Synthesis of Novel imidazo[2,1-b]thiazoles from thiohydantoins and Diethyl oxalate

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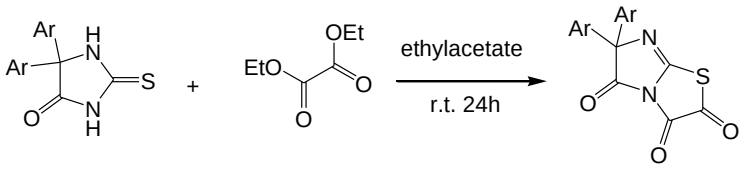
Abstracts- Novel imidazo[2,1-b]thiazoles were synthesized in good yield via a mild reaction of thiophenytoin and diethyl oxalatein the presence of 10% mol *p*-TSA.

Keywords:Thiohydantoin, Diethyl oxalate,limidazo[2,1-b]thiazoles, p-TSA, Antitumor.

Introduction

Thiazoles occupy a prominent position among heterocycles. In nature, the thiazolium ring is the chemically active center in the coenzyme derived from vitamin B_1 (thiamin).A large number of thiazoles obtained from microbial and marine origins exhibit important biological effects such as antitumor, antifungal, antibiotic, and antiviral activities. Synthetic thiazoles have also been shown toexhibit awide variety of biological activities, whileothers shave found application as liquid crystals3 and cosmetic sunscreens. The classical method for the synthesis of thiazoles is the Hantzsch process, in which a α-haloketone is condensed with a thioamide. This method gives excellent yields for simple thiazoles; however, for some substituted examples, low yields have been reported. Thiohydantoin and its derivatives are important in organic and biological chemistry not only due to their presence as key structural units in many important pharmaceuticals, buttheycan also be employed in synthetic chemistry as building blocks. diesthers are the only class of stable organic compounds with a formally divalent carbon atom. Owing to its reactivity, diesthers group differs fundamentally from other functional groups. One of the classic applications in the chemistry of diesthers is heterocyclic synthesis.

In this communication, we would like to report a novel reaction for the synthesis of 6,6-diphenylimidazo[2,1-b]thiazole-2,3,5(6H)-trione derivatives. The reaction of thiohydantoins **1** and diethyl oxalate **2** in the presence of catalytic amount of p-TSA proceeds in room temperature (Scheme 1).



1 **2** **3**

1	Ar
a	C_6H_5
b	4-Me-C_6H_5
c	4-Cl-C_6H_5

3	Ar
a	C_6H_5
b	4-Me-C_6H_5
c	4-Cl-C_6H_5

Experimental

Thiophenytoin**1** and diethyl oxalate were obtained from Fluka and were used without furtherpurification.5,5-Diarylthiohydantoins **2** were prepared by known methods. Melting points were measured on an Electrothermal 9100 apparatus. Elemental analyses for C, H, and N were performed using a Heraeus CHNO-Rapid analyser. IR spectra were measured on a ShimadzuIR-460 spectrometer.^{1}H and ^{13}C NMR spectra were measured with aBruker DRX-300 Avance instrument with $CDCl_3$ as solvent at 300 and75 MHz, respectively. Mass spectra were recorded on a Finnigan-Matt8430 mass spectrometer operating at an ionisation potential of 70 eV.

General Procedure for the Preparation of 6,6-diphenylimidazo[2,1-b]thiazole-2,3,5(6H)-trionederivatives**3**.

A solution of **2** (2 mmol) in 5 mL of ethylacetate was added dropwise to a stirred solution of **1** (2 mmol) and p-TSA(0.2 mmol) in 5 mL of ethylacetateat 5°Cover 10 min. The reaction mixture was then allowed to warm to room temperature and stand for 24h. The product was filtered and washed with cold ethylacetate to afford the pure title compound.

6,6-diphenylimidazo[2,1-b]thiazole-2,3,5(6H)-trionederiveatives;m.p. 213.5–214.5 °C; yield: 0.55 g (85%);^{1}H NMR: 7.02–7.66 (10H, m, C_6H_5)

Results and discussion

In summary, we have described a simple one-pot and efficient procedure for the synthesis of limidazo[2,1-b]thiazole derivatives by using catalytic amount of p-TSA. This class of compounds has also been used as precursors in the new heterocycles. Salient features of this method are mild reaction conditions, environmental compatibility, ease of isolation of product, and excellent reusability of the catalyst.

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