-7.69 (m, 2H), 7.60-7.53 (m, 4H), 7.43-7.38

(m, 3H), 7.34-7.30 (m, 3H), 7.24-7.14 (m, 6H), 7.01-6.95 (m, 2H), 5.67 (s, 1H), 3.59 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 149.2, 142.2, 133.4, 128.6, 128.6, 127.2, 125.9, 125.9, 125.6, 124.7, 124.7, 124.0, 124.0, 123.7, 123.7, 123.7, 123.7, 123.4, 123.4, 123.3, 123.3, 123.1, 123.1, 122.2, 118.6, 116.2, 107.7, 66.9, 50.8. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_3S$ $[M + H]^+$: 481.1580, found: 481.1584.

1-(3-chlorophenyl)-2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4af (75%).

White solid, bp. 163.8-165.1 °C. 72.6 mg. **IR (cm^{-1})**: 3055, 3030, 1543, 1515, 1373, 1152, 782, 703 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.68-7.65 (m, 2H), 7.61 (s, 1H), 7.57-7.49 (m, 3H), 7.42- 7.26 (m, 11H), 7.25-7.21 (m, 2H), 7.08-7.05 (m, 1H), 5.61 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.3, 139.1, 137.9, 135.2, 134.2, 133.5, 132.2, 130.6, 130.5, 130.5, 129.3, 129.3, 129.3, 129.0, 128.8, 128.7, 128.7, 128.7, 128.6, 128.6, 128.5, 128.5, 128.4, 128.4, 126.0, 124.3, 122.5, 71.7. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}ClN_2O_2S$ $[M + H]^+$: 485.1085, found: 485.1090.

1-(3-bromophenyl)-2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4ag (72%).

White solid, bp. 190.3-190.8 °C. 76.0 mg. **IR (cm^{-1})**: 3057, 3031, 1543, 1514, 1303, 1152, 789, 585 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.69-7.65 (m, 2H), 7.60 (s, 1H), 7.57-7.37 (m, 8H), 7.36-7.27 (m, 5H), 7.26-7.21 (m, 3H), 7.11-7.09 (m, 1H), 5.61 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.3, 139.2, 137.9, 134.2, 133.5, 133.5, 132.2, 131.6, 130.8, 130.5, 130.5, 129.5, 129.5, 129.3, 128.9, 128.8, 128.8, 128.8,

128.6, 128.6, 128.5, 128.5, 128.3, 128.3, 124.8, 122.9, 122.5, 71.7. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}BrN_2O_2S$ $[M + H]^+$: 529.0580, found: 529.0576.

1-(4-fluorophenyl)-2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4ah (74%).

Yellow solid, bp. 178.6-179.7 °C. 69.3 mg. **IR (cm^{-1})**: 3059, 3032, 1543, 1515, 1373, 1252, 1152, 782 cm^{-1}. **^{1}H NMR** (**400 MHz, $CDCl_3$**) δ 7.70-7.65 (m, 2H), 7.61-7.60 (m, 1H), 7.57-7.50 (m, 3H), 7.42-7.27 (m, 8H), 7.23-7.19(m, 4H), 7.13-7.08 (m, 2H), 5.64 (s, 1H). **^{13}C {1H} NMR** (**100 MHz, $CDCl_3$**) δ 162.17 (d, J = 248.8 Hz), 146.4, 137.9, 134.12 (d, J = 3.2 Hz), 133.8, 133.5, 133.5, 132.2, 130.5, 130.5, 129.3, 129.3, 128.8, 128.8, 128.7, 128.7, 128.6, 128.6, 128.5, 128.5, 128.3, 128.3, 127.8, 127.72 (d, J = 8.7 Hz), 122.8, 116.7, 116.5, 71.7. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}FN_2O_2S$ $[M + H]^+$: 469.1381, found: 469.1384.

1-(4-chlorophenyl)-2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4ai (75%).

Yellow solid, bp. 109-110 °C. 72.6 mg. **IR (cm^{-1})**: 3056, 3033, 1541, 1517, 1370, 1157, 768, 702 cm^{-1}. **^{1}H NMR** (**400 MHz, $CDCl_3$**) δ 7.68-7.64 (m, 2H), 7.60 (s, 1H), 7.56-7.50 (m, 3H), 7.41-7.35 (m, 4H), 7.30-7.27 (m, 4H), 7.26-7.20 (m, 4H), 7.16-7.14 (m, 2H), 5.61 (s, 1H). **^{13}C {1H} NMR** (**100 MHz, $CDCl_3$**) δ 146.3, 137.8, 136.5, 134.4, 133.5, 133.5, 132.2, 130.4, 130.4, 129.8, 129.8, 129.3, 129.3, 129.0, 129.0, 128.8, 128.8, 128.6, 128.6, 128.5, 128.5, 128.4, 128.4, 127.1, 127.1, 122.5,

122.5, 71.6. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}ClN_2O_2S$ $[M + H]^+$: 485.1085, found: 485.1089.

1-(4-bromophenyl)-2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4aj (72%).

White solid, bp. 189.4-190.2 °C. 76.0 mg. **IR (cm^{-1})**: 3056, 3031, 1543, 1514, 1303, 1152, 789, 588 cm^{-1}. **^{1}H NMR** (**400 MHz, $CDCl_3$**) δ 7.67-7.66 (m, 2H), 7.60 (s, 1H), 7.57-7.48 (m, 5H), 7.41- 7.38 (m, 2H), 7.31-7.27 (m, 5H), 7.25-7.24 (m, 3H), 7.12-7.10 (m, 2H), 5.60 (s, 1H). **^{13}C {1H} NMR** (**100 MHz, $CDCl_3$**) δ 146.3, 137.9, 137.1, 134.1, 133.5, 132.8, 132.8, 132.2, 130.4, 130.4, 129.4, 129.3, 129.3, 128.9, 128.8, 128.8, 128.8, 128.6, 128.6, 128.5, 128.5, 128.4, 128.4, 127.4, 127.4, 122.4, 122.3, 71.7. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}BrN_2O_2S$ $[M + H]^+$: 529.0580, found: 529.0576.

1-(4-methoxyphenyl)-2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4ak (79%).

White solid, bp. 96.1-96.8 °C. 75.9 mg. **IR (cm^{-1})**: 3033, 3016, 1547, 1517, 1348, 1216, 1144, 1085, 683 cm^{-1}. **^{1}H NMR** (**400 MHz, $CDCl_3$**) δ 7.70-7.65 (m, 2H), 7.55-7.51 (m, 4H), 7.40-7.36 (m, 2H), 7.30-7.26 (m, 4H), 7.25-7.24 (s, 1H), 7.23-7.17 (m, 3H), 7.14-7.11 (m, 2H), 6.90-6.88 (m, 2H), 5.62 (s, 1H), 3.81 (s, 3H). **^{13}C {1H} NMR** (**100 MHz, $CDCl_3$**) δ 159.4, 146.3, 138.0, 133.5, 133.5, 132.3, 131.1, 130.5, 130.5, 129.81, 129.3, 129.3, 128.8, 128.6, 128.6, 128.6, 128.6, 128.5, 128.5, 128.5,

128.2, 128.2, 127.1, 127.1, 123.2, 114.6, 114.6, 71.9, 55.6. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_3S$ $[M + H]^+$: 481.1580, found: 481.1584.

1-(3,4-dichlorophenyl)-2-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4al (74%).

White solid, bp. 127.6-128.0 °C. 76.7 mg. **IR (cm^{-1})**: 3039, 3024, 1550, 1507, 1341, 1176, 793 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.67-7.64(m, 2H), 7.62 (s, 1H), 7.57-7.53 (m, 1H), 7.50-7.45 (m, 3H), 7.4-7.37 (m, 3H), 7.34-7.27 (m, 8H), 7.05-7.02 (m, 1H), 5.66 (s, 1H). **^{13}C {1H} NMR (100MHz, $CDCl_3$)** δ 146.3, 137.7, 137.1, 134.1, 133.7, 133.6, 133.0, 132.1, 131.2, 131.2, 130.4, 130.4, 129.3, 129.3, 128.9, 128.8, 128.8, 128.6, 128.6, 128.5, 128.5, 128.5, 127.5, 127.5, 125.3, 125.3, 122.3, 71.3. **HRMS ESI (m/z)**: calcd for $C_{28}H_{21}Cl_2N_2O_2S$ $[M + H]^+$: 519.0695, found: 519.0695.

1-phenyl-4-(phenyl(phenylsulfonyl)methyl)-2-(o-tolyl)-1H-imidazole 4am (80%).

White solid, bp. 145.3-146.0 °C. 74.3 mg. **IR (cm^{-1})**: 3071, 3032, 1503, 1434, 1314, 1152, 780 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.74-7.70 (m, 2H), 7.68 (s, 1H), 7.63-7.58 (m, 2H), 7.54-7.51(m, 1H), 7.42-7.27 (m, 7H), 7.26-7.25 (m, 1H), 7.21-7.17 (m, 1H), 7.09-7.02 (m, 5H), 5.65 (s, 1H), 1.90 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.2, 138.2, 137.8, 137.6, 133.6, 133.5, 132.0, 130.8, 130.8, 130.6, 130.6, 130.3, 129.8, 129.3, 129.3, 129.3, 129.3, 128.8, 128.7, 128.7, 128.6, 128.6, 127.9, 125.5, 124.6, 124.6, 121.0, 71.8, 19.9. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1634.

1-phenyl-4-(phenyl(phenylsulfonyl)methyl)-2-(p-tolyl)-1H-imidazole 4an (78%). Yellow oil. 72.4 mg. **IR (cm^{-1})**: 3063, 3037, 1535, 1516, 1314, 1148, 767 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.70-7.66 (m, 2H), 7.60 (s, 1H), 7.56-7.52 (m, 3H), 7.41-7.37 (m, 5H), 7.31-7.27 (m, 3H), 7.23-7.20 (m, 2H), 7.15-7.14 (m, 2H), 7.02-7.00 (m, 2H), 5.63 (s, 1H), 2.28 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.4, 138.6, 138.2, 138.0, 133.6, 133.5, 132.3, 130.5, 130.5, 129.5, 129.5, 129.3, 129.3, 128.9, 128.9, 128.7, 128.6, 128.6, 128.5, 128.5, 128.5, 128.5, 128.4, 126.8, 125.9, 125.9, 122.6, 71.8, 21.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1634.

2-(4-methoxyphenyl)-1-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4ao (73%).

Yellow oil. 70.1 mg. **IR (cm^{-1})**: 3033, 3016, 1527, 1507, 1348, 1226, 1144, 1083, 693 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.68-7.66 (m, 2H), 7.58-7.51 (m, 4H), 7.40-7.36 (m, 5H), 7.30-7.28 (m, 3H), 7.22-7.17 (m, 4H), 6.74-6.71 (m, 2H), 5.61 (s, 1H), 3.74 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 159.9, 146.2, 138.2, 138.1, 133.4, 133.4, 132.3, 130.5, 130.5, 130.1, 130.1, 129.5, 129.5, 129.3, 129.3, 128.7, 128.7, 128.5, 128.5, 128.5, 128.5, 128.4, 125.9, 125.9, 122.4, 122.2, 113.6, 71.8, 55.2. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_3S$ $[M + H]^+$: 481.1580, found: 481.1583.

2-(4-fluorophenyl)-1-phenyl-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4ap (75%).

Yellow solid, bp. 154.8-155.0 °C.70.2 mg. **IR (cm^{-1})**: 3045, 3036, 1542, 1505, 1373, 1232, 1142, 786 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.69-7.64 (m, 2H), 7.61 (s, 1H), 7.57-7.50 (m, 3H), 7.43 -7.37 (m, 5H), 7.31- 7.27 (m, 3H), 7.24-7.16 (m, 6H), 5.60 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.37 (d, J = 235.0 Hz), 137.89 (d, J = 5.5 Hz), 134.7, 134.0, 133.5, 132.21 (d, J = 2.3 Hz), 130.5, 130.5, 129.9, 129.9, 129.8, 129.8, 129.4, 129.4, 128.8, 128.8, 128.6, 128.6, 128.6, 128.5, 128.5, 128.5, 128.2, 128.2, 125.9, 125.9, 123.2, 71.8. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}FN_2O_2S$ $[M + H]^+$: 469.1381, found: 469.1385.

2-(4-chlorophenyl)-4-(phenyl(phenylsulfonyl)methyl)-1-(o-tolyl)-1H-imidazole 4aq (72%).

Yellow solid, bp. 82.6-83.1 °C. 71.7 mg. **IR (cm^{-1})**: 3063, 3039, 1547, 1511, 1306, 1152, 797 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.74-7.67 (m, 2H), 7.58-7.56 (m, 3H), 7.47-7.28 (m, 10H), 7.24- 7.13 (m, 4H), 5.67 (s, 1H), 1.90 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 137.0, 137.0, 134.8, 134.8, 134.0, 133.8, 133.6, 133.5, 133.4, 131.5, 131.5, 130.6, 130.6, 129.7, 129.4, 128.9, 128.9, 128.6, 128.6, 128.6, 128.4, 128.2, 128.1, 127.5, 127.4, 127.4, 123.1, 71.5, 17.5. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}ClN_2O_2S$ $[M + H]^+$: 499.1242, found: 499.1246.

4-(phenyl(phenylsulfonyl)methyl)-1,2-di-m-tolyl-1H-imidazole 4ar (80%).

Yellow oil. 72.7 mg. **IR (cm^{-1})**: 3063, 3035, 1542, 1506, 1316, 1052, 685 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.71-7.68 (m, 2H), 7.60 (s, 1H), 7.56-7.52 (m, 3H),

7.42-7.38 (m, 2H), 7.31-7.27 (m, 3H), 7.26-7.22 (m, 2H), 7.21-7.19 (m, 1H), 7.08-7.02 (m, 3H), 6.97- 6.96(m, 1H), 6.93- 6.89 (m, 1H), 5.65 (s, 1H), 2.36 (s, 3H), 2.25 (s, 3H). **^{13}C {1H} NMR (100MHz, $CDCl_3$)** δ 146.3, 139.7, 138.1, 138.1, 138.0, 133.5, 133.5, 132.3, 130.5, 130.5, 129.6, 129.5, 129.4, 129.3, 129.3, 129.2, 129.2, 128.7, 128.6, 128.6, 128.5, 128.5, 127.8, 126.3, 125.6, 123.1, 122.7, 71.8, 21.3, 21.3. **HRMS ESI (m/z)**: calcd for $C_{30}H_{27}N_2O_2S$ $[M + H]^+$: 479.1788, found: 479.1791.

1-(3-chlorophenyl)-4-(phenyl(phenylsulfonyl)methyl)-2-(m-tolyl)-1H-imidazole 4as (76%).

Yellow oil. 75.7 mg. **IR (cm^{-1})**: 3063, 3035, 1542, 1507, 1318, 1152, 1085, 793 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.68-7.66 (m, 2H), 7.60 (s, 1H), 7.56-7.54 (m, 1H), 7.53-7.50 (m, 2H), 7.41 -7.36(m, 4H), 7.32-7.28 (m, 4H), 7.23 (s, 1H), 7.10-7.04 (m, 3H), 6.91-6.89 (m, 1H), 5.62 (s, 1H), 2.26 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.5, 139.1, 138.2, 138.0, 135.1, 134.1, 133.5, 132.2, 130.5, 130.4, 130.4, 129.7, 129.5, 129.3, 129.3, 129.2, 128.8, 128.6, 128.6, 128.6, 128.5, 128.5, 128.0, 125.9, 125.7, 124.3, 122.4, 71.8, 21.4. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}ClN_2O_2S$ $[M + H]^+$: 499.1242, found: 499.1244.

1-(3-chlorophenyl)-2-(4-methoxyphenyl)-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4at (74%).

Yellow oil. 76.1 mg. **IR (cm^{-1})**: 3067, 3039, 1550, 1511, 1342, 1148, 845, 687 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.67-7.65 (m, 2H), 7.57 (s, 1H), 7.54-7.49 (m, 3H), 7.41-7.36 (m, 4H), 7.33-7.31 (m, 1H), 7.30-7.28 (m, 3H), 7.20-7.18 (m, 2H),

7.08-7.06 (m, 1H), 6.77-7.74(m, 2H), 5.59 (s, 1H), 3.76 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 160.1, 146.3, 139.2, 137.9, 135.1, 133.9, 133.5, 132.2, 130.5, 130.5, 130.5, 130.1, 130.1, 129.3, 129.3, 128.8, 128.6, 128.6, 128.6, 128.5, 128.5, 128.4, 126.0, 124.3, 122.1, 121.8, 113.8, 71.7, 55.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}ClN_2O_3S$ $[M + H]^+$: 515.1191, found: 515.1189.

1-(3-bromophenyl)-4-(phenyl(phenylsulfonyl)methyl)-2-(m-tolyl)-1H-imidazole 4au (77%).

Yellow oil. 83.5 mg. **IR (cm^{-1})**: 3063, 3034, 1542, 1506, 1315, 1142, 587 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.69-7.65 (m, 2H), 7.60 (s, 1H), 7.57-7.49 (m, 4H), 7.46-7.45 (m, 1H), 7.42-7.38 (m, 2H), 7.31-7.29 (m, 3H), 7.25-7.21 (m, 2H), 7.12-7.06 (m, 3H), 6.93-6.89 (m, 1H), 5.63 (s, 1H), 2.27 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.4, 139.2, 138.2, 137.9, 134.0, 133.5, 132.2, 131.6, 130.7, 130.4, 130.4, 129.8, 129.5, 129.1, 129.3, 129.0, 128.8, 128.7, 128.6, 128.6, 128.5, 128.5, 128.1, 125.7, 124.8, 122.8, 122.4, 71.6, 21.4. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}BrN_2O_2S$ $[M + H]^+$: 543.0736, found: 543.0746.

1-(3-bromophenyl)-2-(4-methoxyphenyl)-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4av (71%).

Yellow oil. 72.2 mg. **IR (cm^{-1})**: 3063, 3036, 1548, 1508, 1312, 1138, 1082, 587 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.68-7.64 (m, 2H), 7.57 (s, 1H), 7.55-7.48 (m, 4H), 7.46-7.45 (m, 1H), 7.41-7.37 (m, 2H), 7.31-7.27 (m, 3H), 7.25-7.18 (m, 3H), 7.12-7.09 (m, 1H), 6.78-7.75(m, 2H), 5.60 (s, 1H), 3.77 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 160.1, 146.3, 139.3, 137.9, 133.8, 133.5, 132.2, 131.5, 130.7, 130.4,

130.4, 130.2, 130.2, 129.3, 129.3, 128.8, 128.8, 128.6, 128.6, 128.5, 128.5, 124.8, 122.9, 122.1, 121.7, 113.8, 113.8, 71.7, 55.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}BrN_2O_3S$ $[M + H]^+$: 559.0686, found: 559.0688.

1-(3-bromophenyl)-2-(4-chlorophenyl)-4-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 4aw (75%).

White solid, bp. 92.0-92.6 °C. 84.3 mg. **IR (cm^{-1})**: 3031, 3015, 1530, 1511, 1349, 1050, 730, 568 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.66-7.63 (m, 2H), 7.57-7.52 (m, 1H), 7.57-7.53 (m, 2H), 7.50-7.46 (m, 3H), 7.41-7.37 (m, 2H), 7.33-7.27 (m, 4H), 7.25-7.22 (m, 4H), 7.12-7.09 (m, 1H), 5.58 (s, 1H). **^{13}C {1H} NMR (100MHz, $CDCl_3$)** δ 145.2, 139.0, 137.8, 135.1, 134.3, 133.6, 132.1, 131.9, 130.9, 130.4, 130.4, 129.9, 129.9, 129.3, 129.3, 128.9, 128.8, 128.7, 128.7, 128.6, 128.6, 128.5, 128.5, 127.8, 124.8, 123.1, 122.9, 71.6. **HRMS ESI (m/z)**: calcd for $C_{28}H_{21}ClBrN_2O_2S$ $[M + H]^+$: 563.0190, found: 563.0191.

1-(4-fluorophenyl)-4-(phenyl(phenylsulfonyl)methyl)-2-(o-tolyl)-1H-imidazole 4ax (79%).

Yellow solid, bp. 80.7-81.2 °C. 76.2 mg. **IR (cm^{-1})**: 3045, 3031, 1536, 1507, 1336, 1248, 1144, 703 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.69-7.65 (m, 2H), 7.60 (s, 1H), 7.56-7.50 (m, 3H), 7.41-7.37 (m, 2H), 7.31-7.28 (m, 3H), 7.22-7.18 (m, 3H), 7.11-7.06 (m, 4H), 6.91-6.87 (m, 1H), 5.65 (s, 1H), 2.25 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 162.13 (d, J = 249.1 Hz), 146.5, 138.06 (d, J = 21.1 Hz), 134.16 (d, J = 3.2 Hz), 133.7, 133.5, 132.2, 130.4, 130.4, 129.6, 129.5, 129.3, 129.3, 129.2, 128.9,

128.8, 128.8, 128.7, 128.6, 128.6, 128.5, 128.0, 127.71 (d, J = 8.7 Hz), 125.7, 122.7, 116.6, 116.4, 71.7, 21.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}FN_2O_2S$ $[M + H]^+$: 483.1537, found: 483.1543.

1-(4-fluorophenyl)-4-(phenyl(phenylsulfonyl)methyl)-2-(p-tolyl)-1H-imidazole 4ay (80%).

Yellow oil. 77.2 mg. **IR (cm^{-1})**: 3041, 3028, 1524, 1503, 1324, 1238, 1128, 753 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.68-7.65 (m, 2H), 7.58-7.50 (m, 4H), 7.41-7.36 (m, 2H), 7.31-7.27 (m, 3H), 7.22-7.18 (m, 2H), 7.15-7.07 (m, 4H), 7.04-7.02 (m, 2H), 5.63 (s, 1H), 2.29 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 162.11 (d, J = 249.1 Hz), 146.6, 138.38 (d, J = 88.0 Hz), 137.9, 134.24 (d, J = 3.2 Hz), 133.7, 133.5, 133.5, 132.3, 132.3, 130.5, 130.5, 129.3, 129.0, 128.8, 128.6, 128.6, 128.6, 128.6, 128.5, 128.5, 127.8, 127.7, 126.5, 122.6, 116.6, 116.4, 71.7, 21.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}FN_2O_2S$ $[M + H]^+$: 483.1537, found: 483.1542.

1-(4-bromophenyl)-4-(phenyl(phenylsulfonyl)methyl)-2-(o-tolyl)-1H-imidazole 4az (56%).

Yellow oil. 60.7 mg. **IR (cm^{-1})**: 3063, 3034, 1542, 1506, 1315, 1142, 587 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.69-7.67 (m, 2H), 7.58-7.53 (m, 4H), 7.40-7.38 (m, 2H), 7.30-7.28 (m, 3H), 7.24-7.12 (m, 6H), 7.10-7.08 (m, 2H), 5.65 (s, 1H), 2.38 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.2, 138.6, 138.0, 135.5, 133.5, 133.5, 132.3, 130.5, 130.5, 130.2, 130.2, 129.7, 129.4, 129.4, 128.8, 128.7, 128.7, 128.6, 128.6,

128.6, 128.5, 128.5, 128.2, 128.2, 125.7, 125.7, 123.0, 71.7, 21.2. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}BrN_2O_2S$ $[M + H]^+$: 543.0736, found: 543.0746.

1,2-diphenyl-4-(phenyl(o-tolylsulfonyl)methyl)-1H-imidazole 4ba (79%).

Yellow oil. 366.5 mg. **IR (cm^{-1})**: 3059, 3036, 1511, 1503, 1310, 1148, 640 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.70-7.68 (m, 1H), 7.65 (s, 1H), 7.53-7.51 (m, 2H), 7.44-7.38 (m, 4H), 7.30-7.28 (m, 3H), 7.25-7.15 (m, 9H), 5.72 (s, 1H), 2.64 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.2, 139.2, 138.1, 136.1, 133.7, 133.5, 132.3, 132.1, 131.6, 130.5, 130.5, 129.7, 129.6, 129.6, 128.8, 128.7, 128.7, 128.6, 128.5, 128.5, 128.5, 128.2, 128.2, 126.0, 125.9, 125.9, 122.8, 71.1, 20.7. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1636.

1,2-diphenyl-4-(phenyl(tosyl)methyl)-1H-imidazole 4ca (66%).

Yellow oil. 61.3 mg. **IR (cm^{-1})**: 3056, 3034, 1515, 1501, 1311, 1132, 616 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.62 (s, 1H), 7.57-7.52 (m, 4H), 7.42-7.38 (m, 3H), 7.32-7.27 (m, 4H), 7.26-7.25 (m, 1H), 7.24-7.17 (m, 7H), 5.62 (s, 1H), 2.38 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.2, 144.4, 138.1, 135.1, 133.9, 132.4, 130.5, 130.5, 129.6, 129.6, 129.6, 129.4, 129.4, 129.2, 129.2, 128.7, 128.7, 128.7, 128.7, 128.5, 128.5, 128.5, 128.2, 128.2, 125.9, 125.9, 122.7, 71.8, 21.7. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1633.

4-(((3-fluorophenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 4da (80%).

Yellow oil. 74.9 mg. **IR (cm^{-1})**: 3035, 3015, 1554, 1507, 1310, 1144, 698 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.59-7.55 (m, 3H), 7.51-7.49(m, 1H), 7.43-7.35 (m, 6H), 7.32-7.30 (m, 3H), 7.25-7.23 (m, 3H), 7.22-7.18 (m, 4H), 5.63 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 162.06 (d, J = 251.5 Hz), 146.5, 140.1, 140.1, 138.0, 133.5, 131.8, 130.5, 130.5, 130.33 (d, J = 7.5 Hz), 129.6, 129.6, 129.0, 128.7, 128.7, 128.7, 128.6, 128.6, 128.6, 128.2, 128.2, 125.9, 125.9, 125.21 (d, J = 3.3 Hz), 123.1, 120.73 (d, J = 21.2 Hz), 116.83 (d, J = 24.4 Hz), 71.9. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}FN_2O_2S$ $[M + H]^+$: 469.1381, found: 469.1385.

1,2-diphenyl-4-(phenyl((3-(trifluoromethyl)phenyl)sulfonyl)methyl)-1H-imidazole 4ea (78%).

Yellow oil. 80.8 mg. **IR (cm^{-1})**: 3063, 3028, 1550, 1503, 1334, 1133, 773 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.96-7.94 (m, 1H), 7.88 (s, 1H), 7.82-7.76 (m, 1H), 7.62-7.56 (m, 4H), 7.44-7.31 (m, 7H), 7.25-7.18 (m, 6H), 5.64 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 163.4, 160.9, 148.0, 139.8, 135.6, 130.7, 130.6, 130.6, 130.5, 130.3, 130.3, 129.8, 129.8, 129.8, 129.4, 128.9, 128.9, 128.6, 128.5, 128.3, 128.3, 128.2, 128.2, 128.0, 125.6, 125.29 (q, J = 16.1 Hz), 121.2, 116.6, 67.4. **HRMS ESI (m/z)**: calcd for $C_{29}H_{22}F_3N_2O_2S$ $[M + H]^+$: 519.1349, found: 519.1350.

4-(((4-methoxyphenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 4fa (50%).

Yellow oil. 48.0 mg. **IR (cm^{-1})**: 3067, 3031, 1547, 1503, 1302, 1140, 1030, 762 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.62 (s, 1H), 7.58-7.26 (m, 2H), 7.54-7.51 (m, 2H),

7.41-7.39(m, 4H), 7.31- 7.28 (m, 4H), 7.24-7.21(m, 5H), 6.86-6.84 (m, 2H), 5.59 (s, 1H), 3.83 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 163.6, 146.2, 138.1, 134.1, 132.6, 131.5, 131.5, 130.5, 130.5, 129.7, 129.6, 129.6, 129.5, 128.7, 128.7, 128.7, 128.6, 128.5, 128.5, 128.5, 128.2, 128.2, 125.9, 125.9, 122.7, 113.7, 113.7, 71.9, 55.6. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_3S$ $[M + H]^+$: 481.1580, found: 481.1582.

4-(((4-bromophenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 4ga (81%).

Yellow oil. 85.5 mg. **IR (cm^{-1})**: 3063, 3030, 1545, 1501, 1321, 1134, 562 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.59-7.56 (m, 3H), 7.52 (s, 3H), 7.38-7.36 (m, 4H), 7.33-7.29 (m, 3H), 7.25-7.18 (m, 7H), 5.63 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 147.2, 146.4, 138.0, 137.1, 133.6, 132.0, 131.8, 131.8, 131.0, 131.0, 130.6, 130.6, 129.6, 129.6, 129.0, 128.9, 128.7, 128.7, 128.7, 128.7, 128.7, 128.6, 128.3, 128.3, 125.9, 125.9, 123.0, 71.9. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}BrN_2O_2S$ $[M + H]^+$: 529.0580, found: 529.0582.

1,2-diphenyl-4-(phenyl((4-(trifluoromethyl)phenyl)sulfonyl)methyl)-1H-imidazole 4ha (80%).

Yellow oil. 82.9 mg. **IR (cm^{-1})**: 3063, 3032, 1534, 1505, 1334, 1123, 783 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.85-7.83 (m, 2H), 7.70-7.67(m, 2H), 7.61-7.56(m, 3H), 7.46-7.30 (m, 7H), 7.24-7.17 (m, 6H), 5.68 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.5, 141.8, 137.9, 135.14 (d, J = 8.9 Hz), 135.02 (d, J = 43.0 Hz), 133.4, 131.6, 130.6, 130.6, 130.1, 130.1, 129.6, 129.6, 129.30 (d, J = 4.5 Hz), 128.9, 128.8,

128.7, 128.7, 128.6, 128.6, 128.6, 128.3, 128.3, 125.9, 125.58 (q, J = 243.6 Hz), 124.6, 123.2, 121.9, 71.8. **HRMS ESI (m/z)**: calcd for $C_{29}H_{22}F_3N_2O_2S$ $[M + H]^+$: 519.1349, found: 519.1352.

4-(((4-chloro-3-(trifluoromethyl)phenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1 H-imidazole 4ia (72%).

Yellow oil. 79.5 mg. **IR (cm^{-1})**: 3063, 3035, 1538, 1507, 1310, 1148, 702 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.89-7.88 (m, 1H), 7.84-7.81 (m, 1H), 7.62- 7.57 (m, 3H), 7.55 (s, 1H), 7.44-7.34 (m, 7H), 7.24-7.20 (m, 6H), 5.63 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.2, 138.6, 136.7, 135.4, 133.6, 132.1, 130.6, 130.2, 130.2, 130.00 (d, J = 42.8 Hz), 129.84 (d, J = 4.5 Hz), 129.59 (d, J = 7.8 Hz), 129.4, 129.1, 129.0, 129.0, 128.7, 128.46 (q, J = 240.6 Hz), 128.2, 128.2, 128.2, 128.2, 128.2, 128.2, 127.9, 125.0, 123.0, 120.3, 67.6. **HRMS ESI (m/z)**: calcd for $C_{29}H_{21}F_3ClN_2O_2S$ $[M + H]^+$: 553.0959, found: 553.0958.

4-((cyclopropylsulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 4ja (67%).

Yellow oil. 55.5 mg. **IR (cm^{-1})**: 3063, 3032, 1511, 1480, 1306, 1148, 840, 695 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.77-7.75 (m, 2H), 7.52 (s, 1H), 7.43-7.35 (m, 9H), 7.29-7.27(m, 1H), 7.25-7.22 (m, 3H), 5.61 (s, 1H), 2.59-2.52 (m, 1H), 1.24-1.16 (m, 2H), 1.00-0.93 (m, 2H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.4, 138.0, 134.5, 132.5, 130.3, 130.3, 129.6, 129.6, 129.6, 128.9, 128.8, 128.8, 128.8, 128.7, 128.7, 128.5, 128.3, 128.3, 125.9, 125.9, 122.7, 69.3, 28.7, 5.5, 5.2. **HRMS ESI (m/z)**: calcd for $C_{25}H_{23}N_2O_2S$ $[M + H]^+$: 415.1475, found: 415.1480.

1,2-diphenyl-4-(phenyl(thiophen-2-ylsulfonyl)methyl)-1H-imidazole 4ka (73%).

Yellow oil. 66.6 mg. **IR (cm^{-1})**: 3043, 3020, 1534, 1499, 1325, 1144, 766 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.66 (s, 1H), 7.63-7.58 (m, 3H), 7.49-7.47(m, 1H), 7.44-7.40 (m, 3H), 7.37-7.34(m, 3H), 7.32-7.27 (m, 3H), 7.25-7.20 (m, 4H), 7.05-7.02 (m, 1H), 5.75 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.3, 138.8, 138.0, 135.5, 134.6, 133.6, 132.1, 130.4, 130.4, 129.9, 129.6, 129.6, 129.0, 128.8, 128.8, 128.8, 128.6, 128.6, 128.6, 128.2, 128.2, 127.4, 125.9, 125.9, 122.9, 72.8. **HRMS ESI (m/z)**: calcd for $C_{26}H_{21}N_2O_2S_2$ $[M + H]^+$: 457.1040, found: 457.1040.

4-(((4-ethylphenyl)sulfonyl)(phenyl)methyl)-2-phenyl-1-(p-tolyl)-1H-imidazole 4la (68%).

Yellow oil. 66.9 mg. **IR (cm^{-1})**: 3043, 3023, 1522, 1511, 1306, 1140, 829 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.60-7.52 (m, 5H), 7.37-7.28 (m, 4H), 7.27-7.19 (m, 8H), 7.11-7.09(m, 2H), 5.61 (s, 1H), 2.68 (q, J = 7.6 Hz, 2H), 2.40 (s, 3H), 1.22 (t, J = 7.6 Hz, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 150.5, 146.1, 138.5, 135.5, 135.2, 133.7, 132.4, 130.5, 130.5, 130.1, 130.1, 129.7, 129.5, 129.5, 128.7, 128.7, 128.7, 128.6, 128.5, 128.5, 128.1, 128.1, 128.0, 128.0, 125.7, 125.7, 122.9, 71.8, 28.9, 21.2, 15.2. **HRMS ESI (m/z)**: calcd for $C_{31}H_{29}N_2O_2S$ $[M + H]^+$: 493.1964, found: 493.1964.

1-(4-chlorophenyl)-2-phenyl-4-((phenylsulfonyl)(p-tolyl)methyl)-1H-imidazole 4ma (78%).

Yellow solid, bp. 108.5-109.1 °C. 77.7 mg. **IR (cm^{-1})**: 3036, 3021, 1524, 1507, 1305, 1145, 759 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.69-7.66 (m, 2H), 7.58-7.52 (m, 2H), 7.42-7.37 (m, 5H), 7.36-7.35 (m, 1H), 7.26-7.21 (m, 5H), 7.16-7.09 (m, 4H), 5.58 (s, 1H), 2.31 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.3, 138.8, 138.0, 136.6, 134.3, 134.3, 133.5, 130.3, 130.3, 129.8, 129.8, 129.3, 129.3, 129.2, 129.2, 128.8, 128.8, 128.8, 128.6, 128.6, 128.3, 128.3, 128.2, 127.1, 127.1, 125.9, 122.5, 71.5, 21.2. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}ClN_2O_2S$ $[M + H]^+$: 499.1242, found: 499.1245.

1-(4-chlorophenyl)-4-((3,4-dimethylphenyl)(phenylsulfonyl)methyl)-2-phenyl-1H-imidazole 4na (60%).

Yellow oil. 61.5 mg. **IR (cm^{-1})**: 3039, 3024, 1534, 1511, 1306, 1149, 770 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.70-7.68 (m, 2H), 7.58-7.53 (m, 2H), 7.43-7.36 (m, 5H), 7.25-7.22 (m, 6H), 7.16-7.13 (m, 2H), 7.07-7.05 (m, 1H), 5.54 (s, 1H), 2.22 (s, 3H), 2.20 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.2, 138.2, 137.4, 136.8, 136.6, 134.5, 134.3, 133.4, 131.5, 129.8, 129.8, 129.8, 129.4, 129.3, 129.3, 128.8, 128.7, 128.7, 128.5, 128.5, 128.3, 128.3, 128.1, 127.7, 127.1, 127.1, 122.4, 71.5, 19.8, 19.6. **HRMS ESI (m/z)**: calcd for $C_{30}H_{26}ClN_2O_2S$ $[M + H]^+$: 513.1398, found: 513.1400.

1,2-diphenyl-5-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 5aa (92%).

Yellow oil. 82.8 mg. **IR (cm^{-1})**: 3067, 2987, 1534, 1499, 1325, 1140, 770 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.89 (s, 1H), 7.56-7.53 (m, 3H), 7.45-7.42 (m, 1H), 7.39-7.31 (m, 9H), 7.25-7.22 (m, 2H), 7.18-7.11 (m, 3H), 6.83-6.81 (m, 1H), 6.53-6.51 (d, J = 7.6 Hz, 1H), 4.94 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ

147.8, 137.6, 135.7, 133.8, 130.7, 130.5, 130.3, 130.3, 129.9, 129.8, 129.7, 129.7, 129.5, 129.5, 129.2, 128.8, 128.8, 128.8, 128.8, 128.6, 128.6, 128.2, 128.2, 128.2, 128.2, 128.1, 126.1, 67.3. **HRMS ESI (m/z)**: calcd for $C_{28}H_{23}N_2O_2S$ $[M + H]^+$: 451.1475, found: 451.1480.

1-phenyl-5-(phenyl(phenylsulfonyl)methyl)-2-(p-tolyl)-1H-imidazole 5ab (82%).

Yellow oil. 76.1 mg. **IR (cm^{-1})**: 3067, 3059, 1503, 1440, 1322, 1152, 829 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.85 (s, 1H), 7.57-7.52 (m, 3H), 7.46-7.42 (m, 1H), 7.40-7.31 (m, 9H), 7.13-7.11(m, 2H), 6.96-6.94(d, J = 8.0 Hz, 2H), 6.84-6.81 (m, 1H), 6.53-6.51 (m, 1H), 4.94 (s, 1H), 2.23 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.0, 138.5, 137.7, 135.8, 133.8, 130.8, 130.4, 130.3, 130.3, 129.9, 129.7, 129.6, 129.4, 129.4, 129.2, 128.9, 128.9, 128.8, 128.8, 128.8, 128.8, 128.6, 128.1, 128.1, 128.1, 127.0, 125.8, 67.3, 21.2. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1636.

2-(4-chlorophenyl)-1-phenyl-5-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 5ac (90%).

Yellow oil. 87.1 mg. **IR (cm^{-1})**: 3067, 3049, 1533, 1446, 1342, 1152, 789 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.87 (s, 1H), 7.55-7.52 (m, 3H), 7.47-7.44 (m, 1H), 7.38-7.34 (m, 5H), 7.30-7.28 (m, 4H), 7.18-7.15 (m, 2H), 7.12-7.09 (m, 2H), 6.82-6.79 (m, 1H), 6.58-6.54 (m, 1H), 4.92 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.7, 137.6, 135.4, 134.6, 133.9, 130.7, 130.5, 130.2, 130.2, 130.1, 129.9, 129.9, 129.4, 129.4, 129.4, 129.4, 129.2, 128.9, 128.9, 128.8, 128.8, 128.5, 128.5,

128.5, 128.4, 128.0, 126.4, 67.3. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}ClN_2O_2S$ [M + H]$^+$: 485.1085, found: 485.1081.

2-phenyl-5-(phenyl(phenylsulfonyl)methyl)-1-(p-tolyl)-1H-imidazole 5ad (90%). Yellow oil. 83.5 mg. **IR (cm^{-1})**: 3067, 3039, 1533, 1511, 1322, 1151, 769 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.87 (s, 1H), 7.57-7.51 (m, 3H), 7.39-7.32 (m, 7H), 7.26-7.23 (m, 2H), 7.16-7.08 (m, 5H), 6.71-6.68 (m, 1H), 6.35-6.33 (m, 1H), 4.95 (s, 1H), 2.39 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 147.8, 139.8, 137.7, 133.8, 132.9, 130.8, 130.5, 130.4, 130.3, 130.3, 130.3, 130.0, 129.4, 129.4, 129.2, 128.8, 128.8, 128.8, 128.8, 128.5, 128.2, 128.2, 128.2, 128.1, 128.1, 127.8, 126.2, 67.3, 21.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ [M + H]$^+$: 465.1631, found: 465.1636.

1-(4-methoxyphenyl)-2-phenyl-5-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 5ae (88%).

White solid, bp. 89.1-91.3 ^{o}C. 84.5 mg. **IR (cm^{-1})**: 3067, 3043, 1574, 1487, 1357, 1156, 1085, 845 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.86 (s, 1H), 7.57-7.52 (m, 3H), 7.39-7.26 (m, 9H), 7.20- 7.13 (m, 3H), 6.86-6.80 (m, 2H), 6.73-6.71 (m, 1H), 6.46-6.43(m, 1H), 4.96 (s, 1H), 3.83 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 160.2, 147.9, 137.7, 133.9, 130.9, 130.3, 130.3, 130.3, 130.0, 129.6, 129.4, 129.4, 129.2, 129.2, 128.9, 128.9, 128.8, 128.8, 128.5, 128.2, 128.2, 128.2, 128.2, 128.0, 126.4, 115.1, 114.7, 67.3, 55.6. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_3S$ [M + H]$^+$: 481.1580, found: 481.1584.

1-(4-fluorophenyl)-2-phenyl-5-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 5af (73%).

White oil. 68.3 mg. **IR (cm^{-1})**: 3067, 3036, 1554, 1447, 1327, 1148, 1045, 746 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.85 (s, 1H), 7.59-7.53 (m, 3H), 7.41-7.31 (m, 2H), 7.35-7.29 (m, 5H), 7.26-7.15 (m, 5H), 7.08-7.04 (m, 2H), 6.85-6.79 (m, 1H), 6.67-6.64 (m, 1H), 4.91 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 162.77 (d, J = 250.8 Hz), 152.5, 148.1, 137.5, 133.9, 131.69 (d, J = 2.1 Hz), 130.8, 130.55 (d, J = 7.1 Hz), 130.2, 130.2, 130.0, 129.7, 129.5, 129.5, 129.3, 128.9, 128.9, 128.9, 128.7, 128.3, 128.3, 128.3, 128.3, 126.1, 117.1, 116.9, 116.7, 67.5. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}FN_2O_2S$ $[M + H]^+$: 469.1380, found: 469.1396.

2-phenyl-5-(phenyl(phenylsulfonyl)methyl)-1-(p-tolyl)-1H-imidazole 5ag (85%).

Yellow solid, bp. 114.7-115.0 °C. 82.3 mg. **IR (cm^{-1})**: 3071, 3035, 1545, 1502, 1337, 1015, 766 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.86 (s, 1H), 7.56-7.52 (m, 3H), 7.39-7.29 (m, 9H), 7.24- 7.16 (m, 5H), 6.76-7.74 (m, 1H), 6.59-6.58 (m, 1H), 4.90 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.0, 137.5, 135.8, 134.2, 134.0, 130.7, 130.2, 130.2, 130.2, 123.0, 129.9, 129.7, 129.4, 129.4, 129.3, 128.9, 128.9, 128.9, 128.9, 128.9, 128.8, 128.8, 128.3, 128.3, 128.3, 128.3, 126.0, 67.4. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}ClN_2O_2S$ $[M + H]^+$: 485.1085, found: 485.1087.

1-(4-bromophenyl)-2-phenyl-5-(phenyl(phenylsulfonyl)methyl)-1H-imidazole 5ah (84%).

White solid, bp. 148.1-149.5 °C. 88.7 mg. **IR (cm^{-1})**: 3067, 3025, 1525, 1501, 1327, 1055, 566 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.80 (s, 1H), 7.53-7.41 (m, 6H), 7.35-7.29 (m, 4H), 7.22- 7.11 (m, 7H), 6.65-6.64 (m, 1H), 6.48-6.46 (m, 1H), 4.85 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.0, 137.4, 134.7, 134.0, 133.2, 133.0, 130.7, 130.7, 130.2, 130.2, 129.7, 129.6, 129.4, 129.4, 129.3, 128.9, 128.9, 128.9, 128.9, 128.8, 128.3, 128.3, 128.3, 128.3, 128.3, 125.9, 123.8, 67.4. **HRMS ESI** (m/z): calcd for $C_{28}H_{22}BrN_2O_2S$ $[M + H]^+$: 529.0580, found: 529.0584.

1-(4-fluorophenyl)-5-(phenyl(phenylsulfonyl)methyl)-2-(m-tolyl)-1H-imidazole 5ai (80%).

White solid, bp. 205.8-207.6 °C. 77.1 mg. **IR (cm^{-1})**: 3071, 3041, 1516, 1503, 1321, 1134, 1068, 836 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.84 (s, 1H), 7.58-7.54 (m, 3H), 7.40-7.36 (m, 2H), 7.34 -7.29 (m, 5H), 7.25 (s, 1H), 7.07-6.99 (m, 4H), 6.85-6.78 (m, 2H), 6.66-6.61 (m, 1H), 4.91 (s, 1H), 2.22 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 162.73 (d, J = 251.3 Hz), 148.2, 138.1 (d, J = 3.2 Hz), 137.5, 133.9, 131.74 (d, J = 3.3 Hz), 130.7, 130.54 (d, J = 8.8 Hz), 130.4, 130.2, 130.2, 129.98 (d, J = 8.7 Hz), 129.5, 129.4, 129.4, 129.3, 129.3, 128.9, 128.9, 128.8, 128.8, 128.0, 126.0, 125.1, 117.1, 116.9, 116.6, 67.4, 21.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}FN_2O_2S$ $[M + H]^+$: 483.1537, found: 483.1538.

1-(4-fluorophenyl)-5-(phenyl(phenylsulfonyl)methyl)-2-(p-tolyl)-1H-imidazole 5aj (87%).

Yellow oil. 83.9 mg. **IR (cm^{-1})**: 3075, 3040, 1515, 1448, 1321, 1148, 1032, 817 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.83 (s, 1H), 7.56-7.52 (m, 3H), 7.40-7.29 (m, 7H), 7.13-7.11 (m, 2H), 7.07 -7.02 (m, 2H), 6.99-7.97 (m, 2H), 6.82-6.77 (m, 1H), 6.65-6.59 (m, 1H), 4.90 (s, 1H), 2.24 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 162.71 (d, J = 251.2 Hz), 148.2, 138.7, 137.5, 133.9, 131.78 (d, J = 3.3 Hz), 130.8, 130.54 (d, J = 8.8 Hz), 130.2, 130.2, 129.98 (d, J = 8.7 Hz), 129.4, 129.4, 129.2, 129.0, 129.0, 128.9, 128.9, 128.8, 128.8, 128.2, 128.2, 126.9, 125.8, 117.1, 116.9, 116.7, 67.4, 21.2. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}FN_2O_2S$ $[M + H]^+$: 483.1537, found: 483.1542.

1-(4-bromophenyl)-5-(phenyl(phenylsulfonyl)methyl)-2-(o-tolyl)-1H-imidazole 5ak (71%).

White solid, bp. 76.5-76.8 ^{o}C. 77.0 mg. **IR (cm^{-1})**: 3067, 3036, 1516, 1456, 1341, 1068, 536 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.86 (s, 1H), 7.58-7.52 (m, 3H), 7.40-7.32 (m, 7H), 7.24 (s, 1H), 7.17-7.11 (m, 5H), 6.71-7.69 (m, 1H), 6.37-7.35 (m, 1H), 4.95 (s, 1H), 2.40 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 147.8, 139.9, 137.7, 133.8, 132.9, 130.8, 130.5, 130.3, 130.3, 130.3, 123.0, 129.4, 129.4, 129.2, 128.8, 128.8, 128.8, 128.8, 128.5, 128.2, 128.2, 128.2, 128.2, 128.2, 128.2, 127.8, 126.2, 67.3, 21.3. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}BrN_2O_2S$ $[M + H]^+$: 543.0736, found: 543.0746.

1-(4-bromophenyl)-5-(phenyl(phenylsulfonyl)methyl)-2-(p-tolyl)-1H-imidazole 5al (72%).

Yellow oil. 75.5 mg. **IR (cm^{-1})**: 3057, 3026, 1546, 1426, 1321, 1068, 586 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.86 (s, 1H), 7.57-7.54 (m, 3H), 7.45-7.43 (m, 1H), 7.40-7.32 (m, 8H), 7.14-7.11 (m, 2H), 7.01-6.95 (m, 2H), 6.84-6.82(m, 1H), 6.54-6.52 (m, 1H), 4.94 (s, 1H), 2.24 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 147.9, 138.7, 137.7, 135.7, 133.8, 130.7, 130.3, 130.3, 130.1, 129.9, 129.7, 129.7, 129.4, 129.4, 129.2, 128.9, 128.9, 128.8, 128.8, 128.8, 128.8, 128.6, 128.1, 128.1, 128.1, 126.8, 125.9, 67.3, 21.2. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}BrN_2O_2S$ $[M + H]^+$: 543.0736, found: 543.0736.

5-(((3-fluorophenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 5am (83%).

Yellow oil. 77.7 mg. **IR (cm^{-1})**: 3067, 3031, 1597, 1499, 1325, 1144, 1021, 769 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.89 (s, 1H), 7.50-7.33 (m, 10H), 7.26-7.12 (m, 7H), 6.86-6.84 (m, 1H), 6.65-6.63 (m, 1H), 4.97 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 162.16 (d, J = 252.7 Hz), 148.0, 139.72 (d, J = 6.5 Hz), 135.6, 130.7, 130.6, 130.5, 130.3, 130.3, 130.0, 129.79 (d, J = 2.0 Hz), 129.4, 128.9, 128.9, 128.6, 128.5, 128.3, 128.3, 128.2, 128.2, 128.0, 125.6, 125.30 (d, J = 3.4 Hz), 121.2, 121.0, 116.6, 116.4, 67.4. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}FN_2O_2S$ $[M + H]^+$: 469.1381, found: 469.1382.

1,2-diphenyl-5-(phenyl((3-(trifluoromethyl)phenyl)sulfonyl)methyl)-1H-imidazole 5an (80%).

Yellow oil. 82.9 mg. **IR (cm^{-1})**: 3071, 3055, 1582, 1499, 1325, 1152, 1031, 774 cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.90 (s, 1H), 7.82-7.74 (m, 3H), 7.56-7.52 (m, 1H), 7.48-7.45 (m, 1H), 7.40 -7.32 (m, 7H), 7.24-7.14 (m, 5H), 6.87-6.65 (m, 1H), 6.48-6.46 (m, 1H), 4.97 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.1, 138.9, 135.5, 132.9, 131.73 (d, J = 33.2 Hz), 131.61 (d, J = 3.7 Hz), 130.7, 130.4 (d, J = 3.5 Hz), 130.3, 130.3, 130.06 (d, J = 2.7 Hz), 129.9, 129.8, 129.7, 129.6, 129.6, 129.0, 129.0, 128.7, 128.3, 128.3, 128.2, 128.2, 128.0, 126.29 (q, J = 253.8 Hz), 125.4, 124.3, 121.6, 67.5. **HRMS ESI (m/z)**: calcd for $C_{29}H_{22}F_3N_2O_2S$ $[M + H]^+$: 519.1349, found: 519.1354.

1,2-diphenyl-5-(phenyl(tosyl)methyl)-1H-imidazole 5ao (75%).

White solid, bp. 184.0-184.9 ^{o}C. 69.6 mg. **IR (cm^{-1})**: 3065, 3036, 1553, 1512, 1345, 1044, 711cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.82 (s, 1H), 7.46-7.43 (m, 3H), 7.37-7.30 (m, 8H), 7.24-7.23(m, 1H), 7.19-7.12 (m, 5H), 6.82-6.81 (m, 1H), 6.66-6.64 (m, 1H), 4.94 (s, 1H), 2.37 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 147.8, 144.9, 135.8, 134.6, 131.1, 130.3, 130.3, 129.9, 129.7, 129.7, 129.4, 129.4, 129.4, 129.4, 129.1, 128.7, 128.7, 128.6, 128.5, 128.5, 128.4, 128.2, 128.2, 128.2, 128.2, 126.6, 126.3, 67.4, 21.7. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_2S$ $[M + H]^+$: 465.1631, found: 465.1635.

5-(((4-methoxyphenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 5ap (70%).

Yellow oil. 67.2 mg. **IR (cm^{-1})**: 3094, 3035, 1566, 1530, 1349, 1144, 1021, 766cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.82 (s, 1H), 7.48-7.44 (m, 3H), 7.40-7.36 (m, 2H), 7.33-7.29 (m, 5H), 7.27 -7.26 (m, 1H), 7.25-7.24 (m, 1H), 7.21-7.14 (m, 3H), 6.86-6.82 (m, 3H), 6.74-6.72 (m, 1H), 4.93 (s, 1H), 3.82 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 163.9, 147.7, 135.8, 131.7, 131.7, 131.2, 130.3, 130.3, 130.1, 129.9, 129.8, 129.7, 129.7, 129.1, 128.9, 128.7, 128.7, 128.7, 128.6, 128.2, 128.2, 128.2, 128.2, 128.2, 126.5, 114.0, 114.0, 67.5, 55.7. **HRMS ESI (m/z)**: calcd for $C_{29}H_{25}N_2O_3S$ $[M + H]^+$: 481.1580, found: 481.1584.

5-(((4-chlorophenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 5aq (81%).

Yellow oil. 78.4 mg. **IR (cm^{-1})**: 3064, 3035, 1543, 1521, 1331, 1061, 776cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.86 (s, 1H), 7.49-7.46 (m, 3H), 7.42-7.32 (m, 10H), 7.28-7.27 (m, 1H), 7.22-7.14 (m, 3H), 6.85-6.84 (m, 1H), 6.75-7.73 (m, 1H), 4.96 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.1, 140.7, 136.1, 135.7, 130.8, 130.8, 130.6, 130.4, 130.3, 130.3, 130.0, 129.8, 129.8, 129.8, 129.4, 129.1, 129.1, 128.9, 128.9, 128.7, 128.5, 128.5, 128.3, 128.3, 128.2, 128.1, 125.8, 67.5. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}ClN_2O_2S$ $[M + H]^+$: 485.1085, found: 485.1090.

5-(((4-bromophenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 5ar (83%).

White solid, bp. 62.3-63.0 ^{o}C. 87.7 mg. **IR (cm^{-1})**: 3067, 3036, 1553, 1512, 1341, 1023, 576cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.85 (s, 1H), 7.52-7.50 (m, 2H),

7.46-7.44 (m, 1H), 7.41-7.37 (m, 4H), 7.36-7.30 (m, 5H), 7.27-7.26 (m, 1H), 7.25-7.24 (m, 1H), 7.20-7.13 (m, 3H), 6.84-6.83 (m, 1H), 6.74-7.72 (m, 1H), 4.95 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.1, 136.6, 135.7, 132.1, 132.1, 130.9, 130.9, 130.6, 130.4, 130.3, 130.3, 130.1, 129.8, 129.8, 129.8, 129.4, 129.3, 128.9, 128.9, 128.7, 128.5, 128.3, 128.3, 128.2, 128.2, 128.1, 125.7, 67.5. **HRMS ESI (m/z)**: calcd for $C_{28}H_{22}BrN_2O_2S$ $[M + H]^+$: 529.0580, found: 529.0583.

1,2-diphenyl-5-(phenyl((4-(trifluoromethyl)phenyl)sulfonyl)methyl)-1H-imidazole 5as (76%).

Yellow oil. 78.8 mg. **IR (cm^{-1})**: 3071, 3020, 1534, 1511, 1322, 1056, 774cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.88 (s, 1H), 7.79-7.76 (m, 1H), 7.68-7.63 (m, 4H), 7.49-7.45 (m, 1H), 7.39-7.31 (m, 7H), 7.25-7.24 (m, 1H), 7.21-7.14 (m, 3H), 6.86-6.84 (m, 1H), 6.69-6.67 (m, 1H), 5.00 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.3, 141.2, 135.6, 135.3, 130.8, 130.3, 130.3, 130.3, 130.0, 130.0, 130.0, 129.9, 129.8 (d, J = 4.0 Hz), 129.6 (d, J = 43.8 Hz), 129.0, 129.0, 128.8, 128.7, 128.4, 128.3, 128.3, 128.2, 128.2, 128.0 (d, J = 2.7 Hz) , 125.9 (q, J = 252.6 Hz), 125.3, 121.7, 119.0, 67.5. **HRMS ESI (m/z)**: calcd for $C_{29}H_{22}F_3N_2O_2S$ $[M + H]^+$: 519.1349, found: 519.1352.

5-(((3,4-dimethylphenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 5at (72%).

Yellow oil. 68.9 mg. **IR (cm^{-1})**: 3059, 3040, 1542, 1495, 1326, 1133, 770cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.83 (s, 1H), 7.47-7.43 (m, 1H), 7.38-7.27 (m, 9H),

7.24-7.12 (m, 6H), 6.85-6.83 (m, 1H), 6.56-6.54 (m, 1H), 4.94 (s, 1H), 2.28 (s, 3H), 2.20 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 143.5, 137.6, 135.8, 134.8, 131.0, 130.3, 130.3, 130.3, 130.1, 129.9, 129.7, 129.7, 129.6, 129.1, 128.7, 128.7, 128.6, 128.5, 128.3, 128.2, 128.2, 128.2, 128.2, 128.2, 128.1, 127.1, 126.4, 67.3, 20.1, 19.6. **HRMS ESI** (m/z): calcd for $C_{30}H_{27}N_2O_2S$ $[M + H]^+$: 479.1788, found: 479.1788.

5-(((4-chloro-3-(trifluoromethyl)phenyl)sulfonyl)(phenyl)methyl)-1,2-diphenyl-1 H-imidazole 5au (78%).

Yellow solid, bp. 79.8-81.2 ^{o}C. 86.1 mg. **IR (cm^{-1})**: 3071, 3040, 1535, 1526, 1306, 1123, 1030, 797cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.88 (s, 1H), 7.72-7.71 (m, 1H), 7.69-7.66 (m, 1H), 7.55 -7.52 (m, 1H), 7.51-7.45 (m, 2H), 7.42-7.33 (m, 6H), 7.26 (s, 1H), 7.28-7.26 (m, 1H), 7.25-7.24 (m, 1H), 7.19-7.14 (m, 2H), 6.88-6.86 (m, 1H), 6.66-6.63 (m, 1H), 4.97 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.3, 138.6, 136.7, 135.5, 133.7, 132.2, 130.7, 130.3, 130.3, 130.1 (d, J = 40.7 Hz), 129.9 (d, J = 4.5 Hz), 129.7, 129.7 (d, J = 7.8 Hz), 129.4, 129.1, 129.1, 128.8, 128.5 (q, J = 246.6 Hz), 128.3, 128.3, 128.3, 128.3, 128.3, 128.3, 128.0, 125.1, 123.1, 120.4, 67.7. **HRMS ESI (m/z)**: calcd for $C_{29}H_{21}F_3ClN_2O_2S$ $[M + H]^+$: 553.0959, found: 553.0961.

5-(((4-ethylphenyl)sulfonyl)(phenyl)methyl)-2-phenyl-1-(p-tolyl)-1H-imidazole 5av (79%).

White solid, bp. 183.0-184.0 ^{o}C. 77.8 mg. **IR (cm^{-1})**: 3067, 3040, 1609, 1534, 1388, 1144, 821cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.83 (s, 1H), 7.48-7.46 (m, 2H), 7.34-7.33 (m, 4H), 7.28-7.26 (m, 1H), 7.25-7.24 (m, 1H), 7.22-7.10 (m, 8H),

6.72-6.69 (m, 1H), 6.41-6.36 (m, 1H), 4.94 (s, 1H), 2.67 (q, *J* = 7.6 Hz, 2H), 2.41 (s, 3H), 1.20 (t, *J* = 7.6 Hz, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 151.1, 147.7, 139.8, 134.9, 133.0, 131.0, 130.4, 130.3, 130.3, 130.2, 130.0, 129.6, 129.6, 129.1, 128.7, 128.7, 128.4, 128.3, 128.3, 128.2, 128.2, 128.2, 128.2, 128.1, 128.1, 127.8, 126.4, 67.3, 28.9, 21.3, 15.3. **HRMS ESI (m/z)**: calcd for $C_{31}H_{29}N_2O_2S$ $[M + H]^+$: 493.1944, found: 493.1945.

5-((ethylsulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 5aw (67%).

Yellow oil. 53.9 mg. **IR (cm^{-1})**: 3071, 3039, 1534, 1507, 1313, 1128, 770cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.86 (s, 1H), 7.53-7.48 (m, 3H), 7.40-7.36(m, 5H), 7.33-7.29 (m, 3H), 7.23-7.18 (m, 3H), 6.83-6.81 (m, 1H), 4.97 (s, 1H), 2.90 (q, *J* = 3.6 Hz, 2H), 1.22 (t, *J* = 7.6 Hz, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.3, 135.9, 130.8, 130.2, 130.2, 130.2, 129.8, 129.8, 129.8, 129.7, 129.4, 129.1, 129.1, 128.7, 128.5, 128.4, 128.4, 128.4, 128.2, 128.2, 126.1, 63.5, 45.7, 6.5. **HRMS ESI** (m/z): calcd for $C_{24}H_{23}N_2O_2S$ $[M + H]^+$: 403.1475, found: 403.1479.

5-((cyclopropylsulfonyl)(phenyl)methyl)-1,2-diphenyl-1H-imidazole 5ax (87%).

Yellow oil. 72.1 mg. **IR (cm^{-1})**: 3071, 3035, 1531, 1499, 1322, 1137, 888cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.88 (s, 1H), 7.52-7.47 (m, 2H), 7.39-7.29 (m, 9H), 7.21-7.14 (m, 3H), 6.83-6.81 (m, 1H), 4.96 (s, 1H), 2.26-2.20 (m, 1H), 1.11-0.79 (m, 4H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 148.1, 136.0, 131.3, 130.1, 130.1, 130.1, 129.9, 129.8, 129.8, 129.8, 129.2, 128.9, 128.9, 128.6, 128.6, 128.3, 128.3, 128.3,

128.2, 128.2, 126.3, 64.9, 28.5, 5.5, 5.2. **HRMS ESI (m/z)**: calcd for $C_{25}H_{23}N_2O_2S$ $[M + H]^+$: 415.1475, found: 415.1480.

1,2-diphenyl-5-(phenyl(thiophen-2-ylsulfonyl)methyl)-1H-imidazole 5ay (78%).

Yellow solid, bp. 80.2-80.5 °C. 71.2 mg. **IR (cm^{-1})**: 3099, 3020, 1507, 1487, 1302, 1022, 774cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.93 (s, 1H), 7.64-7.62 (m, 1H), 7.48-7.44 (m, 1H), 7.40-7.34 (m, 8H), 7.30-7.28 (m, 1H), 7.27-7.26 (m, 1H), 7.21-7.14 (m, 3H), 7.03-7.00 (m, 1H), 6.87-6.85 (m, 1H), 6.63-6.61(m, 1H), 5.04 (s, 1H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 146.4, 138.8, 138.1, 135.4, 134.6, 133.8, 132.2, 130.4, 130.4, 129.7, 129.6, 129.6, 128.9, 128.7, 128.7, 128.7, 128.6, 128.6, 128.5, 128.2, 128.2, 127.3, 125.9, 125.9, 122.9, 73.1. **HRMS ESI (m/z)**: calcd for $C_{26}H_{21}N_2O_2S_2$ $[M + H]^+$: 457.1039, found: 457.1044.

1-(4-chlorophenyl)-2-phenyl-5-((phenylsulfonyl)(p-tolyl)methyl)-1H-imidazole 5az (80%).

White solid, bp. 146.9-147.2 °C. 79.7 mg. **IR (cm^{-1})**: 3095, 3051, 1507, 1499, 1306, 1144, 774cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.84 (s, 1H), 7.57-7.53 (m, 3H), 7.41-7.30 (m, 5H), 7.23-7.17 (m, 6H), 7.14-7.12 (m, 2H), 6.80-6.75 (m, 1H), 6.57-6.51 (m, 1H), 4.87 (s, 1H), 2.33 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 147.9, 139.4, 137.6, 135.7, 134.2, 133.9, 130.7, 130.2, 130.1, 130.1, 129.9, 129.9, 129.7, 129.6, 129.6, 129.4, 129.4, 129.4, 128.9, 128.9, 128.7, 128.3, 128.3, 128.3, 128.3, 127.5, 126.1, 67.1, 21.2. **HRMS ESI (m/z)**: calcd for $C_{29}H_{24}ClN_2O_2S$ $[M + H]^+$: 499.1242, found: 499.1249.

3-phenyl-3-tosylacrylaldehyde 6aa (98%).

Yellow oil. 56.1 mg. **IR (cm^{-1})**: 3019, 2929, 2821, 1325, 1152, 707cm^{-1}. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.43 (d, J = 7.6 Hz, 1H), 7.51-7.43 (m, 3H), 7.37-7.33 (m, 2H), 7.23-7.20 (m, 4H), 7.08 (d, J = 7.6 Hz, 1H), 2.40 (s, 3H). **^{13}C {1H} NMR (100 MHz, $CDCl_3$)** δ 191.7, 160.1, 145.6, 134.0, 131.8, 130.7, 130.7, 130.6, 129.8, 129.8, 129.1, 129.1, 128.5, 128.5, 127.9, 21.7. **HRMS ESI (m/z)**: calcd for $C_{16}H_{15}O_3S$ $[M + H]^+$: 287.0736, found: 287.0739.

SUPPORTING INFORMATION

Copies of ^{1}H and ^{13}C NMR spectra, and X-ray crystallaographic data of **4ai** (CDCC: 1903819) and **5av** (CDCC: 1903875). 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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