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Expendious One Pot Boron Oxide Catalysed Synthesis of 4-Thiazolidinone Derivatives

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Abstract: ***In extension of our previous research work herein we report new expendious method for the preparation 4-thiazolidinone derivatives. Mixture of aromatic aldehyde, 2-aminobenzothiazole and thioglicolic acid in ethanol water system (1:1) with boron oxide as catalyst gives desire product with good to excellent yield. Average reaction time was found to be 30 minutes at room temperature.***

Keywords: Thiazolidin-4-one, one pot, boron oxide catalyst, 2-2-aminobenzothiazole

1. Introduction

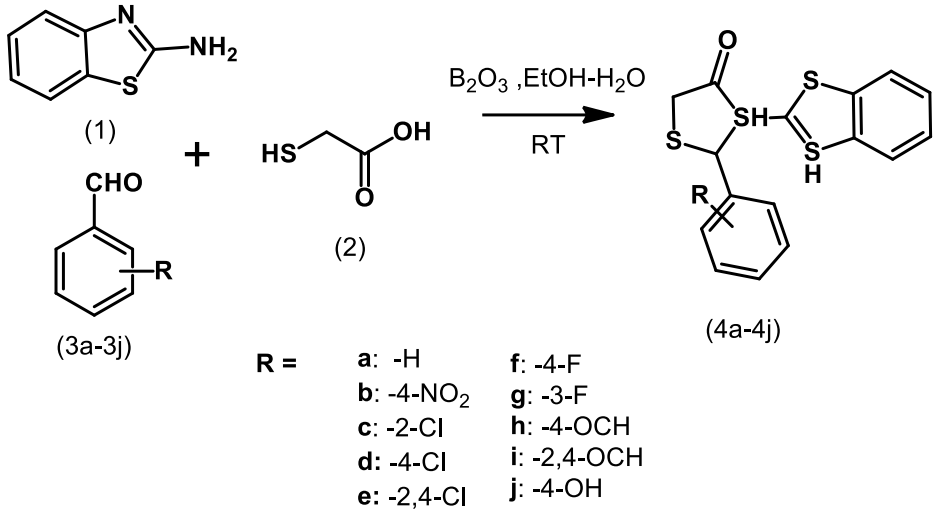
Heterocyclic compounds have been extensively studied as pharmaceutical agents as they are bestowed with enormous biological activities. The fusion of heteroatoms in chemical frameworks allows them to interact with different biological targets and serve as linkers to generate favourable conforma- tions. Moreover, the availability of nitrogen and oxygen atoms has been utilized to improve the physical properties of biologically active compounds.[4] Among various heterocyclic compounds, thiazolidinones, which are a class of five-mem- bered ring containing sulfur atom at position 1, nitrogen atom at position 3, and carbonyl group at positions 2, 4, or 5 [5] is an advantaged pharmacophore possessing multi- ple biological activities, including anticancer, [6] antibacterial, [7] antifungal, [8] antiviral, [9] antidiabetic, [10] anticonvulsant, [11] antioxidant,[12] sedative, [13] anti-inflammatory, [14] antihypertension [15] and antituberculosis.[16] In addition, several drugs contain thiazolidinone moiety in their core structure such as Proglitazone, Rosiglitazone (antidiabetic), Darbufelone, CI-987 (dual COX/LOX inhibitors), and Actithiazic acid (antibiotic)[17]. Variations of substituents can be explored at positions 2,3 and/or 5; however, apparent alteration in structure and properties is observed through substituents at carbon atom on the second position in light of molecular hybridization advantages and appraisal of thiazolidinone pharmacophores.

2. Experimental Section

2-amino-benzothiazole, substitute aldehydes, 2-mercaptoacetic acid (Thioglycolic acid) were commercially available. The major chemicals were purchased from Sigma Aldrich the progressed reaction monitored by TLC on silica gel precoated F254 Merc plates, the developed plates were examined with ultraviolet lamps (254nm) IR spectra were recorded on a FT-IR (Bruker). Melting points were recorded on open head capillary tube are uncorrected.1H NMR spectra were recorded on a 400 MHz H1 NMR spectra were recorded on a DRX-300 Bruker FT-NMR spectrophotometer The values of chemical shift are expressed in δ ppm as a unit.

Experimental procedure for preparation of 4a-4j

A mixture of substituted aromatic aldehyde (1 eq), 2aminobenzothiazole (1.1 eq.), thioglicolic acid (1.1 eq.) and boron trioxide (10mol%) was taken in 50% aqueous ethanol and allowed to stir at room temperature. After completion of the reaction check by TLC (hexane and ethyl acetate (1:1) as eluents). The catalyst was separated by simple Filtration. To ensure complete removal of catalyst obtained crude product wash with warm water several time, then desired product was purified by recrystallization in hot ethanol–water and air dried.



Scheme 1. Synthesis of 4-thiazolidinone derivatives by using Boron trioxide as catalyst and Ethanol water (1:1) as solvent system.

Table 1: Showing substituent of aromatic aldehyde taken during reaction and physical constant of product obtained.

Sr.	Product Tag	Substituted Aromatic Aldehyde R=	Isolated Yield (%)	M.P. in °C ± 1
1	4a	-H	88	90
2	4b	-4-NO2	91	190
3	4c	-2-Cl	90	128
4	4d	-4-Cl	94	119
5	4e	-2,4-$(Cl)_2$	92	130
6	4f	-4-F	90	129
7	4g	-3-F	84	135
8	4h	-4-OCH_3	97	52
9	4i	-2,4-$(OCH3)_2$	90	46
10	4j	-4-OH	72	140

3. Results and Discussion

In continuation of our previous work [18] we select boric acid as an efficient catalyst for the condensation of multicomponent in one pot synthesis. We used green solvent pathway and novel green approach with room temperature. We herein report synthesis of a various thiazolidinone derivatives by novel, unique, expeditious method. It was found that aromatic aldehyde with hydroxyl group (Table 1; Entry 10) has produced relatively low yield, this could be justified by nature of hydrophilic nature of hydroxyl group. Perhaps while reporting isolated yield fraction of product could have been loss during workup process. All other remaining derivatives obtained with satisfactory yield.

As all prepared derivatives are well known, a sample compound scan for its spectral characterization and found in agreement with the reported data.

IR, NMR, CHN-Analysis of **(4a)**: IR (cm-1):3390, 3050, 2930, 1683 1H NMR: δppm = 4.41–4.57 (d, 1H, CH2), 4.60–4.69 (d, 1H, CH2), 5.72 (s, 1H, OH), 6.23 (s, 1H, S–CH–N), 7.04–7.23 (m, 3H Ar-H), 7.21–7.27 (m, 5H, Ar-H) 13NMR: δppm = 33.2, 73.2, 116.8, 121.7, 124.2, 125.3, 126.2, 128.5, 129.1, 133.8, 139.4, 151.2, 171.9.; Anal. Calcd for C15H12 ClNO2S (305.03): C, 58.92; H, 3.96; Cl, 11.51; N, 4.58; S, 10.47, Found: C, 58.93; H, 3.93; Cl,11.55; N, 4.55; S,10.45.

4. Conclusion

In conclusion we report the synthesis of 4-thiazolidinone derivatives in boric acid (10 mol%) catalyzed under solvent (Ethanol water) condition using room temperature stirring techniques. This new methodology is expeditious with excellent yield of product. Green profile enhanced the environmental friendly approach.

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