Quinolyl-nitro Ethylenes and Nitrostyryl-quinolines of Molluscicidal Activity

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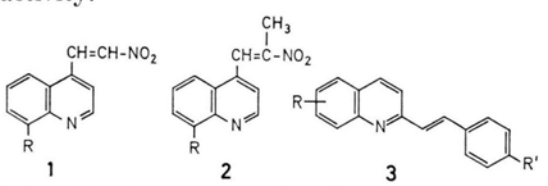
Quinolyl-nitro Ethylenes, Styrylquinolines, *p*-Nitro-styrylquinolines, Molluscicidal Activity

For possible molluscicidal activity, 1-(4-quinolyl)-2-nitro ethylenes, 1-(4-quinolyl)-2-methyl-2-nitro ethylenes, 2-styryl, and 2-(*p*-nitro styryl)-quinolines were synthesized.

It has been reported that the nitro ethylenes exhibit antibacterial and antifungal activities [1], and β-nitrostyrenes found to have promising molluscicidal activity [2]. On the other hand styrylquinolines have been reported to be germicides [3] or to inhibit aerobic glycolysis [4].

In view of these findings, the nitro ethylenes **1** and **2** were synthesized to study their biological activity compared with different styrylquinolines (**3**) in an attempt to obtain a molluscicide of increased activity.



1, 2a: R = H
b: R = NO_2
c: R = Cl

3a: R = 5 Cl; R′ = H
b: R = 5-Cl; R′ = NO_2
c: R = 6-Cl; R′ = H
d: R = 6-Cl; R′ = NO_2
e: R = 7-Cl; R′ = H
f: R = 7-Cl; R′ = NO_2
g: R = 8-NO_2; R′ = Cl

Synthesis of 1-(4-quinolyl)-2-nitro ethylenes (**1**) and 1-(4-quinolyl)-2-methyl-2-nitro ethylenes (**2**) was achieved by the reaction of the corresponding quinoline-4-carboxaldehydes with nitro methane and nitro ethane respectively, and synthesis of 2-styrylquinolines (**3**) was accomplished by the reaction of the substituted quinaldines with aromatic aldehydes in the presence of fused zinc chloride.

All compounds were tested as molluscicides against two kinds of bilharzia snails namely, *Biomphalaria alexandrina* and *Bulinus truncatus*, freshly collected from irrigation canals of the river Nile. Compounds **1b** and **2b** were the most active, and snails were killed at dilutions of 3–4 ppm.

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Experimental

Melting points are uncorrected and were taken on Boetius melting point microscope.

Quinoline-4-carboxaldehydes and substituted quinaldines were prepared according to the reported methods.

1-(4-Quinolyl)-2-nitro ethylenes (**1**) *and 1-(4-quinolyl)-2-methyl-2-nitro ethylenes* (**2**)

To a solution of the quinoline-4-carboxaldehyde (0.01 mole) in 20 ml abs. EtOH, nitromethane or nitroethane (0.016 mole) was added. Butylamine (1 ml) was added as a catalyst. The reaction mixture was left overnight. The separated solid was collected and recrystallized from $AcOC_2H_5$ to give **1** or **2**. Compounds prepared are shown in the Table.

2-Styrylquinolines (**3**)

A mixture of 0.016 mole of the substituted quinaldine, 0.016 of the aromatic aldehyde and 0.3 g of fused $ZnCl_2$ was heated at 120 °C for 1 h. and at 150 °C for 5 h. After the reaction mixture was cooled, it was treated with ethanol and 10% NaOH solution to give 60% yield of **3** (Table).

Table. Preparation of compounds **1–3**.

Compound No.	m.p. [°C]	Yield [%]		Analysis [%] Calcd/Found C	H	N
1a	173	46	$C_{11}H_8N_2O_2$	66.00	4.00	14.00
			(200.19)	66.38	3.87	14.48
1b	197	45	$C_{11}H_7N_3O_4$	53.87	2.85	17.14
			(245.19)	53.45	3.00	16.78
1c	162	42	$C_{11}H_7ClN_2O_2$	56.28	2.98	11.93
	EtOH		(234.65)	56.16	2.90	11.82
2a	162	40	$C_{12}H_{10}N_2O_2$	67.60	4.22	13.08
			(214.21)	68.00	4.40	13.50
2b	148	40	$C_{12}H_9N_3O_4$	55.60	3.47	16.21
			(259.21)	55.23	3.28	15.80
2c	210	40	$C_{12}H_9ClN_2O_2$	57.90	3.62	11.26
	(EtOH)		(248.67)	57.68	3.50	11.12
3a	161	62	$C_{17}H_{12}ClN$	76.83	4.51	5.26
			(265.74)	76.66	5.00	4.94
3b	118	60	$C_{17}H_{11}ClN_2O_2$			9.01
			(310.74)			8.95
3c	156	60	(Lit. m.p. 157° [5])			
3d	172	65	$C_{17}H_{11}ClN_2O_2$	65.67	3.56	9.01
			(310.74)	66.00	3.88	8.84
3e	131	65	(Lit. m.p. 131° [6])			
3f	145	62	$C_{17}H_{11}ClN_2O_2$			9.01
			(310.74)			9.45
3g	128	58	$C_{17}H_{11}ClN_2O_2$	65.67	3.56	9.01
			(310.74)	66.10	3.22	9.25

Compounds **3a–g** were crystallized from ethanol.

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