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ARTICLE TYPE

Rh(III)-Catalyzed tandem oxidative olefination-cyclization of aryl sulfonamides

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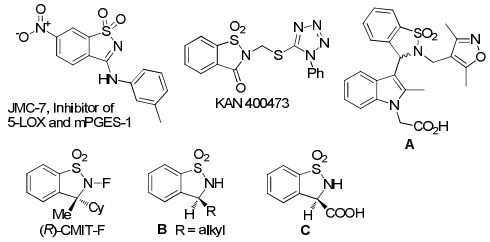
An efficient Rh(III)-catalyzed *ortho*-selective C-H activation and tandem oxidative olefination-cyclization of aryl sulfonamides is described. The protocol has been applied to various substrates with good functional group tolerance.

Introduction

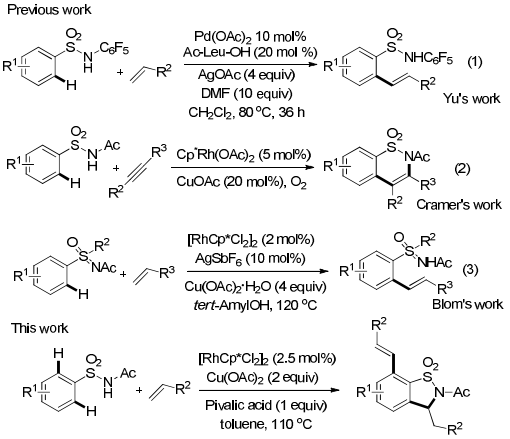
Benzo[d]isothiazole 1,1-dioxides as privileged motifs are present in many heterocyclic compounds with a broad spectrum of biological and medicinal applications.[1] For example, 6-nitro-3-(*m*-tolylamino)benzo[d]isothiazole 1,1-dioxide (JMC-7) is found to inhibit human 5-lipoxygenase (5-LOX) and microsomal prostaglandin E synthase (mPGES)-1 with IC_{50} values of 1.9, 6.7 μM, respectively (Scheme 1).[1a] Furthermore, JMC-7 analogues are identified as potential anticancer and anti-inflammatory drugs.[1b] KAN 400473 is a human leukocyte elastase inhibitor.[1c] Compound **A** is a selective antagonist of CRTh2 (cytokine release from Th2 cells).[1d] In addition, benzo[d]isothiazole 1,1-dioxides have found many important applications in organic synthesis.[2] For instance, (R)-CMIT-F,[2a] compound **B**[2b-g] and **C**[2h] are widely used as chiral auxiliaries in many asymmetric transformations (Scheme 1).

Practical approaches for the synthesis of functionalized benzo[d]isothiazole 1,1-dioxides are well-documented.[3-8] The groups of Sharpless,[3a] Dauban,[3b] and Che[3c] developed the synthesis of benzo[d]isothiazole 1,1-dioxides via aziridine formation. 3,3-Disubstituted benzo[d]isothiazole 1,1-dioxides were prepared from *N*-*tert*-butylbenzenesulfonamide and ketones via mediated by TMSCl-NaI-MeCN reagent.[4] Che et al also reported the synthetic protocol by $Au(PPh_3)OTf$-catalyzed cycloisomerization of terminal alkenes.[5] Hanson and co-workers reported the synthesis of benzo[d]isothiazole 1,1-dioxides by domino Heck-*aza*-Michael reactions.[6]



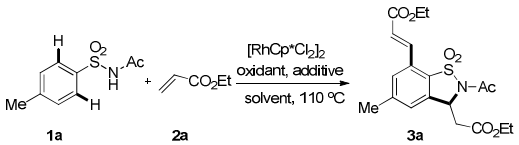
Scheme 1 Some examples of benzo[*d*]-isothiazole 1,1-dioxides motifs

Recently, transition metal-catalyzed C-H bond alkenylation has attracted much attention owing to its extraordinary potential for practicality, atom economy, and environmental sustainability.[9] In particular, various useful heterocycles can be readily prepared via transition-metal-catalyzed domino C–H activation/cyclization method.[10,11] However, only few concerning C–H bond activation/olefination of sulfonamides or sulfoximines have been reported.[12-15] In 2011, Yu and co-workers reported Pd-catalyzed selective *ortho*-olefination of benzenesulfonamides using Ac-Leu-OH as a ligand, affording exclusively the mono-olefinated product (Scheme 2, eq 1).[12] Cramer and co-workers reported an access to benzosultams by Rh(III)-catalyzed oxidative C-H activation of simple acylated sulfonamides and subsequent addition of internal alkynes (Scheme 2, eq 2).[13] Recently, Parthasarathy and Blom described the Rh-catalyzed oxidative coupling between *N*-acyl sulfoximines and alkenes by regioselective C-H activation, providing the *ortho*-olefinated products (Scheme 2, eq 3).[14] Sulfoximine-directed Ru-catalyzed *ortho*-C-H alkenylation of (hetero)arenes was also reported by Sahoo.[15] Recently, we reported the synthesis of benzosultams via an intramolecular sp^2 C-H bond amination reaction of *o*-arylbenzenesulfonamides under metal-free conditions.[16] Herein, we report the Rh(III)-catalyzed oxidative C-H activation of *N*-acylated aryl sulfonamides and subsequent Michael addition of activated olefins to provide an access to 2,3-dihydrobenzo[d]isothiazole 1,1-dioxides.



Scheme 2 Direct C-H olefination of sulfonamides and sulfoximines

Table 1 Optimization of reaction conditions[a]



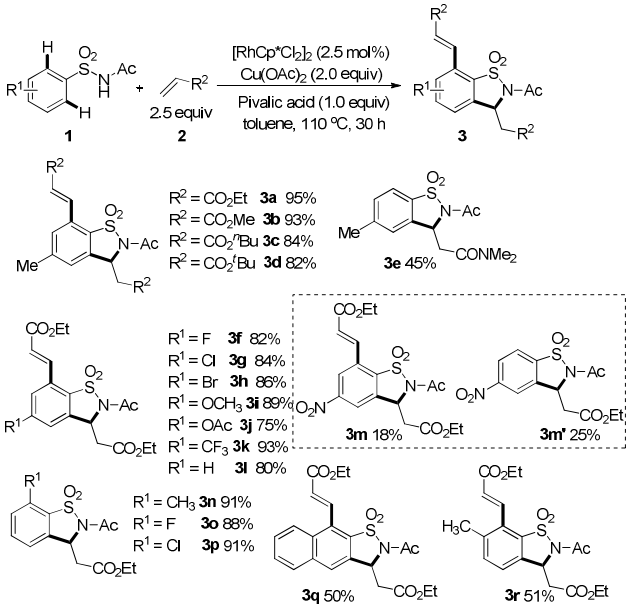
entry	Oxidant (x equiv)	additive	solvent	yield (%)[b]
1	$Cu(OAc)_2$ (2.5)	-	DCE	10
2	$Cu(OAc)_2$ (2.5)	-	*t*-AmOH	12
3	$Cu(OAc)_2$ (2.5)	-	THF	0
4	$Cu(OAc)_2$ (2.5)	-	MeCN	0
5	$Cu(OAc)_2$ (2.5)	-	DMF	0
6	$Cu(OAc)_2$ (2.5)	-	toluene	73
7	$Cu(TFA)_2$ (2.5)	-	toluene	0
8	$Fe(OAc)_2$ (2.5)	-	toluene	0
9	AgOAc (2.5)	-	toluene	69
10	Ag_2CO_3 (2.5)	-	toluene	55
11	$Cu(OAc)_2$ (2.5)	PivOH	toluene	84
12	$Cu(OAc)_2$ (2.5)	AcOH	toluene	69
13	$Cu(OAc)_2$ (2.5)	NaOAc	toluene	39
14	$Cu(OAc)_2$ (2.0)	PivOH	toluene	95
15	$Cu(OAc)_2$ (1.0)	PivOH	toluene	85
16	$Cu(OAc)_2$ (0.1)	PivOH	toluene	33
17	-	PivOH	toluene	0
18[c]	$Cu(OAc)_2$	PivOH	toluene	95
19[d]	$Cu(OAc)_2$	PivOH	toluene	39
20[e]	$Cu(OAc)_2$	PivOH	toluene	14
21[f]	$Cu(OAc)_2$	PivOH	toluene	0

[a] Reaction conditions: *N*-tosylacetamide **1a** (0.2 mmol), ethyl acrylate **2a** (4.0 equiv, 0.8 mmol), $[RhCp^*Cl_2]_2$ (2.5 mol%), oxidant (2.5 equiv, 0.5 mmol), additive (1.0 equiv), 110 °C, solvent (2 mL). [b] Isolated yield. [c] **2a** (2.5 equiv, 0.5 mmol) was used. [d] **2a** (1.0 equiv, 0.2 mmol) was used. [e] $[RhCp^*Cl_2]_2$ (1.0 mol%) was used. [f] Without $[RhCp^*Cl_2]_2$.

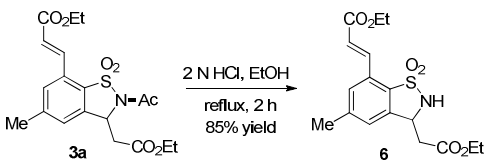
Results and Discussion

Initially, we examined the reaction of *N*-tosylacetamide **1a** and ethyl acrylate **2a** in the presence of $[RhCp^*Cl_2]_2$ (2.5 mol%) and $Cu(OAc)_2$ (2.5 equiv) in DCE at 110 °C for 30 h (Table 1, entry 1). Interesting, Rh(III)-catalyzed tandem oxidative alkenylation and intramolecular *aza*-Michael reaction product **3a** was obtained exclusively in only 10% isolated yield. Inspired by this result, we explored various reaction conditions in order to optimize the transformation. Accordingly, a number of solvents such as *t*-AmOH, THF, CH_3CN, DMF, and toluene were examined (Table 1, entries 2-6). The screening of solvent revealed that the use of toluene as a solvent improved the yield of desired product **3a** to 73%, while others solvents failed to promote the conversion. Next, a variety of oxidants were investigated in toluene. The results showed that the reaction was sensitive to the choice of oxidants used (Table 1, entries 7-10). Among them, $Cu(TFA)_2$ and $Fe(OAc)_2$ were failed to facilitate the reaction. The results of AgOAc and Ag_2CO_3 (69% and 55%, respectively) are a little inferior than that of $Cu(OAc)_2$. Further studies showed that the addition of 1.0 equiv PivOH improved the yield to 84% (Table 1, entry 11). Other additives, such as AcOH and NaOAc, were found less effective (Table 1, entries 12 and 13). In the case of decreasing the oxidant amount to 2.0 equiv, improved the yield to 95% (Table 1, entry 14). Further decreasing the amount to 1.0 equiv led to a comparable yield 85%, but inferior result (33% yield) was obtained when a catalytic amount (10 mol%) of oxidant was used (Table 1, entries 15 and 16). Obviously, the controlled experiment confirmed that the $Cu(OAc)_2$ oxidant was essential for the catalytic process (Table 1, entry 17). Changing the ratio of **1a**:**2a** from 1:4 to 1:2.5, the yield remained entirely unaffected (Table 1, entry 18). Further decreasing the ratio to 1:1, the yield dropped to 39% (Table 1, entry 19). Similarly, lowering the loading of catalyst considerably reduced the product yield (Table 1, entries 20 and 21).

Table 2 Scope of substrates

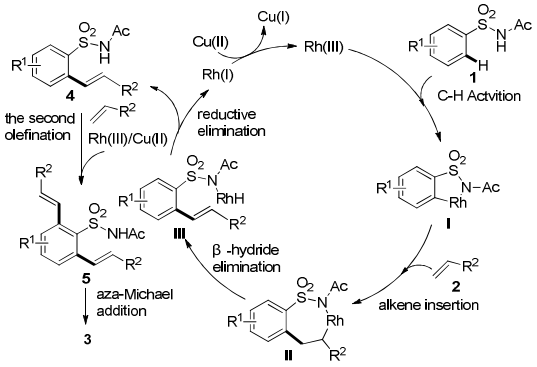


In order to determine the scope and limitations of the present protocol, subsequently we examined the generality of Rh-catalyzed tandem oxidative alkenylation and intramolecular *aza*-Michael reaction of various aryl sulfonamides **1** and activated alkenes **2** under the optimized conditions {$[RhCp^*Cl_2]_2$ (2.5 mol%), $Cu(OAc)_2$ (2.0 equiv), pivalic acid (PivOH, 1.0 equiv) toluene, 110 °C} (Table 2). Initially, the reactions of acrylates of various alcohols **2a-d** were proceded smoothly to give the corresponding products **3a-d** in high yields (82-95%). It is noteworthy that *N,N*-dimethylacrylamide **1e** was also effective in this conversion, delivering the mono-alkenylated cyclization product **3e** in moderate yield 45%. In addition, this useful catalytic system could be applied to various electronic functional groups, such as halogen (fluoro, chloro, bromo), methoxyl, acetoxyl, and trifluoromethyl substituents, providing dialkenylated cyclization products **3f-l** in good yields (75-93%). In the case of nitro substituted substrate **1m**, mono- and dialkenylated products **3m** and **3m'** were observed in this reaction. Substrates bearing a substituent at *ortho*-position also worked smoothly, resulting in the mono-alkenylated cyclization products **3n-p** in good yields. When substrate **1q** having a 2-naphthalenyl group was used, the desired product **3q** was obtained in moderate yield. In the case of substrate **1r** bearing a 3-methyl group, corresponding product **3r** was obtained in 51% yield.



Scheme 3 Removal of acetyl group

Importantly, acetyl protecting group can be removed via acid-mediated deprotection method. For instance, the acetyl group of compound **3a** could be easily removed in 2 N HCl in ethanol under reflux for 2h to afford product **6** in good yield 85% (Scheme 3).



Scheme 4 Proposed catalytic cycle

Based on recent reports,[12-15] a plausible mechanism for the reaction of aryl sulfonamides **1** with activated alkenes **2** is illustrated in Scheme 4. A proposed catalytic cycle was initiated by the formation of rhodacycle intermediate **I** through coordination of **1** to $[RhCp^*Cl_2]_2$ and the following C-H activation. This Rh(III) intermediate **I** then undergoes the insertion of alkene **2** to afford Rh(III) species **II**. The subsequent β-hydride elimination of intermediate **II** gives the intermediate **III**, then undergoes the reductive elimination to yield Rh(I) species and mono-alkenylation product **4**, which undergoes the second olefination reaction to provide dialkenylation product **5**. An intramolecular *aza*-Michael addition reaction of **5** gives rise to desired product **3**. The Rh(I) species is then oxidized by Cu(II) to regenerate the Rh(III) catalyst.

Conclusion

In summary, we have developed an efficient Rh(III)-catalyzed tandem oxidative alkenylation and intramolecular *aza*-Michael reaction from aryl sulfonamides and activated alkenes, which produced 2,3-dihydrobenzo[d]isothiazole 1,1-dioxides in good to excellent yields. The protocol has been applied to various substrates and proceeds with high chemoselectivity as well as with good functional group tolerance.

General procedure for Rh-catalyzed tandem oxidative olefination-cyclization of aryl sulfonamides: To a 25 mL tube containing a magnetic stir bar, was added aryl sulfonamide **1** (0.2 mmol), alkene **2** (0.5 mmol), $[Cp^*RhCl_2]_2$ (3 mg, 2.5 mol%), $Cu(OAc)_2$ (72 mg, 0.4 mmol), PivOH (10.2 mg, 0.2 mmol), and toluene (2 mL). The resulting mixture was stirred at 110 °C for 30 h (monitored by TLC). After being cooling to room temperature, evaporation of the solvent under reduced pressure followed purification by silica gel chromatography using petroleum ether/ethyl acetate (3:1-6:1) as eluent to provide the desired products **3**.

(E)-ethyl-3-(2-acetyl-3-(2-ethoxy-2-oxoethyl)-5-methyl-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3a**):
Isolated (Rf = 0.3, EtOAc–petroleum ether = 1:3) as a white solid (77.8 mg, 95% yield), mp: 126-128 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.20 (t, *J* = 7.2 Hz, 3H), 1.35 (t, *J* = 7.2 Hz, 3H), 2.49 (s, 3H), 2.61 (s, 3H), 2.92-2.99 (m, 1H), 3.13 (dd, *J* = 16.0, 3.6 Hz, 1H), 4.14 (q, *J* =7.2 Hz, 2H), 4.29 (q, *J* = 7.2 Hz, 2H), 5.64-5.67 (m, 1H), 6.63 (d, *J* = 16.0 Hz, 1H), 7.35 (s, 1H), 7.56 (s, 1H), 8.01 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 14.2, 21.6, 23.6, 39.1, 54.8, 61.0, 61.1, 124.5, 126.1, 128.8, 129.6, 130.9, 135.7, 136.2, 145.6, 165.4, 167.3, 169.5; HRMS (ESI): *m/z* $[M + H]^+$ calcd for $C_{19}H_{24}NO_7S$; 410.1273; found: 410.1279.

(E)-methyl3-(2-acetyl-3-(2-methoxy-2-oxoethyl)-5-methyl-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3b**):
Isolated (Rf = 0.3, EtOAc–petroleum ether = 1:3) as a white solid (80.0 mg, 93% yield), mp: 139-141 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.49 (s, 3H), 2.61 (s, 3H), 2.92-2.98 (m, 1H), 3.14 (dd, *J* = 16.0, 3.2 Hz, 1H), 3.69 (s, 3H), 3.84 (s, 3H), 5.64-5.67 (m, 1H), 6.64 (d, *J* = 15.6 Hz, 1H), 7.34 (s, 1H), 7.56 (s, 1H), 8.02 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 21.8, 23.5, 39.0, 52.0, 54.7, 124.1, 126.1, 128.9, 129.7, 130.8, 135.9, 136.1, 145.7, 165.8, 167.3, 169.9; HRMS (ESI): *m/z* $[M + H]^+$ calcd for $C_{17}H_{20}NO_7S$: 382.0960; found: 382.0968.

(E)-butyl-3-(2-acetyl-3-(2-butoxy-2-oxoethyl)-5-methyl-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3c**):
Isolated (Rf = 0.3, EtOAc–petroleum ether = 1:3) as a white solid (78.2 mg, 84% yield), mp: 135-137 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 0.89 (t, *J* = 7.2 Hz, 3H), 0.97 (t, *J* = 7.6 Hz, 3H), 1.43-1.45 (m, 2H), 1.41-1.47(m, 2H), 1.51-1.58 (m, 2H), 1.67-1.74 (m, 2H), 2.49 (s, 3H), 2.61 (s, 3H), 2.93-2.99 (m, 1H), 3.14 (dd, *J* = 16.0, 3.2 Hz, 1H), 4.09 (t, *J* = 5.6 Hz, 2H), 4.23 (t, *J* = 6.4 Hz, 2H), 5.63-5.66 (m, 1H), 6.34 (d, *J* = 16.0 Hz, 1H), 7.36 (s, 1H), 7.57 (s, 1H), 8.01 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 13.6, 13.7,18.8, 19,1, 21.8, 23.5, 30.4, 30.6, 39.0, 124.4, 126.0, 128.7, 129.5, 130.8, 135.6, 136.2, 145.6, 165.5, 167.3, 169.6; HRMS (ESI): *m/z* $[M + H]^+$ calcd for $C_{23}H_{32}NO_7S$: 466.1899; found: 466.1907.

(E)-tert-butyl-3-(2-acetyl-3-(2-(tert-butoxy)-2-oxoethyl)-5-methyl-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3d**):
Isolated (Rf = 0.3, EtOAc–petroleum ether = 1:3) as a white solid (76.3 mg, 82% yield), mp: 130-133 °C ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.41 (s, 9H),1.55 (s, 9H), 2.46 (s, 3H), 2.61 (s, 3H), 2.74-2.80 (m, 1H), 3.13 (dd, *J* = 16.0, 3.2 Hz, 1H), 5.62-5.64 (m, 1H), 6.56 (d, *J* = 16.0 Hz, 1H), 7.36 (s, 1H), 7.54 (s, 1H), 7.95 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 21.7, 23.5, 27.9, 28.1, 40.3, 54.9, 81.2, 81.8, 126.0, 126.2, 128.5, 129.5, 131.1, 134.8, 136.5, 164,6, 167.2, 168.8; HRMS (ESI): *m/z* $[M + H]^+$ calcd for $C_{23}H_{32}NO_7S$: 466.1899; found: 466.1905.

2-(2-acetyl-5-methyl-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-3-yl)-N,N-dimethylacetamide (**3e**):
Isolated (Rf = 0.4, EtOAc–petroleum ether = 1:3) as a white solid (23.8 mg, 39% yield), mp: 143-145 °C ^{1}H NMR (400 MHz, $CDCl_3$) δ 2.46 (s, 3H), 2.61 (s, 3H), 2.66-2.73 (m, 1H), 2.93 (s, 3H) 3.00 (s, 3H), 3.26 (d, *J* = 15.6 Hz, 1H), 5.87-5.90 (m, 1H), 7.38 (d, *J* = 8.0 Hz, 1H), 7.63 (s, 1H), 7.67 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 21.9, 23.6, 35.5, 37.1, 38.8, 55.8, 121.1, 126.5, 130.7, 130.8, 136.5, 145.5, 167.3, 169.0; HRMS (ESI): *m/z* $[M + H]^+$ calcd for $C_{14}H_{19}N_2O_4S$: 311.1066; found: 311.1071.

(E)-ethyl 3-(2-acetyl-3-(2-ethoxy-2-oxoethyl)-5-fluoro-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3f**):
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:5) as a white solid (67.7 mg, 82% yield), mp: 141-143 °C ^{1}H NMR (400 MHz,

$CDCl_3$) δ 1.22 (t, *J* = 7.2 Hz, 3H), 1.35, (t, *J* = 7.2 Hz, 3H), 2.61 (s, 3H), 2.91-2.97 (m, 1H), 3.17 (dd, *J* = 16.0, 2.8 Hz, 1H), 4.13-4.19 (m, 2H), 4.28-4.33 (m, 2H), 5.68-5.70 (m, 1H), 6.63 (d, *J* = 16.0 Hz, 1H) 7.32 (d, *J* = 8.0 Hz, 1H), 7.45 (d, *J* = 8.0 Hz, 1H), 8.00 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 14.2, 23.6, 38.9, 54.6, 61.2, 61.3, 113.1 (d, J_{C-F} = 24 Hz), 115.3 (d, J_{C-F} = 24 Hz), 126.1, 128.4(d, J_{C-F} = 4 Hz), 134.0 (d, J_{C-F} = 10 Hz), 134.3, 139.2 (d, J_{C-F} = 9 Hz), 164.9, 165.7 (d, J_{C-F} = 256 Hz), 167.0, 169.4; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{18}H_{21}FNO_7S$: 414.1023; found: 414.1038.

(E)-ethyl3-(2-acetyl-5-chloro-3-(2-ethoxy-2-oxoethyl)-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3g**) :
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:4) as a white solid (67.7 mg, 82% yield), mp: 143-145 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.22 (t, *J* =7.2 Hz , 3H), 1.35 (t, *J* = 7.0 Hz, 3H), 2.61 (s, 3H), 2.95 (dd, *J* = 16.0, 2.8 Hz, 1H), 3.16 (dd, *J* = 16.0, 2.8 Hz, 1H), 4.13-4.19 (m, 2H), 4.27-4.33 (m, 2H), 5.68 (d, *J* = 7.2 Hz, 1H), 6.65 (d, *J* = 16.0 Hz, 1H), 7.59 (s, 1H), 7.73 (s, 1H), 7.98 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0 14.1, 23.5, 38.9, 54.5, 61.2, 61.3, 125.8, 126.1, 128.1, 130.7, 132.8, 134.2, 137.9, 164.9, 167.0 169.3; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{18}H_{21}ClNO_7S$: 430.0727; Found: 430.0721.

(E)-ethyl 3-(2-acetyl-5-bromo-3-(2-ethoxy-2-oxoethyl)-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3h**)**:**
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:4) as a white solid (81.5 mg, 86% yield), mp: 129-130 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.22 (t, *J* = 7.2 Hz, 3H), 1.35 (t, *J* = 7.2 Hz, 3H), 2.60 (s, 3H), 2.91-2.98 (m, 1H), 3.16 (dd, *J* = 16.4, 2.8 Hz, 1H), 4.16 (m, 2H), 4.30 (m, 2H), 5.68 (dd, *J* = 7.6, 2.8 Hz, 1H), 6.65 (d, *J* = 16.0 Hz, 1H), 7.75 (s, 1H), 7.89 (s, 1H), 7.97 (d, *J* = 15.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 14.2, 23.5, 38.9, 54.5, 61.2, 61.3, 126.1, 128.8, 129.0, 131.0, 131.2, 132.8, 134.2, 137.9, 164.9, 167.0, 169.3; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{18}H_{21}BrNO_7S$: 474.0222; Found: 474.0215.

(E)-ethyl 3-(2-acetyl-3-(2-ethoxy-2-oxoethyl)-5-methoxy-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate(**3i**):
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:4) as a white solid (75.7 mg, 89% yield), mp: 147-149 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.22 (t, *J* = 7.1 Hz, 3H), 1.35 (t, *J* = 7.1 Hz, 3H), 2.60 (s, 3H), 2.91 (dd, *J* = 16.1, 8.0 Hz, 1H), 3.16 (dd, *J* = 16.2, 3.1 Hz, 1H), 3.90 (s, 3H), 4.13 – 4.18 (m, 2H), 4.27 – 4.32 (m, 2H), 5.65 (dd, *J* = 7.7, 2.8 Hz, 1H), 6.61 (d, *J* = 15.9 Hz, 1H), 7.03 (s, 1H), 7.21 (s, 1H), 7.98 (d, *J* = 15.9 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 14.2, 23.5, 39.2, 54.7, 56.1, 61.0, 61.2, 110.0, 114.5, 124.3, 124.9, 132.8, 135.6, 138.5, 164.2, 165.3, 167.2, 169.7; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{19}H_{24}NO_8S$: 426.1223; Found: 426.1231.

(E)-ethyl3-(5-acetoxy-2-acetyl-3-(2-ethoxy-2-oxoethyl)-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3j**) :
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:3) as a white solid (67.7 mg, 82% yield), mp: 143-145 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.20 (t, *J* = 5.3 Hz, 3H), 1.35 (t, *J* = 7.1 Hz, 3H), 2.35 (s, 3H), 2.61 (s, 3H), 2.90 – 2.96 (m, 1H), 3.17 (dd, *J* = 16.3, 3.0 Hz, 1H), 4.12 - 4.17 (m, 2H), 4.27 – 4.32 (m, 2H), 5.70 (dd, *J* = 7.8 Hz, 2.8 Hz, 1H), 6.62 (d, *J* = 15.9 Hz, 1H), 7.39 (s, 1H), 7.49 (s, 1H), 8.01 (d, *J* = 15.8 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 13.9, 14.2, 21.0, 23.6, 39.0, 54.7, 61.1, 61.2, 119.1, 121.4, 125.6, 129.3, 132.9, 134.7, 138.0, 155.0, 165.1, 167.1, 168.1, 169.5; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{20}H_{24}NO_9S$: 454.1172; Found: 454.1163.

(E)-ethyl3-(2-acetyl-3-(2-ethoxy-2-oxoethyl)-1,1-dioxido-5-(trifluoromethyl)-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3k**):
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:8) as a yellow oil (95.5 mg, 93% yield), ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.21 (t, *J* = 7.2 Hz, 3H), 1.36 (t, *J* = 7.2 Hz, 3H), 2.63 (s, 3H), 2.93 - 2.99 (m, 1H), 3.21 (dd, *J* = 16.4, 3.2 Hz, 1H), 4.13 – 4.18 (m, 2H), 4.29 – 4.34 (m, 2H), 5.77 (dd, *J* = 7.9, 2.9 Hz, 1H), 6.73 (d, *J* = 15.9 Hz, 1H), 7.87 (s, 1H), 7.99 (s, 1H), 8.06 (d, *J* = 15.9 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 13.9, 14.2, 23.6, 38.8, 54.8, 51.3, 51.4, 122.6 (q, $^1J_{C-F}$= 272 Hz), 122.8 (d, $^3J_{C-F}$ = 4 Hz), 124.9 (d, $^3J_{C-F}$ = 3 Hz), 126.6, 132.5, 134.08, 136.4 (d, $^2J_{C-F}$ = 34 Hz), 137.3, 164.8, 167.2, 169.3; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{19}H_{21}F_3NO_7S$: 464.0991; Found: 464.1012.

(E)-ethyl-3-(2-acetyl-3-(2-ethoxy-2-oxoethyl)-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3l**) :
Isolated (Rf = 0.3, EtOAc–petroleum ether = 1:4) as a white solid (63.2 mg, 80% yield), mp: 90-92 °C ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.11 (t, *J* = 7.2 Hz, 3H), 1.27 (t, *J* = 7.2 Hz, 3H), 2.54 (s, 3H), 2.85-2.91 (m, 1H), 3.07 (dd, *J* = 16.0, 3.6 Hz, 1H), 4.02-4.08 (m, 2H), 4.19-4.24 (m, 2H), 5.62-5.64 (m, 1H), 6.57 (d, *J* = 16.0 Hz, 1H), 7.50 (d, *J* = 7.6 Hz, 1H), 7.62 (t, *J* = 7.6 Hz, 1H), 7.69 (d, *J* = 7.2 Hz, 1H), 7.98 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 14.2, 23.6, 39.1, 54.9, 61.0, 61.1, 124.9, 125.7, 127.9, 131.2, 132.2, 134.3, 135.4, 136.1, 165.3, 167.2, 169.4; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{18}H_{22}NO_7S$: 396.1117; found: 396.1125.

(E)-ethyl 3-(2-acetyl-3-(2-ethoxy-2-oxoethyl)-5-nitro-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3m**) :
Isolated (Rf = 0.3, EtOAc/Petroleum Ether = 1:3) as a white solid (15.9 mg, 18% yield), mp: 105-107 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.22 (t, *J* =7.0 Hz , 3H), 1.37 (t, *J* = 7.2 Hz , 3H), 2.63 (s, 3H), 3.02 (dd, *J* = 16.4, 8.0 Hz, 1H), 3.22 (dd, *J* = 16.4, 2.8 Hz 1H), 4.14-4.19 (m, 2H), 4.30-4.35 (m, 2H), 5.78-5.81 (m, 1H), 6.79 (d, *J* = 16.0 Hz, 1H), 8.06 (d, *J* = 16.0 Hz, 1H), 8.43 (s, 1H), 8.58 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 14.2, 23.7, 38.6, 54.8, 61.4, 61.6, 120.7, 122.7, 127.5, 133.4, 133.4, 136.9, 138.3, 151.5, 164.6, 166.8, 169.0; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{18}H_{21}N_2O_9S$: 441.0968; Found: 441.0957.

ethyl 2-(2-acetyl-5-nitro-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-3-yl)acetate (**3m'**) : Isolated (Rf = 0.4, EtOAc/Petroleum Ether = 1:4) as a white solid (17.1 mg, 25% yield), mp: 98-100 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.23 (t, *J* =7.2 Hz , 3H), 2.62 (s, 3H), 3.01 (dd, *J* = 16.0, 8.0 Hz, 1H), 3.25 (dd, *J* = 16.0, 2.8Hz, 1H), 4.15-4.20 (m, 2H), 5.81-5.83 (m, 1H), 8.02 (d, *J* = 8.4 Hz, 1H), 8.47 (d, *J* = 8.4 Hz, 1H), 8.51 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 23.6, 38.6, 55.3, 61.5, 121.0, 123.4, 125.4, 137.3, 139.0, 151.5, 167.0, 169.1; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{13}H_{15}N_2O_7S$: 343.0600; Found: 343.0612.

Ethyl 2-(2-acetyl-7-methyl-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-3-yl)acetate (**3n**):
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:5) as a white solid (56.6 mg, 91% yield), mp: 125-127 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.11 (t, *J* = 7.2 Hz, 3H), 2.53 (s, 3H), 2.57 (s, 3H), 2.82 - 2.87 (m, 1H), 3.06 (dd, *J* = 16.0, 3.6 Hz, 1H), 4.14 (m, 2H), 5.59 (dd, *J* = 7.6, 3.2 Hz, 1H), 7.27 (d, *J* = 7.2 Hz, 1H), 7.30 (d, *J* = 6.4 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 16.8, 23.5, 39.3, 54.9, 61.0, 121.9, 131.5, 132.2, 134.1, 134.8, 135.3, 167.4, 169.5; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{14}H_{18}NO_5S$: 312.0906; Found: 312.0921.

ethyl2-(2-acetyl-7-fluoro-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-3-yl)acetate (**3o**):
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:4) as a colorless oil (55.5 mg, 88% yield), ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.21 (t, *J* = 7.1 Hz, 3H), 2.60 (s, 3H), 2.93 – 2.99 (m, 1H), 3.11 (dd, *J* = 16.1, 3.2 Hz, 1H), 4.12 – 4.17 (m, 2H), 5.74 (dd, *J* = 7.6, 3.0 Hz, 1H), 7.27 (t, *J* = 8.4 Hz, 1H), 7.39 (d, *J* = 7.8 Hz, 1H), 7.67 – 7.73 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.0, 23.6, 39.0, 55.4, 61.2, 116.7, 116.8, 120.6 (d, $^2J_{C\text{-}F}$ = 4 Hz), 136.6 (d, $^2J_{C\text{-}F}$ = 7 Hz), 137.9, 156.5 (d, $^1J_{C\text{-}F}$ = 258.6 Hz), 167.1, 169.3; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{13}H_{15}FNO_5S$: 316.0655; Found: 316.0629.

ethyl 2-(2-acetyl-7-chloro-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-3-yl)acetate (**3p**):
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:4) as a colorless oil (60.3 mg, 91% yield), ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.20 (t, *J* = 7.1 Hz, 3H), 2.62 (s, 3H), 2.91 – 2.97 (m, 1H), 3.15 (dd, *J* = 16.2, 3.2 Hz, 1H), 4.11 – 4.16 (m, 2H), 5.69 (dd, *J* = 7.6, 2.9 Hz, 1H), 7.50 (d, *J* = 7.8 Hz, 1H), 7.54 (d, *J* = 7.9 Hz, 1H), 7.63 (t, *J* = 7.9 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 13.9, 23.6, 39.0, 54.5, 61.2, 123.2, 129.3, 130.8, 131.8, 135.1, 137.8, 167.2, 169.3; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{13}H_{15}ClNO_5S$: 332.0359; Found: 332.0347.

(E)-ethyl 3-(2-acetyl-3-(2-ethoxy-2-oxoethyl)-1,1-dioxido-2,3-dihydronaphtho[2,3-d]isothiazol-9-yl)acrylate (**3q**) :
Isolated (Rf = 0.3, EtOAc/Petroleum Ether = 1:3) as a white solid (44.6 mg, 50% yield), mp: 138-140 ^{o}C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.19 (t, *J* =7.2 Hz, 3H), 1.37 (t, *J* =7.2 Hz, 3H), 2.66 (s, 3H), 2.95 (dd, *J* = 15.6, 5.2 Hz, 1H), 3.22 (dd, *J* = 15.6, 3.6 Hz, 1H), 4.13-4.16 (m, 2H), 4.29-4.34 (m, 2H), 6.18-6.20 (m, 1H), 6.74 (d, *J* = 15.6 Hz, 1H), 7.57-7.77 (m, 2H), 8.02 (t, *J* = 4.6 Hz, 1H), 8.09 (t, *J* = 4.0 Hz, 1H), 8.11 (d, *J* = 15.6 Hz, 1H), 8.21 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 13.9, 14.2, 23.5, 40.7, 54.7, 61.0, 61.3, 100.0, 123.8, 124.0, 126.1, 127.1, 129.6, 130.0, 134.1, 135.5, 136.2, 165.6, 167.2, 169.5; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{22}H_{24}NO_7S$: 446.1273; Found: 446.1281.

(S,E)-ethyl 3-(2-acetyl-3-(2-ethoxy-2-oxoethyl)-6-methyl-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**3r**):
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:3) as a yellow soild (37.7 mg, 46% yield), mp: 125-127 ^{o}C ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.14 (t, *J* = 7.0 Hz, 3H), 1.38 (t, *J* = 7.2 Hz, 3H), 2.46 (s, 3H), 2.62 (s, 3H), 2.85 (dd, *J* = 15.2, 5.2 Hz, 1H), 3.14 (dd, *J* = 15.6, 3.6 Hz, 1H), 4.04 – 4.09 (m, 2H), 4.26 – 4.32 (m, 2H), 5.72 (t, *J* = 3.8 Hz, 1H), 6.60 (d, *J* = 16.0 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 8.05 (d, *J* = 15.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 13.8, 14.2, 18.2, 23.6, 38.1, 54.8, 61.0, 61.2, 123.9, 127.8, 128.7, 132.7, 133.7, 135.4, 135.8, 135.9, 165.5, 167.3, 169.0; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{19}H_{24}NO_7S$: 410.1273; Found: 410.1266.

(E)-ethyl 3-(3-(2-ethoxy-2-oxoethyl)-5-methyl-1,1-dioxido-2,3-dihydrobenzo[d]isothiazol-7-yl)acrylate (**6**):
Isolated (Rf = 0.3, EtOAc-petroleum ether = 1:2) as a white solid (85% yield), mp: 143-145 ^{o}C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 1.27 (t, *J* =6.4 Hz, 3H), 1.33 (t, *J* =7.0 Hz, 3H), 2.79 (dd, *J* = 16.8, 9.6 Hz, 1H), 2.95 (dd, *J* = 16.8, 3.2 Hz, 1H), 4.20-4.28 (m, 4H), 5.05 (s, 1H), 6.62 (d, *J* = 16.0 Hz, 1H), 7.19 (s, 1H), 7.49 (s, 1H), 8.01 (d, *J* = 16.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$), δ 14.1, 14.2, 21.6, 40.4, 53.1, 60.9, 61.4, 123.7, 125.6, 128.4, 130.4, 131.8, 136.6, 140.1, 144.5, 165.8, 170.7; HRMS (ESI): *m/z* [M + H]$^+$ calcd for $C_{17}H_{22}NO_6S$:368.1168; Found: 368.1179.

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Notes and references

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Rh(III)-Catalyzed tandem oxidative olefination-cyclization of aryl sulfonamides

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Abstract: An efficient Rh(III)-catalyzed *ortho*-selective C-H activation and tandem oxidative olefination-cyclization of aryl sulfonamides is described. The protocol has been applied to various substrates with good functional group tolerance.

Graphical Abstract: