SYNTHESES BASED ON 2-METHYLQUINOLINE 4-CARBOXYLIC ACID

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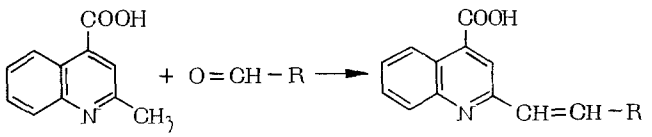
Data exist [1, 2] on the anticarcinogenic activity of certain nitrofuran derivatives of quinoline, 2-[2-(5-nitrofuryl)vinyl]quinoline 4-carboxylic acid and 2-[2-(5-nitrofuryl)vinyl]quinoline in particular. In order to prepare analogous compounds we have carried out condensations of 2-methylquinoline 4-carboxylic acid (I), in acetic anhydride as medium, with aldehydes (II), viz. benzaldehyde, furfural, thiophen-2-aldehyde, ortho-, meta-, and paranitrobenzaldehydes, and 5-nitrothiophen-2-aldehyde, and have also reproduced the synthesis of 2-[2-(5-nitrofur-2-yl)vinyl]quinoline 4-carboxylic acid [3]:



I II III-X

The compounds obtained (III-X, see Table 1) were crystalline substances, soluble in dimethylformamide, dioxan, and aqueous alkali, and soluble with difficulty in alcohol and water. In order to confirm the structures of the compounds synthesized their IR spectra were measured in the 1800-630 cm^{-1} region.

IR spectra were measured in Nujol on an ICR-14 spectrophotometer (with NaCl prism) (see Fig. 1). In Fig. 1 the IR spectrum of 2-[2-(5-nitrothien-2-yl)vinyl]quinoline 4-carboxylic acid (X) is given: λ 962 cm^{-1} (trans CH=CH); 817, 768, and 746 cm^{-1} (out of plane vibration of benzene ring); 1535, 1438, 1342, 1222, and 1036 cm^{-1} (thiophene ring); 1511 and 1342 cm^{-1} (nitro group).

TABLE 1. 2-(2-R-Vinyl)quinoline 4-Carboxylic Acids

Compound	R	mp (in °C)	Yield, %
III	Phenyl	289—90 (from dioxan)	69
IV	o-Nitrophenyl	293—4 (from dimethylformamide)	68
V	m-Nitrophenyl	316—7 (The same)	69
VI	p-Nitrophenyl	324—5 (The same)	69
VII	Fur-2-yl	196—8 (from n-octane)	59
VIII	5-Nitrofur-2-yl	297—8 (from dimethylformamide)	67
IX	Thien-2-yl	292—3 (from allyl alcohol)	61
X	5-Nitrothien-2-yl	296 (sublimes, from dimethylformamide)	64

Continued

Compound	Found, %			Empirical formula	Calculated, %		
	C	H	S		C	H	S
III	78,42	4,68	—	$C_{18}H_{13}NO_2$	78,54	4,72	—
IV	67,47	3,83	—	$C_{18}H_{12}N_2O_4$	67,50	3,75	—
V	67,42	3,80	—	$C_{13}H_{12}N_2O_4$	67,50	3,75	—
VI	67,45	3,68	—	$C_{13}H_{12}N_2O_4$	67,50	3,75	—
VII	72,51	4,18	—	$C_{16}H_{11}NO_3$	72,45	4,15	—
VIII	61,72	3,34	—	$C_{16}H_{10}N_2O_5$	61,93	3,22	—
IX	68,43	3,87	11,30	$C_{16}H_{11}NO_2S$	68,32	3,91	11,40
X	58,87	3,12	9,86	$C_{16}H_{10}N_2O_4S$	58,89	3,06	9,96

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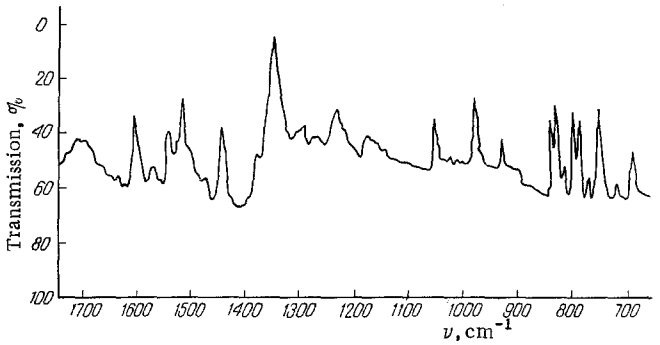

Fig. 1. IR spectrum of 2-[2-(5-nitrothien-2-yl)vinyl]quinoline 4-carboxylic acid (X).

The antibacterial activity of the compounds synthesized was tested against representative bacteria of the enteric group. It was established that compounds (IV) and (V) did not possess antimicrobial activity, (VI) showed very low activity, and (III) was active against Candida albicans, E. coli, and Sh. Sonnei.

EXPERIMENTAL

2-(2-R-vinyl)quinoline 4-Carboxylic Acids (III-X). The starting 2-methylquinoline 4-carboxylic acid (I) was obtained from isatin and acetone [3] and had mp 243-245°C (from water). Equimolecular amounts (about 0.01 g-mole) of (I) and (II) in 10 ml acetic anhydride were heated for 30 min at 180-190°C. After cooling, the precipitate which had separated was filtered off, washed with water, air-dried and recrystallized to constant melting point.

LITERATURE CITED

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2. T. Ujiie, Chem. Pharm. Bull. (Tokyo), 14, 461 (1966).