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Potential Antimicrobial Agents Derived from Triamination of sym.-Triazine

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***ABSTRACT*:** *2,4-Bis–(p-cumidinyl)-6-cholro-s-triazine (1) from 4-isopropylbenzenamine and 2,4,6-trichloro-1,3,5-triazine has been converted into triarylamino-s-triazine derivatives (2) by dechlorination and they have been screened for antimicrobial activity and were proved potential antimicrobial agents.*

KEYWORDS: *2,4-Bis–(p-cumidinyl)-6-cholro-s-triazine(1), triarylamino-s-triazine derivatives(2), dechlorination, potential antimicrobial agents*

I. INTRODUCTION

Literature study revealed that s-triazine derivatives have a wide variety of uses such as herbicidal[1], antibacterial[2], fungicidal[3], insecticidal4, antimicrobial[5], antimalarial[6], anticancer[7]and antituberculor[8]. The condensation of s-triazine and pharmacologically unexplored p-cumidine moiety firstly produce 2,4-Bis-(p-cumidinyl)-6-arylamino/morphonyl-s-triazine. Very few workers reported the activities of the p-cumidine moiety. Therefore, with a view to study the potency of the triaminated s-triazine by p-cumidine & other amines we undertook the present work.

II. ANTIMICROBIAL ACTIVITY

The compounds synthesised were screened for antimicrobial and antifungal activity using cup-plate method[9]. From the antimicrobial activity data most of the compounds proved more or equally active at a concentration of 50µg in comparison to reference drugs like Ampicillin (12-25mm), Chloramphenicol(13-23mm), Norfloxacin(23-25mm) and Griseofulvin(25mm) against microbes like Bacillus megaterium(B.m.), Staphylococcus citrus(S.c.), Escherichia coli(E.c.), Salmonella typhosa(S.t.) and fungi Aspergillus niger(A.n.).
All the compounds proved more potent against S.citrus than the other bacterial strains. The compounds exhibited 69-162% against B.megaterium. , 82-129% against S.citrus. , 75-96% against E.coli., 85-115% against S.typhosa. , 60-68% against A.niger.in comparison to the reference drugs like Ampicillin (16mm against B.megaterium.), Chloramphenicol (17mm against S.citrus and 13mm against S.typhosa.), Norfloxacin (24mm against E.coli.), and Griseofulvin (25mm against A.niger) [Table-1].

III. EXPERIMENTAL

Melting points were determined in open capillaries and are uncorrected. IR Spectra (KBr) were recorded on a Shimadzu 435-IR spectrophotometer, PMR spectra (TMS) on a Hitachi R-1200 spectrometer and Mass Spectra on a Jeol D-300 spectrometer.

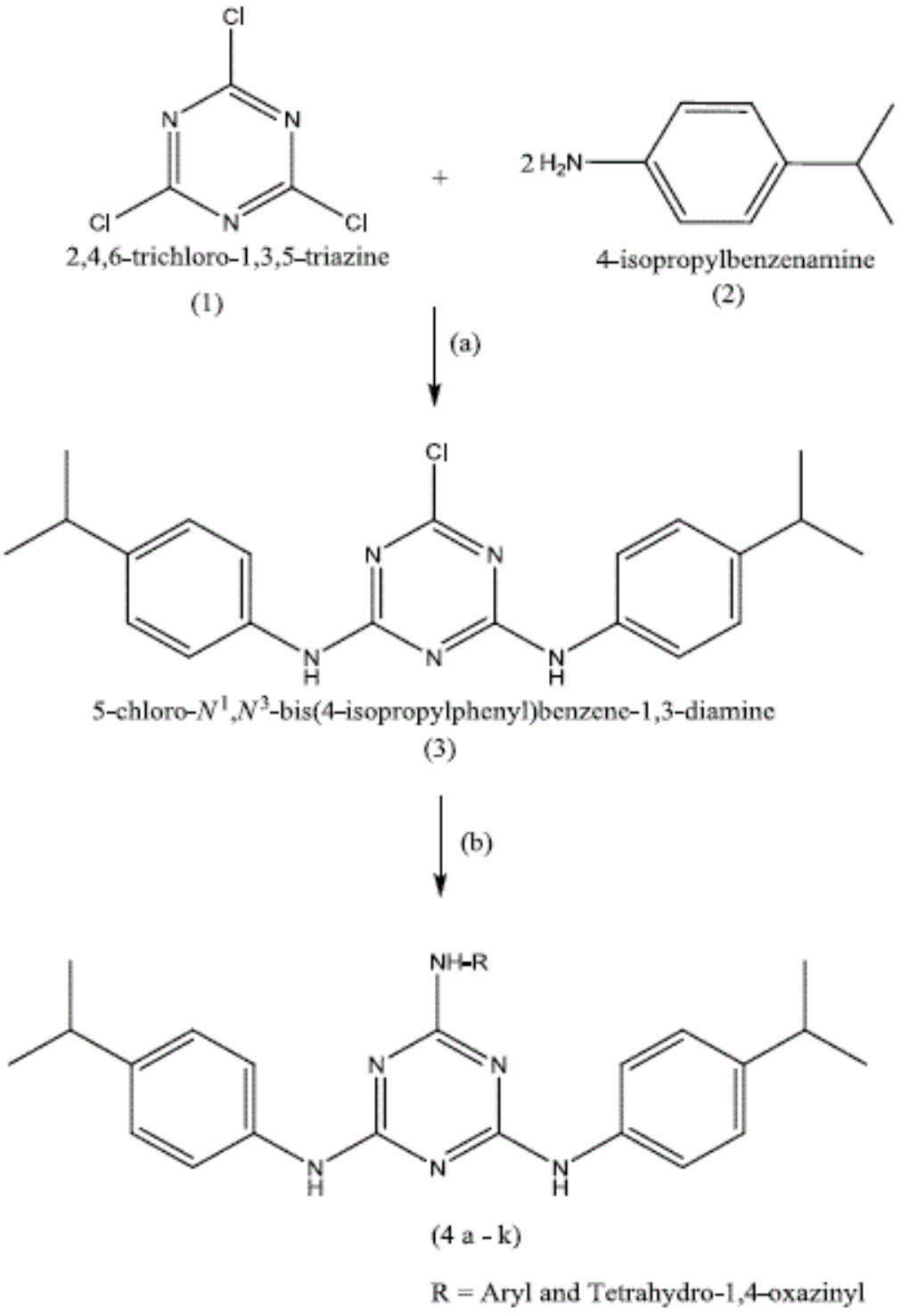
2,4-Bis-(p-cumidinyl)-6-chloro-s-triazine (3) :

p-Cumidine (0.2M) was added dropwise to the cyanuric chloride (0.1M) dissolved in acetone (20ml) at 30-40° C. The contents were stirred for 12hrs with gradual addition of sodium bicarbonate maintaining neutral pH. The product was, isolated and crystallised from methanol. Yield 65%, m.p. 173º C (Found : C,66.05% ; H,6.23% ; N,18.28% ; $C_{21}H_{24}N_5Cl$ requires C,66.14% ; H,6.30% ; N,18.37%).

2,4-Bis-(p-cumidinyl)-6-(2',6'-dimethylphenylamino)-s-triazine (4f) :

A mixture of 2,4-bis-(p-cumidinyl)-6-chloro-s-triazine (0.01M) and 2,6-dimethylaniline (0.01M) in 10ml dioxane was refluxed for 6 hrs. The product was poured into ice, was isolated and recrystallised from dioxane. Yield 60%, m.p. 130º. (Found : C,74.56% ; H,7.21% ; N,17.90% ; $C_{29}H_{34}N_6$ requires C,74.68% ; H,7.30% ; N,18.02%). ν max : 1370 (N-H), 2800 (C-H), 1345 (C-H), 1300(C-N), 795 (C_3N_3) ; δppm : 1.22-1.34 (d,-CH(C<u>H</u>$_3$)$_2$), 2.30 (s,-C<u>H</u>$_3$), 2.7-3.39 (m,-C<u>H</u>(CH$_3$)$_2$), 7.09-7.29 (d, Ar-<u>H</u>), 8.40 (s,-N<u>H</u>) ; m/z : 466$(M+1)^+$, 346, 213, 171, 161, 147 (base peak), 120, 90, 81.Similarly,4a, b, c, d, e, g, h, i, j, k were prepared (Table – 2).

[i] REACTION STATEGY



(4 a - k)

R = Aryl and Tetrahydro-1,4-oxazinyl

(a) = Acetone, 30 - 40 ^{0} C, 12 hrs.

(b) = Dioxane , 110 ^{0} C, 6 hrs.

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Table-1 : Antimicrobial Screening Data :

Sr. No.	Microbes	Standard antibiotics (zone of inhibition)	Activity Range		
			162.5%	**91-130%**	**70-90%**
1.	B.megaterium	Ampicillin (16mm)	b	a,c,g	d,f,h,i,j
2.	S.citrus	Chloramphenicol (17mm)	-	a,b,c,d,g,h,i,j,k	e,f
3.	E.coli	Norfloxacin (24mm)	-	b,f,h	a,c,d,e,g,i,j,k
4.	S.typhosa	Chloramphenicol (13mm)	-	c,d,e,g,i,j,k	a,b,f,h
5.	A.niger	Griseofulvin (25mm)	-	-	f

Table 2 : Physical Data :

Sr. No.	R	M. P. ° C	Yields %
a	2-Acetylphenyl	99	59
b	3-Acetylphenyl	135	51
c	4-Arsonophenyl	268	61
d	4-Carboxyphenyl	252	55
e	2,3-Dimethylphenyl	121	53
f	2,6-Dimethylphenyl	130	56
g	4-fluorophenyl	138	63
h	Phenylamino	128	60
i	2'-Pyridyl	155	62
j	2'-Primidinyl	258	58
k	Tetrahydro-1,4,-oxazinyl	160	77

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