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Synthesis, Characterization and Antimicrobial Activity of substituted Phenyl Benzisoxazole

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Abstract :

Substituted 1,2-benzisoxazole have been prepared by the condensation reaction of Schiffs base with DMSO-I_2-H_2SO_4. The structures of all these newly synthesized compounds have been confirmed by spectral and analytical data and the compounds have been screened for their antifungal activities.

Introduction

The Benzisoxazoles are biologically active compounds across a number of different therapeutic areas such as anti HIV[1], anticancer[2] anti-inflammatory[3] analgesic[4] and antimicrobial[5]. Keeping in view the importance of benzisoxazoles, and in continuation of our work on heterocyclic compounds[6,7] we have synthesized some new 1,2-benzisoxazoles.

Experimental

Melting points were determined in open capillaries and are uncorrected. The IR spectra (KBr) were recorded on a Perkin Elmer 577 spectrophotometer. ^{1}HNMR and ^{19}FNMR spectra were recorded on DRX-300 spectrometer using $DMSOd_6$ + $CDCl_3$ as a solvent. Chemical shifts being expressed in δ ppm downfield from TMS. Purity of compounds were checked by TLC on silica gel plate.

N-[(2-Hydroxyphenyl)-methylidinyl]-4-Fluoroaniline (1a)

It was prepared by refluxing the mixture of 4-Fluoroaniline (0.01 mole) and salicyaldehyde in ethanol (0.01mole) for 5-6 hours on a water bath. The reaction mixture was cooled and crude product was crystallized from ethanol to yield **1a** yield **(**75%), m.p.70^{o}C.

3H-N-(4-Fluorophenyl)-1,2-benzisoxazole

3H-N-(4-Fluorophenyl)-1,2-benzisoxazole was prepared by cyclization of N-[(2-Hydroxyphenyl)-methylidinyl]-4-fluoroaniline (0.01 mole) in DMSO (40 ml) and I_2 in presence of concentrated H_2SO_4 by heating the reaction mixture on water bath for 1hr .After completion of reaction ,mixture was poured into cold water, filtered and crystallized from ethanol to yield **2a** (70%), m.p. (68^{o}C).

Result and discussion

In this paper, we have described the synthesis of substituted 1,2-benzisoxazoles (**2a-e**) derivatives from the reaction of Schiff's base (**1a-e**). I.R. spectra shows peaks at1520 cm^{-1} (C-N), 3080 cm^{-1} (aromatic C-H), 1055 cm^{-1} (C-O) and ^{1}HNMR sows peaks at δ 7.2-8.7 (aromatic

protons) and at δ 2.42-3.2 (2H,d,>CH_2) ppm, which confirms the formation of 3H-N-(4-substituted phenyl)-1,2-benzisoxazoles.

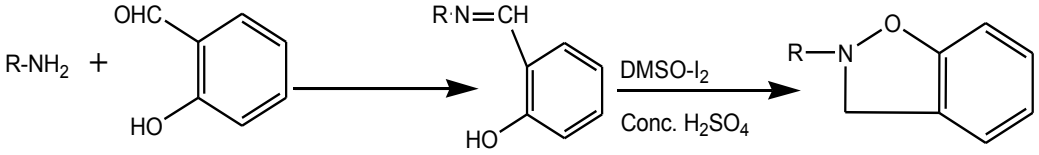
Antifungal Activity

Four 3H-N- ubstituted phenyl-12-benzisoxazole derivatives were screened for their antifungal activities against two pathogens *F.oxysporium* and *S. rolfsii* by radial growth method using food poison technique at two concentrations 500 and 1000 ppm.

All these compounds show higher activity against fungus *F.oxysporium* and weak inhibitory activities against *S. rolfsii.*

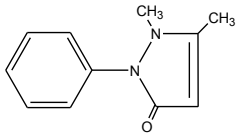
Table 1: Physical and Analytical Data of the Compounds

Compounds	M. P. (^oC)	Yield %	Mol. Formula	Elemental Analysis Nitrogen (%) Found	Calculated
1a	70	75	$C_{13}H_{10}FNO$	6.40	6.51
1b	68	70	$C_{13}H_{10}FNO$	6.32	6.51
1c	77	65	$C_{14}H_{10}F_3NO$	5.01	5.28
1d	93	62	$C_{14}H_9ClF_3NO$	4.54	4.67
1e	50	70	$C_{18}H_{17}N_3O_2$	13.58	13.68
2a	78	65	$C_{13}H_{10}FNO$	6.45	6.51
2b	82	60	$C_{13}H_{10}FNO$	6.32	6.51
2c	156	62	$C_{14}H_{10}F_3NO$	5.08	5.28
2d	80	55	$C_{14}H_9ClF_3NO$	4.54	4.67
2e	105	65	$C_{18}H_{17}N_3O_2$	13.53	13.68



1a-e **2a-e**

a = 4-F.C_6H_4,
b = 2-F.C_6H_4
c = 2-CF_3.C_6H_4
d = 2-Cl-5-CF_3-C_6H_3

e = 

Scheme – 1

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