Solvent-Free One Pot Synthesis of 2-aryl/ Heteroarylbenzothiazoles Using Hypervalent Iodine (III) Reagents

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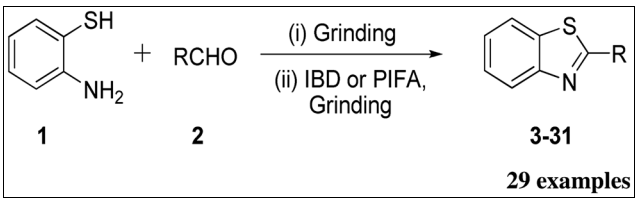

In this article, an efficient, environmentally benign, one-pot and simple synthesis of 2-aryl/heteroarylbenzothiazoles by the reaction of 2-aminothiophenol and aryl/heteroaryl aldehydes mediated by hypervalent iodine (III) reagents under solvent-free condition at room temperature is demonstrated. All the reactions were carried out by grinding the reactants (2-aminothiophenol and aryl/heteroaryl aldehydes) with hypervalent iodine (III) reagents in a mortar with pestle. Phenyliodine bistrifluoroacetate act as an efficient oxidizing reagent in comparison to iodobenzene diacetate in term of reaction time but yields are comparative. The advantages of this protocol are the one-step procedure, mild reaction conditions, high yields of the products, and no side reactions.

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INTRODUCTION

Benzothiazoles and their derivatives have gained very much importance during the last decades. 2-Arylbenzothiazoles, an important class of heterocyclic compounds, possess potent medicinal, and industrial applications [1–10]. This heterocyclic scaffold is found in natural products as well as in synthetic derivatives. Firefly luciferin [(*S*)-2-(6′-hydroxy-2′-benzothiazolyl)thiazoline-4-carboxylic acid] is a benzothiazolyl derivative [11]: the bioluminescence is produced by photo-oxygenation at the asymmetric center (Fig. 1). 2-Amino-6-(trifluoromethoxy)benzothiazole (Riluzole) is applied to treat a nerve disease called amyotrophic lateral sclerosis (Lou Gehrig's disease) (Fig. 1) [12].

2-Arylbenzothiazoles are most commonly synthesized by one of the major routes as outlined in Scheme 1 [13–38]. Despite these intensive efforts, most of the existing methods suffer from certain shortcomings such as poor yields, long reaction times, side products, high temperature, use of strong oxidants, and toxic solvents. Therefore, development and introduction of an expedient, milder and efficient, preferably an environmentally benign protocol to conquer these negative aspects is an exigent assignment for organic researcher. Keeping above facts in mind, we planned to develop an expedient, milder, and efficient method for the synthesis of 2-aryl/heteroarylbenzothiazole.

Of these strategies (Scheme 1), we selected the oxidative condensation of 2-aminothiophenol and 2-aryl/heteroaryl aldehydes mediated by hypervalent iodine (III) reagents [iodobenzene diacetate (IBD) or phenyliodine bistrifluoroacetate (PIFA)]. The reactions were carried out under solvent-free conditions, by just grinding the reaction partners using mortar and pestle, a technique known as "Grindstone Chemistry."

The principle of green chemistry obliges us to check the use of organic solvents. For reasons of economy and pollution, solvent-free methods are of great interest in order to modernize classical procedures making them more clean, safe, and easy to perform. Hypervalent iodine (III) reagents have gained much importance as an oxidizing reagent due to their environmentally benign properties and replacing the use of toxic transition metals involved in such processes [39, 40]. The poor solubility of hypervalent iodine (III) reagents in most common organic solvents led to the development of solvent-free reactions [41, 42], which is demonstrated here.

RESULTS AND DISCUSSION

In continuation on the synthesis of biologically active heterocycles and our ongoing attention to the development of new methodologies [42, 43], herein we describe the solvent-free oxidative condensation of 2-aminothiophenol

Figure 1. Some important compounds having benzothiazole moiety.

and 2-aryl/heteroaryl aldehydes using hypervalent iodine (III) reagents. The high oxidizing power of IBD and PIFA led us to hypothesize that these can act as efficient oxidizing reagents for this protocol (Scheme 2). To the best of our knowledge, the generality and applicability of hypervalent iodine (III) reagents under solvent-free conditions for the synthesis of benzothiazoles is not known.

In a typical reaction, an equimolar amount of 2-aminothiophenol (1.0 mmol) and benzaldehyde (1.0 mmol) were mixed in a mortar by pestle for 1–2 min. The reaction mixture became cloudy after 1 min and gradually solidified. Then IBD (1.2 mmol) or PIFA (1.2 mmol) was added and the reaction mixture was ground at room temperature for the time as indicated in Table 1. Suddenly, exothermic reaction occurred and reaction mixture became wet with generation of acetic acid or trifluoroacetic acid. After usual workup, 2-phenylbenzothiazole **3** was obtained in excellent yield [90% (IBD), 92% (PIFA)].

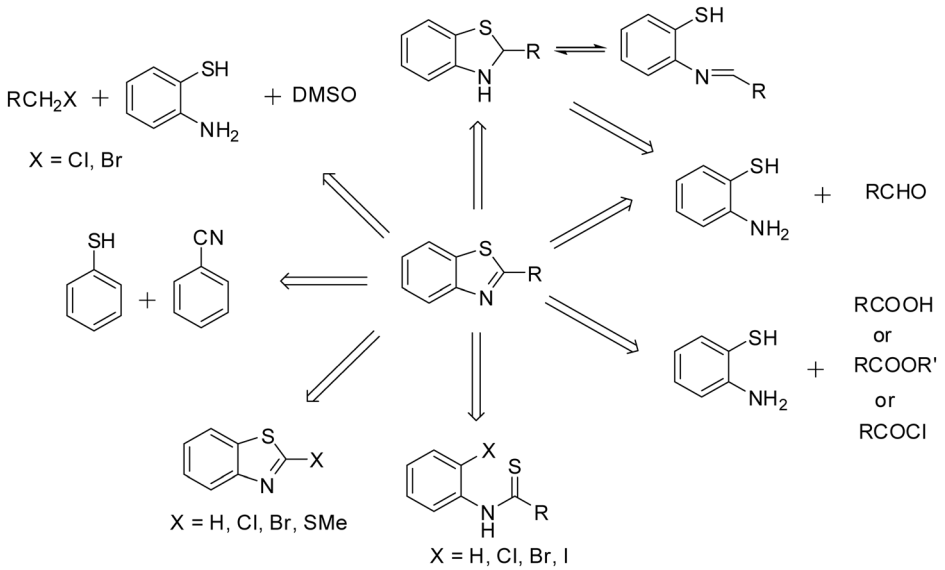
To check the generality of this organic transformation, various substituted aryl/heteroaryl aldehydes and 2-aminothiophenol were condensed in the presence of hypervalent iodine (III) reagents to afford 2-aryl/heteroarylbenzothiazoles in high yield (80–95%). The synthesis of benzothiazoles was supported by elemental analysis, IR and ^{1}H-NMR (*vide* experimental). Reactions proceed smoothly and the effect of an electron donating or an electron-withdrawing group on the aromatic ring of the aldehydes was not observed. The time required for the PIFA mediated benzothiazoles synthesis is less then the IBD but yields are comparative (Table 1).

The proposed mechanism for the formation of benzothiazoles is sketched in Scheme 3. 2-Aminothiophenol reacts with aldehydes to give 2-aryl/heteroaryl benzothiazolines or Schiff's bases. The nitrogen of benzothiazolines attacks on the nucleophilic iodine of the hypervalent iodine (III) reagents displacing one of the acetate or trifluoroacetate groups, giving *N*-iodine (III) adduct, followed by elimination of iodobenzene and acetic acid or trifluoroacetic acid.

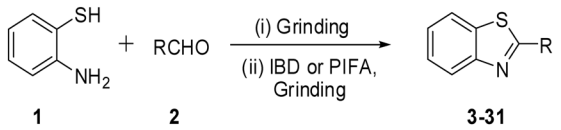
The current method was found to be extremely convenient for selective synthesis of 2-aryl/heteroarylbenzothiazoles. No side reaction of sulfur radical was found to take place under these conditions. Therefore, the present protocol for the synthesis of 2-aryl/heteroarylbenzothiazoles using hypervalent iodine (III) reagents would be superior to the previously reported methods because of (i) less time consumption, (ii) solvent-free synthesis, (iii) high yields, (iv) no side reaction such as oxidation of sulfur, and (v) very simple workup.

In summary, we have described a general and practical route for the synthesis of 2-aryl/heteroarylbenzothiazoles using hypervalent iodine (III) reagents under solvent-free conditions. The methodology is applicable to a wide variety of structurally diverse substrates and delivers the target products in good yields, excellent homogeneity, and often in analytically pure form.

Scheme 1. Strategies for the synthesis of benzothiazoles.



Scheme 2. Synthesis of 2-aryl/heteroarybenzothiazoles using hypervalent iodine (III) reagents under solvent-free condition.



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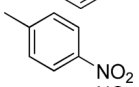
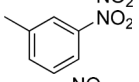
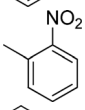
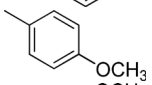
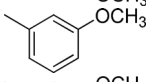
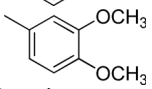
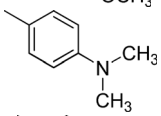
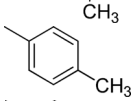
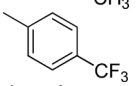
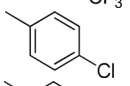
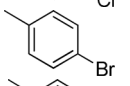
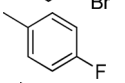
EXPERIMENTAL

All chemicals used in this study were purchased from local venders and used without further purification. Melting points were determined on buchi oil heating melting apparatus and are uncorrected. ^{1}H-NMR spectra were recorded in $CDCl_3$ on Brucker-400 MHz spectrometer using TMS as internal standard (chemical

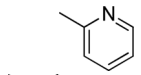
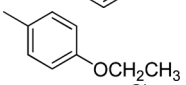
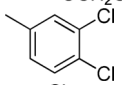
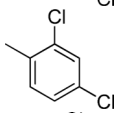
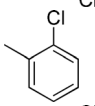
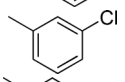
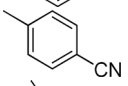
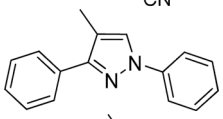
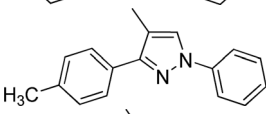
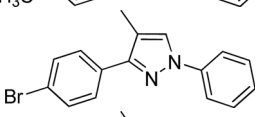
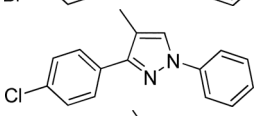
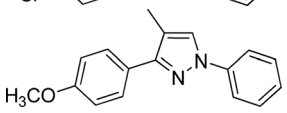
Table 1

Solvent-free synthesis of 2-aryl/heteroarylbenothiazoles mediated by hypervalent iodine (III) reagents.

S. No.	Entries	R	Time[a] (min)		Yield[b] (%)		Mp[c] (°C)	Lit. Mp (°C)
			IBD	PIFA	IBD	PIFA		
1	**3**		5	2	90	92	113–114	112–113 [38]
2	**4**		6	3	89	94	231–232	230–231 [38]
3	**5**		6	3	90	90	183–184	183–185 [26]
4	**6**		6	3	85	87	138–139	138–140 [18(a)]
5	**7**		6	3	91	92	120–121	119–120 [38]
6	**8**		5	3	85	85	101–102	101–102 [18(a)]
7	**9**		5	3	85	85	142–143	142–144 [26]
8	**10**		7	3	86	85	175–176	173–174 [38]
9	**11**		7	3	86	87	86–87	85–86 [38]
10	**12**		8	4	86	90	160–161	159–160 [27(g)]
11	**13**		8	4	89	91	118–119	117–118 [38]
12	**14**		8	4	92	92	130–132	131–132 [38]
13	**15**		8	4	89	95	100–101	101–102 [38]
14	**16**		10	4	80	85	103–104	102–103 [38]
15	**17**		10	4	81	86	100–101	99–100 [38]
16	**18**		10	4	80	85	123–124	124–125 [27(g)]
17	**19**		9	5	80	89	125–126	127 [27(g)]

(*Continued*)

Table 1
(*Continued*)

S. No.	Entries	R	Time[a] (min)		Yield[b] (%)		Mp[c] (°C)	Lit. Mp (°C)
			IBD	PIFA	IBD	PIFA		
18	**20**		9	5	80	85	133–134	132–133 [27(g)]
19	**21**		8	5	84	91	98–99	97–98 [27(o)]
20	**22**		7	4	85	92	119–120	117–118 [27(o)]
21	**23**		8	3	90	92	148–149	147–148 [27(o)]
22	**24**		8	4	88	94	85–86	84–85 [27(p)]
23	**25**		9	5	88	95	99–100	97–99 [27(q)]
24	**26**		10	5	90	92	166–168	167 [27(q)]
25	**27**		10	5	82	85	137–138	129–130 [38]
26	**28**		10	5	83	85	179–180	159–160 [38]
27	**29**		10	5	83	83	210–212	200–202 [27(j)]
28	**30**		10	5	80	85	202–203	173–175 [27(j)]
29	**31**		10	5	81	82	170–171	167–169 [27(j)]

[a]Time for grinding after adding of IBD or PIFA.
[b]Yields are isolated.
[c]Melting points are uncorrected and compared with literature reports.

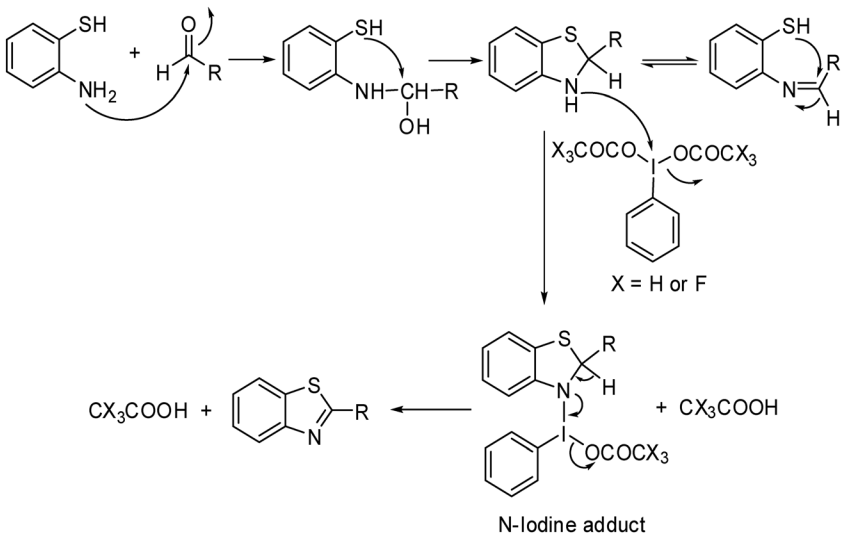
shift in δ, ppm). IR spectra were taken on a PerkinElmer 1600, FTIR spectrophotometer using KBr pellets and peaks are reported in cm^{-1}.

General procedure for the synthesis of 2-aryl/heteroaryl-benzothiazoles. A mixture of 2-aminothiophenol (1.0 mmol) and aldehyde (1.0 mmol) was blended thoroughly in pestle with mortar for 2 min. The reaction mixture became cloudy after 1 min and gradually solidified. Then we added hypervalent iodine (III) reagent (1.2 mmol) and ground the reaction mixture for the time indicated in Table 1. Suddenly exothermic reaction occurred and reaction mixture became wet with generation of acetic acid or trifluoroacetic acid. Progress of reaction was monitored on TLC. After completion of reaction, reaction mixture was triturated with hexane to remove iodobenzene, then added saturated solution of aq. $NaHCO_3$ to quench the reaction and filtered the product. The solid thus obtained was recrystallized with methanol to afford 2-aryl/heteroarylbenzothiazoles.

The spectral and analytical data of 2-aryl/heteroaryl benzothiazoles is as follows:

2-(4-Nitrophenyl)benzothiazole (4). IR (KBr, cm^{-1}): 3036, 1603, 1585, 1542, 1504, 1465, 1341, 873, 761. ^1H NMR (400 MHz, $CDCl_3$) δ: 7.32–7.38 (m, 1H), 7.45–7.51 (m, 1H), 7.75–7.85 (m, 3H), 8.01–8.03 (d, J = 8.13 Hz, 1H), 8.25 (d, J = 7.8 Hz, 2H). Anal. Calcd. for. $C_{13}H_8N_2O_2S$: C, 60.93; H, 3.15; N, 10.93. Found: C, 61.06; H, 3.21; N, 11.01.

Scheme 3. Mechanistic pathway for the synthesis of benzothiazoles.



2-(4-Methoxyphenyl)benzothiazole (7). IR (KBr, cm^{-1}): 3053, 2925, 1601, 1577, 1466, 1210, 1023, 835, 737. ^{1}H-NMR (400 MHz, $CDCl_3$) δ 3.90 (3H, s), 6.95–7.00 (2H, m), 7.32–7.36 (m, 1H), 7.45–7.51 (m, 1H), 7.65–7.69 (m, 2H), 7.76–7.78 (m, 1H), 8.00–8.02 (d, *J* = 8.1 Hz, 1H). Anal. Calcd. for $C_{14}H_{11}NOS$: C, 69.68; H, 4.59; N, 5.80. Found: C, 69.86; H, 4.62; N, 5.68.

2-(3-Methoxyphenyl)benzothiazole (8). IR (KBr, cm^{-1}): 3035, 2923, 1612, 1575, 1462, 1415, 1266, 1019, 786, 755. ^{1}H-NMR (400 MHz, $CDCl_3$) δ: 3.96 (s, 3H), 7.00–7.10 (m, 1H), 7.30–7.37 (m, 3H), 7.46–7.51(m, 2H), 7.65–7.78 (m, 2H), 7.99–8.01 (d, *J* = 8.0 Hz, 1H). Anal. Calcd. for: $C_{14}H_{11}NOS$: C, 69.68; H, 4.59; N, 5.80. Found: C, 69.73; H, 4.49; N, 5.72.

2-(4-Trifluoromethyl-phenyl)benzothiazole (12). IR (KBr, cm^{-1}): 3055, 1608, 1578, 1504, 1465, 1222, 845, 760. ^{1}H-NMR (400 MHz, $CDCl_3$): δ 7.33–7.35 (m, 1H), 7.41–7.51 (m, 1H), 7.65 (d, *J* = 7.7 Hz, 2H), 7.76–7.78 (m, 1H), 8.01–8.02 (d, *J* = 8.0 Hz, 1H), 8.12 (d, *J* = 7.7 Hz, 2H). Anal. Calcd. for $C_{14}H_8F_3NS$: C, 60.21; H, 2.89; N, 5.02. Found: C, 60.09; H, 2.77; N, 4.96.

2-Thienyl-1,3-benzothiazole (17). IR (KBr, cm^{-1}): 3080, 3040, 1620. ^{1}H-NMR (400 MHz, $CDCl_3$): δ 7.20–7.23 (m, 1H); 7.34–7.38 (m, 1H); 7.45–7.52 (m, 2H); 7.69 (d, *J* = 4.1 Hz, 1H); 7.80–7.83 (m, 1H), 8.01–8.03 (d, *J* = 8.0 Hz, 1H). Anal. Calcd. for $C_{11}H_7NS_2$: C, 60.80; H, 3.25; N, 6.45. Found: C, 60.72; H, 3.29; N, 6.49.

2-Pyridin-4-yl-benzothiazole (20). IR (KBr, cm^{-1}): 3065, 3033, 1615, 1585, 1471, 1030, 836, 793. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34–7.36 (m, 1H), 7.42–7.50 (m, 1H), 7.83–7.88 (3H, m), 8.02–8.04 (d, *J* = 8.4 Hz, 1H), 8.65 (d, *J* = 5.8 Hz, 2H). Anal. Calcd. for $C_{12}H_8N_2S$: C, 67.90; H, 3.80; N, 13.20. Found: C, 68.01; H, 3.70; N, 13.05.

2-Pyridin-2-yl-benzothiazole (22). IR (KBr, cm^{-1}): 3074, 3038, 1607, 1588, 1477, 1032, 837, 799. ^{1}H-NMR (400 MHz, $CDCl_3$): δ 7.36–7.42 (m, 2H), 7.50–7.52 (m, 1H), 7.81–7.89 (m, 2H), 7.99–8.03 (m, 1H), 8.27–8.33 (m, 1H), 8.55–58 (m, 1H). Anal. Calcd. for $C_{12}H_8N_2S$: C, 67.90; H, 3.80; N, 13.20. Found: C, 67.85; H, 3.82; N, 13.22.

2-(2-Chlorophenyl)benzothiazole (24). IR (KBr, cm^{-1}): 3055, 1605, 1589, 1425, 1242, 1022, 752. ^{1}H-NMR (400 MHz, $CDCl_3$) δ: 7.32–7.36 (m, 2H), 7.41–7.56 (m, 3H), 7.69–7.71 (m, 1H), 7.80–7.83 (m, 1H), 8.01–8.03 (d, *J* = 8.8 Hz, 1H). Anal. Calcd. for: $C_{13}H_8ClNS$: C, 63.54; H, 3.28; N, 5.70. Found: C, 63.48; H, 3.33; N, 5.58.

2-(1,3-Diphenyl-1H-pyrazol-4-yl)benzothiazole (27). IR (KBr, cm^{-1}): 3035, 1612, 1558, 1525, 1496, 1448, 1255, 1012, 967, 938, 751. ^{1}H- NMR (400 MHz, $CDCl_3$): δ 7.29–7.36 (m, 2H), 7.45–7.51 (m, 6H), 7.76–7.78 (m, 3H), 7.83 (d, *J* = 8.0 Hz, 2H), 8.00(d, *J* = 8.1 Hz, 1H), 8.63 (s, 1H). Anal. Calcd. for $C_{22}H_{15}N_3S$: C, 74.76; H, 4.28; N, 11.82. Found: C, 74.82; H, 4.25; N, 11.75.

2-(1-Phenyl-3-p-tolyl-1H-pyrazol-4-yl)benzothiazole (28). IR (KBr, cm^{-1}): 3055, 3012, 2915, 1618, 1601, 1581, 1539, 1477, 1310, 1235, 1160, 1012, 971, 733. ^{1}H-NMR (400 MHz, $CDCl_3$): δ 2.45 (s, 3H), 7.20–7.35 (m, 4H), 7.41–7.49 (m, 3H), 7.63 (d, *J* = 7.7 Hz, 2H), 7.76 (d, *J* = 7.9 Hz, 1H), 7.82 (d, *J* = 7.7 Hz, 2H), 8.00 (d, *J* = 8.1 Hz, 1H), 8.64 (s, 1H). Anal. Calcd. for $C_{23}H_{17}N_3S$: C, 75.18; H, 4.66; N, 11.44. Found: C, 74.99; H, 4.71; N, 11.33.

2-[3-(4-Bromophenyl)-1-phenyl-1H-pyrazol-4-yl)]benzothiazole (29). IR (KBr, cm^{-1}): 3065, 2933, 1612, 1563, 1505, 1477, 1233, 960, 835, 741. ^{1}H-NMR (400 MHz, $CDCl_3$): δ 7.34–7.38 (m, 2H), 7.46–7.52 (m, 3H), 7.60 (d, *J* = 8.4 Hz, 2H), 7.68 (d, *J* = 8.4 Hz, 2H), 7.80–7.82 (m, 3H), 8.01 (d, *J* = 8.0 Hz, 1H), 8.60 (s, 1H). Anal. Calcd. for $C_{22}H_{14}BrN_3S$: C, 61.12; H, 3.26; N, 9.72. Found: C, 61.06; H, 3.32; N, 9.63.

2-[3-(4-Chlorophenyl)-1-phenyl-1H-pyrazol-4-yl)]benzothiazole (30). IR (KBr, cm^{-1}): 3055, 2825, 1622, 1586, 1542, 1499, 1287, 1233, 1088, 1002, 962, 937, 829, 746. ^{1}H-NMR (400 MHz, $CDCl_3$): δ 7.34–7.38 (m, 2H), 7.43–7.52 (m, 5H), 7.72–7.75 (m, 2H,), 7.79–7.83 (m, 3H), 8.02 (d, *J* = 8.1 Hz, 1H), 8.63 (s, 1H). Anal. Calcd. for $C_{22}H_{14}ClN_3S$: C, 68.12; H, 3.64; N, 10.83. Found: C, 68.22; H, 3.70; N, 10.74.

2-[3-(4-Methoxyphenyl)-1-phenyl-1H-pyrazol-4-yl)]benzothiazole (31). IR (KBr, cm^{-1}): 3056, 3310, 2938, 1607, 1588, 1522, 1467, 1447, 1301, 1242, 1175, 1026, 968, 835, 756. ^{1}H-NMR (400 MHz,

$CDCl_3$): δ 3.87 (s, 3H), 6.98–7.02 (m, 2H), 7.30–7.36 (m, 2H), 7.44–7.50 (m, 3H), 7.67–7.69 (m, 2H), 7.76–7.78 (m, 1H), 7.81–7.83 (m, 2H), 8.02 (d, J = 8.0 Hz, 1H), 8.66 (s, 1H). Anal. Calcd. for $C_{23}H_{17}N_3OS$: C, 72.04; H, 4.47; N, 10.96. Found: C, 72.15; H, 4.41; N, 10.88.

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