on a Jeol C-6 OHL spectrometer. Content of aldehyde groups in copolymers was varied in the interval of 5-20 mol.%.

Schiff Bases of Ampicillin and Copolymers of Vinylpyrrolidone. We dissolved 1.13 g of ampicillin trihydrate in a mixture of 20 ml of chloroform and 1 ml of triethylamine, added 0.5 g of anhydrous sodium sulfate, stirred the mixture for 1-2 h, after which we separated the sodium sulfate on a filter and added 1.6 g of vinylpyrrolidone and acrolein copolymer to the filtrate; the mixture was maintained at room temperature for 20-24 h or heated at 40-50° for 1-4 h, after which the reaction mass was poured with stirring into dry acetone or diethyl ether was added in an amount of 50% of the reaction mass. The separated polymer was separated as a foamy mass by decantation and reprecipitated an additional time. Yield was 75-80%

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REACTIONS OF 4-AMINOQUINAZOLINE WITH α-BROMOKETONES

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UDC 615.31:547.856

It is known that N-substituted quinazolines possess high physiological activity [1-3]. Therefore, the study of the reaction of 4-aminoquinazoline with α-bromoketones is of definite interest. Upon reaction of 4-aminoquinazoline with α-bromoketones formation of 3-acylalkyl-4-imino-3,4-dihydroquinazolines can be expected if alkylation occurs by the Chichibabin reaction [4, 5]. Since the largest electron density in the quinazoline ring [6] is on N_1, then the formation of 1-acylalkyl-4-imino-1,4-dihydroquinazolines would be expected. Starting from what was stated above, it can be proposed that alkylation of 4-aminoquinazoline with α-bromoketones occurs at the N_3 or N_1 atom.

We have shown that 4-aminoquinazoline (I) reacts easily with α-bromoketones in the cold in a dimethylformamide (DMF)-acetone mixture or upon boiling in alcohols (ethanol, butanol). Reaction products after purification are individual compounds which are hydrobromides of 1-acylalkyl-4-imino-1,4-dihydroquinazolines (III-XI).

The structure of compounds (III-XI) was confirmed by their basic and acidic hydrolysis. Basic and acidic hydrolysis of compounds (VI, IX) yielded 1-acylalkyl-1,4-dihydroquinazol-4-ones (XII, XIII), respectively, which differ in physical constants and physical chemical properties from the 3-acylalkyl-3,4-dihydroquinazol-4-ones (XIV, XV) synthesized by us.

The individuality of the obtained compounds was confirmed by the method of paper chromatography and in a thin layer of sorbent.

Zaporozhskii Medicinal Institute. Translated from Khimiko-Farmatsevticheskii Zhurnal, Vol. 10, No. 3, pp. 67-70, March, 1976. Original article submitted June 23, 1975.

TABLE 1. Substituted 1,4-Dihydroquinazolines (III–IX) and 1,4-Dihydroquinazolones

Compound	R	R′	Yield* (%)	mp (deg)†	Found (%)				Empirical formula	Calculated (%)			
					C	H	Br	N		C	H	Br	N
III	CH_3	H	71; 78	278—80	47,2	4,2	27,9	15,1	$C_{11}H_9N_3O \cdot HBr$	46,8	4,3	28,3	14,9
IV	CH_3	CH_3	81; 78	263—5	48,8	4,9	26,6	14,2	$C_{12}H_{11}N_3O \cdot HBr$	48,7	4,8	27,0	14,2
V	C_2H_5	H	64;	268—70	48,4	4,4	26,7	14,0	$C_{12}H_{13}N_3O \cdot HBr$	48,7	4,8	27,0	14,2
VI	C_6H_5	H	71; 65	301—2	55,6	4,0	23,4	12,5	$C_{16}H_{13}N_3O \cdot HBr$	55,8	4,1	23,2	12,2
VII	p-$CH_3C_6H_4$	H	64	285—7	56,6	4,6	22,7	11,3	$C_{17}H_{15}N_3O \cdot HBr$	56,9	4,6	22,3	11,7
VIII	p-$CH_3OC_6H_4$	H	64	286—7	55,0	4,6	21,7	11,4	$C_{17}H_{15}N_3O_2 \cdot HBr$	54,6	4,3	21,3	11,2
IX	p-BrC_6H_4	H	71; 64	307—8	45,3	3,0	37,5	9,8	$C_{16}H_{12}BrN_3O \cdot HBr$	45,4	3,1	37,8	9,9
IXa	p-BrC_6H_4	H	80	225—7	56,2	3,4	23,4	12,1	$C_{16}H_{12}BrN_3O$	56,2	3,4	23,4	12,3
X	p-$O_2NC_6H_4$	H	64	306—8	49,5	3,4	20,2	14,7	$C_{16}H_{12}N_4O_3 \cdot HBr$	49,4	3,4	20,5	14,4
XI	2-Thienyl	H	47	284—6	48,1	3,7	22,8	11,9	$C_{14}H_{11}N_3O \cdot HBr$‡	48,0	3,4	22,8	12,0
XII	C_6H_5	H	88	260—2	72,8	4,5	—	11,0	$C_{16}H_{13}N_3O_2$	72,7	4,6	—	10,6
XIII	p-BrC_6H_4	H	94; 71	267—9	55,6	3,5	23,3	8,0	$C_{11}H_{11}BrN_2O_2$	56,0	3,2	23,3	8,2
XIV	C_6H_5	H	83	149—52	72,6	4,7	—	10,8	$C_{16}H_{12}N_2O_2$	72,7	4,6	—	10,6
XV	p-BrC_6H_4	H	53	209—11	56,2	3,2	22,9	8,1	$C_{16}H_{11}BrN_2O_2$	56,0	3,2	23,3	8,2

*Second value of yield was obtained upon carrying out the reaction by method B.
†Compounds [apart from (XIV, XV)] melt with decomposition. For analysis the compounds were purified by crystallization: (III, V, VIII, XII) from ethanol; (VI, VII, IX) from water; (IV) from dioxane; (IX) from DMFA; (IXa) from iso-propanol; (X) from 50% ethanol; (XIII, XIV, XV) from butanol.
‡Found, %: S 8.2; Calculated, %: S 9.1.

IR spectra of compounds (II-XV) contain one or two bands in the region of 1660-1760 cm^{-1} (CO), and compounds (II-XI) contain, in addition, bands in the region of 3070-3380 cm^{-1} (NH or NH_2).

Preliminary pharmacological examination showed that compound (VI) increases the threshold of pain sensitivity and corazol convulsions and causes hypothermia; compound (III) increases reactal temperature. Hydrobromides (III-XI) possess weak antimicrobic and antiprotosoic activity.

EXPERIMENTAL

IR spectra of the obtained compounds were taken on a UR-20 instrument as suspensions in mineral oil.

1-Acetonyl-4-amino-1,4-dihydroquinazoline Hydrobromide (III). Method A. To a solution of 1.45 g (0.01 mole) of (I) in 50 ml of DMFA was added a solution of 1.51 g (0.011 mole) of bromoacetone in 50 ml of acetone. The reaction mixture was left at room temperature for 10-12 h. The precipitate of (III) was filtered and washed with acetone and ether. Compounds (IV-XI) were obtained analogously.

Method B. To a solution of 1.45 g (0.01 mole) of (I) in 40 ml of ethanol or butanol was added 1.51 g (0.011 mole) of freshly distilled bromoacetone. The reaction mixture was boiled for 1 h, and cooled; the precipitate was filtered and washed with acetone and ether. Compounds (IV, VI, IX, X) were obtained analogously.

1-p-Bromophenacyl-4-amino-1,4-dihydroquinazoline (IXa). A suspension of 4,23 g (0.01 mole) of (IX) and 2.52 g (0.03 mole) of sodium bicarbonate in 70 ml of DMFA was boiled for 10 min (the last 5 min in the presence of activated carbon)

and filtered; the filtrate was diluted with 50 ml of hot water. After cooling the precipitate was filtered and washed with water. Yield of (IXa) was 2.72 g (80%).

1-p-Bromophenacyl-1,4-dihydroquinazol-4-one (III). Method A. A suspension of 4.23 g (0.01 mole) of (IX) in 20 ml of hydrobromic or phosphoric acid was boiled for 8-10 h. The reaction mixture was cooled, diluted with 200 ml of cold water, and neutralized with an ammonia solution. The precipitate of (XIII) was filtered and washed with water. Compound (XII) was obtained analogously.

Method B. To a solution of 0.4 g (0.01 mole) of sodium hydroxide in 50 ml of water was added 2.3 g (0.005 mole) of (IX). The reaction mixture was heated on a water bath at a temperature of 70-80° for 2 h and cooled; the precipitate was filtered and washed with water and chloroform.

3-Phenacyl-3,4-dihydroquinazol-4-one (XIV). To a solution prepared from 0.23 g (0.01 mole) of metallic sodium and 20 ml of methanol were added 1.46 g (0.01 mole) of quinazol-4-one (II) and 1.99 g (0.01 mole) of phenacyl bromide. The reaction mixture was boiled for 1 h and cooled; the precipitate of (XIV) was filtered and washed with water. Compound (XV) was obtained analogously.

Characteristics of the obtained compounds are presented in Table 1.

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