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# Catalyst-free and environment friendly synthesis of 2-aryl-3-substituted-4-thiazolidinones in water

Received 00th January 20xx,
Accepted 00th January 20xx

DOI: 10.1039/x0xx00000x

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A simple, efficient, eco-friendly, and cost-effective method has been developed for three component one pot synthesis of 2-aryl-3-substituted-4-thiazolidinones is described. The method provides rapid and easy access to thiazolidinone compounds in good to excellent yields.

## Introduction:

Sulphur containing compounds are found in a number of natural products and are of particular importance regarding pharmaceutically active substances (*1*). In recent years, 4-thiazolidinones are the most extensively investigated compounds and have fascinated organic and medicinal chemists. Thiazolidinones have emerged as an important class of compound because of their biological importance and have shown interesting biological activity profiles such as antibacterial (*2*), anticancer (*3*), antitubercular (*4*), antioxidant (*5*), anti-inflammatory (*6*), COX-1 inhibitor (*7*), anti HIV (*8*), anti-histaminic (*9*) agents and potent in vitro anti-urease agents (10).

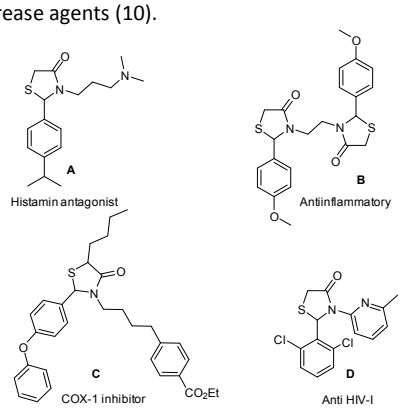


**Figure 1.** Some biologically important thiazolidinone compounds

Consequently, many different protocols have been developed that allow the synthesis of 4- thiazolidinone compounds. These methods employ a one pot three component condensations or two step syntheses (*11*). The reaction is believed to proceed via imine formation in the first step followed by attack of sulphur nucleophile on the imine carbon and finally intramolucular cyclization with the elimination of water. Variations have been made in the removal of water during cyclization. Different protocols have been used to affect the loss of water in final step such as molecular sieves (*12*), trimethylorthoformate (*13*), sodium sulphate (*14*), $ZnCl_2$ (*15*), and azeotropic distillation with benzene or toluene (*16*). The most recently used methods for the synthesis of thiazolidinones include DCC (*17*), Saccharomyces cerevisiae *(18)*, HBTU (*19*), [bmim][PF6] (*20*), $Bi(SCH_2COOH)_3$ (*21*), silica chloride (*22*), mesoporous MCM-41 supported Schiff base and $CuSO_4.5H_2O$ (23) and alum (24). However, the use of these reagents have some limitations such as high temperature, longer reaction time, corrosive, expensive, hazardous reaction condition and purification issues due to formation of by-products. Therefore, it is desired to develop a new method which can eliminate these difficulties. In this article, we report catalyst free and environment friendly synthesis of thiazolidinones via three component one pot condensation of aldehyde, amine and thioglycolic acid in water (scheme 1). The reactions are quick and no work up procedure is involved which makes it clean and green alternative to other reported procedures and is feasible for parallel synthesis of large number of compounds.

## Results and discussion:

Treatment of aromatic aldehyde, amine and thioglycolic acid in water afforded the corresponding thiazolidinone derivatives under catalyst free in short reaction time with good yields. The model reaction was performed using benzaldehyde, 3-methylbenzylamine and thioglycolic acid in water keeping in mind that thiazolidinone compound form in the final step of condensation without using any catalyst. We have developed excellent and quicker method for the synthesis of thiazolidinones without any catalyst in water. This type of selectivity could be useful in synthesizing a small library of thiazolidinones in moderate to excellent yields. To the best of our knowledge, there are no earlier reports on the preparation of thiazolidinones without using any catalyst in water. This method

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Electronic Supplementary Information (ESI) available: [$^1$H NMR, $^{13}$C NMR, LC-MS]. See DOI: 10.1039/x0xx00000x

avoids the use of toxic, expensive, hazardous chemicals and provides an easy and rapid access to pharmaceutically important thiazolidinone derivatives. The method offers many advantages over the reported methods such as shorter reaction time, cleaner reaction profile, easy isolation without any work up and excellent yield of the products. This method suggests that thiazolidinones formation without any catalyst in water is also faster like other methods. There is no requirement of extraction in organic solvents and purification by chromatography. We believe that our new protocol in water will find widespread applications in academic laboratories and industry.

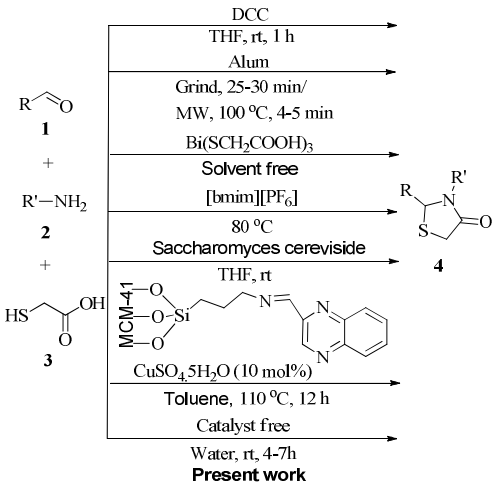
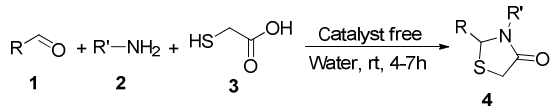



**Figure 2.** Some different methods to assemble 4-thiazolidinine derivatives.

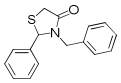
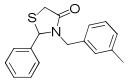
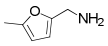
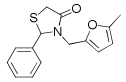
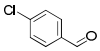
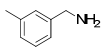
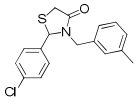
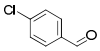
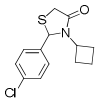
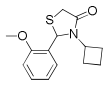
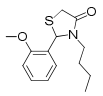
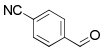
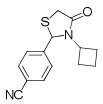
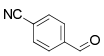
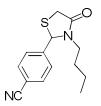
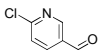
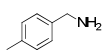
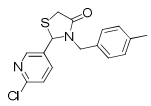
In order to standardize the reaction, benzaldehyde (99 mg, 0.93 mmol) was added to a stirred solution of benzylamine (100 mg, 0.93 mmol) in water (5 mL) at room temperature and the mixture was stirred for 5 min. Thioglycolic acid (86 mg, 0.93 mmol) was then added to the above reaction mixture and stirring was continued for another 4 h where upon water was removed under reduced pressure and the residue was stirred in diethyl ether at 0°C to afford solids. Solids were collected by filtration and washed with ice cooled diethyl ether to afford the pure product (220 mg, 87%) (Table 1, Entry 1).




**Scheme 1.** Reagent and condition: aromatic aldehyde (1.0 eq), amine (1.0 eq), thioglycolic acid (1.0 eq), water (5 mL), rt, 4-7h.

To establish the generality of the method, this condition was employed for other aromatic aldehydes and aromatic as well as aliphatic amines. The experimental procedure is very simple and proceeds very smoothly under catalyst-free condition in water and

Table 1: Synthesis of 2-aryl-3-substitued-4-thiazolidinones using aldehyde, amine and thioglycolic acid in water at room temperature

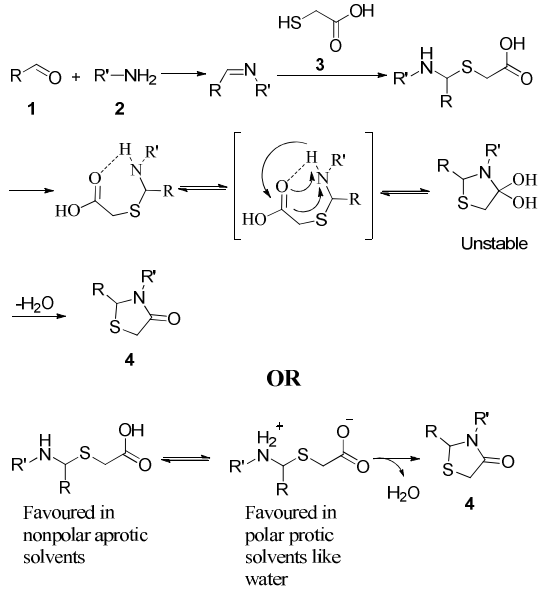
| Entry | Aldehyde | Amine | Product | Time (h) | Yield (%)[a] |
|---|---|---|---|---|---|
| 1 |  |  |  | 4 | 87[b] |
| 2 |  |  |  | 5 | 93 |
| 3 |  |  |  | 7 | 79 |
| 4 |  |  |  | 5 | 91 |
| 5 |  |  |  | 5 | 90 |
| 6 |  |  |  | 5 | 96 |
| 7 |  |  |  | 6 | 86 |
| 8 |  |  |  | 5 | 86 |
| 9 |  |  |  | 6 | 83 |
| 10 |  |  |  | 7 | 89 |

[a]Isolated yields obtained using 1.0 mmol of aromatic aldehyde, 1.0 mmol of aromatic/aliphatic amine, 1.0 mmol of thioglycolic acid in water (5 mL) at room temperature. [b]Ref 17

gives the title compound **4a-j** in good to excellent yields which are summarized in Table 1 and the proposed reaction mechanism is shown in scheme 2. As shown in Table 1, the reaction worked well with variety of aryl aldehydes including those dearing electron-donating and electron-withdrawing groups such as OMe, Cl and CN and the desired compound obtained in good to excellent yields.

Products were characterized by $^{1}$H NMR, $^{13}$C NMR and LCMS.

In summary, an efficient synthesis of thiazolidinones derived from diverse aromatic aldehydes, amines and thioglycolic acid is reported. We know that the use of different reagents or catalysts for the synthesis of 4-thiazolidines have some limitations such as high temperature, longer reaction time, corrosive, expensive, hazardous reaction condition and purification issues due to formation of by-products. The method offers many advantages over the reported methods such as shorter reaction time, cleaner reaction profile, avoids the use of corrosive, expensive, hazardous chemicals as a reagent or catalyst, no high temperature, easy isolation and excellent yield of the products without any chromatographic purification. Without the need for any catalyst or reagent, this reaction condition eliminates solvent waste and is both green and cost-efficient to access pharmaceutically important thiazolidinone derivatives.




**Scheme 2.** Plausible mechanism for catalyst free synthesis of 4-thiazolidinones in water

## Experimental:

### General remarks

All reagents were purchased from commercial suppliers and used without further purification. Dry methanol and diethyl ether were purchased from Aldrich and were used as such. All reactions were run in oven-dried round bottom flask or vial containing a teflon-coated stir bar and sealed with septum. Analytical thin layer chromatography was carried out on silica pre-coated glass plates (Silica gel 60 F254, 0.25 mm thickness) and visualized with UV light at 254 nm. $^{1}$H NMR spectra were recorded on Bruker 400-MHz Ultrashield Advance II 400 model (400 and 100 MHz, respectively) at ambient temperature with $CDCl_3$ or DMSO-*d6* as solvents. Data for $^{1}$H are recorded as follows: δ chemical shift (ppm), multiplicity (s, singlet; d, doublet; dd, double doublet; t, triplet; q, quartet; m, multiplet), coupling constant (Hz), integration. Spectra were referenced internally to the residual proton resonance in $CDCl_3$ (δ 7.26 ppm), DMSO-d6 (δ 2.50 ppm) or with tetramethylsilane (TMS, δ 0.00 ppm) as the internal standard. Chemical shifts (δ) were reported as part per million (ppm) in δ scale downfield from TMS. Liquid chromatography/mass spectrometry (LC/MS) data was obtained to verify molecular mass and analyze purity of products. The specifications of the LC/MS instrument are the following: Electrospray (+) ionization, mass range of 100-1000 Da, 20V cone voltage, Acquity BEH C-18 column (2.1 x 100mm, 1.7 µm), and gradient mobile phase consisting of 5 mM ammonium acetate in water and acetonitrile, and a flow rate of 0.5 mL/min.

**General procedure for the synthesis of 2-aryl-3-substituted-4-thiazolidinones (4a-j):**

Aromatic aldehyde (1.0 mmol) was added to a stirred solution of amine (1.0 mmol) in water (5 mL) at room temperature and the suspension was stirred for 5 min to formed new type of partially soluble reaction mixture. Thioglycolic acid (1.0 mmol) was then added to the above reaction mixture and stirring was continued for another 4-7 h. As soon as the reaction proceeds, the reaction mixture became clear because of the addition of thioglycolic acid on first intermediate imine. After some time, the clear reaction mixture became cloudy because of the formation of cyclised product. After completion, the partially soluble cyclised product i.e. 4-thiazolidinones observed in the reaction mixture. The progress of reaction was monitored by thin layer chromatography. The reaction mixture was concentrated under reduced pressure within 10-20 min at 50$^{o}$C to remove water and the residue was triturated in diethyl ether at 0$^{o}$C to afford solids which was then collected by filtration and washed with ice cooled diethyl ether to afford the pure product **4a-j**.

**3-Benzyl-2-phenylthiazolidin-4-one (4a):** (219 mg, 87%) white solid; $^{1}$H NMR (400 MHz, $CDCl_3$): 7.380-7.419 (3H, m), 7.293-7.353 (3H,m), 7.22-7.243 (2H, m), 7.090-7.108 (2H, m),7.386 (1H,s), 5.164 (1H, d, *J=14.8 Hz*), 3.907 (1H,d,*J=15.6 Hz*), 3.769 (1H, d, *J=15.6 Hz*), 3.537 (1H, d, *J=14.8 Hz*); $^{13}$C NMR (400 MHz, DMSO-$d_6$): 170.83, 139.83, 135.71, 128.86, 128.74, 128.56, 127.63, 127.46, 126.91, 61.78, 45.60, 31.72. LCMS calcd for $C_{16}H_{15}NOS$ ($M^{+}$) 270.09, found 270.16.

**3-(3-methylbenzyl)-2-phenylthiazolidin-4-one (4b):** (217 mg, 93%) white solid; $^{1}$H NMR (400 MHz, DMSO-$d_6$): 7.354-7.391 (3H, m), 7.309 (2H, d, *J=7.6 Hz*), 7.197 (1H, t, J=*7.6 Hz*), 7.083 (1H, d, *J=7.6 Hz*), 6.875 (2H, s), 5.553 (1H, s), 4.817 (1H, d, *J=15.2 Hz*), 3.958 (1H, d, *J=15.2 Hz*), 3.782 (1H, d, *J=15.6 Hz*), 3.558 (1H, d, *J=15.2 Hz*), 2.257 (3H, s); $^{13}$C NMR (400 MHz, DMSO-$d_6$): 170.78, 139.88, 137.73, 135.59, 128.84, 128.73, 128.44, 128.26, 128.13, 126.92, 124.77, 61.79, 45.57,

31.74, 20.93. LCMS calcd for $C_{17}H_{17}NOS$ ($M^+$) 284.39, found 284.13.

**3-((5-methylfuran-2-yl)methyl)-2-phenylthiazolidin-4-one (4c):** (194 mg, 79%) brown solid; $^1$H NMR (400 MHz, DMSO-$d_6$): 7.340-7.417 (3H, m), 7.289-7.308 (2H,m), 5.974 (1H, d, J=*2.8 Hz*), 5.851 (1H, d, *J=2.0 Hz*), 5.558 (1H, s), 4.943 (1H, d, *J=15.2 Hz*), 3.860 (1H, d, *J=15.6 Hz*), 3.735 (1H, d, *J=15.6 Hz*), 3.606 (1H, d, *J=15.6 Hz), 2.252 (3H, s)*. LCMS calcd for $C_{15}H_{15}NO_2S$ ($M^+$) 274.08, found 274.13.

**2-(4-chlorophenyl)-3-(3-methylbenzyl)thiazolidin-4-one (4d):** (239 mg, 91%) off white solid; $^1$H NMR (400 MHz, DMSO-$d_6$): 7.423 (2H, d, *J=8.0 Hz*), 7.334 (2H,d, J=*8.0 Hz*), 7.186 (1H, t, *J=7.6 Hz*), 7.075 (1H, d, *J=7.2 Hz*), 6.853-6.889 (2H, m), 5.590 (1H, s), 4.763 (1H, d, *J=15.2 Hz*), 3.964 (1H, d, *J=15.6 Hz*), 3.775 (1H, d, *J=15.6 Hz*), 3.635 (1H, d, *J=15.2 Hz*) 2.249 (3H, s); $^{13}$C NMR (400 MHz, DMSO-$d_6$): 170.79, 139.13, 137.72, 135.60, 133.18, 128.89, 128.81, 128.46, 128.32, 128.113, 124.83, 61.11, 45.70, 31.66, 20.93. LCMS calcd for $C_{17}H_{16}ClNOS$ ($M^+$) 318.06, found 318.13.

**2-(4-chlorophenyl)-3-cyclobutylthiazolidin-4-one (4e):** (338 mg, 90%) light brown solid; $^1$H NMR (400 MHz, $CDCl_3$): 7.344 (2H, d, *J=8.4 Hz*), 7.181 (2H,d, J=*8.8 Hz*), 5.697 (1H, s), 4.184-4.228 (1H, m), 3.799 (1H, d, *J=15.6 Hz*), 3.610 (1H, d, *J=15.6 Hz*), 2.275-2.350 (1H, m), 2.175-2.220 (1H, m) 2.017-1.941 (1H, m), 1.818-1.774 (1H, m), 1.520-1.634 (1H, m); $^{13}$C NMR (400 MHz, DMSO-$d_6$): 170.83, 142.16, 132.58, 128.85, 127.54, 59.99, 48.99, 31.82, 27.91, 27.41, 15.03. LCMS calcd for $C_{13}H_{14}ClNOS$ ($M^+$) 268.05, found 268.15.

**3-cyclobutyl-2-(2-methoxyphenyl)thiazolidin-4-one (4f):** (355 mg, 96%) brown solid; $^1$H NMR (400 MHz, DMSO-$d_6$): 7.306 (1H, t, *J=7.6 Hz*), 7.053 (2H,d, J=*8.0 Hz*), 6.930 (1H, t, *J=7.6 Hz*), 6.043 (1H, s), 4.108-4.195 (1H, m), 3.840 (3H, s), 3.669 (1H, d, *J=15.2 Hz*), 3.520 (1H, d, *J=15.2 Hz*), 2.215-2.314 (1H, m), 2.018-2.072 (1H, m) 1.869-1.970 (1H, m), 1.641-1.685 (1H, m), 1.473-1.537 (1H, m); $^{13}$C NMR (400 MHz, DMSO-$d_6$): 171.27, 155.84, 129.84, 129.32, 125.53, 120.40, 111.39, 55.66, 48.95, 32.00, 27.90, 27.46, 14.99. LCMS calcd for $C_{14}H_{17}NO_2S$ ($M^+$) 264.10, found 264.15.

**3-butyl-2-(2-methoxyphenyl)thiazolidin-4-one (4g):** (312 mg, 86%) off white solid; $^1$H NMR (400 MHz, $CDCl_3$): 7.309 (1H, t, *J=7.6 Hz*), 7.101 (1H,d, J=*7.6 Hz*), 6.908-6.981 (2H, m), 5.988 (1H, s), 3.873 (3H,s), 3.724-3.805 (2H,m), 3.602 (1H, d, *J=15.2 Hz*), 2.625-2.694 (1H, m), 1.442-1.510 (2H, m) 1.208-1.351 (2H, m), 0.881 (3H, t, *J=7.2 Hz*); $^{13}$C NMR (400 MHz, DMSO-$d_6$): 170.93, 156.69, 129.72, 127.63, 126.62, 120.56, 111.48, 57.12, 55.67, 41.99, 31.86, 28.40, 19.37, 13.46. LCMS calcd for $C_{14}H_{19}NO_2S$ ($M^+$) 266.11, found 266.16.

**4-(3-cyclobutyl-4-oxothiazolidin-2-yl)benzonitrile (4h):** (312 mg, 86%) white solid; $^1$H NMR (400 MHz, DMSO-$d_6$): 7.855 (2H, d, *J=8.4 Hz*), 7.511 (2H,d, J=*8.4 Hz*), 6.156 (1H, s), 4.153-4.240 (1H,m), 3.861 (1H, d, *J=15.6 Hz*), 3.595 (1H, d, *J=15.6 Hz),* 2.215-2.290 (1H, m), 1.990-1.059 (1H, m), 1.827-1.902 (1H, m), 1.596-1.663 (1H, m), 1.461-1.541 (2H, m); $^{13}$C NMR (400 MHz, DMSO-$d_6$): 170.97, 148.75, 132.99, 126.46, 118.55, 110.77, 59.74, 48.88, 31.74, 28.00, 27.57, 15.04. LCMS calcd for $C_{14}H_{14}N_2OS$ ($M^+$) 259.08, found 259.12.

**4-(3-butyl-4-oxothiazolidin-2-yl)benzonitrile(4i):** (295 mg, 83%) light yellow solid; $^1$H NMR (400 MHz, DMSO-$d_6$): 7.876 (2H, d, *J=8.4 Hz*), 7.578 (2H,d, J=*8.0 Hz*), 5.942 (1H, s), 3.903 (1H, d, *J=15.2 Hz*), 3.682 (1H, d, *J=15.2 Hz),* 3.508-3.581 (1H, m), 2.500-2.566 (1H, m), 1.021-1.414 (4H, m), 0.805 (3H, t, *J=7.6 Hz)*; $^{13}$C NMR (400 MHz, DMSO-$d_6$): 170.63, 146.37, 132.92, 127.66, 118.48, 111.92, 66.90, 42.02, 31.74, 28.28, 19.30, 13.45. LCMS calcd for $C_{14}H_{16}N_2OS$ ($M^-$) 259.10, found 259.07.

**2-(6-chloropyridin-3-yl)-3-(4-methylbenzyl)thiazolidin-4-one (4j):** 234 mg, 89%) off white solid; $^1$H NMR (400 MHz, DMSO-$d_6$): 8.321 (1H, d, *J=2.0 Hz*), 7.820 (1H,d, J=*2.4 Hz*), 7.480 (1H, d, *J=8.4 Hz*) 7.099 (2H, d, *J=7.6 Hz*),6.975 (2H, d, *J=7.6 Hz),*5.639 (1H, s), 4.725 (1H, d, *J=15.2 Hz*),4.045 (1H, d, *J=15.2 Hz*), 3.733-3.783 (2H, m), 2.265 (3H, s); $^{13}$C NMR (400 MHz, DMSO-$d_6$): 170.75, 150.35, 148.53, 138.51, 136.74, 135.70, 132.62, 129.11, 127.80, 124.58, 58.77, 45.54, 31.65, 20.65. LCMS calcd for $C_{16}H_{15}ClN_2OS$ ($M^+$) 319.06, found 319.13.

## Acknowledgements:

We gratefully acknowledge the support of this work by the friends of our department for the help in analysis of the correlation NMR spectra.

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