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ORIENTAL JOURNAL OF CHEMISTRY
An International Open Free Access, Peer Reviewed Research Journal

www.orientjchem.org

ISSN: 0970-020 X
CODEN: OJCHEG
2014, Vol. 30, No. (1):
Pg. 391-394

Reaction of 2-R 5-oxo 5-H 6- Ethylcarboxylate 7-phenyl-[1,3,4] thiadiazolo-[3,2-a]pyrimidine with Morpholin and their Properties

REZA MORADIVALIKBONI[1]*,ZABIALAH HEIDARNEZHAD[2], FATEMEH HEIDARNEZHAD[2], YULDASHBOY HOZHIBOEV [1] and RAHMAN RAHMANOV[1]

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

http://dx.doi.org/10.13005/ojc/300156

(Received: October 27, 2013; Accepted: December 02, 2013)

ABSTRACT

In this article presents Synthesis of 2-R5-oxo 5-H 6 -Carbomorpholin 7-phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine through reaction of 2- R 5 - Oxo 5 - H 6- EthylCarboxilate 7 – phenyl -1, 3,4 – Thiadiazolo-[3,2-a] pyrimidine with morpholin. in particular,for the new antibacterial drugs in these homologousseries of compounds, we have synthesized 2-R5-oxo 5-H 6 -Carbomorpholin 7-phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine .The structures of the compounds obtained are set NMR, ^{13}C, IR- spectroscopy.

Keywords: 2-R 5-oxo 5-H 6 -Carbomorpholin 7-phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine - 2- R 5-Oxo 5-H 6-EthylCarboxilate 7 – phenyl -1, 3,4 –Thiadiazolo-[3,2-a] pyrimidine –Morpholin -Synthesis - The reaction.

INTRODUCTION

The diverse and interesting biological activity of thiadiazoleshas been reported [1-4]It is well known that these heterocyclesare valuable building blocks. Many methods for preparationof these heterocyclic ring systems and their fused analogues have been described in the literature [5-6]. 1,3,4-thiadiazoles provided a usefulmethod for the synthesis of thiadiazolopyrimidine [7].

Pyrimidine derivatives have been found to be associated with diverse biological activities and numerous reportshave appeared in the literature [8-12]. This highlighted their chemistry and use. The pyrimidine derivatives have remarkable pharmacological activity [13,14] and widely used in the field of anti-microbial, antiviral, etc. Thiadiazole derivatives were shown to possess many biological activities including anti-inflammatory [15-16].

The introduction of a substituent at position 6 of the1,3,4-thiadiazolo [3,2-a] pyrimidine system efficientlyenhances the physiological activity of the molecule[17-19]. This replacement occurs in the reactions of 1,3,4 -thiadiazolo [3,2-a] pyrimidine

derivatives with electrophiles[20,21]. Derivatives of 1,3,4-thiadiazolo [3,2-alpyrimidine are potential biologically active substances,[22-25]. The introduction of ketene dithioacetal fragments into the molecules makes it possible to synthesize heterocyclic systemswith various functional groups[26,27].

We Preparated2-R5-oxo 5-H 6 -Carbomorpholin 7-phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine in two stage. In step first we have synthesize2-R5-oxo5-H6-EthylCarboxilate7-phenyl 1,3,4-thiadiazolo[3,2,-a]pyrimidine(3) with use2- R 5-amino 1,3,4- thiadiazole(1) andethyl 2- formyl 3-oxo 3- phenyl propanoate (2)(Fig. 1).

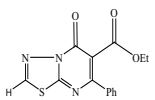
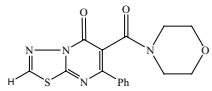
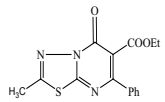
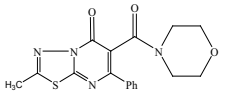
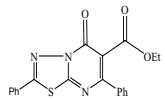
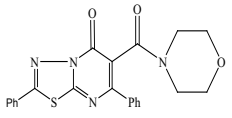
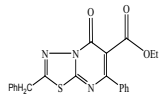
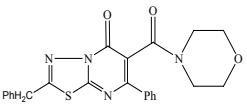
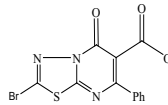
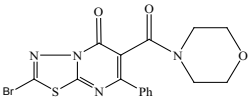
In another stage 2-R5-Oxo5-H6-EthylCarboxilate7-phenyl 1,3,4-thiadiazolo-[3,2,-a] pyrimidinereacted with morpholin(4) until produced 2-R 5-oxo 5-H 6 –Carbomorpholin 7 -phenyl 1,3,4-thiadiazolo-[3,2-a] pyrimidine(5-9)(f2).

RESULT AND DISCUSSION

We tried synthesisof 2- R 5-oxo 5-H 6-Carbomorpholin 7-phenyl -1,3,4-thiadiazolo [3,2-a] pyrimidinewith 2-R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1 ,3,4-thiadiazolo [3,2-a] pyrimidine and morpholin in varioussolvent. But alcohols are the best solvents to this reaction .The alcoholssuch asmethanolandethanolalcoholhave more use. The herbicidal activities of the target compounds were evaluatedagainst a variety of weeds by flat-utensil method according with the standard bioactivity test.

Applicability of this procedures, that we synthesis a wide variety of 2-R 5-oxo 5-H 6-R-amide derivatives7-phenyl 1 ,3,4- thiadiazolo [3,2-a] pyrimidine from 2-R 5-oxo 5-H 6- ethyl carboxylate7-phenyl 1,3,4-thiadiazolo [3,2- a]pyrimidine and morpholinin the presence of alcohol ethanol at 78

Table 1.synthesisof 2- R 5-oxo 5-H 6-Carbomorpholin 7-phenyl -1,3,4-thiadiazolo [3,2-a] pyrimidinefrom 2- R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1 ,3,4- thiadiazolo [3,2-a] pyrimidine and morpholin[a]

Entry	Thiadiazol pyrimidine	R-amine derivatives	Product	Time(h) (%)	Yield[b] point	Melting
5		Morpholin		7	90	170-172
6		Morpholin		6	85	175-176
7		Morpholin		5	90	178-180
8		Morpholin		5	92	182-183
9		Morpholin		6	80	181-183

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 Morphplin

b Yields refer to isolated pure products

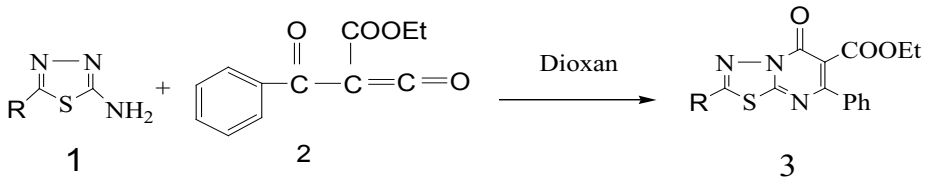
°C and obtained the desirable products in good to excellent yields (Table 1).

EXPERIMENTAL

A mixture of 2-CH_3 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4- thiadiazolo [3,2-a] pyrimidine (1 mmol),amin derivatives(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.

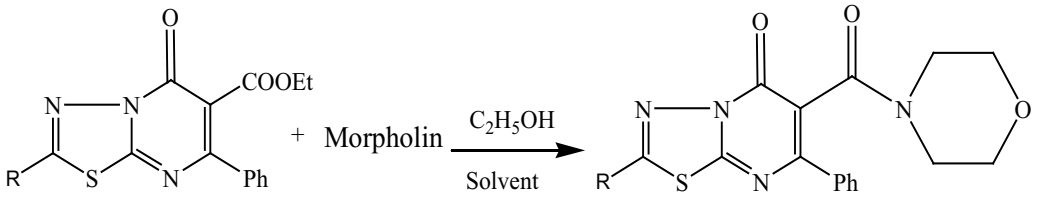
For example,2-CH_3 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4- thiadiazolo [3,2-a] pyrimidine (1 mmol-0.315gr),morpholin(1 mmol- 0.087gr) reacted to gether in alcoholethanol at 78 °C. And the product (2-CH_3 5-oxo 5-H 6-carbomorpholin 7-phenyl 1,3,4- thiadiazolo [3,2-a] pyrimidine) isobtainedin 85%yield.

2-CH_3 5-oxo 5-H 6-carbomorpholin 7-phenyl -1,3,4-thiadiazolo [3,2-a] pyrimidine:^{1}H NMR (400 MHz, $CDCl_3$, δ ppm): 0.9(s,3H,CH_3);); 3.65 (t,2H,CH_2);7.30-7.46 (5H, Ph); - ^{13}C NMR (100 MHz, $CDCl_3$, δ ppm): 24.2(CH_3),45.5 (CH_2),45.5 (CH_2),66.2 (CH_2), 66.2 (CH_2), 118 (C), 126,4 (CH) , 126,4 (CH) ,128(CH), 128.7(CH), 128.7(CH), 136.9(C), 154.7(C), 159 .8(C),162.1(C), 163 (C),168(C).



R:(H ,CH_3, Ph- ,$PhCH_2$- ,Br)

Fig. 1: Synthesis derivatives of 2-R5-oxo 5-H 6-ethylcarboxylate7-phenyl 1,3,4-thiadiazolo [3,2- a]pyrimidine



R:H(5) ,CH_3(6) , Ph(7) , $PhCH_2$(8) ,Br(9)

Fig. 2: Synthesis of 2- R 5-oxo 5-H 6-Carbomorpholin7-phenyl -1,3,4-thiadiazolo [3,2-a] pyrimidine

CONCLUSIONS

Compound2-R5-oxo 5-H 6-Carbomorpholin 7-phenyl -1,3,4-thiadiazolo [3,2-a] pyrimidine were procedure in excellent yields from 2- R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4- thiadiazolo [3,2-a] pyrimidine and morpholinthat have a broadspectrum of antimicrobial activity .

The pyrimidine derivatives haveremarkable pharmacological activity and widelyused in the field of anti-microbial, antiviral. Such medicinal utilities of the Pyrimidine derivatives prompted to synthesize the new pyrimidine thiosemicarbazide,1,3,4-thiadiazole compounds.

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