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Some New Coumarins and Schiff's Bases as Possible Antibacterial and Antifungal Agents

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Some new coumarins and Schiff's bases have been prepared by condensing different substituted malon-anilic acids with salicylaldehyde and substituted salicylaldehydes using different condensing agents. Some of the prepared compounds were screened for antibacterial and antifungal activity.

VARIOUS workers[1-5] have reported the pharmacological importance of coumarins and Schiff's bases. In view of this some new coumarins and Schiff's bases have been prepared by condensing malon-4-bromo-2-methyl, malon-4-bromo-3-methyl and malon-2-bromo-4-methyl anilic acids with salicylaldehyde, 5-chloro, 5-bromo, 5-nitro, 3,5-dichloro, 3,5-dibromo, 3,5-diiodo and 5-chloro-3-nitro salicylaldehyde. All these condensations were carried out in the presence of a trace of pyridine or piperidine or in the absence of any condensing agent. The purity and homogeneity of all the compounds were tested by tlc and elemental analysis.

Experimental

All the melting points are uncorrected. Malon-anilic acids used were prepared by the method of Singhal and Ittyerah[6].

Condensation of malon-4-bromo-2-methyl-anilic acid with salicylaldehyde : Formation of coumarin-3-carboxy-(4-bromo-2-methyl)anilide and 2-hydroxy benzal (4-bromo-2-methyl) aniline : Malon-4-bromo-2-methyl anilic acid (1.36 g ; 0.05 mol) and salicylaldehyde (0.6 g ; 0.05 mol) and a drop of pyridine were refluxed in oil bath for 4 hr at 110-20°. The yellow solid mass was then digested with saturated solution of sodium bicarbonate (10 ml). The alkali extract was decanted and the residue washed well with water. The alkali extract on acidification with HCl did not form any precipitate. The residue was boiled with ethanol (15 ml) and filtered. The ethanolic extract, on concentration and cooling, gave 2-hydroxy-benzal (4-bromo-2-methyl)aniline, m.p. 62°.

The identity of this product was further confirmed by synthesising an authentic specimen from 4-bromo-2-methyl aniline and salicylaldehyde.

The residue left after boiling with ethanol was recrystallised from glacial acetic acid as yellow crystals of coumarin-3-carboxy-(4-bromo-2-methyl)-anilide, m.p. 240°.

The other coumarins and Schiff's bases prepared by the above procedure alongwith their m.p. are recorded in Tables 1 and 2 respectively. The yield of the products varies from 4.82 to 44.69%.

Antimicrobial activity of some of these compounds have been shown in the Tables.

TABLE 1

Sl. No.	Compound	Mol. Formula	m.p. (°C)	Microbe (r/ml)
1.	6-chloro-R_1*	$C_{17}H_{11}O_3NBrCl$	246	—
2.	6,8-dichloro-R_1	$C_{17}H_{10}O_3NBrCl_2$	244	a(25)
3.	6-bromo-R_1	$C_{17}H_{11}O_3NBr_2$	242	—
4.	6,8-dibromo-R_1	$C_{17}H_{10}O_3NBr_2$	289	—
5.	6,8-diiodo-R_1	$C_{17}H_{10}O_3NBrI_2$	178	—
6.	6-nitro-R_1	$C_{17}H_{11}O_5N_2Br$	190	—
7.	6-chloro-8-nitro-R_1	$C_{17}H_{10}O_5N_2BrCl$	227	—
8.	R_2*	$C_{17}H_{12}O_3NBr$	225	—
9.	6-chloro-R_2	$C_{17}H_{11}O_3NBrCl$	247	—
10.	6,8-dichloro-R_2	$C_{17}H_{10}O_3NBrCl_2$	252	—
11.	6-bromo-R_2	$C_{17}H_{11}O_3NBr_2$	250	—
12.	6,8-dibromo-R_2	$C_{17}H_{10}O_3NBr_2$	186	—
13.	6,8-diiodo-R_2	$C_{17}H_{10}O_3NBrI_2$	171	—
14.	6-nitro-R_2	$C_{17}H_{11}O_5N_2Br$	198	—
15.	6-chloro-8-nitro-R_2	$C_{17}H_{10}O_5N_2BrCl$	203	—
16.	R_3*	$C_{17}H_{12}O_3NBr$	238	—
17.	6-chloro-R_3	$C_{17}H_{11}O_3NBrCl$	180	—
18.	6,8-dichloro-R_3	$C_{17}H_{10}O_3NBrCl_2$	246	a(50)
19.	6-bromo-R_3	$C_{17}H_{11}O_3NBr_2$	251	—
20.	6,8-dibromo-R_3	$C_{17}H_{10}O_3NBr_2$	171	—
21.	6,8-diiodo-R_3	$C_{17}H_{10}O_3NBrI_2$	182	—
22.	6-nitro-R_3	$C_{17}H_{11}O_5N_2Br$	209	—
23.	6-chloro-8-nitro-R_3	$C_{17}H_{10}O_5N_2BrCl$	289	—

R_1* Coumarin-3-carboxy-(4-bromo-2-methyl)-anilide.
R_2* Coumarin-3-carboxy-(4-bromo-3-methyl)-anilide.
R_3* Coumarin-3-carboxy-(2-bromo-4-methyl)-anilide.
a = *M. tuberculosis.*

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TABLE 2

Sl. No.	Compound	Mol. Formula	m.p. (°C)	Microbe (r/ml)
24.	5-chloro-R_1*	$C_{14}H_{11}ONClBr$	114	a(3.12)
25.	3,5-dichloro-R_1	$C_{14}H_{10}ONCl_2Br$	106	a(3.12)
26.	5-bromo-R_1	$C_{14}H_{11}ONBr_2$	125	—
27.	3,5-dibromo-R_1	$C_{14}H_{10}ONBr_3$	180	—
28.	3,5-diiodo-R_1	$C_{14}H_{10}ONBrI_2$	198	—
29.	5-nitro-R_1	$C_{14}H_{11}O_3N_2Br$	195	—
30.	5-chloro-3-nitro-R_1	$C_{14}H_{10}O_3N_2BrCl$	235	—
31.	R_2*	$C_{14}H_{12}ONBr$	88	—
32.	5-chloro-R_2	$C_{14}H_{11}ONClBr$	111	a(1.56)
33.	3,5-dichloro-R_2	$C_{14}H_{10}ONCl_2Br$	109	—
34.	5-bromo-R_2	$C_{14}H_{11}ONBr_2$	131	b(100)
35.	3,5-dibromo-R_2	$C_{14}H_{10}ONBr_3$	152	a(1.56)
36.	3,5-diiodo-R_2	$C_{14}H_{10}ONBrI_2$	194	—
37.	5-nitro-R_2	$C_{14}H_{11}O_3N_2Br$	205	—
38.	5-chloro-3-nitro-R_2	$C_{14}H_{10}O_3N_2BrCl$	233	—
39.	R_3*	$C_{14}H_{12}ONBr$	72	—
40.	5-chloro-R_3	$C_{14}H_{11}ONClBr$	182	—
41.	3,5-dichloro-R_3	$C_{14}H_{10}ONCl_2Br$	128	—
42.	5-bromo-R_3	$C_{14}H_{11}ONBr_2$	158	b(25)
43.	3,5-dibromo-R_3	$C_{14}H_{10}ONBr_3$	161	—
44.	3,5-diiodo-R_3	$C_{14}H_{10}ONBrI_2$	196	—
45.	5-nitro-R_3	$C_{14}H_{11}O_3N_2Br$	216	—
46.	5-chloro-3-nitro-R_3	$C_{14}H_{10}O_3N_2BrCl$	228	—

R_1* 2-hydroxy-benzal-(4-bromo 2-methyl)-aniline,
R_2* 2-hydroxy-benzal-(4-bromo-3-methyl)-aniline,
R_3* 2-hydroxy-benzal-(2-bromo-4-methyl)-aniline,
b=*T. mentagophytes*.

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