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SHORT COMMUNICATION

SYNTHESIS OF A NOVEL STEROIDAL HETEROCYCLIC AS EFFECTIVE ANTIMICROBIAL AGENT

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The introduction of an isoxazole ring system in a steroidal moiety may leads to the formation of drugs of medicinal importance. In view of therapeutic importance of these steroidal heterocycles, we report the synthesis of cholest-4-eno[2, 3-*d*]isoxazole from cholesterol. The synthesized compound were screened for their antifungal activity by Serial plate dilution method, using Fluconazole as standard drug against dimorphic fungal strain *C. albicans* and other fungal strain *A. niger* in the concentrations of 25, 50 and 100 μg/ml in DMF (solvent). Synthesized compounds showed good antibacterial activity.

Key words: Oppenauer oxidation, Antifungal activity, Serial plate dilution, Annulation.

INTRODUCTION

The steroids form a group of structurally related compounds which are widely distributed in animal and plants. Substituents above the ring system are termed β (heavy line) and one below is termed α (dotted line). The structures of the steroids are based on the 1, 2-cyclo pentane phenenthrene skeleton.

In the majority of steroids, the junction between ring C/D and B/C are Trans (H atom at 8 and 9) and H atom at 14 and CH_3 at 13 are one above the plane and one below the plane of the ring system. The recent pharmaceutical interest in synthesis of heterocyclics (Rodriguez *et al* 1998; Xue *et al* 1998; Park and Kurth, 1999; Laitonjam *et al* 2002; Waldo and Larock, 2005; Xin *et al* 2005; Shang *et al* 2006; Robins *et al* 2007; Kumar, 2011; Mehta and Pathak, 2011; Dahiya and Mourya, 2013) has initiated us to synthesize steroids fused in the 2,3 and 16,17-position to the isoxazole ring system.

METHODS

Synthesis of cholest-4-ene-3-one from cholesterol (1)

A mixture of 10 g (0.026 mol) of pure cholesterol, 50 ml cyclohexanone and 200 ml of dry toluene was added in a dry two necked round bottom flask, then the mixture was distilled for 10 min to remove water as azeotrope.

A mixture of 2.8 g aluminium isopropoxide in 40 ml dry toluene was added drop wise to above mixture for 30 min. The combined mixture was cooled and water was added to it, then, the mixture was filtered.

The steam distillation was carried out to remove excess of solvent. Mixture was cooled and extracted with chloroform (3 × 100 ml). The solvent was removed under reduced pressure to yield viscous oily product which was recrystallized with methanol to yield desired compound (**Scheme 1**).