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Synthesis and Antimicrobial Activity of Substituted-3-Bromoflavanone

P.S. UTALE*, P.B. RAGHUWANSHI and A.G. DOSHI
P.G.T.D. (Chemistry), Vidya Bharti Mahavidyalaya, C.K. Naidu Road, Camp, Amravati-444 602, India

A solution of 2′-hydroxy-5′-chloro-4-methoxy-α,β-dibromochalcone, 2′-hydroxy-5′-chloro-α,β-dibromochalcone, 2′-hydroxy-5′-chloro-3′-bromo-4-methoxy-α,β-dibromochalcone, 2′-hydroxy-5′-chloro-3′-bromo-α,β-dibromochalcone, 2′-hydroxy-5′-chloro-3′-nitro-4-methoxy-α,β-dibromochalcone in acetic acid was refluxed for 1 h, affords substituted-3-bromoflavanone. These compounds are tested against test organism *Staphylococous aureus, Streptococus pyogenes, S. agalactiae, S. faecalis, Corynebacterium ulcerans, C. minatissimum, Clostridium septicum, Clostridium tetani* and *Escherichia coli*. The minimum inhibitory concentration (MIC) values were determined by using serial dilution method.

INTRODUCTION

Substituted 3-bromoflavanone is obtained by direct bromination of flavanones with bromine dissolved in suitable solvent[1–5] and flavanone by bromination with dioxane dibromide[6], trimethyl phenyl ammonium perbromide[7] and N-bromosuccinimide[4, 8, 9]. Action of cupric bromide on 2′-hydroxy chalcone or flavanone gives 3-bromoflavanone[10]. 2′-Hydroxychalconedibromide[11] and 2-acetoxychalconedibromide when refluxed in glacial acetic acid gives 3-bromoflavanone[8, 12, 13]. The direct bromination of flavanone gives the mixture of two isomeric-3-bromoflavanone[14].

In this we report the formation of substituted 3-bromoflavanone from 2′-hydroxy-5′-chloro-α,β-dibromochalcones and its derivatives. These compounds are tested against test organisms *Staphylococous aureus, Streptococcus pyogenes, S. agalactiae, S. faecolis, Corynebacterium ulcerans, C. minatissimum, Clostridium septicum, Clostridium tetani* and *Escherichia coli*. The MIC[15] values were calculated by serial dilution method.

EXPERIMENTAL

The chalcone dibromides were prepared by known methods[16].

2′-Hydroxy-5′-chloro-, -dibromochalcone (Ia) (0.01 mole) dissolved in 20 mL glacial acetic acid. The solution was refluxed for 1 h. The reaction mixture was

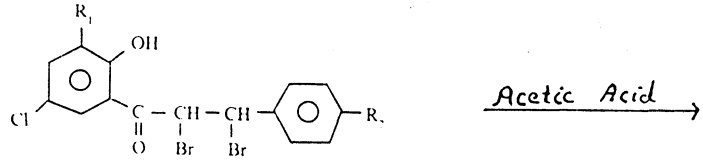
For correspondence: P.S. Utale, c/o Shersing Rajput, At. Post. Tiosa, Tal. Tiosa, Distt. Amravati-444 903, India.

cooled and slowly diluted with a little amount of water to give the crude crystals of 3-bromo-6-chloroflavanone. Crystallisation from ethanol gives (IIa), m.p. 94°C, yield 60%.

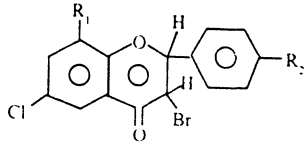
RESULTS AND DISCUSSION

Properties of IIa

(1) Yellow crystalline solid, m.p. 94°C. (2) It does not show test for $FeCl_3$ but it gives red colour with dil. NaOH. (3) From analytical data, molecular formula was found to be $C_{15}H_{10}O_2ClBr$ and molecular weight being 337.5. (4) R_f value of compound (IIa) 0.78 in methanol. (5) IR (Nujol): 1650 ν(C=O), 840 ν(C—O—C) (stretching in six membered ring), 740 cm^{-1} ν(C—Br). (6) PMR ($CDCl_3$): 3.75 (d, 1H, C—H), 5.65 (d, 1H, C—H), 7.3–8.4 (m, 8H, Ar—H).



(Ia-f)



(IIa-f)

The other compounds were prepared by the same method and are listed in Table-1.

TABLE-1

Compound	R_1	R_2	m.p. (°C)	Yield (%)	m.f.	m.w.
IIa	H	H	94	60	$C_{15}H_{10}O_2ClBr$	337.5
IIb	H	—OCH_3	96	65	$C_{16}H_{12}O_3ClBr$	367.5
IIc	Br	H	104	62	$C_{15}H_9O_2ClBr_2$	416.5
IId	Br	—OCH_3	116	67	$C_{16}H_{11}O_3ClBr_2$	446.5
IIe	NO_2	H	106	60	$C_{15}H_9O_4NClBr$	382.5
IIf	NO_2	—OCH_3	95	62	$C_{16}H_{11}O_5NClBr$	412.5

These compounds are tested against test organism listed in Table-2.

TABLE-2
MINIMUM INHIBITORY CONCENTRATION OF 3-BROMOFLAVANONE (IN % MIC) VALUES

Compd.	*S. aureus*	*S. pyogenes*	*S. agalactiae*	*S. faecalis*	*C. ulcerans*	*C. minatissimum*	*C. septicum*	*C. tetani*	E. coli
IIa	0.36	0.32	0.17	0.20	0.14	0.18	0.29	0.27	0.42
IIb	0.14	0.39	0.20	0.25	0.18	0.21	0.31	0.30	0.48
IIc	0.21	0.18	0.32	0.16	0.12	0.10	0.10	0.15	0.20
IId	0.22	0.19	0.34	0.18	0.14	0.12	0.12	0.17	0.22
IIe	0.39	0.42	0.39	0.80	0.29	0.60	0.42	0.36	0.50
IIf	0.40	0.40	0.30	0.60	0.31	0.03	0.10	0.40	0.45

All compounds are moderately active against test organism.

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