INTRODUCTION

1,3,4-thiadiazolo [3,2-a] pyrimidine system efficiently enhances the physiological activity of the molecule 1-3. This replacement occurs in the reactions of 1,3,4-thiadiazolo [3,2-a]pyrimidine derivatives with electrophiles4-5. Literature data on fused heterocycles with athiadiazolo [3,2-a]pyrimidine system anelated with an other ring are scarce. These include 1,3,4-thiadiazolo [3,2-b] quinozalhaes,6-8 pyrazolol [3,4-e] 1,3,4-thiadiazolo [3,2 –alpyrimidines9 and 1,3,4-thiadiazolo [3 , 2-a] pyrido [3,2 , e]pyrimidines 10.

Thiuronium salts are mostly involved in reactions related to the nucleophilic activity of these compounds, where by sulfuror nitrogen atoms act as reactive centers. In particular, thioureas readily react with alkyl halogenides and alkyl sulfides with the formation of S-alkyl-isothiuronium salts11.

With a view to investigation of the reactivity of 1,3,4-thiadiazolo [3,2-a]pyrimidine derivatives and the search for new physiological compounds in this group, we have synthesized a series of thiouronium salts from various thioureas.12-15. The introduction of ketene dithioacetal fragments into the molecules makes it possible to synthesize heterocyclic systems with various functional groups16,17.

Synthesis and Antimicrobial Activity of 2-R 5-oxo 5-H 6-carbohydrazin 7-phenyl 1,3,4-thiadiazolo-[3,2-a] Pyrimidine

REZA MORADIVALIKBONI*, YULDASHBOY HOZHIBOEVY1 and ZABIALAH HEIDARNEZHAD2

1V.I.Nikitin Institute of Chemistry Academy of Sciences of the Republic of Tajikistan.
2Department of Chemistry,Andimeshk Branch, Islamic Azad University, Andimeshk , Iran
*Corresponding author E-mail: rmoradi02@yahoo.com

http://dx.doi.org/10.13005/ojc/290452

(Received: August 25, 2013; Accepted: October 19, 2013)

ABSTRACT

The synthesis of 2-R 5-oxo 5-H 6-carbohydrazin 7-phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine is described. This compound exhibits a broad spectrum of antimicrobial action and can be useful in the search for new antimicrobial drugs. Reactions of 2-R 5-Oxo 5-H 6-EthylCarboxilate 7-phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine with hydrazine produce 2-R 5-oxo 5-H 6-carbohydrazin 7-phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine. The structures of the compounds obtained are set NMR, 13C, IR- spectroscopy.

Key words: Pyrimidine, Hydrazine, Synthesis, IR- spectroscopy, 13CNMR.

INTRODUCTION

1,3,4-thiadiazolo [3,2-a] pyrimidine system efficiently enhances the physiological activity of the molecule 1-3. This replacement occurs in the reactions of 1,3,4-thiadiazolo [3,2-a]pyrimidine derivatives with electrophiles4-5. Literature data on fused heterocycles with athiadiazolo [3,2-a] pyrimidine system anelated with an other ring are scarce. These include 1,3,4-thiadiazolo [3,2-b] quinozalhaes,6-8 pyrazolol [3,4-e] 1,3,4-thiadiazolo [3,2 –alpyrimidines9 and 1,3,4-thiadiazolo [3 , 2-a] pyrido [3,2 , e]pyrimidines 10.

Thioamides are mostly involved in reactions related to the nucleophilic activity of these compounds, where by sulfuror nitrogen atoms act as reactive centers. In particular, thioureas readily react with alkyl halogenides and alkyl sulfides with the formation of S-alkyl-isothiuronium salts11.
Synthesis 2-R 5-oxo 5-H 6 -carboxyhydrazin
7-phenyl 1,3,4-thiadiazolo-[3,2-a]
Pyrimidineis carried out int wo stages. The first step we have synthesized 2-R 5-oxo 5-H 6-EthylCarboxilat e7-phenyl [1,3,4]thiadiazolo[3,2-a] pyrimidine with Use from 2-R 5-amino 1,3,4-thiadiazole and ethyl 2- formyl 3-okco 3-phenyl propanoate (Figure 1).

The Next Step we have synthesized 2-R 5-oxo 5-H 6 -carboxyhydrazin 7-phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine from 2-R 5-oxo 5-H 6-EthylCarboxilate7-phenyl [1,3,4]thiadiazolo[3,2-a] pyrimidine and hydrazine in present solvent alcohol ethanol (Figure 2).

Table 1: Synthesis of 2-R 5-oxo 5-H 6-carboxyhydrazin 7-phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine with 2-R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine and hydrazine

<table>
<thead>
<tr>
<th>Entry</th>
<th>Thiadiazol</th>
<th>hydrazine</th>
<th>Product</th>
<th>Time(h)</th>
<th>Yieldsb(%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td><img src="image1.png" alt="Image" /></td>
<td>NH₂NH₂</td>
<td><img src="image2.png" alt="Image" /></td>
<td>6</td>
<td>90</td>
</tr>
<tr>
<td>2</td>
<td><img src="image3.png" alt="Image" /></td>
<td>NH₂NH₂</td>
<td><img src="image4.png" alt="Image" /></td>
<td>5</td>
<td>87</td>
</tr>
<tr>
<td>3</td>
<td><img src="image5.png" alt="Image" /></td>
<td>NH₂NH₂</td>
<td><img src="image6.png" alt="Image" /></td>
<td>5</td>
<td>90</td>
</tr>
<tr>
<td>4</td>
<td><img src="image7.png" alt="Image" /></td>
<td>NH₂NH₂</td>
<td><img src="image8.png" alt="Image" /></td>
<td>6</td>
<td>92</td>
</tr>
<tr>
<td>5</td>
<td><img src="image9.png" alt="Image" /></td>
<td>NH₂NH₂</td>
<td><img src="image10.png" alt="Image" /></td>
<td>7</td>
<td>85</td>
</tr>
</tbody>
</table>

a Reactions were carried out with 2-R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine and hydrazine
b Yields refer to isolated pure products

RESULT AND DISCUSSION

At first, we have tried synthesis of 2-R 5-oxo 5-H 6-carboxyhydrazin 7-phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine with use 2-R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine and hydrazine in various Alcohol. But it looks better in the alcohols with less carbon and hydrogen. Such as methanol or ethanol.

To show the generality and applicability of this procedure, we treated a wide variety of 2-R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine and hydrazine in the presence of alcohol ethanol at 78°C and obtained the
R: (H, CH₃, Ph-, PhCH₂, Br)

Fig. 1: Synthesis of 2-R₇-phenyl 6-ethylcarboxylate 5-oxo 5-H 1,3,4-thiadiazolo [3,2-a]pyrimidine

R: (H, CH₃, Ph-, PhCH₂, Br)

Fig. 2: Synthesis of 2-R 5-oxo 5-H 6-carbohydrazin7-phenyl -1,3,4-thiadiazolo [3,2-a]pyrimidine

desirable products in good to excellent yields (Table 1).

EXPERIMENTAL

A mixture of 2-R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4- thiadiazolo [3,2-a] pyrimidine (1 mmol), hydrazine (1 mmol) was stirred magnetically at 78°C and the progress of the reaction was monitored by thin-layer chromatography (TLC). The reaction mixture was filtered. In all the cases, the product obtained after the usual work up gave satisfactory spectral data[18-19].

CONCLUSIONS

in the various alcohol have been employed as a mild and highly efficient solvent system for the convenient preparation of 2- R 5-oxo 5-H 6-carbohydrazin 7-phenyl -1,3,4-thiadiazolo [3,2-a] pyrimidine in excellent yields from 2- R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1 ,3,4- thiadiazolo [3,2-a] pyrimidine and hydrazine. The advantages include low cost, mild reaction conditions and reactions carried out at room temperature with excellent yields.

REFERENCES


