

RESEARCH ARTICLE

Open Access

One-pot synthesis of 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives under mild conditions using iminium cation from cyanuric chloride/dimethylformamide as a cyclizing agent

Mehdi Shariat^{1,2*}, Mohd Wahid Samsudin¹ and Zuriati Zakaria³

Abstract

Background: The derivatives of 2-substituted 4*H*-3,1-benzoxazin-4-one belong to a significant category of heterocyclic compounds, which have shown a wide spectrum of medical and industrial applications.

Results: A new and effective one-pot method for the synthesis of 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives is described in this paper. By using the iminium cation from a mixture of cyanuric chloride and dimethylformamide as a cyclizing agent, a series of 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives was synthesized in high yield under mild conditions and simple workup.

Conclusions: The iminium cation from a mixture of cyanuric chloride and *N,N*-dimethylformamide is an effective cyclizing agent for the room temperature one-pot synthesis of 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives in high yields through a cyclodehydration reaction. Furthermore, the method was performed under mild conditions characterized by simplified pathways and workup, minimized energy, and fewer reaction steps, compared with the previous methods. The proposed method, which is a simpler alternative than the published methods, is applicable for the synthesis of other 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives.

Keywords: Iminium cation, Benzoxazinone, Cyclizing agent, Cyclodehydration

Background

The derivatives of 2-substituted 4*H*-3,1-benzoxazin-4-one belong to a significant category of heterocyclic compounds that have shown a wide spectrum of medical and industrial applications. Some of them are used as an elastase inhibitor [1-3], anti-neoplastic agent, enzyme inhibitor [4], protease inhibitor, and fungicidal [5]. In addition, they are used as a starting material for the preparation of 2,3-disubstituted 4(3*H*)-quinazolinone derivatives, which are known to have medicinal properties.

The majority of the reported methods for producing 2-substituted benzoxazin-4-one formula **2** are based on the preparation of *N*-acylated anthranilic acid derivative

formula **1** as an intermediate from anthranilic acid derivatives and a chloride of a carboxylic acid (Scheme 1).

The benzoxazin-4-one ring is formed from intermediate formula **1** and cyclizing agents, such as acetic anhydride [6-9], polyphosphoric acid [10], sulfuric acid [11], and pyridine [12], which can convert the OH of the carboxylic acid group into a good leaving group **W** to form the benzoxazin-4-one ring (Scheme 2).

Optimizing the previous methods or designing new routes according to the principles of green chemistry for the synthesis and workup of 4*H*-3,1-benzoxazin-4-one derivatives are essential given the increasing application of this group of fused heterocyclic compounds.

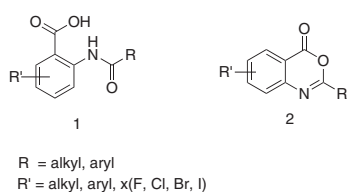
Green chemistry provides an interesting approach for the preparation and application of chemical compounds. This approach is presented as a set of twelve principles [13,14]. From the standpoint of green chemistry, chemists should establish a group of parameters for the ideal

* Correspondence: sm.shariat@yahoo.com

¹School of Chemical Science and Food Technology, Faculty of Science and Technology, University Kebangsaan Malaysia (UKM), 43600, Selangor, Malaysia

²Department of Chemistry, Faculty of Science, Payame Noor University of Golpayegan (PNU), 87117-43153, Isfahan, Iran

Full list of author information is available at the end of the article



Scheme 1 *N*-acylated anthranilic acid and 2-substituted-4*H*-3,1-benzoxazin-4-one.

chemical synthesis design [14]. A simple pathway and workup, minimized energy, higher yield, lower reagent loss, and fewer reaction steps are among the important parameters in a green chemical pathway. Following this approach, the proposed method for the synthesis of 2-substituted-4*H*-3,1-benzoxazin-4-one derivatives under mild conditions is an attempt to design a greener reaction.

In this research, a series of 2-substituted-4*H*-3,1-benzoxazin-4-one derivatives was synthesized using the iminium cation from a mixture of cyanuric chloride and dimethylformamide as an effective cyclizing agent as well as the solvent as a catalyst, without the traditional heating or microwave irradiation.

Cyanuric chloride is a commercially available reagent that is commonly used by organic chemists. Numerous articles and reviews have reported various applications of cyanuric chloride in ordinary organic reactions. One of the common applications of cyanuric chloride is the conversion of carboxyl groups into active esters for the preparation of nitrile, acyl azide, ester, amide, and acyl chloride from carboxylic acid derivatives [15,16]. Furthermore, cyanuric chloride is used to prepare alkyl chlorides from alcohols [17], amides from ketoximes [18], nitrile from aldoxime [19], isonitrile from formamide [20], disulfide from dimethylsulfoxide [21], and cyclic lactones [22]. In addition, the mixture of cyanuric chloride and dimethylformamide is used as a new organic reagent for the conversion of a broad sequence of secondary and primary alcohols to the corresponding alkyl chlorides and iodides [23,24]. Various ketoximes prepared from the related ketones undergo the Beckmann rearrangement upon reaction with a mixture of cyanuric chlo-

ride/dimethylformamide [24]. Moreover, the mixture of cyanuric chloride/dimethylformamide is used for the conversion of β -amino alcohols to the corresponding chlorides [25].

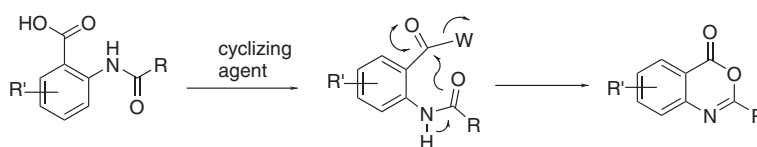
Results and discussions

A series of 2-substituted 4*H*-3,1-benzoxazin-4-one formulae **2a-i** was prepared in good yield at room temperature using anthranilic acid and nine different derivatives of acyl chloride and benzoyl chloride as starting materials as well as iminium cation as cyclizing agent in dimethylformamide in the presence of triethylamine (Table 1).

During the reaction, *N*-acylated anthranilic acid is produced as an intermediate **1** from anthranilic acid and a chloride of alkyl or aryl carboxylic acid in the presence of triethylamine as the HCl scavenger via *N*-acylation reaction. Given the special structure of *N*-acylated anthranilic acid, benzoxazin-4-one ring can be formed by the intramolecular nucleophilic attack in intermediate **1**. However, this process is not generally thought of as viable because of the low electrophilicity of the amide group. Instead, the cyclization reaction can be performed to induce the conversion of carboxylic acid group in *N*-acylated anthranilic acid into an active ester using a cyclizing agent and traditional heating or microwave irradiation. In this research, the iminium cation from a mixture of cyanuric chloride and dimethylformamide acted as a cyclizing agent at room temperature. The 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives were prepared at room temperature and ambient pressure under mild conditions (Scheme 3).

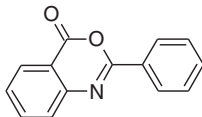
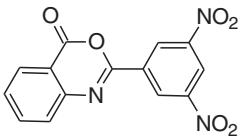
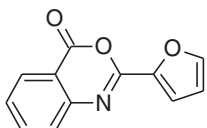
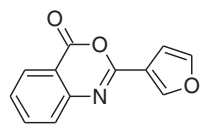
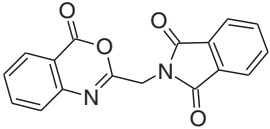
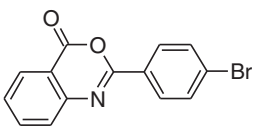
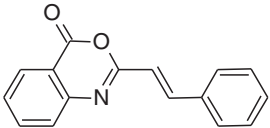
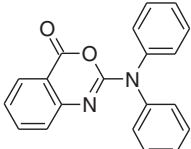
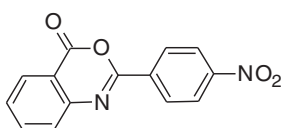
The positive charge, size, and planar skeleton of the iminium cation make it an easy target for the OH group, which could result in the production of activated ester in a short time with good yield. However, the stability of benzoxazin-4-one ring provides an appropriate condition for a mild cyclodehydration reaction as the result of the cyclization reaction and the role of dimethylformamide as a good living group in the cyclizing step.

In published papers and reviews, acetic anhydride is commonly used as a cyclizing agent for the synthesis of benzoxazin-4-one derivatives because it can be used in simpler conditions compared with the other known cyclizing agents. However, the use of this compound as a cyclizing agent has several disadvantages. For example,



Scheme 2 Formation of the benzoxazin-4-one rings.

Table 1 Products, yields, and melting points related to Scheme 3

No.	Product	Yield (%)	mp (T/ °C)	Lit. mp (T/°C)
2a		86	123-124	123-125 [26]
2b		89	236-238	
2c		78	106-108	
2d		79	89-91	
2e		82	261-263	
2f		89	184-185	184-185 [27,28]
2g		80	148-149	147-148 [29]
2h		83	167-168	
2i		86	202-204	203 [27]

acetic anhydride normally works as a cyclizing agent through traditional heating or microwave irradiation. In addition, this compound is listed as a United State Drug Enforcement Administration (U. S. DEA List II precursor), and restricted in numerous countries. On the contrary, the iminium cation can be a good cyclizing agent in cyclodehydration reactions because it can be used at room temperature with commercially available cyanuric chloride and dimethylformamide.

Conclusions

The iminium cation obtained from a mixture of cyanuric chloride and *N,N*-dimethylformamide is an effective reagent for the room temperature one-pot synthesis of 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives in high yields through cyclodehydration. The proposed method can be performed under mild conditions, with simplified pathways and workup, minimized energy, and fewer reaction steps, compared with the reported methods. Moreover, this method is applicable for the synthesis of other 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives.

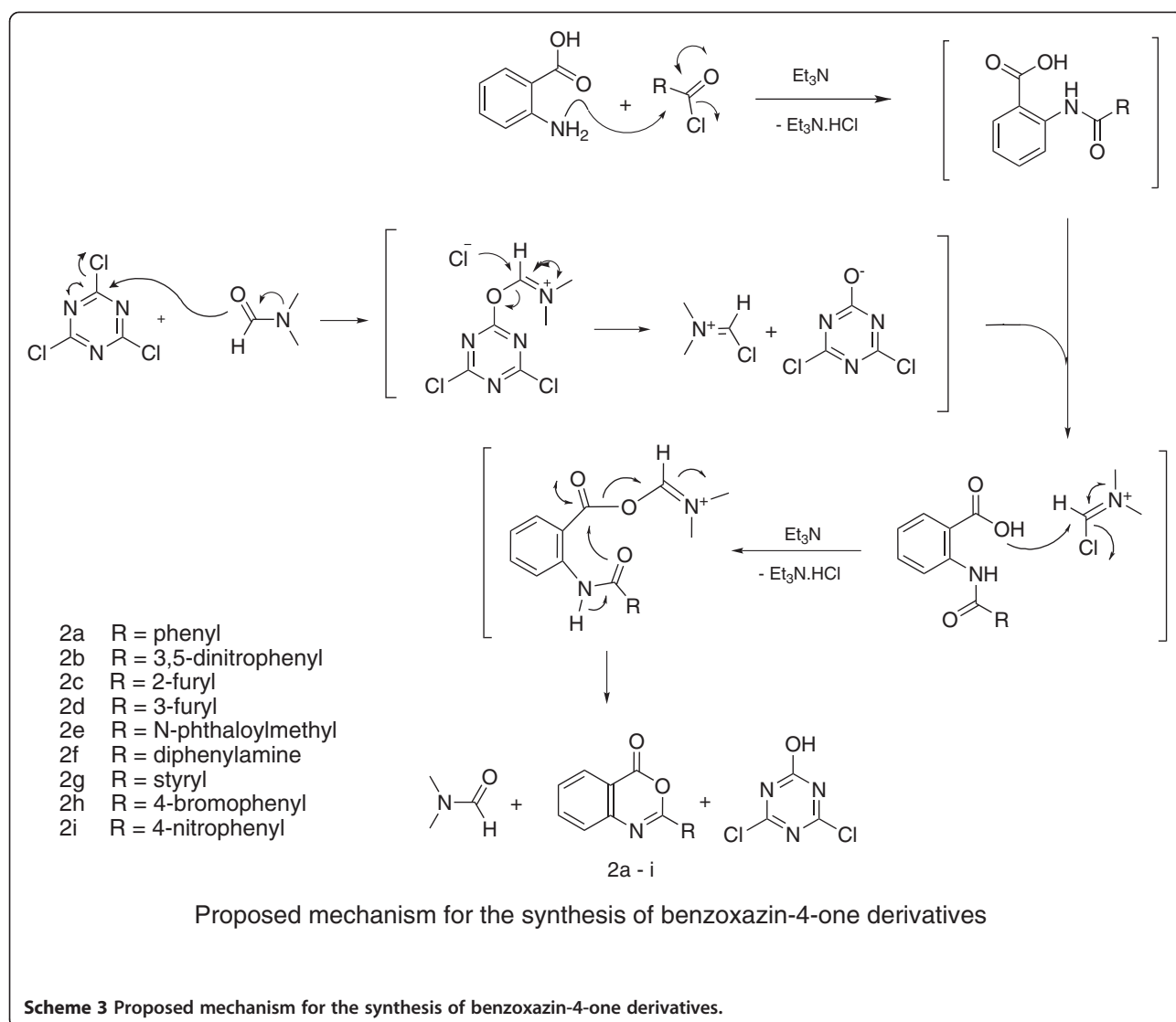
Methods

General

The structures of products **2a-i** were confirmed by analysis using spectral data (¹H-NMR, ¹³C-NMR, FT-IR and HRMS). All spectral data and spectrum are represented in Additional file 1. The FT-IR spectra were measured by using KBr pellets. The NMR spectra were recorded on 600 MHz spectrometer and chemical shifts are reported relative to TMS. The mass spectra were recorded using a TOF-Q instrument was operated in positive ion mode. The melting points were measured in open capillary tubes without correction. All commercial reagents were synthesis grade and were used as received without additional purification. The procedures for preparation and purification of reported products are the same.

Preparation of 2-phenyl-4*H*-3,1-benzoxazin-4-one (**2a**)

Benzoyl chloride (0.349 ml, 3 mmol) was added to a stirred solution of anthranilic acid (0.411 g, 3 mmol) and triethylamine (0.460 mL, 3.3 mmol) in chloroform (10 mL). The mixture was stirred at room temperature for 2 hours, then, a yellow light colour solution of Cyanuric chloride (0.553 g, 3 mmol) in DMF (5 mL) was added to the stirred mixture. After 4 hours, the solvent was evaporated in vacuum and the residual was poured into distilled water (20 mL) and ice. Then, the filtrated solids were washed with a saturated solution of NaHCO₃ (10 mL, two times) and distilled water (two times, 25 mL each). The white precipitate was recrystallized from a 1:1 diethyl ether/ethanol mixture to give the fine needle crystal of **2a** (0.578 gr, yield: 86%, mp: 123–124°C). IR (KBr): $\nu_{\max}/\text{cm}^{-1}$ 1764, 1622, 1603, 1541, 1266, 1077.



¹H-NMR (DMSO): δ ppm 8.20 (ddd, *J* = 7.2, 1.2, 0.6 Hz, 2H), 8.15 (ddd, *J* = 7.2, 1.2, 0.6 Hz 1H), 7.95 (tdd, *J* = 8.4, 7.2, 1.2 Hz, 1H), 7.59-7.73 (m, 5H). ¹³C-NMR (DMSO): 159.4, 156.8, 146.7, 137.3, 133.2, 130.5, 129.5, 129.1, 128.5, 128.3, 127.4, 117.4. HRMS (ESI-TOF) *m/z*: [M+H]⁺ Calcd for C₁₄H₁₀NO₂ (224.0707); Found 224.0706.

Preparation of 2-(3,5-dinitrophenyl)-4*H*-3,1-benzoxazin-4-one (2b)

3,5-dinitrobenzoyl chloride (0.692 gr, 3 mmol) is used for preparation of **2b** using the same procedure as **2a**. The sea-urchin shaped crystal was prepared (0.839 gr, yield: 89%, mp: 236–238°C) from crystallization of the gray powder of **2b** in 1:1 ether/ethanol. IR (KBr): *v*_{max}/cm⁻¹ 1776, 1622, 1603, 1541, 1473, 1266, 1077. ¹H-NMR (DMSO): δ ppm 9.13 (dd, *J* = 1.8, 1.2 Hz, 2H), 9.04 (dd, *J* = 1.4, 1.2 Hz, 1H), 8.23 (ddd, *J* = 7.8, 1.2, 0.6 Hz, 1H), 8.02 (ddd, *J* = 8.4,

7.8, 1.2 Hz, 1H), 7.90 (ddd, *J* = 7.8, 1.2, 0.6 Hz, 1H) and 7.73 (ddd, *J* = 8.4, 7.8, 1.2 Hz, 1H). ¹³C-NMR (DMSO): 158.6, 153.6, 149.1, 145.9, 137.7, 133.7, 130.2, 128.8, 127.9, 127.6, 122.1, 117.8. HRMS (ESI-TOF) *m/z*: [M+H]⁺ Calcd for C₁₄H₈N₃O₆ (314.0408); Found 314.0390.

Preparation of 2-(furan-2-yl)-4*H*-3,1-benzoxazin-4-one (2c)

For preparation of **2c**, 2-Furoyl chloride was used by using the same procedure, as **2a**. The product was isolated as a white crystalline solid (0.500 gr, yield: 78%, mp 106–108°C). IR (KBr): *v*_{max}/cm⁻¹ 1753, 1683, 1604, 1557, 1256, 1011. ¹H-NMR (DMSO, δ ppm): 8.12 (ddd, *J* = 7.8, 1.2, 0.6 Hz, 1H), 8.09 (dd, *J* = 0.6, 1.8 Hz, 1H), 7.93 (ddd, *J* = 0.6, 1.2, 7.8 Hz, 1H), 7.66 (ddd, *J* = 7.8, 1.2, 7.8 Hz, 1 H), 7.59 (ddd, *J* = 7.8, 1.2, 7.8 Hz, 1H), 7.45 (dd, *J* = 3.6, 0.6 Hz, 1H), 6.80 (dd, *J* = 1.8, 3.6 Hz, 1H). ¹³C-NMR (DMSO): 158.7, 149.6, 148.4, 146.7, 144.6, 137.4, 128.8, 128.6, 127.1, 117.5, 113.4. HRMS

(ESI-TOF) m/z : $[M+H]^+$ Calcd for $C_{12}H_8NO_3$ (214.0499); Found 214.0497.

Preparation of 2-(furan-3-yl)-4H-3,1-benzoxazin-4-one (2d)
3-Furoyl chloride was used for preparation **2d** and the product was isolated as a white crystalline solid (0.506 gr, yield: 79%, mp 89–91°C). IR (KBr): ν_{max}/cm^{-1} 1764, 1644, 1632, 1603, 1258, 1069. 1H -NMR (DMSO, δ ppm): 8.55 (dd, $J=1.8, 0.6$ Hz, 1H), 8.16 (ddd, $J=7.8, 1.2, 0.6$ Hz, 1H), 7.92 (ddd, $J=7.8, 1.2, 0.6$ Hz, 1H), 7.89 (dd, $J=1.8, 1.2$ Hz, 1H), 7.64 (ddd, $J=7.8, 1.2, 0.6$ Hz, 1H), 7.59 (ddd, $J=7.4, 7.2, 1.2$ Hz, 1 H), 7.00 (dd, $J=1.8, 0.6$ Hz, 1 H). ^{13}C -NMR (DMSO): 159.2, 153.5, 147.4, 146.7, 145.9, 137.4, 128.8, 128.6, 126.93, 119.8, 117.3, 109.2. HRMS (ESI-TOF) m/z : $[M+H]^+$ Calcd for $C_{12}H_8NO_3$ (214.0499); Found 214.0478.

Preparation of 2-(N-phthaloylmethyl)-4H-3,1-benzoxazin-4-one (2e)

Benzoxazinone **2e** was prepared from phthalimidoacetyl chloride (0.671 gr, 3 mmol) the same procedure as above and product was collected as a light yellow crystalline solid (0.752 gr, yield: 82%, mp 261–263°C). IR (KBr): ν_{max}/cm^{-1} 1776, 1688, 1591, 1529, 1258, 1088. 1H -NMR (DMSO): δ ppm 7.89–8.08 (m, 5 H, Ar-H), 7.87 (ddd, $J=7.8, 1.2, 0.6$ Hz, 1H, Ar-H), 7.60 (ddd, $J=7.8, 1.2, 6.6$ Hz, 1 H, Ar-H), 7.23 (ddd, $J=6.6, 7.2, 1.2$ Hz, 1 H, Ar-H), 3.77 (s, 2 H, CH_2). ^{13}C -NMR (DMSO): 167.9, 167.8, 165.7, 138.6, 135.2, 134.2, 132.0, 131.0, 124.5, 124.4, 122.3, 119.8, 52.7. HRMS (ESI-TOF) m/z : $[M+H]^+$ Calcd for $C_{17}H_{11}N_2O_4$ (307.0713); Found 307.0720.

Preparation of 2-(4-bromophenyl)-4H-3,1-benzoxazin-4-one (2f)

Final product was isolated as a crystalline solid (0.802 gr, yield: 89%, mp: 184–185°C). IR (KBr): ν_{max}/cm^{-1} 1762, 1619, 1602, 1586, 1256, 1067. 1H -NMR (DMSO): δ ppm 8.16 (ddd, $J=7.2, 1.2, 0.6$ Hz, 1H), 8.11 (ddd, $J=6.6, 1.8, 0.6$ Hz, 2H), 7.96 (ddd, $J=8.4, 7.2, 1.2$ Hz, 1H), 7.81 (ddd, $J=6.0, 1.2, 0.6$ Hz, 2H), 7.73 (ddd, $J=8.4, 1.2, 0.6$ Hz, 1H) and 7.64 (ddd, $J=7.8, 7.2, 0.6$ Hz, 1H). ^{13}C -NMR (DMSO): 159.2, 156.2, 154.1, 137.4, 132.6, 130.2, 129.8, 129.2, 128.6, 127.4, 127.1, 117.5. HRMS (ESI-TOF) m/z : $[M+H]^+$ Calcd for $C_{14}H_9BrNO_2$ (301.9811); Found 301.9807.

Preparation of 2-(styryl)-4H-3,1-benzoxazin-4-one (2g)

The product was collected as a yellow crystalline solid (0.600 gr, yield: 80%, mp: 148–149°C). IR (KBr): ν_{max}/cm^{-1} 1761, 1635, 1592, 1566, 1251, 1040. 1H -NMR (DMSO): δ ppm 7.78 (d, $J=16.2$ Hz, 1H), 7.59 - 8.16 (m, 9H, Ar-H), 7.01 (d, $J=16.2$ Hz, 1H). ^{13}C -NMR (DMSO): 159.2, 157.3, 147.1, 141.6, 137.3, 134.9, 130.8, 129.4, 128.9, 128.7, 128.5,

127.1, 119.7, 117.3. HRMS (ESI-TOF) m/z : $[M+H]^+$ Calcd for $C_{16}H_{12}NO_2$ (250.0863); Found 250.0851.

Preparation of 2-(diphenylamino)-4H-3,1-benzoxazin-4-one (2h)

The result was isolated as a crystalline solid (83% yield, 0.780 gr, mp: 167–168°C). IR (KBr): ν_{max}/cm^{-1} 1745, 1619, 1582, 1489, 1267, 1072. 1H -NMR (DMSO): δ ppm 7.93 (ddd, $J=8.4, 1.8, 0.6$ Hz, 1H), 7.69 (ddd, $J=8.4, 7.2, 1.8$ Hz, 1H), 7.42–7.45 (m, 8 H, Ar-H), 7.29 - 7.32 (m, 2H, Ar-H), 7.26 (ddd, $J=7.8, 7.2, 0.6$ Hz, 1H), 7.16 (ddd, $J=7.8, 1.2, 0.6$ Hz, 1H). ^{13}C -NMR (DMSO): 159.4, 153.1, 150.1, 142.7, 137.2, 128.5, 129.7, 128.0, 127.2, 125.1, 124.9, 114.1. HRMS (ESI-TOF) m/z : $[M+H]^+$ Calcd for $C_{20}H_{15}N_2O_2$ (315.1128); Found 315.1131.

Preparation of 2-(4-nitrophenyl)-4H-3,1-benzoxazin-4-one (2i)

The procedure **2a** was used for synthesis and workup of 2-(4-nitrophenyl)-4H-3,1-benzoxazin-4-one and the final product was gathered as a yellow wish crystalline solid (0.779 gr, yield: 86%, mp: 202–204). IR (KBr): ν_{max}/cm^{-1} 1766, 1607, 1589, 1522, 1493, 1251, 1082. 1H -NMR (DMSO): δ ppm 8.43 (ddd, $J=6.6, 1.8, 0.6$ Hz, 2 H), 8.39 (ddd, $J=0.6, 7.8, 1.1$ Hz, 1H), 8.18 (ddd, $J=0.6, 7.2, 1.2$ Hz, 2H), 8.00 (ddd, $J=7.8, 1.2, 0.6$ Hz, 1H), 7.71 (ddd, $J=8.4, 1.2, 7.2$ Hz, 1H), 7.30 (ddd, $J=7.2, 7.8, 0.6$ Hz, 1H). ^{13}C -NMR (DMSO): 159.0, 156.2, 150.3, 146.7, 137.4, 136.4, 130.1, 129.8, 128.6, 127.2, 125.4, 119.1. HRMS (ESI-TOF) m/z : $[M+H]^+$ Calcd for $C_{14}H_9N_2O_4$ (269.0557); Found 269.0554.

Additional file

Additional file 1: The spectral data (1H -NMR, ^{13}C -NMR, FT-IR and HRMS) for products **2a-i** are represented in Additional file 1.

Competing interests

This research focused on an application of iminium cation, namely, as a cyclizing agent in the cyclodehydration reaction under mild conditions. Iminium cation may be usable in other reactions in this category. In addition, the role of dimethylformamide was interesting because it acted as a catalyst.

Authors' contributions

MS proposed the subject, designed the study, helped in the results and discussion, and carried out the synthesis of all the products. MWS and ZZ conceived the study and participated in its design, results and discussion, and coordination, as well as helped draft the manuscript. All the authors read and approved the final manuscript.

Acknowledgements

The authors thank the technical assistants and coordinators of the analytical laboratories of Faculty of Science and Technology (FST), University Kebangsaan Malaysia (UKM).

Author details

¹School of Chemical Science and Food Technology, Faculty of Science and Technology, University Kebangsaan Malaysia (UKM), 43600, Selangor, Malaysia. ²Department of Chemistry, Faculty of Science, Payame Noor

University of Golpayegan (PNU), 87117-43153, Isfahan, Iran. ³Malaysia Japan International Institute of Technology, University Technology Malaysia (UTM), 54100, Kuala Lumpur, Malaysia.

Received: 27 January 2013 Accepted: 18 March 2013
Published: 27 March 2013

References

1. Peet NP, Angelastro MR, Burkhart JP: **Novel orally-active elastase inhibitors.** In *Book Novel orally-active elastase inhibitors*. Edited by: Munich, Germany: European Patent Office; 1997. EP Patent 0,529,568.
2. Colson E, Wallach J, Hauteville M: **Synthesis and anti-elastase properties of 6-amino-2-phenyl-4*H*-3, 1-benzoxazin-4-one aminoacyl and dipeptidyl derivatives.** *Biochimie* 2005, **87**:223–230.
3. Oshida J, Kawabata H, Kato Y, Kokubo M, Uejima Y, Sato O, Fujii K: **4*H*-3, 1-benzoxazin-4-one compound and elastase inhibitor composition containing the same.** In *Book 4*H*-3, 1-benzoxazin-4-one compound and elastase inhibitor composition containing the same*. Edited by; 1992. EP Patent 0,466,944.
4. Krantz A, Spencer R, Tam T: **4*H*-3, 1-benzoxazin-4-ones and related compounds and use as enzyme inhibitors.** In *Book 4*H*-3, 1-benzoxazin-4-ones and related compounds and use as enzyme inhibitors*. Edited by: U.S. Patent and Trademark Office: Washington, DC; 1987. U.S. Patent No. 4,657,893.
5. Besson T, Rees CW, Cottenceau G, Pons AM: **Antimicrobial evaluation of 3, 1-benzoxazin-4-ones, 3, 1-benzothiazin-4-ones, 4-alkoxyquinazolin-2-carbonitriles and *N*-arylimino-1, 2, 3-dithiazoles.** *Bioorg Med Chem Lett* 1996, **6**:2343–2348.
6. Connolly DJ, Cusack D, O'Sullivan TP, Guiry PJ: **Synthesis of quinazolinones and quinazolines.** *Tetrahedron* 2005, **61**:10153–10202.
7. Elgohary AMF, Hassan MM, Abass M: **Synthesis of some quinazolin-4-one derivatives carrying ibuprofenyl moiety and their antiinflammatory activity.** *Der Pharma Chemica* 2011, **3**:1–12.
8. Azarifar D, Sheikh D: **Ultrasound-Promoted Catalyst-Free Synthesis of 2,2'-(1,4-Phenylene)bis[1-acetyl-1,2-dihydro-4*H*-3,1-benzoxazin-4-one] Derivatives.** *Helv Chim Acta* 2012, **95**:1217–1225.
9. Alagarsamy V: **Synthesis and pharmacological investigation of some novel 2-methyl-3-(substituted methylamino)-(3*H*)-quinazolin-4-ones as histamine H1-receptor blockers.** *Die Pharmazie - An International Journal of Pharmaceutical Sciences* 2004, **59**:753–755.
10. Kurihara M, Saito H, Nukada K, Yoda N: **Cyclopolycondensation. XII. Polymerization mechanism of polybenzoxazinones in polyphosphoric acid medium.** *J Polym Sci [A1]* 1969, **7**:2897–2914.
11. Papadopoulos EP, Torres CD: **Convenient preparation of *N*-substituted 2-amino-4*H*-3, 1-benzoxazin-4-ones and 3-substituted 2,4(1*H*,3*H*)-quinazolin-4-ones.** *J Heterocycl Chem* 1982, **19**:269–272.
12. Eissa AMF, El-Sayed R: **Synthesis and evaluation of condensed and noncondensed heterocyclic compounds of industrial application.** *J Heterocycl Chem* 2006, **43**:1161–1168.
13. Anastas P, Eghbali N: **Green chemistry: principles and practice.** *Chem Soc Rev* 2010, **39**:301–312.
14. Poliakoff M, Anastas P: **Green chemistry: a principal stance.** *Nature* 2001, **413**:257–258.
15. Bandgar B, Pandit S: **Synthesis of acyl azides from carboxylic acids using cyanuric chloride.** *Tetrahedron Lett* 2002, **43**:3413–3414.
16. Venkataraman K, Wagle D: **Cyanuric chloride: a useful reagent for converting carboxylic acids into chlorides, esters, amides and peptides.** *Tetrahedron Lett* 1979, **20**:3037–3040.
17. Hamon F, Prié G, Lecornuë F, Papot S: **Cyanuric chloride: an efficient reagent for the Lossen rearrangement.** *Tetrahedron Lett* 2009, **50**:6800–6802.
18. Maia A, Albanese DCM, Landini D: **Cyanuric chloride catalyzed Beckmann rearrangement of ketoximes in biodegradable ionic liquids.** *Tetrahedron* 2012, **68**:1947–1950.
19. Akhlaghinia B, Roohi E: **Efficient method for tetrahydropyranlation of phenols and alcohols using 2, 4, 6-trichloro [1,3,5] triazine.** *Türk J Chem* 2007, **31**:83–88.
20. Porcheddu A, Giacomelli G, Salaris M: **Microwave-assisted synthesis of isonitriles: a general simple methodology.** *J Org Chem* 2005, **70**:2361–2363.
21. De Luca L, Giacomelli G, Porcheddu A: **A mild and efficient alternative to the classical swern oxidation.** *J Org Chem* 2001, **66**:7907–7909.
22. Venkataraman K, Wagle DR: **Cyanuric chloride, a useful reagent for macrocyclic lactonization.** *Tetrahedron Lett* 1980, **21**:1893–1896.
23. Hullio AA, Mastoi GM: **Application of multipurpose dimethylformamide-like task specific ionic liquid as a recyclable reagent for direct iodination of alcohols.** *Iranian Journal of Catalysis* 2011, **1**(2):79–86.
24. De Luca L, Giacomelli G, Porcheddu A: **Beckmann rearrangement of oximes under very mild conditions.** *J Org Chem* 2002, **67**:6272–6274.
25. De Luca L, Giacomelli G, Porcheddu A: **An efficient route to alkyl chlorides from alcohols using the complex TCT/DMF.** *Org Lett* 2002, **4**:553–555.
26. Thilagavathy R, Kavitha HP, Arulmozhi R, Vennila JP, Manivannan V: **2-Phenyl-4*H*-3,1-benzoxazin-4-one.** *Acta Crystallogr Sect E- Struct Rep* 2009, **65**:o127.
27. Khajavi MS, Shariat SM: **A New Synthesis of 2-Substituted 4*H*-3,1-Benzoxazin-4-ones by Cyanuric Chloride Cyclodehydration of *N*-Benzoyl- and *N*-Acylanthranilic Acids.** *Heterocycles* 2005, **65**:1159–1165.
28. Bain DL, Smalley R: **Synthesis of 2-substituted-4*H*-3, 1-benzoxazin-4-ones.** *J Chem Soc C* 1968, **0**:1593–1597.
29. Jagani CL, Vanparia SF, Patel TS, Dixit RB, Dixit BC: **A comparative study of solution phase as well as solvent free microwave assisted syntheses of 3-benzothiazole/isoxazole substituted 2-styryl-4 (3*H*)-quinazolinones.** *Arkivoc* 2012, **6**:281–294.

doi:10.1186/1752-153X-7-58

Cite this article as: Shariat *et al.*: One-pot synthesis of 2-substituted 4*H*-3,1-benzoxazin-4-one derivatives under mild conditions using iminium cation from cyanuric chloride/dimethylformamide as a cyclizing agent. *Chemistry Central Journal* 2013 **7**:58.

Publish with **ChemistryCentral** and every scientist can read your work free of charge

“Open access provides opportunities to our colleagues in other parts of the globe, by allowing anyone to view the content free of charge.”

W. Jeffery Hurst, The Hershey Company.

- available free of charge to the entire scientific community
- peer reviewed and published immediately upon acceptance
- cited in PubMed and archived on PubMed Central
- yours — you keep the copyright

Submit your manuscript here:
<http://www.chemistrycentral.com/manuscript/>


ChemistryCentral