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An environmentally benign, solvent free synthesis and antibacterial activity of novel Schiff bases derived from 4,5-diazafluoren-9-one

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ABSTRACT

Ten new heterocyclic moiety containing Schiff bases have been synthesized by the condensation of 4,5-diazafluoren-9-one with substituted amines by using $SnCl_2$ as a catalyst under solvent free condition. The Schiff bases were obtained in good yields and easy to isolate. Some synthesized products were characterized by IR, NMR and MASS and also tested for antibacterial activities by disc diffusion method.

Introduction

The chemistry of the carbon-nitrogen double bond plays a vital role in the progress of chemistry science [1]. Schiff-base compounds have been used as fine chemicals and medical substrates.

Moreover, Schiff bases derived from various heterocycles have been reported to possess cytotoxic [2], anticonvulsant [3], antiproliferative [4], antimicrobial [5], anticancer [6], antifungal [7], anti-inflammatory [8], analgesic [9], CNS depressant [9], antitubercular [10], antitumor [11-12], insecticidal [13], plant growth inhibitor [14] and herbicidal properties [15].

One of the important roles of Schiff bases is an intermediate in the biologically important transmission reaction. Schiff bases are also used as protective agent in synthesis of natural rubber and various organic synthesis reactions.

At present a broad range of methods for synthesizing imines in the presence of catalysts are available: $ZnCl_2$ [16], $TiCl_2$ [17], K-10 [18-19], $MgSO_4$-PPTL [20], $Mg(ClO_4)_2$ [21] and also SiO_2-$NaHSO_4$ (under MW irradiation condition) [22].

More recently, ultrasound irradiation has been used to give rise to the formation of a series of Schiff bases (aryl-aryl and aryl-alkyl), under solvent-free conditions [23] or using SiO_2 as a catalyst in ethanol [24], with short reaction times (10-20 min) and high yields. Harruna et al [25] and Rillema et al [26], reported synthesis of imimes from 4,5-diazafluoren-9-one by using acetic acid as a catalyst in ethanol with long reaction times (17-18 hrs), low yield and difficult to work up.

Thus to overcome this drawback we synthesized novel Schiff bases from 4,5-diazafluoren-9-one by using $SnCl_2$ as a catalyst under solvent free condition. All compounds also assayed for antibacterial activity.

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Experimental:

Material and instrumentation:

All the chemicals used for this work were obtained from Merck and Aldrich Chemical Companies. Melting points of the synthesized compounds were determined in open-glass capillaries on a stuart-SMP10 melting point apparatus and are uncorrected. IR absorption spectra's were recorded on a Perkin Elmer 1650 FTIR using KBr pellets in the range of 4,000-450 cm^{-1}, ^{1}H-NMR were recorded on a Bruker spectrometer operating at 300 MHz using. The ^{1}H-NMR chemical shifts are reported as parts per million (ppm) downfield from TMS (Me_4Si) used as an internal standard. Mass spectra's were recorded on LCQ ion trap mass spectrometer. Purity of the compounds was checked by thin layer chromatography (TLC) on Merck silica gel 60 F254 precoated sheets in benzene/methanol mixture and spots were developed using iodine vapors as visualizing agents.

Synthesis of Schiff bases:

A mixture of 4,5-diazafluoren-9-one (1 mmol) and substituted amines (1mmol) was grinded in a mortar with a pestle at room temperature and then $SnCl_2$ (20 mol%) was added and crushed, progress of reaction was monitored by TLC. After completion of reaction (3 min) the crude product was washed with water, dried and purified by column chromatography. Synthetic pathway for preparation of title compounds is shown in Scheme 1.

Scheme 1: Synthesis of Schiff bases