One-Pot Synthesis of 2,3-Dihydro-2-thioxoquinazolin-4(1*H*)-ones from Nitro-Compounds with the Aid of Tin(II) Chloride

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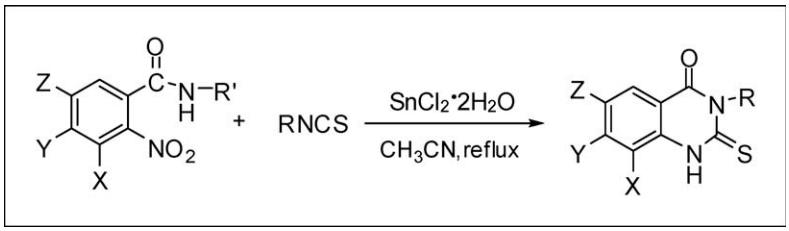

A facile synthetic method using $SnCl_2 \cdot 2H_2O$ system to promote the novel reductive cyclization of 2-nitrobenzamides and isothiocyanates is described. Sequentially, a series of 2,3-dihydro-2-thioxoquinazolin-4(1*H*)-ones were synthesized in good yields.

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INTRODUCTION

It has been reported that quinazolineones are responsible for a variety of biological responses, including applications for hypertension [1], diabetes [2], cancer [3], inflammation [4], and immunosuppression [4]. The quinazolindione moiety, in particular, is widely found in natural purine bases [5], alkaloids, intermediates in organic synthesis [6], and many biologically active compounds. For example, 6,7-dimethoxy-1*H*-quinazoline-2,4-dione is a key intermediate for the production of the following medicines (Prazosin (Minipress) [7], Bunazosin (Detantol) [8], and Doxazosin (Cardenalin [9]). 7-Chloro-1*H*-quinazoline-2,4-diones is also a key intermediate for the production of the medicines such as FK366 [10] and KF31327 [11].

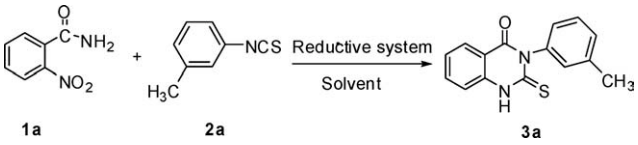
The conventional syntheses of quinazolinedione ring system are carried out by anthranilic acid with urea [12a,b], anthranilamide with phosgene [13], and anthranilic acid with potassium cyanate [14] or chlorosulfonyl isocyanate [15]. Recently, several methods have been developed for synthesizing this heterocyclic system, for example, Mizuno and Iahino have reported the simple solvent-free synthesis of 1*H*-quinazoline-2,4-diones using supercritical carbon dioxide and catalytic amount of base [16]. Buckman and Mohan have reported the solid-phase synthesis of quinazoline-2,4-diones [17–20]. Li *et al*. reported the synthesis of 2,4(1*H*,3*H*)-quinazolinediones and 2-thioxoquinazolines [21]. Alagarsamy *et al*. reported the synthesis of 3-phenyl-2-substituted-3*H*-quinazolin-4-ones by reaction of the amino group of 2-hydrazino-3-phenyl-3*H*-quinazolin-4-one with different aldehydes and ketones [22]. Our group also have reported the synthesis of quinazolinones [23], quinazoline-2,4-diones [24], imidazo[1,2-*c*]quinazolinones [24], and 2-thioxo- quinazolinones [25,26] by the reaction of nitro-compounds with orthoformates, triphosgene, ketones, and isothiocyanates, respectively, induced by low-valent titanium reagent. However, these methods suffer from some disadvantages such as drastic conditions, unsatisfactory yields, long-reaction time, higher temperature, complex manipulation, and inaccessible starting materials. Therefore, the development of more efficient methods for preparing this kind of compounds with milder reaction conditions is highly desired.

In recent years, our interest has been focused on the usage of $SnCl_2$ reagent. We have previously reported the synthesis of 2-aryl-2*H*-indazoles [27], 1-hydroxy quinazolinones [28], imidazo[1,2-*c*]quinazoline-5(6*H*)-thione, and imidazo[1,2-*c*]quinazolin-5(6*H*)-one [29], respectively, mediated by $SnCl_2$ reagent. As our earlier works goes, herein, we will describe a new approach to synthesizing 2,3-dihydro-2-thioxoquinazolin-4(1*H*)-ones by treating 2-nitrobenzamides with isothiocyanates mediated by $SnCl_2$ reagent.

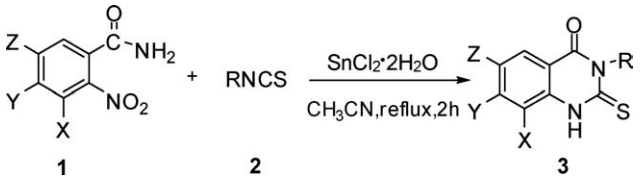
RESULTS AND DISCUSSION

On the basis of our previous experience, we selected 2-nitrobenzamide **1a** and the 3-methylphenyl isothiocyanate **2a** as model substrates to optimize the experimental conditions for the proposed reductive cyclization reaction (Scheme 1). The results are summarized in Table 1.

Scheme 1



Scheme 2



As shown in Table 1, we firstly examined the effect of different reductive systems (entries 1–4), and concluded that $SnCl_2{\cdot}2H_2O$ was the best. Then, we also briefly examined the effect of different temperatures, different solvents, and ratio of **1a**:$SnCl_2{\cdot}2H_2O$. The results showed that at refluxing temperature the reaction preceded smoothly in high yield. To further evaluate the influence of the ratio of **1a**:$SnCl_2{\cdot}2H_2O$, the reaction was carried out in acetonitrile using a 1:1 to 1:5 ratio of **1a**:$SnCl_2{\cdot}2H_2O$ (Table 1, entries 8, 9, 10, 1, 11), leading to **3a** in 26%, 33%, 60%, 75%, and 72% yields, respectively. We concluded the best ratio of **1a**:$SnCl_2{\cdot}2H_2O$ was 1:4. Moreover, different organic solvents were further investigated as shown in Table 1; we concluded that acetonitrile was the best solvent for this reaction.

With the optimized conditions in hand, we then performed the reaction of a variety of 2-nitrobenzamides **1** and isothiocyanates **2** *via* tin(II) chloride systerm (Scheme 2, Table 2).

As shown in Table 2, it can be seen that this protocol can be applied not only to the aryl isothiocyanates with electron-withdrawing groups (such as halide groups) or electron-donating groups (such as alkyl groups) but also to aliphatic isothiocyanates under the same conditions, which highlighted the wide scope of this reaction. Furthermore, it was particularly noteworthy that the effects of substituted *o*-nitrobenzamides were also investigated. 3-Methyl and 4-chloro substitution can also give moderate to good yields.

Moreover, we also studied the reaction of a variety of *N*-substitued-*o*-nitrobenzamides **4** and isothiocyanates **2** under optimized conditions. The desired products **3** were obtained in good yields (Scheme 3, Table 3).

Similarly, *N*-substitued-o-nitrobenzamides containing electron-donating and electron-withdrawing substituents were reacted well with aryl isothiocyanates and aliphatic isothiocyanates; therefore, we can conclude that the electronic nature of the substituents has no significant effect on this reaction. Meanwhile, it was found that *o*-nitrobenzamides showed better reactivity trends than *N*-substituted-*o*-nitrobenzamides.

A plausible mechanistic pathway to products **3** is illustrated in Scheme 4, although the details are still unclear. In the initial step, **1** or **4** are reduced by tin (II) chloride to **A**. The amine compounds **A** then reacted with isothiocyanates to give intermediate **B**. Intermediate **C** was formed by attack of the amino group onto the the central carbon atom of the carbonyl. Finally, products **3** were obtained by eliminating of an amine molecule.

For the investigation of the reaction mechanism, the intermediate of **3j** (2-amino-*N*-(4-methoxy- phenyl)benzamide) was isolated and characterized by spectroscopic methods. When the intermediate and 1-isothiocyanato-4-

Table 1

Optimization for the reductive cyclization reaction.

Entry	Reductive system	Temperature (°C)	Ratio[a]	Solvent	Yield (%)
1	$SnCl_2{\cdot}2H_2O$	reflux	1:4	CH_3CN	75
2	Fe/HCl	reflux	1:4	CH_3CN	47
3	Zn/HOAc	reflux	1:4	CH_3CN	38
4	Mg/HCl	reflux	1:4	CH_3CN	0
5	$SnCl_2{\cdot}2H_2O$	r.t	1:4	CH_3CN	0
6	$SnCl_2{\cdot}2H_2O$	40	1:4	CH_3CN	20
7	$SnCl_2{\cdot}2H_2O$	60	1:4	CH_3CN	45
8	$SnCl_2{\cdot}2H_2O$	reflux	1:1	CH_3CN	26
9	$SnCl_2{\cdot}2H_2O$	reflux	1:2	CH_3CN	33
10	$SnCl_2{\cdot}2H_2O$	reflux	1:3	CH_3CN	60
11	$SnCl_2{\cdot}2H_2O$	reflux	1:5	CH_3CN	72
12	$SnCl_2{\cdot}2H_2O$	reflux	1:4	CH_3CH_2OH	0
13	$SnCl_2{\cdot}2H_2O$	reflux	1:4	$CHCl_3$	56
14	$SnCl_2{\cdot}2H_2O$	reflux	1:4	DMF	30

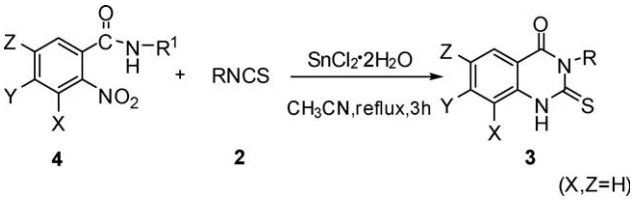
[a] Ratio of **1** and reductive agent.

Table 2

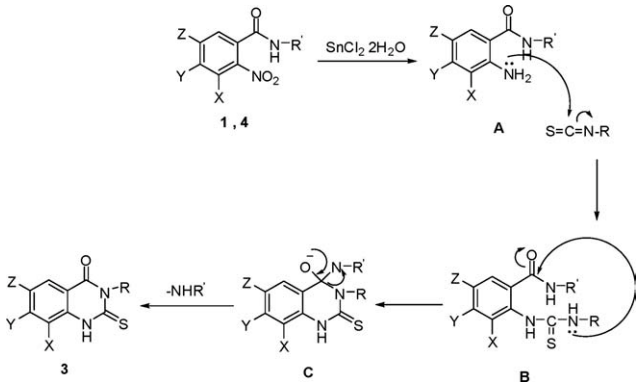
Synthesis of compounds **3** from *o*-nitrobenzamides **1** and isothiocyanates **2**.

	X	Y	Z	R	Yield (%)
3a	H	H	H	3-$CH_3C_6H_4$	75
3b	H	H	H	$C_6H_5CH_2$	83
3c	H	H	H	*n*-Butyl	91
3d	CH_3	H	H	4-ClC_6H_4	81
3e	H	Cl	H	*n*-Butyl	91
3f	H	Cl	H	4-ClC_6H_4	76
3g	H	H	Cl	C_6H_5	87
3h	H	H	Cl	3-$CH_3C_6H_4$	83
3i	H	H	Cl	*n*-Butyl	80

Scheme 3



Scheme 4



methylbenzene **2j** were reacted under the same reaction conditions, the product **3j** was obtained in 82% yield.

The structures of products **3** were confirmed by IR and ^{1}H NMR.

In summary, a series of 2,3-dihydro-2-thioxo-quinazolin-4(1*H*)-ones were synthesized *via* $SnCl_2{\cdot}2H_2O$ induced reductive cyclization of isothiocyanates with 2-nitrobenzamides. A variety of substrates can participate in the process with good yields. The new method has advantages such as easily accessible starting materials, handy manipulation (only one pot), moderate to high yields, and isolation of products *via* simple recrystallization to give higher purities.

EXPERIMENTAL

Commercial solvents and reagents were used as received. Melting points are uncorrected. IR spectra were recorded on Varian F-1000 spectrometer in KBr with absorptions in cm^{-1}. ^{1}H NMR was determined on Varian-400 MHz spectrometer in DMSO-d_6 or $CDCl_3$-d_6 solution. *J* values are in Hz. Chemical shifts are expressed in ppm downfield from internal standard TMS.

General procedure for synthesis of 2,3-dihydro-2-thioxo-quinazolin-4(1*H*)-ones 3. A solution of **1** or **4** (1 mmol), isothiocyanates **2** (1 mmol), and $SnCl_2{\cdot}2H_2O$ (4 mmol) in CH_3CN (5 mL) was stirred at reflux for 2–3 h. After this period, the TLC analysis of the mixture showed the reaction to be completed. The mixture was quenched with 3% HCl (10 mL) and filtered, and the crude product was purified by recrystallization from 95% ethanol and DMF.

2-Thioxo-3-m-tolyl-2,3-dihydroquinazolin-4(1H)-one (3a). This compound was obtained as solid with mp 280–281°C (ref. 21; 286–288°C); IR (KBr) ν: 3248, 3134, 3028, 1664, 1621, 1529, 1488, 1402, 1340, 1271, 1203, 913, 798, 692, 651 cm^{-1}. ^{1}H NMR (DMSO-d_6): 2.34 (s, 3H, CH_3), 7.07 (d, J = 8.8 Hz, 2H, ArH), 7.22 (d, J = 7.6 Hz, 1H, ArH), 7.33–7.38 (m, 2H, ArH), 7.45 (d, J = 8.4 Hz, 1H, ArH), 7.78 (t, J = 7.2 Hz, 1H, ArH), 7.95 (d, J = 8.0 Hz, 1H, ArH), 13.02 (s, 1H, NH).

Table 3

Synthesis of compounds **3** from *N*-substitued-*o*-nitrobenzamides **4** and isothiocyanates **2**.

	Y	R^1	R	Yield (%)
3j	H	4-$CH_3OC_6H_4$	4-$CH_3C_6H_4$	75
3k	H	4-BrC_6H_4	4-ClC_6H_4	81
3l	H	$CH_3(CH_2)_7$	C_6H_5	93
3m	Cl	4-$ClC_6H_4CH_2$	3-$CH_3C_6H_4$	83
3n	Cl	C_5H_{11}	$C_6H_5CH_2$	85

3-Benzyl-2-thioxo-2,3-dihydroquinazolin-4(1H)-one (3b). This compound was obtained as solid with mp 238–240°C (ref. 25; 235–236°C); IR (KBr) ν: 3201, 3129, 3073, 1688, 1623, 1542, 1489, 1436, 1340, 1291, 1181, 959, 760, 705, 686 cm^{-1}. ^{1}H NMR (DMSO-d_6): 5.67 (s, 2H, CH_2), 7.21–7.24 (m, 1H, ArH), 7.27–7.37 (m, 5H, ArH), 7.43 (d, J = 8.0 Hz, 1H, ArH), 7.77 (t, J = 7.2 Hz, 1H, ArH), 7.96 (d, J = 8.0 Hz, 1H, ArH), 13.07 (s, 1H, NH).

3-Butyl-2-thioxo-2,3-dihydroquinazolin-4(1H)-one (3c). This compound was obtained as solid with mp 164–166°C (ref. 25; 166–167°C); IR (KBr) ν: 3250, 3144, 2955, 2935, 1652, 1626, 1538, 1490, 1340, 1272, 1184, 1128, 990, 798, 758, 690 cm^{-1}. ^{1}H NMR (DMSO-d_6): 0.93 (t, J = 7.6 Hz, 3H, CH_3), 1.30–1.40 (m, 2H, CH_2), 1.63–1.70 (m, 2H, CH_2), 4.40 (t, J = 7.6 Hz, 2H, CH_2), 7.32–7.40 (m, 2H, ArH), 7.74 (t, J = 8.8 Hz, 1H, ArH), 7.96 (d, J = 8.0 Hz, 1H, ArH), 12.92 (s, 1H, NH).

3-(4-Chlorophenyl)-8-methyl-2-thioxo-2,3-dihydro-quinazolin-4(1H)-one (3d). This compound was obtained as solid with mp 186–188°C (ref. 26; 182–184°C); IR (KBr) ν: 3275, 3144, 2974, 2873, 1704, 1699, 1616, 1524, 1492, 1410, 1213, 1090, 988, 795, 758, 736 cm^{-1}. ^{1}H NMR (DMSO-d_6): 3.36 (s, 3H, CH_3), 7.27 (t, J = 7.6 Hz, 1H, ArH), 7.33 (d, J = 8.8 Hz, 2H, ArH), 7.55 (d, J = 8.8 Hz, 2H, ArH), 7.63 (d, J = 7.2 Hz, 1H, ArH), 7.83 (d, J = 7.6 Hz, 1H, ArH), 11.89 (s, 1H, NH).

3-Butyl-7-chloro-2-thioxo-2,3-dihydroquinazolin-4(1H)-one (3e). This compound was obtained as solid with mp 232–233°C (ref. 25; 225–226°C); IR (KBr) ν: 3182, 3119, 2957, 2870, 1652, 1619, 1533, 1484, 1436, 1390, 1338, 1197, 1122, 946, 863, 765, 739 cm^{-1}. ^{1}H NMR (DMSO-d_6): 0.93 (t, J = 7.2 Hz, 3H, CH_3), 1.30–1.40 (m, 2H, CH_2), 1.62–1.70 (m, 2H, CH_2), 4.37 (t, J = 8.0 Hz, 2H, CH_2), 7.36–7.40 (m, 2H, ArH), 7.96 (d, J = 8.4 Hz, 1H, ArH), 12.96 (s, 1H, NH).

7-Chloro-3-(4-chlorophenyl)-2-thioxo-2,3–dihydro-quinazolin-4(1H)-one (3f). This compound was obtained as solid with mp 296–298°C; IR (KBr) ν: 3197, 3078, 3041, 2938, 1658, 1617, 1530, 1492, 1387, 1280, 1220, 1194, 1091, 925, 857, 815, 758 cm^{-1}. ^{1}H NMR (DMSO-d_6): 7.34 (d, J = 8.4 Hz,

2H, ArH), 7.39 (dd, J_1= 2.0 Hz, J_2= 8.4 Hz, 1H, ArH), 7.46 (s, 1H, ArH), 7.55 (d, J = 8.4 Hz, 2H, ArH), 7.95 (d, J = 8.8 Hz, 1H, ArH), 13.13 (s, 1H, NH).

6-Chloro-3-phenyl-2-thioxo-2,3-dihydroquinazolin-4(1H)-one (3g). This compound was obtained as solid with mp 293–294°C; IR (KBr) ν: 3241, 3113, 3037, 2942, 1691, 1666, 1616, 1525, 1481, 1390, 1269, 1194, 1100, 993, 815, 772 cm^{-1}. ^1H NMR (DMSO-d_6): 7.28 (d, J = 7.2 Hz, 2H, ArH), 7.42–7.51 (m, 4H, ArH), 7.83–7.89 (m, 2H, ArH), 13.15 (s, 1H, NH).

6-Chloro-2-thioxo-3-m-tolyl-2,3-dihydroquinazolin-4(1H)-one (3h). This compound was obtained as solid with mp 268–270°C; IR (KBr) ν: 3243, 3037, 2890, 1663, 1617, 1524, 1482, 1387, 1270, 1222, 1200, 1100, 834, 771, 708 cm^{-1}. ^1H NMR (DMSO-d_6): 2.35 (s, 3H, CH_3), 7.07 (d, J = 8.8 Hz, 2H, ArH), 7.23 (d, J = 7.6 Hz, 1H, ArH), 7.37 (t, J = 7.6 Hz, 1H, ArH), 7.46 (d, J = 8.8 Hz, 1H, ArH), 7.83–7.88 (m, 2H, ArH), 13.14 (s, 1H, NH).

3-Butyl-6-chloro-2-thioxo-2,3-dihydroquinazolin 4(1H)-one (3i). This compound was obtained as solid with mp 236–237°C; IR (KBr) ν: 3249, 3040, 2965, 1650, 1621, 1528, 1483, 1373, 1345, 1274, 1183, 1141, 1103, 828, 759 cm^{-1}. ^1H NMR (DMSO-d_6): 0.93 (t, J = 7.6 Hz, 3H, CH_3), 1.30–1.39 (m, 2H, CH_2), 1.62–1.69 (m, 2H, CH_2), 4.37 (t, J = 7.6 Hz, 2H, CH_2), 7.39 (d, J = 8.8 Hz, 1H, ArH), 7.79 (dd, J_1 = 2.0 Hz, J_2 = 8.4 Hz, 1H, ArH), 7.88 (d, J = 2.0 Hz, 1H, ArH), 13.03 (s, 1H, NH).

2-Thioxo-3-p-tolyl-2,3-dihydroquinazolin-4(1H)-one (3j). This compound was obtained as solid with mp 296–298°C (ref. 25; 294–296°C); IR (KBr) ν: 3245, 3132, 3029, 2980, 1664, 1621, 1533, 1489, 1408, 1270, 1232, 1200, 990, 807, 759, 710 cm^{-1}. ^1H NMR (DMSO-d_6): 2.38 (s, 3H, CH_3), 7.14 (d, J = 8.0 Hz, 2H, ArH), 7.28 (d, J = 7.6 Hz, 2H, ArH), 7.35 (t, J = 7.6 Hz, 1H, ArH), 7.45 (d, J = 8.0 Hz, 1H, ArH), 7.79 (t, J = 8.4 Hz, 1H, ArH), 7.95 (d, J = 8.0 Hz, 1H, ArH), 13.02 (s, 1H, NH).

3-(4-Chlorophenyl)-2-thioxo-2,3-dihydroquinazolin-4(1H)-one (3k). This compound was obtained as solid with mp >300°C (ref. 25; >300°C); IR (KBr) ν: 3246, 3138, 3038, 1664, 1621, 1532, 1489, 1407, 1268, 1234, 1201, 1094, 990, 812, 760, 737 cm^{-1}. ^1H NMR (DMSO-d_6): 7.33–7.38 (m, 3H, ArH), 7.46 (d, J = 8.8 Hz, 1H, ArH), 7.55 (d, J = 8.4 Hz, 2H, ArH), 7.80 (t, J = 7.6 Hz, 1H, ArH), 7.96 (d, J = 7.6 Hz, 1H, ArH), 13.09 (s, 1H, NH).

3-Phenyl-2-thioxo-2,3-dihydroquinazolin-4(1H)-one (3l). This compound was obtained as solid with mp 294–296°C (ref. 25; >300°C); IR (KBr) ν: 3246, 3137, 3029, 1662, 1623, 1533, 1489, 1406, 1267, 1227, 1197, 988, 843, 799, 760 cm^{-1}. ^1H NMR (DMSO-*d*6): 7.26–7.28 (m, 2H, ArH), 7.34–7.50 (m, 5H, ArH), 7.71–7.76 (m, 1H, ArH), 8.05 (d, J = 8.0 Hz, 1H, ArH), 13.09 (s, 1H, NH).

7-Chloro-2-thioxo-3-m-tolyl-2,3-dihydroquinazolin-4(1H)-one (3m). This compound was obtained as solid with mp 228–230°C (ref. 25; 230–232°C); IR (KBr) ν: 3190, 3074, 3021, 1660, 1616, 1526, 1479, 1417, 1385, 1258, 1193, 1073, 927, 857, 803, 781, 757 cm^{-1}. ^1H NMR (DMSO-*d*6): 2.35 (s, 3H, CH3), 7.08–7.10 (m, 2H, ArH), 7.25–7.27 (m, 1H, ArH), 7.33–7.35 (m, 2H, ArH), 7.45 (d, J = 1.6 Hz, 1H, ArH), 7.95 (d, J = 8.4 Hz, 1H, ArH), 13.01 (s, 1H, NH).

3-Benzyl-7-chloro-2-thioxo-2,3-dihydroquinazolin-4(1H)-one (3n). This compound was obtained as solid with mp 260–262°C (ref. 25; 255–257°C); IR (KBr) ν: 3204, 3127, 3041, 1648, 1617, 1529, 1482, 1387, 1335, 1290, 1164, 1150, 1075, 948, 862, 762, 726 cm^{-1}. ^1H NMR (DMSO-d_6): 5.64 (s, 2H, CH_2), 7.22–7.25 (m, 1H, ArH), 7.28–7.34 (m, 4H, ArH), 7.39 (d, J = 8.4 Hz, 1H, ArH), 7.44 (s, 1H, ArH), 7.96 (d, J = 8.4 Hz, 1H, ArH), 13.11 (s, 1H, NH).

2-amino-N-(4-methoxyphenyl)benzamide. This compound was obtained as solid with mp 116–118°C (ref. [30] 117–118°C); IR (KBr) ν: 3400, 3330, 3250, 1640, 1604, 1535, 1507, 1429, 1220, 1160, 987, 752 cm^{-1}. ^1H NMR ($CDCl_3$-d_6): 3.35 (s, 3H, OCH_3), 5.02 (s, 2H, NH), 6.24 (t, J = 8.0 Hz, 2H, ArH), 6.44 (d, J = 8.8 Hz, 2H, ArH), 6.78 (t, J = 8.4 Hz, 1H, ArH), 6.99 (d, J = 8.8 Hz, 3H, ArH), 7.26 (s, 1H, NH).

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REFERENCES AND NOTES

[1] Ismail, M. A. H.; Barker, S.; El Ella, D. A. A.; Abouzid, K. A. M.; Toubar, R. A.; Todd, M. H. J Med Chem 2006, 49, 1526.

[2] Tsuboi, H.; Kagara, K. Chem Exp 1993, 8, 761.

[3] Choo, H.-Y. P.; Kim, M.; Lee, S. K.; Kim, S. W.; Chung, I. K. Bioorg Med Chem 2002, 10, 517.

[4] Buckley, G. M.; Davies, N.; Dyke, H. J.; Gilbert, P. J.; Hannah, D. R.; Haughan, A. F.; Hunt, C. A.; Pitt, W. R.; Profit, R. H.; Ray, N. C.; Richard, M. D.; Sharpe, A.; Taylor, A. J.; Whitworth, J. M.; Williams, S. C. Bioorg Med Chem Lett 2005, 15, 751.

[5] Dreyer, D. L.; Brenner, R. C. Phytochemistry 1980, 19, 935.

[6] Alagarsamy, V.; Solomon, V. R.; Dhanabal, K. Bioorg Med Chem 2007, 15, 235.

[7] Merck. Merck Index, Vol. 12; Merck: Whitehouse Station, NJ, 1996; p 7897.

[8] Merck. Merck Index, Vol. 12; Merck: Whitehouse Station, NJ, 1996; p 1512.

[9] Merck. Merck Index, Vol. 12; Merck: Whitehouse Station, NJ, 1996; p 3489.

[10] (a) Goto, S.; Tsuboi, H.; Kagara, K. Chem Express 1993, 8, 761; (b) Kagara, K.; Goto, S.; Tsuboi, H. Jpn. Pat. 25,767,1989; Chem Abstr 1989, 111, 97274.

[11] Mohri, S. J Synth Org Chem Jpn 2001, 59, 514.

[12] (a) Pastor, G.; Blanchard, C.; Montginoul, C.; Torreilles, E.; Giral, L.; Texier, A. Bull Soc Chim Fr 1975, 1331; (b) Khalifa, M.; Osman, A. N.; Ibrahim, M. G.; Ossman, A. R. E.; Ismail, M. A. Pharmazie 1982, 37, 115.

[13] Michman, M.; Patai, S.; Wiesel, Y. Org Prep Proced Int 1978, 10, 13.

[14] Lange, N. A.; Sheibley, F. E. Org Synth 1943, 2, 79.

[15] Vorbrueggen, H.; Krolikiewicz, K. Tetrahedron 1994, 50, 6549.

[16] (a) Mizuno, T.; Iahino, Y. Tetrahedron 2002, 58, 3155; (b) Mizuno, T.; Iwai, T.; Ishino, Y. Tetrahedron Lett 2004, 45, 7073.

[17] Buckman, B. O.; Mohan, R. Tetrahedron Lett 1996, 37, 4439.

[18] Gordeev, M. F.; Hui, H. C.; Gordon, E. M.; Patel, D. V. Tetrahedron Lett 1997, 38, 1729.

[19] Smith, A. L.; Thomson, C. G.; Leeson, P. D. Bioorg Med Chem Lett 1996, 6, 1483.

[20] Choo, H. P.; Kim, M.; Lee, S. K.; Kim, S. W.; Chung, I. K. Bioorg Med Chem Lett 2002, 10, 517.

[21] Li, Z. G.; Huang, H.; Sun, H. B.; Jiang, H. L.; Liu, H. J. Comb Chem 2008, 10, 484.

[22] Alagarsamy, V.; Solomon, V. R.; Dhanabal, K. Bioorg Med Chem 2007, 15, 235.

[23] Shi, D. Q.; Rong, L. C.; Wang, J. X.; Zhuang, Q. Y.; Wang, X. S.; Hu, H. W. Tetrahedron Lett 2003, 44, 3199.

[24] Shi, D. Q.; Dou, G. L.; Li, Z. Y.; Ni, S. N.; Li, X. Y.; Wang, X. S.; Wu, H.; Ji, S. J. Tetrahedron 2007, 63, 9764.

[25] Dou, G. L.; Wang, M. M.; Shi, D. Q. J Comb Chem 2009, 11, 151.

[26] Dou, G. L.; Wang, M. M.; Huang, Z. B.; Shi, D. Q. J Heterocycl Chem 2009, 46, 645.

[27] Shi, D. Q.; Dou, G. L.; Ni, S. N.; Shi, J. W.; Li, X. Y.; Wang, X. S.; Wu, H.; Ji, S. J. Synlett 2007, 16, 2509.

[28] Shi, D. Q.; Dou, G. L.; Zhou, Y. Synthesis 2008, 13, 2000.

[29] Wang, M. M.; Dou, G. L.; Shi, D. Q. J Heterocycl Chem 2009, 46, 1364.

[30] Coyne, W. E.; Cusic, J. W. J Med Chem 1968, 11, 1208.