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NOTE

Synthesis and Antimicrobial Evaluation of 1-[4-{4-(2-Phenyl-4-oxo-3-quinazolinyl)phenyl}phenyl]-2-phenyl-4-aryl methane-5-oxo-imidazoles

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Condensation of 4-{2-phenyl-4-oxo-3-quinazolinyl}-4′-amino diphenyl with 2-phenyl-4-aryl methane-5-oxazolones in the presence of pyridine afford 1-[4-{4-(2-phenyl-4-oxo-3-quinazolinyl)phenyl}phenyl]-2-phenyl-4-aryl methane-5-oxo-imidazole. All such compounds have shown moderate activity against *Escherichia coli* and *Staphylococcus aureus*.

Key Words: Synthesis, Antimicrobial studies, 5-Oxo-imidazoles.

5-Oxo-imidazoles constitute an important class of heterocyclic compounds, having wide spectrum of biological activities. In imidazole one of the annular nitrogen bears a hydrogen atom and can be regarded as a pyrrole type 'N'; the other resembles the nitrogen in pyridine. Hence imidazolone is a molecule which has overlapping properties of both pyrrole and pyridine. The contribution of one electron from each carbon and pyridine nitrogen and two from the pyrrole nitrogen make up an aromatic sextet. Antimicrobial activity displayed by this class of compounds has been well recognized since a long time[1–4]. In this connection, some compounds of the same type have shown substantial activity against *E. coli* and *S. aureus*[5,6]. With this view in mind and in continuation of the ongoing research for new antimicrobial compounds, we report herein the synthesis of titled compounds. All these compounds have been evaluated against two bacteria: *E. coli* and *S. aureus*.

Preparation of 2-phenyl-3,1-benzoxazin-4(H)-one: Benzoyl chloride (140.5 g; 1 M) was added dropwise to anthranilic acid (137 g; 1 M) dissolved in pyridine (60 mL) with constant stirring at 8°C over a period of 1 h. After the addition of benzoyl chloride, the reaction mixture was stirred for 0.5 h at room temperature. At the end of the reaction, the reaction mixture almost solidified. The solid mass was poured into cold water, filtered, washed successively with aqueous sodium bicarbonate solution (10%) to remove unreacted anthranilic acid and water, dried and recrystallized from ethanol (95%). Yield: 173.9 g (78%), m.p. 119°C (lit. m.p. 120°C) m.f. $C_{14}H_9NO_2$, m.w. 223, required: N, 6.27%, found: N, 6.10%.

Preparation of 4-{2-phenyl-4-oxo-3-quinazolinyl}-4′-amino diphenyl: Preparation of 2-phenyl-3,1-benzoxazin 4(H)-one (111.5 g, 0.5 M) was placed in a round-bottom flask (1.5 L). 4,4-Diamino diphenyl (92 g; 0.5 M) was carefully added and intimately mixed. The content of the flask was heated on a flame for 5 min with vigorous shaking. To the hot reaction mixture ethanol (95%; 75 mL)

TABLE-1

1-[4-{4-(2-PHENYL-4-OXO-3-QUINAZOLINYL)PHENYL}PHENYL]-2-PHENYL-4-ARYL METHANE-5-OXO-IMIDAZOLE

Sr. No.	Ar =	m.f.	m.w.	Yield (%)	m.p. (°C)	% Elemental analysis			Antibacterial screening (mm)	
						C	H	N	*E. coli*	*S. Aureus*
1.	-C_6H_5	$C_{42}H_{28}O_2N_4$	620	58	105	81.20	4.48	8.92	10	18
2.	-4$(CH_3)C_6H_4$	$C_{43}H_{30}O_2N_4$	634	55	80	81.35	4.72	8.80	10	10
3.	-4$(Cl)C_6H_4$	$C_{42}H_{27}O_2N_4Cl$	654.5	65	165	76.77	4.05	8.54	14	14
4.	-2$(Cl)C_6H_4$	$C_{42}H_{27}O_2N_4Cl$	654.5	72	122	76.92	4.01	8.52	18	8
5.	-4$(NO_2)C_6H_4$	$C_{42}H_{27}O_4N_5$	665	66	142	75.66	4.05	10.46	8	18
6.	-3$(NO_2)C_6H_4$	$C_{42}H_{27}O_4N_5$	665	52	182	75.66	4.01	10.42	6	22
7.	-4$(OCH_3)C_6H_4$	$C_{43}H_{30}O_3N_4$	650	57	135	79.25	4.49	8.50	10	22
8.	-2$(OCH_3)C_6H_4$	$C_{43}H_{30}O_3N_4$	650	64	105	79.32	4.51	8.38	10	22
9.	-4$(OH)C_6H_4$	$C_{42}H_{28}O_3N_4$	636	63	96	79.12	4.29	8.74	12	18
10.	-2$(OH)C_6H_4$	$C_{42}H_{28}O_3N_4$	636	61	112	79.06	4.32	8.80	14	10
11.	-3$(OH)C_6H_4$	$C_{42}H_{28}O_3N_4$	636	51	138	81.69	4.37	8.72	10	18
12.	-CH=CH—CH_6H_3	$C_{44}H_{30}O_2N_4$	646	69	146	77.41	4.63	8.36	8	18
13.	-4(OH)3$(OCH_3)C_6H_3$	$C_{4?}H_{30}O_4N_4$	666	73	82	76.01	4.45	7.78	11	18
14.	-3 : 4 : 5$(OCH_3)C_6H_2$	$C_{45}H_{34}O_5N_4$	710	75	125	77.70	4.75	8.42	11	10
15.	-3 : 4-O—(CH_2)—O—C_6H_3	$C_{43}H_{28}O_4N_4$	664	80	202	79.19	4.15	8.72	10	8

Standard: Chloroamphinicol. Inhibition zone 32 mm.

Inhibition zone in mm at concentration of 0.05 mL (10 μg/mL) against *S. aureus* and *E. coli*, PMR: 5.35 δ (1H, CH—Ar), 7.6–8.2 δ (17 H, aromatic), 4.07 δ (Ar—OCH_3), IR: 1790 cm^{-1} (C=O, imidazoline), 1646 cm^{-1} (C=O, quinazoline), 1603 cm^{-1} (—C=C—, str), 1479 cm^{-1} (C—N, str), 1580 cm^{-1} (C=N str, cyclic), 2836 cm^{-1} (Ar—OCH_3 str,), 789 cm^{-1} (C—H bending for mono and disubstituted benzene).

was added over a period of 15 min and the contents of the flask were allowed to cool at room temperature. Scratching the side with a glass rod yielded a grey crystalline solid which was recrystallized from ethanol (95%).

Yield: 272.3 g (70%), m.p. 118°C (lit. m.p. 120°C), m.f. $C_{26}H_{19}N_3O$, m.w. 389, required: N, 10.77%, Found : N, 10.65%.

Preparation of 4-benzylidine-2-phenyl methine oxazol-5-ones: In a round-bottom flask (250 mL) a mixture of benzaldehyde (2.16 gm; 0.02 M) and benzoyl glycine (3.6 g; 0.02 M) was placed. Acetic anhydride (6.18 g; 0.06 M) was added dropwise, with constant stirring, followed by the addition of anhydrous sodium acetate (16.4 g; 0.02 M). Reaction mixture was stirred on a hot plate for 15 min. After the liquefaction of mixture it was refluxed on a sand bath for 2 h. The flask was cooled to room temperature. To this 100 mL of ethanol (95%) was added and left overnight at room temperature. The product that obtained was filtered, washed with chilled ethanol (95%), dried and recrystallized from benzene. Yield: 3.50 g, m.p. 165°C, m.f. $C_{16}H_{11}NO_2$, m.w. 24. Required: N, 5.62%, Found: N, 5.56%.

Preparation of 1-[4-{4-(2-Phenyl-4-oxo-3-quinazolinyl)phenyl}phenyl]2-phenyl-4-aryl methine-5-oxo-imidazole: 4-{2-phenyl-4-oxo-3-quinazolinyl}-4′-amino diphenyl (3.89 g; 0.01 M) and 4-benzylidine-2-phenyl methane oxazol-5-ones (2.49 g; 0.01 M) were mixed in a round-bottom flask (50 mL); pyridine (10 mL) was added to the mixture and refluxed on heating mental for 2 h. Contents of the flask were cooled to room temperature. Then poured over crushed ice, acidified the contents with dilute HCl (10%, 30 mL) to remove pyridine. The solid obtained was filtered, washed successively with cold water, dried and recrystallized from ethanol (95%). Yield: 3.90 g (70%), m.p. 105°C (reported 110°C), m.f. $C_{42}H_{28}N_4O_2$, m.w. 620, Required: N, 9.03%, Found: N, 8.82%.

All the compounds synthesized were obtained in high purity by TLC using silica gel as adsorbent and iodine as visualizing agent. The structure of the compound were routinely checked by IR (KBr) scanned on Perkin-Elmer-157 and PMR spectra on Varian A60-D (using TMS standard) spectrophotometers respectively. The melting points reported were recorded in open capillaries and are uncorrected.

Antibacterial evaluation: The bacteria used were representative of pathogenic bacteriafrom gram +ve groups and gram –ve groups as well as rod-shaped and coccai-shaped bacterial group, *i.e.*, *Escherichia coli* and *Staphylococcus aureus.*

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