ANTIFUNGAL AND ANTIHELMINTHIC ACTIVITY OF SOME NOVEL MANNICH BASES AND SCHIFF BASES OF 2-AMINO THIOPHENES

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Summary

The present study is to evaluate antifungal and antihelminthic activity of some novel mannich bases & Schiff bases of 2-amino thiophene. Antifungal activity was studied against the fungus *Aspergillus niger*, *Cladosporium* and Anthihelmintic activity was studied against *Pheretima posthuma*.The results were compared with that of standard drug. All the synthesized compounds have shown low to moderate activity against standard drugs.

Key words: 2-amino thiophene, antifungal & antihelmintic activity.

Introduction

2-amino thiophene were prepared by Gewald reaction (1).Thiophenes possess significant biological activities such as antifungal (2), analgesic (3). antibacterial (4), antioxidant & anti-inflammatory (5), local anesthetic activity (6), antioxidant & anticancer activity (7).Indole derivatives have shown significant biological activities like antioxidant, antibacterial ,cytotoxic activity (8,9). In present a novel series of 2-amino thiophene were screened for antifungal activity and antihelmintic activity.

Materials and Methods

Antifungal activity

The antifungal activity was studied by using standard cup plate method (10, 11, 12). The fungus used was Aspergillus niger & Cladosporium, the antifungal activity was compared with that of standard drug Miconazole nitrate.

Antihelminthic activity

Antihelminthic activity was evaluated on adult earthworms, Pheretima posthuma (Obtained from vermiculture department, Hyderabad) as they possess anatomical and physiological resemblance with intestinal round worm parasite of human beings ((15,16).

Results and Conclusions

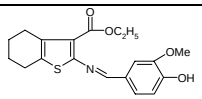
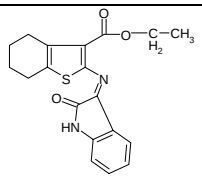
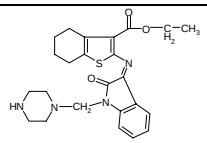
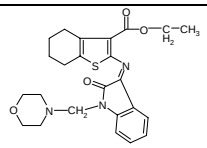
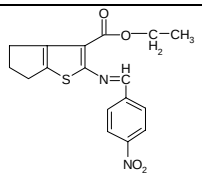
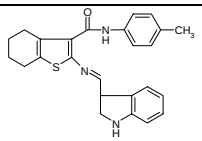
The antifungal activity of some novel Schiff bases and mannich bases of 2-aminothiophes were studied by employing standard cup plate method against fungus Aspergillus niger and Cladosporium at 50 µg/ml against standard drug miconazole nitrate. The results of antifungal activity was shown on table-1.

The antihelminthic activity was studied against Pheretima posthuma at concentration 5, 10, 20 µg/ml against standard drug albendazole & piperazine citrate. The results of antihelminthic activity was shown on table-2.

From the screening results it was observed that the presence of indole nucleus and chloro group at para position of the benzene ring made the compound to exhibit moderate activity among the other derivatives against standard drugs.

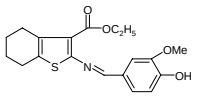
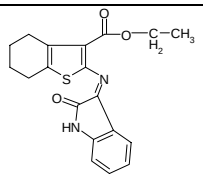
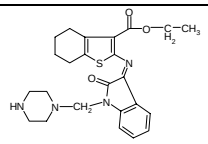
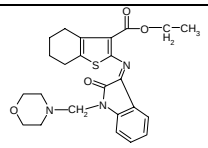
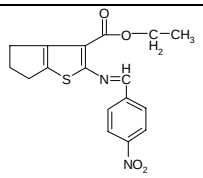
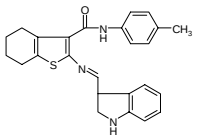
The presence of ester and indole nucleus made the compound to exhibit moderate activity against standard drugs.

Tabel-1: Antifungal activity of derivatives of 2-aminothiophenes against standard drug Miconazole nitrate at concentration 50 µg/ml

Compound No	Structure	Zone of Inhibition in mm	
		ASPERGILLUS NIGER	CLADOSPORIUM
I		7.667±0.2108	9.833±0.1667
II		9.500±0.3416	6.33±0.3333
III		8.667±0.2108	5.500±0.2236
IV		6.167±0.4104	4.167±0.3073
V		3.833±0.1667	5.667±0.2108
VI		10.67±0.3333	7.500±0.2236
VII	Miconazole nitrate(Standard)	19.17	0.4014

Values are expressed as MEAN ± SEM P<0.001

Table-2: Antihelminthic activity of 2-aminothiophenes against standard drug albendazole, piperazine citrate at concentration 20 µg/ml

Compound.No	Structure	Conc (µg/ml)	Time taken for paralysis (in min)	Time taken for death (in min)
I		05 10 20	64±0.2582 52.50±0.7638 49.50±0.3416	90±0.2582 73.67±0.4944 61±0.3651
II		05 10 20	70±0.3651 49.67 ±0.5678 40±0.2582	79.67±0.2108 70±0.0 50.33±0.426
III		05 10 20	59.67±0.3333 40.33±0.3333 28.83±0.4733	90.17±0.3073 50±0.0 40±0.2582
IV		05 10 20	76.67±0.8028 45.50±0.2236 34±0.5744	108±0.8563 59.50±0.546 57.33±0.8028
V		05 10 20	53.50±0.5627 39.33±0.2108 30±0.0	63.17±0.4733 51±0.3651 49.67±0.6146
VI		05 10 20	67±0.6325 41±0.6351 34.50±0.2236	73.17±0.4773 50±0.0 47.67±0.2108
7.	Albendazole(Standard)	20	44.17±0.3073	64.50±0.2236
8.	Piperazine citrate(Standard)	20	34.50±0.2236	54.67±0.2108
9.	Saline(Control)	-----	-----	------

Values are expressed as MEAN ± SEM P<0.001

A novel series of 2-amino thiophenes were screened for antifungal and Antihelmitic activity. The presence of electron withdrawing groups, carboxamido group and ester group made the compounds to exhibit moderate activity against standard drugs.Compound no-VI which is having an indole substitution with free NH group on indole and chloro group on benzene ring have shown moderate activity against standard drugs when compared to other derivatives. The presence of piperazine and morpholine substitution on indole ring made the compound to exhibit moderate antihelminthic activity but low antifungal activity. Further studies are required to know the mechanism of action of drug.

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