An Efficient and Direct Synthesis of 2-Thiopyridines *via* Microwave-Assisted Three-Component Reaction

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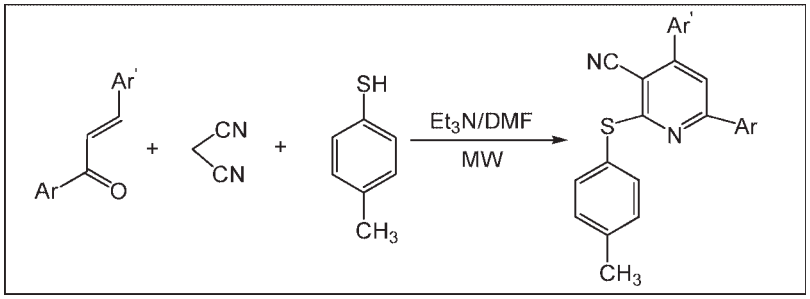

A series of 2-*p*-tolylthiopyridine derivatives was directly synthesized *via* three-component reactions of chalcones, malononitrile, and 4-methylbenzenethiol catalyzed by Et_3N in DMF under microwave irradiation. It is an efficient and promising synthetic strategy to construct 2-thiopyridine skeleton with the advantage of short time, excellent yield, and convenient operation.

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INTRODUCTION

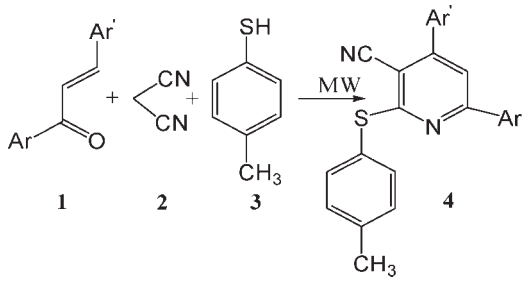
The pyridine nucleus, as the key constituent in a range of bioactive compounds, is one of the most well-known systems among the naturally occurring heterocycles [1]. Polysubstituted pyridines including the related 2-thiopyridines are prominent building blocks, exhibit antibacterial [2,3], pesticidal [4], antifungal [4,5], and acaricidal properties [5] and may have potential ability to form complexes with transition metals. Thus, the synthesis of pyridines and their analogs has attracted much attention. The majority of synthetic methods to the target compounds start from the condensation of α,β-unsaturated ketones or β-diketones with cyanothioacetamide, and then formed 2-alkylthio-pyridines by the reaction of the iodoalkane [6]. However, even these methods are still not satisfactory because of the narrow scope of substituted alkylthiopyridines produced and the multistep synthesis. Therefore, the development of a simple, one-pot, and directed synthetic route, which provides diverse of 2-thiopyridine compounds, is strongly desired for this important class of heterocycles.

As a part of our ongoing development of efficient protocols for the preparation of polysubstituted heterocycles [7] from common intermediates, we recently discovered technically simple microwave (MW) conditions for the synthesis of new 2-(*p*-tolylthio)-4,6-diarylpyridine-3-carbonitrile derivatives using α,β-unsaturated ketones as starting materials (Scheme 1).

RESULTS AND DISCUSSION

To choose the most appropriate medium in this heterocyclization reaction, the MW-assisted reaction of 3-(4-bromophenyl)-1-*p*-tolylprop-2-en-1-one (**1a**), malononitrile (**2**), and 4-methylbenzenethiol (**3**) was examined using the solvent of HOAc, glycol, THF, DMF, and EtOH as solvent at 100°C, respectively. The results are summarized in Table 1, the reaction in DMF gave the best yield. Therefore, DMF was chosen as the solvent of this reaction. Moreover, to further improve the reaction yields, different bases were examined for their ability to promote this reaction at 100°C. As shown in Table 2, the Et_3N afforded the target product **4a** in an 85% yield. Finally, to further optimize the reaction temperature, the synthesis of **4a** was performed in the presence of Et_3N at the temperatures ranging from 100 to 130°C. As illustrated in Table 3, the yield of product **4a** was increased and the reaction time was shortened as the temperature was increased from 100 to 120°C. The yield decreased slightly when the temperature was further increased from 120 to 130°C. So, the temperature of 120°C was chosen for all further microwave-assisted reactions.

Scheme 1



Under these optimized reaction conditions, we synthesized a series of products **4** using this simple procedure. The results are summarized in Table 4 (Entries 1–14). This methodology is applicable to aromatic and heteroaromatic chalcones. Furthermore, the electronic effect with various substituents on the chalcones was studied and had no significant effect on this reaction.

A mechanism for the formation of the products **4** is outlined in Scheme 2. The reaction occurs via initial formation of compounds **5** afforded by Michael addition reaction of chalcones and malononitrile followed by the thiolate nucleophilic attack in the presence of Et_3N. The intermediate **5** cyclizes to dihydropyridine **6** and subsequent afford the fully aromatized compound **4** with the loss of hydrogen. This type of oxidation is well precedented [8b,9]. In this study, all the products were characterized by mp, IR, and ^1H NMR spectral data and elemental analysis.

In summary, we demonstrated a rapid and direct method that offers a simple and efficient route for the one-pot, three-component synthesis of highly functionalized 2-thiopyridine derivatives in excellent yields. Particularly valuable features of this method include operational simplicity and increased safety for small-scale high-speed synthesis.

EXPERIMENTAL

Microwave irradiation was carried out with an Emrys™ Creator microwave oven from Personal Chemistry, Uppsala, Sweden. Melting points were determined in open capillaries and were uncorrected. IR spectra were recorded on a FT-IR-Tensor 27 spectrometer in KBr. ^1H NMR spectra were measured on a DPX 400 MHz spectrometer using TMS as an internal standard and DMSO-d_6 as solvent. Elemental analyses were determined with a Perkin-Elmer 240c elemental analysis instrument.

Table 1

Solvent optimization for the synthesis of **4a**.

Entry	Solvent	Time (min)	Yield (%)
1	THF	12	25
2	Glycol	12	28
3	EtOH	12	24
4	HOAc	12	40
5	DMF	12	55

Table 2

Catalyst optimization for the synthesis of **4a**.

Entry	Base	Time (min)	Yield (%)
1	NaOH	12	70
2	K_2CO_3	12	72
3	DMAP	12	75
4	Piperidine	12	78
5	Et_3N	12	85

General procedure for 2-(*p*-Tolylthio)-4,6-diphenylpyridine-3-carbonitrile derivatives (4). The reactions were performed in a 10 mL Emrys™ reaction vial. Chalcones **1** (1 mmol), malononitrile **2** (1 mmol), and 4-methylbenzenethiol **3** (1 mmol) in DMF in the presence of Et_3N were mixed and then capped. The mixture was heated for a given time at 120°C under microwave irradiation (initial power 200 W and maximum power 250 W). Upon complete consumption of starting materials, as monitored by TLC, the reaction mixture was cooled to room temperature, and then filtered to give the crude product, which was further purified by recrystallization from EtOH (95%) to give pure target products **4**.

2-(*p*-Tolylthio)-4-(4-bromophenyl)-6-*p*-tolylpyridine-3-carbonitrile 4a. This compound was obtained according to the above general procedure; IR (potassium bromide): 3017, 2917, 2210, 1572, 1522, 1491, 1368, 1008, 820, 803, 761 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.92 (s, 1H, PyH),7.79 (t, J = 7.6 Hz, 4H, ArH), 7.68 (d, J = 8.4 Hz, 2H, ArH), 7.56 (d, J = 8.4 Hz, 2H, ArH), 7.38 (d, J = 8.0 Hz, 2H, ArH), 7.20 (d, J = 8.0 Hz, 2H, ArH), 2.44 (s, 3H, CH_3), 2.32 (s, 3H, CH_3); Anal. Calcd. for $C_{26}H_{19}BrN_2S$: C, 66.24; H, 4.06; N, 5.94; Found: C, 66.45; H, 4.10; N, 5.90.

2-(*p*-Tolylthio)-4-(4-chlorophenyl)-6-*p*-tolylpyridine-3-carbonitrile 4b. This compound was obtained according to above general procedure; IR (potassium bromide): 3063, 2918, 2210, 1595, 1523, 1367, 1093, 821, 801, 760 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.92 (s, 1H, PyH),7.79 (t, J = 7.6 Hz, 4H, ArH), 7.68 (d, J = 8.4 Hz, 2H, ArH), 7.56 (d, J = 8.4 Hz, 2H, ArH), 7.38 (d, J = 8.0 Hz, 2H, ArH), 7.20 (d, J = 8.0 Hz, 2H, ArH), 2.44 (s, 3H, CH_3), 2.32 (s, 3H, CH_3). Anal. Calcd. For $C_{26}H_{19}ClN_2S$: C, 73.14; H, 4.49; N, 6.56; Found: C, 73.29; H, 4.52; N, 6.63.

Table 3

Temperature optimization for the synthesis **4a**.

Entry	Temp (°C)	Time (min)	Yield (%)
1	100	12	85
2	105	11	86
3	110	10	88
4	115	10	89
5	120	9	95
6	125	9	94
7	130	9	94

Table 4

Physical data of products **4**.

Entry	Product	Chalcones	Time (min)	Yield (%)	Mp (°C)
1	**4a**	3-(4-Bromophenyl)-1-*p*-tolylprop-2-en-1-one	9	95	254–255
2	**4b**	3-(4-Chlorophenyl)-1-*p*-tolylprop-2-en-1-one	8	93	195–196
3	**4c**	3-(4-Nitrophenyl)-1-*p*-tolylprop-2-en-1-one	9	92	266–268
4	**4d**	3-(3,4-Dimethoxyphenyl)-1-*p*-tolylprop-2-en-1-one	10	90	174–175
5	**4f**	3-(Benzo[*d*][1,3]dioxol-6-yl)-1-*p*-tolylprop-2-en-1-one	9	93	212–215
6	**4e**	3-(4-Bromophenyl)-1-(4-methoxyphenyl)prop-2-en-1-one	8	94	221–223
7	**4g**	1-(4-Methoxyphenyl)-3-phenylprop-2-en-1-one	9	89	195–196
8	**4h**	3-(4-(Dimethylamino)phenyl)-1-(4-methoxyphenyl)prop-2-en-1-one	9	90	182–184
9	**4i**	3-(3,4-Dimethoxyphenyl)-1-phenylprop-2-en-1-one	10	91	245–248
10	**4j**	1-(4-Fluorophenyl)-3-(3,4-dimethoxyphenyl)prop-2-en-1-one	7	94	183–184
11	**4k**	1-(4-Fluorophenyl)-3-(4-methoxyphenyl)prop-2-en-1-one	7	94	201–202
12	**4l**	1-(4-Chlorophenyl)-3-(4-methoxyphenyl)prop-2-en-1-one	8	93	195
13	**4m**	3-(3,4-Dimethoxyphenyl)-1-(pyridin-2-yl)prop-2-en-1-one	7	90	189–190
14	**4n**	3-(4-Bromophenyl)-1-(pyridin-2-yl)prop-2-en-1-one	7	90	191–192

2-(*p*-Tolylthio)-4-(4-nitrophenyl)-6-*p*-tolylpyridine-3-carbonitrile 4c. This compound was obtained according to the above general procedure; IR (potassium bromide): 3071, 2207, 1604, 1567, 1526, 1353, 1018, 859, 820, 808 cm^{-1}; ^1H NMR (DMSO-d_6): δ 8.44 (d, J = 8.4 Hz, 2H, ArH), 8.05 (d, J = 8.0 Hz, 2H, ArH), 8.00 (s, 1H, PyH), 7.79 (d, J = 8.0 Hz, 2H, ArH), 7.57 (d, J = 8.0 Hz, 2H, ArH), 7.39 (d, J = 8.0 Hz, 2H, ArH), 7.22 (d, J = 8.0 Hz, 2H, ArH), 2.44 (s, 3H, CH_3), 2.33 (s, 3H, CH_3). Anal. Calcd. for $C_{26}H_{19}N_3O_2S$: C, 71.38; H, 4.38; N, 9.60; Found: C, 71.54; H, 4.40; N, 9.65.

2-(*p*-Tolylthio)-4-(3,4-dimethoxyphenyl)-6-*p*-tolylpyridine-3-carbonitrile 4d. This compound was obtained according to the above general procedure; IR (potassium bromide): 3003, 2935, 2214, 1604, 1569, 1523, 1506, 1270, 1140, 1022, 800, 662 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.84 (s, 1H, PyH), 7.73 (d, J = 8.0 Hz, 2H, ArH), 7.51 (d, J = 8.0 Hz, 2H, ArH), 7.35–7.29 (m, 4H, ArH), 7.17–7.11 (m, 3H, ArH), 3.83 (s, 3H, OCH_3), 3.81 (s, 3H, OCH_3), 2.39 (s, 3H, CH_3), 2.28 (s, 3H, CH_3). Anal. Calcd. for $C_{28}H_{24}N_2O_2S$: C, 74.31; H, 5.35; N, 6.19; Found: C, 74.11; H,5.51; N, 6.32.

2-(*p*-Tolylthio)-4-(benzo[*d*][1,3]dioxol-6-yl)-6-*p*-tolylpyridine-3-carbonitrile 4e. This compound was obtained according to the above general procedure; IR (potassium bromide): 2918, 2214, 1566, 1525, 1502, 1376, 1251, 1042, 816 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.85 (s, 1H, PyH), 7.77 (d, J = 8.0 Hz, 2H, ArH), 7.55 (d, J = 8.0 Hz, 2H, ArH), 7.39–7.37 (m, 3H, ArH), 7.30–7.27 (m, 1H, ArH), 7.19 (d, J = 8.0 Hz, 2H, ArH), 7.14 (d, J = 7.6 Hz, 2H, ArH), 6.16 (s, 2H, OCH_2O), 2.43 (s, 3H, CH_3), 2.32 (s, 3H, CH_3). Anal. Calcd. for $C_{27}H_{20}N_2O_2S$: C, 74.29; H, 4.62; N, 6.42; Found: C, 74.31; H, 4.76; N, 6.53.

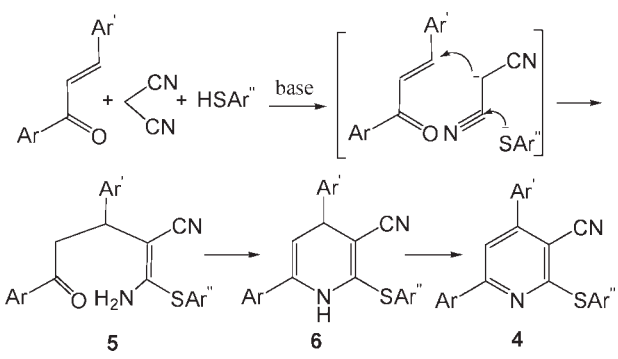
2-(*p*-Tolylthio)-4-(4-bromophenyl)-6-(4-methoxyphenyl)-pyridine-3-carbonitrile 4f. This compound was obtained according to the above general procedure; IR (potassium bromide): 3065, 2961, 2212, 1600, 1574, 1519, 1489, 1421, 1256, 1176, 1024, 1009, 983, 839, 820, 810 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.87 (s, 1H, PyH), 7.84–7.81 (m, 4H, ArH), 7.71 (d, J = 8.4 Hz, 2H, ArH), 7.56 (d, J = 8.0 Hz, 2H, ArH), 7.39 (d, J = 7.6 Hz, 2H, ArH), 6.93 (d, J = 9.2 Hz, 2H, ArH), 3.81 (s, 3H, OCH_3), 2.44 (s, 3H, CH_3). Anal. Calcd. for $C_{26}H_{19}BrN_2OS$: C, 64.07; H, 3.93; N, 5.75; Found: C, 64.26; H, 3.85; N, 5.89.

2-(*p*-Tolylthio)-6-(4-methoxyphenyl)-4-phenylpyridine-3-carbonitrile 4g. This compound was obtained according to the above general procedure; IR (potassium bromide): 3015, 2976, 2214, 1608, 1568, 1525, 1491, 1406, 1368, 1310, 1168, 1022, 834, 808, 773, 757, 698 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.88–7.86 (m, 3H, ArH, PyH), 7.77–7.75 (m, 2H, ArH), 7.61–7.57 (m, 5H, ArH), 7.39 (d, J = 8.0 Hz, 2H, ArH), 6.93 (d, J = 8.8 Hz, 2H, ArH), 3.81 (s, 3H, OCH_3), 2.45 (s, 3H, CH_3). Anal. Calcd. for $C_{26}H_{20}N_2OS$: C, 76.44; H, 4.93; N, 6.86; Found: C, 76. 04; H, 4.96; N, 6.88.

2-(*p*-Tolylthio)-4-(4-(dimethylamino)phenyl)-6-(4-methoxyphenyl)pyridine-3-carbonitrile 4h. This compound was obtained according to the above general procedure; IR (potassium bromide): 3070, 3014, 2889, 2208, 1610, 1567, 1506, 1406, 1365, 1310, 1246, 1202, 1167, 1060, 836, 808, 735 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.84 (d, J = 9.2 Hz, 2H, ArH), 7.77 (s, 1H, PyH), 7.65(d, J = 8.8 Hz, 2H, ArH), 7.55 (d, J = 8.0 Hz, 2H, ArH), 7.37 (d, J = 8.0 Hz, 2H, ArH), 6.92 (d, J = 9.2 Hz, 2H, ArH), 6.86 (d, J = 9.2 Hz, 2H, ArH), 3.80 (s, 3H, OCH_3), 3.02 (s, 6H, $N(CH_3)_2$), 2.43 (s, 3H, CH_3). Anal. Calcd. for $C_{28}H_{25}N_3OS$: C, 74.47; H, 5.58; N, 9.31; Found: C, 74.27; H, 5.65; N, 9.57.

2-(*p*-Tolylthio)-4-(3,4-dimethoxyphenyl)-6-phenylpyridine-3-carbonitrile 4i. This compound was obtained according to the above general procedure; IR (potassium bromide): 3034, 2998, 2210, 1606, 1570, 1551, 1491, 1368, 1266, 1252, 1172,

Scheme 2



1024, 828, 813, 781, 758, 691 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.96–7.93 (m, 3H, ArH, PyH), 7.56 (d, J = 8.0 Hz, 2H, ArH), 7.40–7.35 (m, 4H, ArH), 7.25 (t, J = 8.8 Hz, 2H, ArH), 7.17 (d, J = 8.0 Hz, 1H, ArH), 3.87 (s, 3H, OCH_3), 3.86 (s, 3H, OCH_3), 2.45 (s, 3H, CH_3). Anal. Calcd. for $C_{27}H_{22}N_2O_2S$: C, 73.95; H, 5.06; N, 6.39; Found: C, 73.86; H, 5.16; N, 6.59.

2-(*p*-Tolylthio)-6-(4-fluorophenyl)-4-(3,4-dimethoxyphenyl) pyridine-3-carbonitrile 4j. This compound was obtained according to the above general procedure; IR (potassium bromide): 3004, 2955, 2207, 1600, 1573, 1524, 1506, 1263, 1219, 1151, 1029, 824, 808; ^1H NMR (DMSO-d_6): δ 7.96–7.93 (m, 3H, ArH, PyH), 7.56 (d, J = 8.0 Hz, 2H, ArH), 7.40–7.35 (m, 4H, ArH), 7.25 (d, J = 8.8 Hz, 2H, ArH), 7.17 (d, J = 8.4 Hz, 2H, ArH), 3.87 (s, 3H, OCH_3), 3.81 (s, 3H, OCH_3), 2.44 (s, 3H, CH_3). Anal. Calcd. for $C_{27}H_{21}FN_2O_2S$: C, 71.03; H, 4.64; N, 6.14; Found: C, 71.15; H, 4.67; N, 6.20.

2-(*p*-Tolylthio)-6-(4-fluorophenyl)-4-(4-methoxyphenyl)-pyridine-3-carbonitrile 4k. This compound was obtained according to the above general procedure; IR (potassium bromide): 2918, 2214, 1600, 1571, 1524, 1515, 1373, 1255, 1154, 1034, 827, 799 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.96–7.92 (m, 3H, ArH, PyH), 7.75 (d, J = 8.8 Hz, 2H, ArH), 7.56 (d, J = 8.4 Hz, 2H, ArH), 7.38 (d, J = 8.0 Hz, 2H, ArH), 7.24 (t, J = 8.8 Hz, 2H, ArH), 7.15 (d, J = 8.8 Hz, 2H, ArH), 3.86 (s, 3H, OCH_3), 2.44 (s, 3H, CH_3). Anal. Calcd. for $C_{26}H_{19}FN_2OS$: C, 73.22; H, 4.49; N, 6.57; Found: C, 73.21; H, 4.48; N, 6.80.

2-(*p*-Tolylthio)-6-(4-chlorophenyl)-4-(4-methoxyphenyl)-pyridine-3-carbonitrile 4l. This compound was obtained according to the above general procedure; IR (potassium bromide): 3061, 2934, 2211, 1609, 1577, 1524, 1491, 1369, 1255, 1182, 1090, 1034, 1010, 829, 803 cm^{-1}; ^1H NMR (DMSO-d_6): δ 7.94 (s, 1H, PyH),7.89 (d, J = 8.8 Hz, 2H, ArH), 7.75 (d, J = 8.8 Hz, 2H, ArH), 7.55 (d, J = 8.0 Hz, 2H, ArH), 7.46 (d, J = 8.4 Hz, 2H, ArH), 7.38 (d, J = 8.0 Hz, 2H, ArH), 7.16 (d, J = 8.8 Hz, 2H, ArH), 3.86 (s, 3H, OCH_3), 2.44 (s, 3H, CH_3). Anal. Calcd. for $C_{26}H_{19}ClN_2OS$: C, 70.50; H, 4.32; N, 6.32; Found: C, 70.29; H, 4.50; N, 6.20.

2-(*p*-Tolylthio)-4-(3,4-dimethoxyphenyl)-6-(pyridin-2-yl) pyridine-3-carbonitrile 4m. This compound was obtained according to the above general procedure; IR (potassium bromide): 2932, 2834, 2213, 1560, 1511, 1269, 1142, 1025, 866, 800 cm^{-1}; ^1H NMR (DMSO-d_6): δ 8.70 (d, 1H, J = 4.4 Hz, PyH), 8.22 (s, 1H, PyH), 7.86 (t, J = 8.0 Hz, 1H, PyH), 7.68 (d, J = 8.0 Hz, 1H, PyH), 7.59 (d, J = 8.0 Hz, 2H, ArH), 7.48 (t, J = 8.0 Hz, 1H, PyH), 7.42–7.36 (m, 3H, ArH), 3.87 (s, 3H, OCH_3), 3.86 (s, 3H, OCH_3), 2.45 (s, 3H, CH_3). Anal. Calcd. for $C_{26}H_{21}N_3O_2S$: C, 71.05; H, 4.82; N, 9.56; Found: C, 71.20; H, 4.85; N, 9.57.

2-(*p*-Tolylthio)-4-(4-bromophenyl)-6-(pyridin-2-yl)pyridine-3-carbonitrile 4n. This compound was obtained according to the above general procedure; IR (potassium bromide): 3053, 2217, 1592, 1574, 1523, 1490, 1372, 1294, 1278, 1059, 1010, 806 cm^{-1}; ^1H NMR (DMSO-d_6): δ 8.70–8.69 (m, 1H, PyH), 8.20 (s, 1H, PyH), 7.89–7.86 (m, 1H, PyH),7.83 (d, J = 8.4 Hz, 2H, ArH), 7.71 (d, J = 8.4 Hz, 2H, ArH), 7.67 (d, J = 8.0 Hz, 2H, ArH), 7.59 (d, J = 8.0 Hz, 2H, ArH), 7.51–7.59 (m, 1H, PyH), 7.41 (d, J = 8.0 Hz, 2H, ArH), 2.45 (s, 3H, CH_3). Anal. Calcd. for $C_{24}H_{16}BrN_3S$: C, 62.89; H, 3.52; N, 9.17; Found: C, 63.01; H, 3.65; N, 9.29.

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