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Study of 2-R 5-Oxo 5-H 6-ethylcarboxylate 7-phyenyl-[1, 3, 4] thiadiazolo- [3, 2-a] pyrimidine with Morpholin

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Abstract
This paper presents the Synthesis of 2-R5-Oxo 5-H 6-Carbomorpholin 7-Phenyl 1;3,4-madiazolo- [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 syntehsized 2-R 5-Oxo 5-H 6-Carbomorpholin 7-phenyl 1, 3, 4-thiadiazolo- [3, 2-a] pyrimidine.

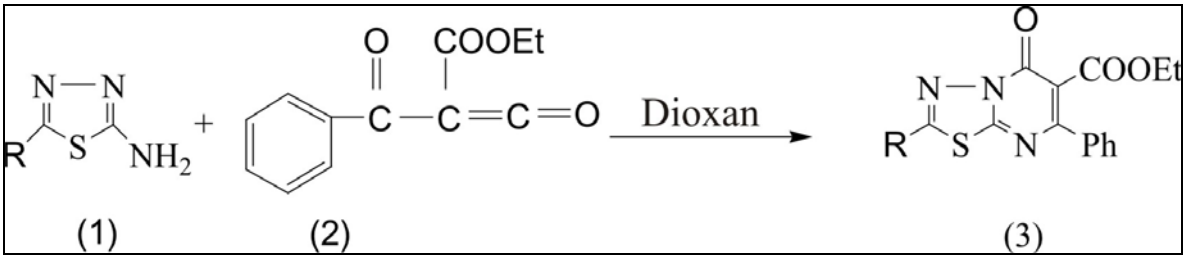
Keywords: pyrimidine, Morpholin, Synthesis, Carbomorpholin

Introduction

The pyrimidine derivatives have remarkable pharmacological activity [1, 7] and widely used in the field of anti-microbial, antiviral, etc. Thiadiazole derivatives were shown to possess many biological activities including anti-inflammatory.

The introduction of a substituent at position 6 of the 1, 3, 4-thiadiazolo [3, 2-a] pyrimidine system efficientlyenhances the physiological activity of the molecule. This replacement occurs in the reactions of 1, 3, 4 -thiadiazolo [3, 2-a], pyrimidine derivatives with electrophilies. Derivatives of 1, 3, 4-thiadiazolo [3, 2-alpyrimidine are polential biologically active substances. The introduction of ketene dithioacetal fragments into the molecules makes it possible to synthesize heterocyclic systems with various functional groups. We preparated 2-R5-Oxo 5-H 6-Carbomorpholin 7-Phynyl 1, 3, 4-thiadiazolo [3, 2-a] pyrimidine in two stage.

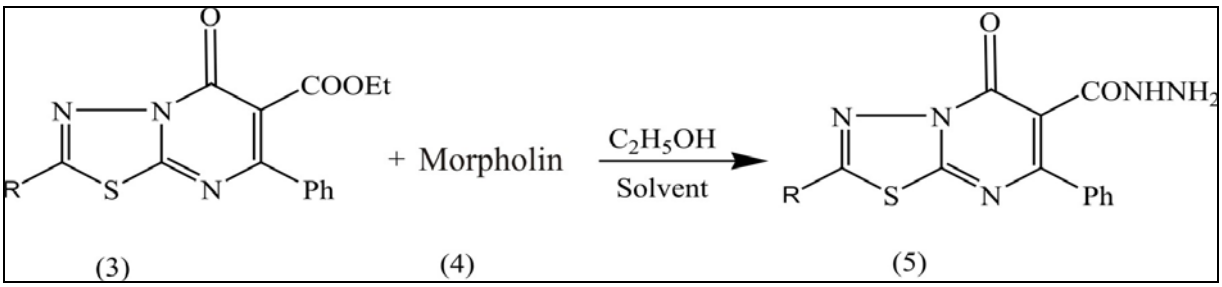
In step first we have synthesize 2-R5-oxo 5-H 6-EthylCarboxilate 7-phenyl 1,3]4-thiadiazolo [3,2-a] pyrimidine (3) with use 2-R 5-amino 1,3,4-thidiazole(1) andethyl 2-formyl 3-oxo 3- phynyl propanoate (2) as shown in Fig.1.



R: (H, CH_3, Ph–, $PhCH_2$–, Br)

Fig 1

In another stage 2-R5-Oxo 5-H 6-Ethyl Carboxilate 7-Phenyl 1,3,4-thidiazolo-[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) as shown in Fig. 2.



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

Fig 2

Materials and Method

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 78^0C 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 78^0C. And the product (2-CH_3 5-oxo 5-H 6-carbomorpholin 7-phenyl 1,3,4-thiadizolo [3,2-a] pyrimidine) isobtainedin 85% yield.

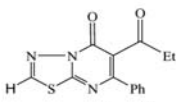
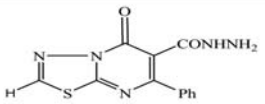
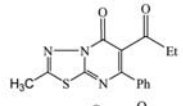
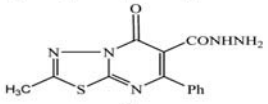
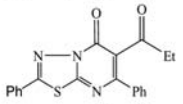
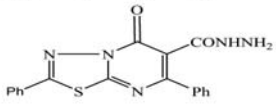
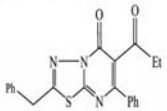
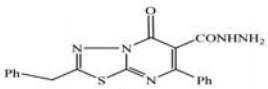
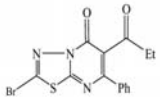
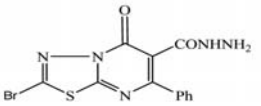
2-CH_3 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine: ^{1}H NMR (400MHz $CDCl_3$ δ(ppm): 0.9(s,3H, CH_3););6.65(t-2H,CH_2); 7.30-7.46 (5H,Ph); -^{13}CNMR (100MHz, $CDCl_3$,δppm): 24.2(CH_3), 45.5 (CH_2), 45.5 (CH_2), 66.2 (CH_2), 66.2 (CH_2), 118(C),126,4 (CH), 126,4(CH),128(CH), 128.7(CH), 128.7(CH),136.9(C),154.7(C), 159.8(C), 162.1(C), 163(C), 168(C).

Result and Discussion

We tried 2-R5-Oxo 5-H 6-Carbomorpholin 7-Phynyl 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 morpholin in variousoolvent. But alcohols are the best solvents to this reaction. The alcoholssuch asmethanolandethanolalcohol have more use. The herbicidal activities of the target compounds were evaluate against a variety of weeds by flat- utensil method according with the standard bioactivity test.

Applicability of this procedure, that we synthesis a wide variety of 2-R 5-oxo5-H 6-R-amide derivatives 7-phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine from 2-R 5-oxo 5-H 6-ethylcarboxylate 7- phenyl 1,3,4-thiadiazolo [3,2-a] pyrimidine and morpholinin the presence of alcohol ethanol at 78^0C and obtained the desirable products in good to excellent yields as shown in Table-1.

Table 1: Synthesis of 2-R 5-oxo 5-H 6-Carbomorpholin 7-phenyl -1,3,4-thiadiazolo [3,2-a] pyrimidine from 2-R 5-oxo 5-H 6-ethylcarboxylate 7-phenyl 1,3,4-thidadiazolo [3,2-a] pyrimidine and morpholin[a]

Entry	Thiadiazol	hydrazine	Product	Time(h)	Yieldb(%)
1		NH_2NH_2		6	90
2		NH_2NH_2		5	87
3		NH_2NH_2		5	90
4		NH_2NH_2		6	92
5		NH_2NH_2		7	85

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

Conclusion

Compound 2-R 5-H 6- Carbomorpholine 7-phenyl -1,3,4-thiadiazolo [3,2-1] 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 morpholin that a broad spectrum of antimicrobial activity.

The pyrimidine derivatives have remarkable pharmacological activity and widely used in the field of anti- microbial, antiviral. Such medicinal utilities of the Pyrimidine derivatives prompted to synthesizes the new pyrimidine thiosemicarbazide, 1, 3, 4- thiadiazole compounds.

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