Synthesis of 7-aryl(alkyl)1,2,4-triazolo[1,5-*a*]pyrimidine Using Conventional Methods

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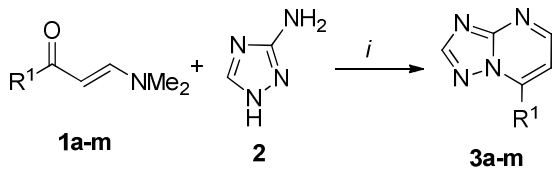
INTRODUCTION

The chemistry of 1,2,4-triazolo[1,5-*a*]pyrimidine derivatives has been of considerable interest of medicinal and agricultural chemistry for many years[1]. Two very important herbicides, Flumetsulam and Metosulam show acetohydroxyacid synthase inhibitor properties[2]. Other [1,2,4]-triazolo[1,5-*a*]pyrimidines with antiparasitic, antimicrobial[3] and anticancer[4] activities also are documented. The more related route to 1,2,4-triazolo[1,5-*a*]pyrimidine is by use of unsymmetrical vinylogous iminium salts[5]. Other route know-well are cyclocondensation reactions of aminoazoles with, α,β-unsaturated ketoesters and aldehydes in multicomponent reactions[6]. In this context, the objective of this work is synthetized 7-aryl(alkyl)1,2,4-triazolo[1,5-*a*]pyrimidine from ciclocondensation reaction of β-dimethylaminovinyl ketones and 3-amino-1,2,4-triazole.

RESULTS AND DISCUSSION

The 1,2,4-triazolo[1,5-*a*]pyrimidine **3a-m** were prepared from ciclocondensation reaction between the corresponding *β*-dimethylaminovinyl ketones **1a-m** (1.0 mmol) and 3-amino-1,2,4-triazole **2** (1.0 mmol) in acid acetic (5mL) under reflux during 24 hours **(Figure 1)**. After the reaction time the solvent was removed under reduced pressure. The products were extracted with dichloromethane (5mL), washed with water (3 x 5mL) and dried on magnesium sulfate.



1a-m **2** **3a-m**

i = acid acetic, 118ºC, 24h.

Figure 1. Synthesis of 7-aryl(alkyl)1,2,4-triazolo[1,5-*a*]pyrimidine **3a-m**

The products were obtained with moderate to good yields at a high degree of purity and without additional step of purification (**Table 1**). The structure of 1,2,4-triazolo[1,5-*a*]pyrimidines were determined by spectroscopy of ^{1}H, ^{13}C NMR and X-ray diffraction.

Table 1. Yields of 7-aryl(alkyl)1,2,4-triazolo[1,5-a]pyrimidine **3a-m.**

Product	R^1	yields[a](%)
3a	$CH(OMe)_2$	63
3b	CCl_3	83
3c	CF_3	73
3d	Ph	61
3e	Ph-Ph	89
3f	4-F-C_6H_4	69
3g	4-Br-C_6H_4	78
3h	4-I-C_6H_4	85
3i	Tien-2-il	75
3j	Pirrol-2-il	55
3l	4-OMe-C_6H_4	71
3m	4-NO_2-C_6H_4	87

[a]Yield of isolated product.

CONCLUSION

In summary, the synthesis of 7-aryl(alkyl)1,2,4-triazolo[1,5-*a*]pyrimidine described in this paper is a highly regiosselective. The method is practical and simple, resulting in products with moderate to good yields.

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