Molbank **2005**, M448 http://www.mdpi.net/molbank/

Synthesis of 4H-3,1-benzoxazin-4-one 2-phenyl Using Cyanuric Chloride as a Cyclization Agent

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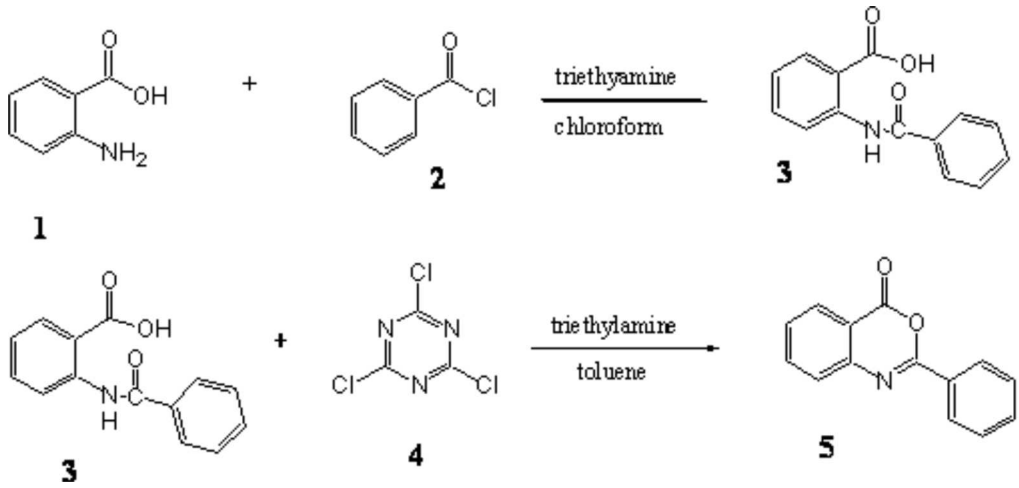
Received: 15 July 2005 / Accepted: 24 October 2004 / Published: 12 December 2005

Keywords:



The preparation of different derivatives of benzoxazinone can be considered important because they have different kinds of pharmaceutical, agricultural and industrial applications [1-4]. The synthesis of benzoxazinone derivative, 4H-3,1-benzoxazin-4-one 2-(N-phthaloylmethyl), was reported previously by our team [5]. In this paper we have used the same method to synthesize 4H-3,1-benzoxazin-4-one 2-phenyl **5**. This synthesis occurs in two steps: In the first step, anthranilic acid **1** (4.11g) in 40 ml chloroform was mixed with 4.15 ml anhydrous triethylamine and 3.48 ml benzoyl chloride **2** in 10 ml chloroform. In the second step, the resulting benzamid **3** (2.41 g) mixed with 100 ml anhydrous toluene, 1.52 ml triethylamine and 1.84 g cyanuric chloride **4** is refluxed for one week. After purification, drying with magnesium sulfate, the resulting benzoxazinone **5** as a final product is recrystallized in 30% ether-chloroform solution. Yield 67.8 % (63% overall)

For the compound **3:**

^{1}H-NMR ($CDCl_3$): δ= 7.10-9.13 (m, 9H, Ar-H; NH); 12.1-12.3 (broad singlet,-COOH).

IR **(**KBr, cm^{-1}**):** 2460 – 3115; 1680; 1639.

For the product **5**

Melting point: 122-124ºC (lit. 123-125) [6].

^{1}H-NMR ($CDCl_3$): δ= 7.25-8.73 (m, 9H, Ar-H).

IR (KBr, cm^{-1}): 1760; 1608.

Elemental Analysis: Calculated for $C_{14}H_9NO_2$ (223.23): C, 75.33%; H, 4.06%; N, 6.27%; O, 14.33. Found: C, 75.01%; H, 4.26%; N, 6.3%; O, 14.43%.

Acknowledgement
The authors are grateful for the support and financial help that were received by the University of Payamnoor.

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Sample Availability: Available from the authors.

Received: 8 March 2004 / Accepted: 18 March 2004 / Published: 1 August 2005

Sample Availability: Available from MDPI.