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Antibacterial and Antifungal activity of some Schiff bases of 2-(substituted-amino)-N-tolyl-5, 6-dihydro-4H-cyclopenta[b]thiophene-3-carboxamide

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ABSTRACT

Schiff bases of 2-(substituted-amino)-N-tolyl-5,6-dihydro-4H-cyclopenta[b] thiophene-3-carboxamide screened for antibacterial and antifungal activity against the standard drugs Ampicillin and amphotericin- b.Among all the compounds compound 2h has shown good activity against bacteria and fungi, other compounds has shown moderate to weak activity.

Key words: Schiff bases, thiophenes, antibacterial and antifungal activity.

INTRODUCTION

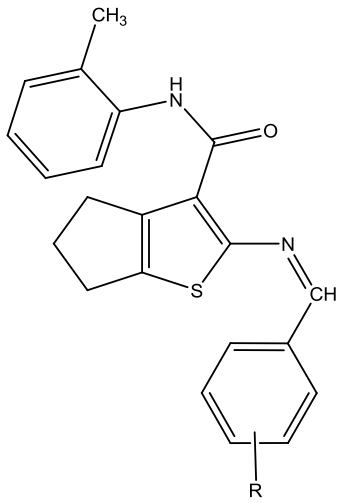
In our previous work we have reported synthesis and anticonvulsant activity [1] of Schiff bases of 2-amino thiophenes. Thiophene fused heterocyclic ring have shown wide variety of pharmacological activities like analgesic, antioxidant and anti-inflammatory [2], antimicrobial [3, 4], acetylcholinestrase inhibitors [5], antidepressant, sedative and analgesic [6], antifungal and anthihelmintic [7], anti-HIV [8] activities. Due to wide range of pharmacological activities an attempt has been made to screen for antimicrobial and antifungal activity.

MATERIALS AND METHODS

Antibacterial Activity [9, 10, 11]: Antibacterial activity was carried out according to the reported literature method against gram +ve and gram –ve bacteria. The zone of inhibition in mm is shown in table-1 against the standard drug Ampicillin. Antibacterial activity was investigated by using agar well diffusion method against *Escherichia. Coli, Klebsiella* (Gram –Ve), *Staphylococcus aureus, bacillus subtilis* (Gram +Ve) .The results of antibacterial activity are tabulated in table-1

Antifungal Activity [12]: Antifungal activity was carried out according to the reported literature method against the fungi *Aspergillus niger* and *Candida albicans*. The zone of inhibition in mm is shown in table-2 against the standard drug amphotericin b.The results of antifungal activity are tabulated in table-2.

TABLE-1: Antibacterial activity of 2-(substituted-amino)-N-tolyl-5, 6-dihydro-4H-cyclopenta[b]thiophene-3-carboxamide



Compound. No	Concentration µg/ml	Substituent	*Inhibition zone diameter in mm			
			E.Coli	***Klebsiella***	***S.Aureus***	***B.Subtilis***
2a	100	H	11.1 ± 0.40	9.8 ± 0.44	8.5 ± 0.54	10.1 ± 0.40
2b	100	3-OCH_3,4-OH	12.6 ± 0.81	11.6 ± 0.81	11.8 ± 1.83	11.1±0.40
2c	100	4-CH_3	11.8±0.40	9.5±0.83	10.8±0.40	11.6±0.81
2d	100	2-NO_2	15.5±0.83	14.8±0.40	14.5±1.22	15.6±0.81
2e	100	3-NO_2	15.8±0.98	14.3±0.51	15.6±1.21	15.1±1.32
2f	100	4-NO_2	16.5±0.83	17.3±0.51	18.3±0.81	18.5±1.2
2g	100	4-$CH(CH_3)_2$	16.1±0.98	16.0±1.26	14.1±1.32	14.5±1.2
2h	100	4-F	18.3±0.81	18.5±1.22	16.8±0.40	16.6±0.8
2i	100	4-N-$(CH_3)_2$	15.3±0.75	16.1±0.25	16.2±0.35	15.1±0.40
2j	100	2,4,di-Cl	16.5±0.83	18.3±0.51	19.0±0.63	17.1±0.40
2k	100	2-Cl	15.8±0.40	14.3±0.81	16.3±0.81	17.5±1.22
2l	100	2,4-$(OCH_3)_2$	15.1±0.40	15.5±1.2	16.5±1.22	16.3±0.81
Standard	10	Ampicillin	22±0.1	20±0.1	22±0.2	21±0.3
Control	----	DMSO	01	01	01	02

*Average of triplicate
Results are expressed in Mean ±SD

TABLE-2: Antifungal activity of 2-(substituted-amino)-N-tolyl-5, 6-dihydro-4H-cyclopenta[b]thiophene-3-carboxamide

Compound. No	Substituent	*Inhibition zone diameter in mm	
		Aspergillus Niger	*Candida albicans*
2a	H	NA	NA
2b	3-OCH_3,4-OH	16.8±0.98	14.83±0.40
2c	4-CH_3	NA	NA
2d	2-NO_2	16±0.20	17.1±0.30
2e	3-NO_2	14.9±0.57	17.1±0.35
2f	4-NO_2	18.1±0.40	18.8±0.32
2g	4-$CH(CH_3)_2$	12.8±0.40	14.2±0.51
2h	4-F	19.1±0.40	19.7±0.32
2i	4-N-$(CH_3)_2$	8.8±0.45	10.3±0.51
2j	2,4,di-Cl	16.3±0.81	17.5±0.83
2k	2-Cl	13.6±0.51	15.0±0.63
2l	2,4-$(OCH_3)_2$	12.2±0.81	14.8±0.35
Standard	Amphotericin B	22.8 ±0.1	26.2±0.1
Control	DMSO	0.1	0.1

*Average of triplicate; Results are expressed in Mean ±SD; **NA:No activity; Concentration: 100 µg/ml (test), 10 µg/ml (standard)**

RESULTS AND DISCUSSION

In our previous experimental work we reported synthesis and anticonvulsant activity of Schiff bases of 2-substituted- aminothiophenes. As part of continuation of the work we carried out *Invitro* antibacterial and antifungal activity of 2-substituted-aminothiophenes. Two gram –ve (*Escherichia coli* and *Klebsiella*) two gram +ve bacteria (*Bacillus subtilis*, *Staphylococcus aureus*), two fungi (*Aspergillus niger* , *candida albicans*) were selected for carrying out antibacterial and antifungal activity. The concentration of test compounds were 100 µg/ml and the concentration of standard drugs were 10 µg/ml using DMSO as control. Ampicillin is used as standard drug for antibacterial activity and amphotericin b is used as standard drug for carrying out antifungal activity.

For antibacterial activity and antifungal activity compound 2h with fluorine substitution at para position has shown good activity. Compound 2d, 2e, 2f, 2k, 2l has shown moderate activity against standard drug. Compound 2a and 2c has shown weak activity against bacteria and no activity against fungi. Schiff bases of thiophene carrying a phenyl ring to which substitutents attached are electron withdrawing showed good to moderate activity, the presence of electron releasing functional group attached to phenyl ring of Schiff bases showed moderate to very less activity

CONCLUSION

Schiff bases of thiophene are a lead source for exploitation which resulted in diverse pharmacological activities. Further detailed structure activity relationship is required with molecular manipulation of the substitutents, looking into medicinal importance of thiophene moiety it was thought to screen for antibacterial and antifungal activity. Detailed pharmacodynamic and pharmacokinetic studies should be carried out.

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