

**SOME REACTIONS OF  $\alpha$ -HYDROXY- AND  $\alpha$ - DIMETHYLAMINOFORMYLIDINE – 2,3-POLYMETHYLENE-3,4-DIHYDROQUINAZOLIN-4-ONES**

**Tukhsanov Feruz Sadullayevich**

Assistant of the Department of Chemistry of the Uzbek-Finnish Pedagogical Institute,  
Samarkand , E-mail: [ftuxsanov078@gmail.com](mailto:ftuxsanov078@gmail.com)

**Musulmonov Noryigit Hasanovich**

Associate Professor of the Department of Chemistry of the Uzbek-Finnish Pedagogical Institute,  
Samarkand , Uzbekistan . <https://orcid.org/0000-0002-2092-3115>  
E-mail: [noryigit1977@gmail.com](mailto:noryigit1977@gmail.com)

**Abstract:** The reactions of acylation , amination and transamination of  $\alpha$ -oxy- and  $\alpha$ -dimethylaminoformylidene – 2,3-polymethylene-3,4-dihydroquinazolin-4-ones were studied and the corresponding acyl -and aminoformylidene production ( enamines ).

Their interaction with acetone cyanohydrin yielded  $\alpha$ - dimethylamino (oxy)cyanomethyl-2,3-trimethylene-3,4-dihydroquinazolin-4-one which hydrolyzed to amino acids ( oxyacids ).

Bromination of  $\alpha$ - dimethylamino – 2,3-trimethylene-3,4-dihydroquinazolin-4-one produces  $\alpha$ -bromo-  $\alpha$ - formyl 2,3-trimethylene-3,4-dihydroquinazolin-4-one.

**Key words:**  $\alpha$ -hydroxy- and  $\alpha$ - dimethylaminoformylidene – 2,3-polymethylene-3,4-dihydroquinazolin-4-one, acylation , amination , transamination , enamine , acetone cyanohydrin , bromination .

**$\alpha$  – Oksi - va  $\alpha$  - dimethylamino formiliden -2,3-polimetilin-3,4-digidroksinazolin-4-onlarning ayrim reaksiyalari**

**Abstract :**  $\alpha$  – Oksi - va  $\alpha$  - dimetilaminof o rmiliden -2,3-polimetilen-3,4-digidroksinazolin-4-onlarni atsillash , aminlash va qaytaaminlash reaksiyalari o'rganildi va tags atsil va aminoformiliden ( yenamin ) xosilalari olindi .

Ularni atseton sianhidrin bilan ta'sirlashishidan  $\alpha$  -( oksi ) dimetilaminosianmetil -2,3-trimetilen -3,4-dihydroksinazolin-4-onlar olindi va ularning hydrolysis esa aminokislotalar ( oksikislotalar ) xosil qilindi .

$\alpha$  -Dimetilamino-2,3-trimetilen-3,4-digidroksinazolin-4-onning bromlanishidan  $\alpha$  - brom -  $\alpha$ -formilxinazolin-4-on xosil bo'ladi .

**Kalit say :**  $\alpha$  - Oksi va  $\alpha$  - dimetilamino -2,3-polimetilin-3,4-digidroksinazolin-4-on, atsillash , aminlash , qayta aminlash , enamin , atseton sianogidrin , bromlash .

**Some reactions of  $\alpha$ - hydroxy and  $\alpha$ - dimethylaminofermilidene are 2,3-polymethylene dihydroquinazolin-4-ones.**

**Abstract:** The reactions of acylation, amination and transamination of  $\alpha$ - hydroxy and  $\alpha$ -dimethylaminoformidene-2,3-polymethylene-3,4- dihydroquinazolin-4-ones were studied and the corresponding acyl and aminoformylidene derivatives ( enamines ) were obtained.

Their interaction with acetone cyanohydrin was obtained by  $\alpha$ - dimethylamino ( hydroxy ) cyanomethyl-2,3-trimethylene-3,4-dihydroquinazolin-4-one, which is hydrolyzed to an amino acid ( hydroxy acid).

Upon bromination of  $\alpha$ -dimethylamino-2,3-trimethylene-3,4-dihydroquinazolin-4-one,  $\alpha$ - bromo - $\alpha$ - formel 2,3 – trimethylene - 3,4 - dihydroquinazolin -4-one is formed.

**Key words:**  $\alpha$ - hydroxy and  $\alpha$ - dimethylaminoformilidene - 2,3-polymethylene-3,4-dihydroquinazolin-4-one, acylation, amination, transamination, enamine, acetone cyanohydrin, bromination .

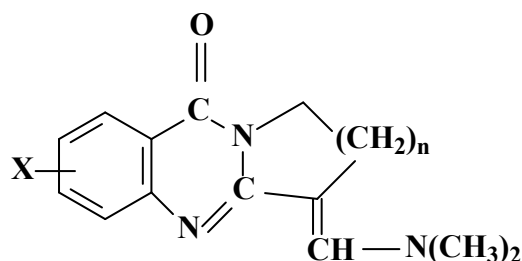
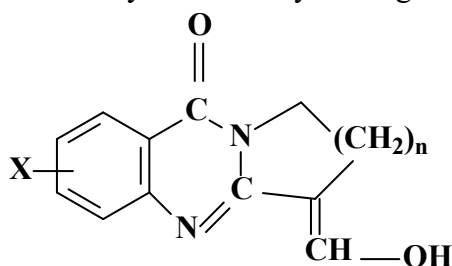
**Relevance:**

Nitrogen-containing heterocyclic compounds, in particular quinazolines and their derivatives, are of both practical and theoretical interest. In this series, drugs with fungicidal , herbicide , growth-regulating , pharmacological and other properties have been found. Previously [1,3] we studied some reactions of electrophilic substitution of 2,3-polymethylene-3,4-dihydroquinazolin-4 – ones . Some of the synthesized compounds have growth-regulating , hypnotic , muscle-relaxing , narcotic action. Therefore, they are of definite , practical interest. On the other hand, the presence of several reaction centers (pyridine nitrogen atom, aromatic ring, etc.) in the molecule of  $\alpha$ -oxy- and  $\alpha$ - dimethylaminoformylidene - 2,3-polymethylene-3,4-dihydroquinazolin-4 -ones makes them interesting in chemical terms. To our knowledge, there are almost no data in the literature on the reactions of acylation , amination and transamination of these compounds. Therefore, the study of these reactions is a very urgent task.

**Purpose of the work.**

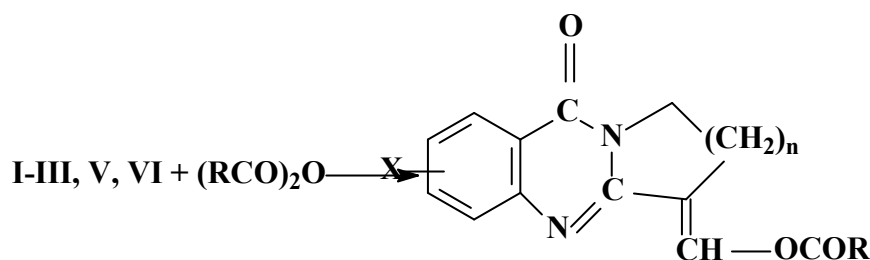
Study of the reaction of acylation , amination , transamination , cyanohydrogenation , bromination of  $\alpha$ -oxy- and  $\alpha$ -dimethylaminoformaldehyde-2,3-polymethylene-3,4-dihydroquinazolin-4-ones.

Previously we developed [1-3] a convenient method for the synthesis of  $\alpha$ -oxy- and  $\alpha$ -dimethylaminoformylidene-2,3-polymethylene-3,4-dihydroquinazolin-4-ones. It was of interest to study some chemical transformations of the above-mentioned compounds based on  $\alpha$ -oxy- and  $\alpha$ - dimethylaminoformylidene groups.



- I . x=H, n =1 V . x=H  
 II . x=H, n =2 VI . x=6- NO<sub>2</sub>  
 III. x =6-NO<sub>2</sub> , n=3 VII. x =7-NO<sub>2</sub> , n=1  
 IV . x=6- NO<sub>2</sub> , n = 4

$\alpha$ -Oxy- and  $\alpha$ -dimethylaminoformylidene-2,3-polymethylene-3,4-dihydroquinazolin-4-ones can be considered as enols and enamines . They should be capable of acylation , and can also react with primary and secondary amines. Indeed, when  $\alpha$ -oxyformylidene-2,3-polymethylene-3,4-dihydroquinazolin-4-ones interact with acetic, butyric, and benzoic anhydrides, the acylation reaction occurs easily and  $\alpha$ - acyl- ( aroyl )oxyformylidene-2,3-polymethylene-3,4-dihydroquinazolin-4-ones are formed ( VIII a - f).



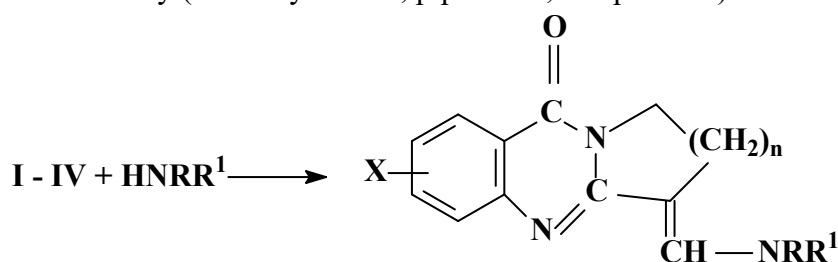
VIII a-f.

a, d-g)  $\text{R} = \text{CH}_3$ ; b)  $\text{R} = \text{C}_3\text{H}_7$ ; c)  $\text{R} = \text{C}_2\text{H}_5$ ; a-c, e)  $\text{X} = \text{H}$ , d, g)  $\text{X} = 6\text{-NO}_2$ ; d)  $\text{X} = 7\text{-NO}_2$ ; a-e)  $n = 1$ ; e)  $n = 2$ ; g)  $n = 3$ .

Compounds VIII a, b, g, e were also obtained as a result of heating (100-110 °C)  $\alpha$ -dimethylaminoformylidene -2,3-polymethylene-3,4-dihydroquinazolin-4-ones ( V , VI , VII ) with the corresponding anhydrides.

In the IR spectrum of VIII a-b, d-g, the absorption band of the ester carbonyl group appears in the region of 1760–1780  $\text{cm}^{-1}$ , and in the spectrum of VIII c, at 1725  $\text{cm}^{-1}$ . In the spectrum of compounds I – IV , the absorption band of the hydroxyl group at 3300–3600  $\text{cm}^{-1}$  disappears .

Enamines are synthesized by the interaction of I - IV with ammonia, primary ( hydroxylamine ; n-; iso-; tert- butylamines , aniline, p- toluidine , phenylhydrazine, 2,4-dinitrophenylhydrazine) and secondary ( dimethylamine , piperidine, morpholine ) amines. IX a - p .



IX a-r.

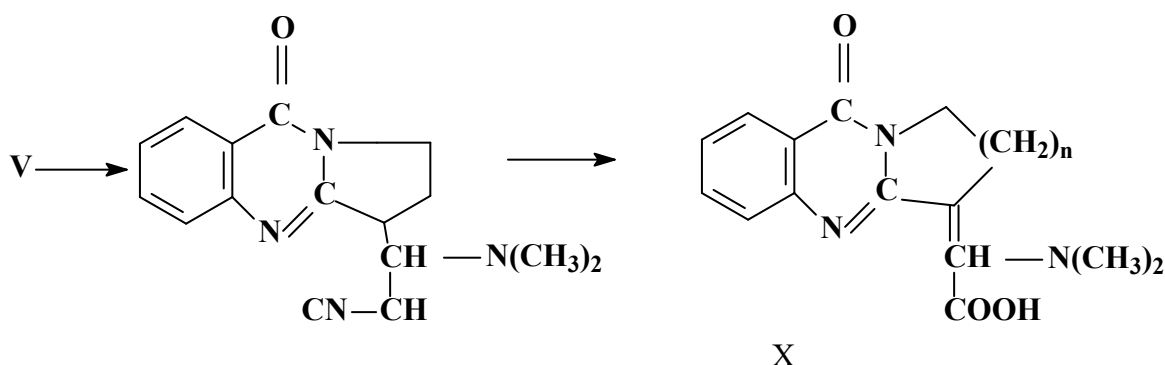
a)  $\text{R}=\text{R}^1=\text{H}$ ; b)  $\text{R}=\text{H}$ ,  $\text{R}^1=\text{OH}$ ; c)  $\text{R}=\text{H}$ ,  $\text{R}^1=\text{C}_4\text{H}_9-n$ ; d)  $\text{R}=\text{H}$ ,  $\text{R}^1=\text{C}_4\text{H}_9$ - iso; e)  $\text{R}=\text{H}$ ,  $\text{R}^1=\text{C}_4\text{H}_9$ - tert; f)  $\text{R}=\text{H}$ ,  $\text{R}^1=\text{C}_6\text{H}_5$ ; g)  $\text{R}=\text{H}$ ,  $\text{R}^1=\text{C}_6\text{H}_4-\text{CH}_3$ -p; h)  $\text{R}=\text{H}$ ,  $\text{R}^1=\text{NHC}_6\text{H}_5$ ; i)  $\text{R}=\text{H}$ ,  $\text{R}^1=\text{NHC}_6\text{H}_3(\text{NO}_2)_2$ -2,4; k)  $\text{R}=\text{R}^1=\text{CH}_3$ ; k)  $\text{RR}^1=(\text{CH}_2)_5$ ; m)  $\text{RR}^1=(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ; m)  $\text{RR}^1=(\text{CH}_2)_5$ ; o)  $\text{RR}^1=(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ; n)  $\text{RR}^1=(\text{CH}_2)_5$ ; p)  $\text{RR}^1=(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$ ; a-m, p)  $\text{X}=\text{H}$ , HO)  $x=6\text{-NO}_2$ ; n)  $x=7\text{-NO}_2$ ; a-n)  $n=1$ ; p)  $n=2$ .

It is known that amines and enamines readily undergo transamination reactions [4-5]. It turned out that compounds V – VII react with the above amines and lead to  $\alpha$ - disubstituted formylated derivative IX 1 n-p.

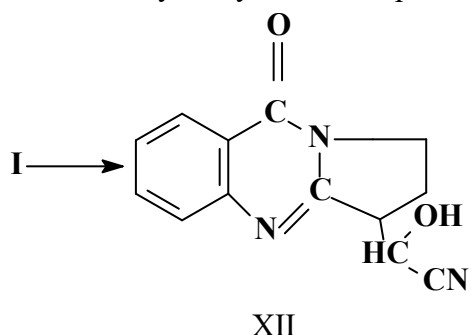
The structure of the synthesized compounds is proven by elemental analysis, IR and mass spectra. In the IR spectrum of IX a – i, absorption bands appear in the region of 3300 – 3450  $\text{cm}^{-1}$ , characteristic of the amino group.

In the mass spectrum of VIII , IX there are peaks of molecular ions (18 – 100%), as well as fragments corresponding to the supposed scheme of their decay.

It was of interest to investigate some reactions of addition to the double bond of the enamine group of  $\alpha$ - dimethylaminoformylidene -2,3-trimethylene-3,4-dihydroquinazolin-4-one ( V ). When it interacted with acetone cyanohydrin ,  $\alpha$ - dimethylaminocyanomethyl -2,3-trimethylene-3,4-dihydroquinazolin-4-one (X) was obtained , which was hydrolyzed with concentrated hydrochloric acid to amino acid XI .

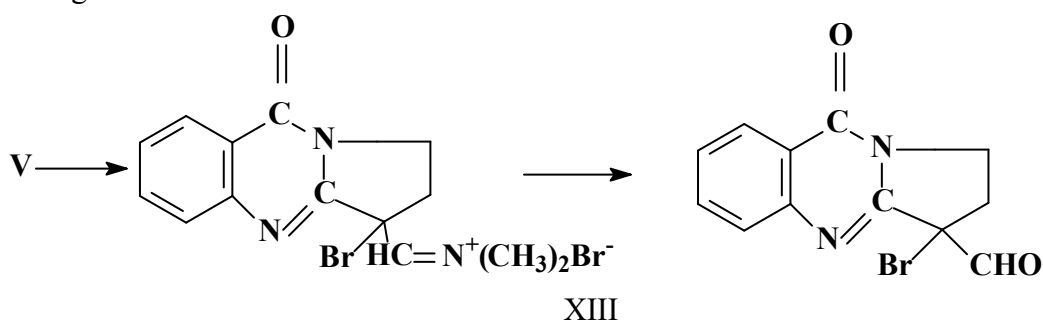


of acetone cyanohydrin with I proceeds similarly and leads to cyanohydrin XII .



In the IR spectrum of compounds X and XII, the nitrile group appears in the region of 2222 and 2204  $\text{cm}^{-1}$ , respectively, and in XI this band disappears and a new one appears at 1680  $\text{cm}^{-1}$  ( $\nu_{\text{C}=\text{O}}$  carbonyl group).

It is known that enamines are intermediate products in the synthesis of  $\alpha$ - bromocarbonyl compounds /5/. Studying the bromination of  $\alpha$ - dimethylaminoformylidene -2,3-trimethylene-3,4-dihydroquinazolin-4-one ( V ), we found that the reaction product is  $\alpha$ -bromo- $\alpha$  - formyl -2,3-trimethylene-3,4-dihydroquinazolin-4-one ( XIII ), the formation of which apparently occurs through an intermediate immonium salt.



Thus,  $\alpha$ -oxy- and -dimethylaminoformylidene groups in compounds I – VII can exhibit enol and enamine character.

They can be important intermediates for the synthesis of various heterocyclic systems.

The yields and some physical and chemical characteristics of the reaction products are given in the table.

Jadval 3

Element	R	R - it	... C r x	Found	Gross	Calculated
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								C	H	N	formula	C	H	N
1	2	3	4	5	6	7	8	9	10	11	12	13	14	15
I	VIII a	1	CH <sub>3</sub>	-	58	214-216	0.63	65.7	4.7	11.2	C <sub>14</sub> H <sub>12</sub> N <sub>2</sub> O <sub>3</sub>	65.6	4.7	10.9
I	VIII b	1	C <sub>3</sub> H <sub>7</sub>	-	40	314-315	.	67.5	5.5	10.2	C <sub>16</sub> H <sub>16</sub> N <sub>2</sub> O <sub>3</sub>	67.6	5.6	9.9
I	VIII century	1	From 6 H <sub>5</sub>	-	87	213-215	.	71.8	4.6	8.6	C <sub>19</sub> H <sub>14</sub> N <sub>2</sub> O <sub>3</sub>	71.7	4.4	8.8
V	VIII a	1	CH <sub>3</sub>	-	67	215-218	0.62	65.8	4.5	11.1	C <sub>14</sub> H <sub>12</sub> N <sub>2</sub> O <sub>3</sub>	65.6	4.7	10.9
V	VIII b	1	C <sub>3</sub> H <sub>7</sub>	-	15	314-316	.	67.7	5.6	10.2	C <sub>16</sub> H <sub>16</sub> N <sub>2</sub> O <sub>3</sub>	67.6	5.6	9.9
I I	VIII e	2	CH <sub>3</sub>	-	85	219-220	0.62	66.9	5.1	10.1	C <sub>15</sub> H <sub>14</sub> N <sub>2</sub> O <sub>3</sub>	66.7	5.2	10.4
V I	VIII g	1	CH <sub>3</sub>	-	83	289-291	0.60	55.6	3.6	14.2	C <sub>14</sub> H <sub>11</sub> N <sub>3</sub> O <sub>5</sub>	55.8	3.7	13.9
I	IX a	1	H	-	80	126-128	0.28	67.6	5.3	19.4	C <sub>12</sub> H <sub>11</sub> N <sub>3</sub> O	67.6	5.2	19.7
I	IX b	1	H	-	50	187-190	.	62.6	5.0	18.1	C <sub>12</sub> H <sub>11</sub> N <sub>3</sub> O <sub>2</sub>	62.9	4.8	18.3

I	IX century	1	H	-	30	179- 181	0.65	71.3	7.0	15.8	$C_{16}H_{19}N_3$ O	71.4	7.1	15 .6
I	IX g	1	H	-	87	150- 151	0.70	71.5	6.9	15.7	$C_{16}H_{19}N_3$ O	71.4	7.1	15 .6
I	IX d	1	H	-	44	145- 147	0.80	71.2	7.3	15.7	$C_{16}H_{19}N_3$ O	71.4	7.1	15 .6
I	IX e	1	H	-	85	205- 207	-	74.8	5.1	14.6	$C_{18}H_{15}N_3$ O	74.7	5.2	14 .5
I	IX f	1	H	-	78	208- 210	0.85	75.3	5.7	13.8	$C_{19}H_{17}N_3$ O	75.2	5.6	13 .9
I	IX s	1	H	-	36	221- 223	-	71.3	5.1	18.6	$C_{18}H_{16}N_4$ O	71.1	5.3	18 .4
I	IX to	1	CH <sub>3</sub>	-	97	177- 179	0.61	69.2	6.4	17.6	$C_{14}H_{15}N_3$ O	69.7	6.3	17 .4
I	IX l	1	(CH <sub>2</sub> ) <sub>5</sub>	-	65	180- 181	-	72.4	6.6	15.1	$C_{17}H_{19}N_3$ O	72.6	6.8	14 .9
I	IX m	1	$\frac{(CH_2)_{20}}{(CH_2)_2}$	-	80	184- 186	-	68.0	6.1	14.6	$C_{16}H_{17}N_3$ O <sub>2</sub>	67.8	6.0	14 .8
V	IX l	1	(CH <sub>2</sub> ) <sub>5</sub>	-	41	180- 181	-	72.4	6.6	15.1	$C_{17}H_{19}N_3$ O	72.6	6.8	14 .9
V I	IX n	1	(CH <sub>2</sub> ) <sub>5</sub>	-	74	238- 243	0.90	62.4	5.6	17.0	$C_{17}H_{18}N_4$ O <sub>3</sub>	62.6	5.5	17 .2

V I	IX o	1	$(\text{CH}_2)_{20}(\text{CH}_2)_2$	-	87	257-259	0.80	58.6	4.7	16.9	C16H16N4O4	58.5	4.9	17.1
V I I	IX p	1	$(\text{CH}_2)_5$	-	74	247-249	0.92	62.5	5.7	17.1	C17H18N4O3	62.6	5.5	17.2
I I	IX p	2	$(\text{CH}_2)_{20}(\text{CH}_2)_2$	-	92	146-148	0.67	68.5	6.3	14.3	C17H19N3O2	68.7	6.4	14.1
V	X	-	-	-	58	245-248	0.68	72.1	4.9	14.5	C23H18N4O2	72.3	4.7	14.7
V	XII	-	-	-	54	138-140	0.57	67.0	6.1	20.7	C15H16N4O	67.1	6.0	20.9
X I I	XIII	-	-	-	78	206-208	0.54	70.5	6.5	16.3	C15H17N3O	70.6	6.7	16.5
I	XIV	-	-	-	67	306-308	-	64.9	4.3	17.6	C13H11N3O2	64.7	4.6	17.4
V	XV	-	-	-	82	151-152	0.90	49.2	2.9	9.4	C12H9N2O2Br	49.1	3.1	9.6

**Note:** \*Compounds I – IV , VI , VII , IX a-z , k-r, XV recrystallized from acetone; IX a-p from alcohol; XII , XIII from hexane ; XIV from acetone- hexane .

\*\* For compounds; I , II , V – VIII a , IX f , b-d, g, k, n-p, x, XV Rf values are determined in the solvent system chloroform – methanol, 10:1 ( silufol ); for XIII chloroform – ether, 10:1 ( silufol ); I V – X chloroform (aluminum oxide); for III , VIII e, g - chloroform – ether, 1:1 (aluminum oxide); for IX p, XII - chloroform – ether, 10:1 (aluminum oxide).

#### Experimental part.

IR spectra were recorded on an IV-20 spectrometer, mass spectra on an MX-1303, PMR spectra on an IMM -4H-100 (internal standard – TMS and HMDS, solvent –  $\text{CH}_3\text{COOH}$  ,  $\text{CDCl}_3$  , deuteropyridine , scale – b).

**Chemical transformations of  $\alpha$ -oxy- and  $\alpha$ - disubstituted aminoformylidene-2,3-polymethylene-3,4-dihydroquinazolones-4.**

**$\alpha$  - acetoxyformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one ( VIII a).** A solution of 0.1 g (0.4 mmol) of V in 3.24 g (32 mmol) of acetic anhydride is heated on a water bath for 1 hour. Cooled, the precipitated brown crystals are filtered off, washed with acetic anhydride and dried. 0.08 g of VIII is isolated. a . M.p. 215 – 218<sup>0</sup>. IR spectrum: 1598 ( $\nu_{C=N}$ ), 1670 ( $\nu_{C=O}$ ) and 1772 ( $\nu_{COO}$ )  $cm^{-1}$ . Mol. Weight 256 (mass spectrometric).

Similarly to the above, from 50 mg (0.234 mmol) of I, 35 mg of VIII are obtained. a with m.p. 214 – 216<sup>0</sup>.

**$\alpha$  -Butyroyloxyformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one ( VIII b).** A mixture of 0.1 g (0.47 mmol) of I and 1 g (7 mmol) of butyric anhydride is left at room temperature for 5–6 days. The resulting white precipitate is filtered off and washed with ether. Yield of VIII b. 50 mg, mp . 314–315<sup>0</sup>C.

Similarly to the above, 20 mg (15%) of VIII b with a mp of 314 – 316<sup>0</sup> C was synthesized from 0.1 g (0.4 mmol) . IR spectrum: 1648 ( $\nu_{C=N}$ ), 1695 ( $\nu_{C=O}$ ) and 1780 ( $\nu_{COO}$ )  $cm^{-1}$ .

**$\alpha$  -Benzoyloxyformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ VIII c].** A mixture of 0.1 g (0.47 mmol) of I and 0.5 g (2.2 mmol) of benzoic anhydride is heated at 110 – 120<sup>0</sup> for 1 hour. The reaction mixture is cooled and treated with ether. The formed brown precipitate is filtered off and washed with ether. Yield of VIII c. 0.13 g , mp . 213 – 215<sup>0</sup> C. IR spectrum: 1600 ( $\nu_{C=N}$ ), 1672 ( $\nu_{C=O}$ ) and 1725 ( $\nu_{COO}$ )  $cm^{-1}$ .

VIII g, e is obtained in a similar manner to that described above .

**$\alpha$  - Acetoxyformylidene -6-nitro-2,3-trimethylene-3,4- dihydroquinazoline -4-one [ VIII g].** From 0.23 g (0.8 mmol) of VI in 4.33 g (42 mmol) of acetic anhydride was synthesized. 0.2 g of VIII g with m.p. 289 – 291<sup>0</sup>C. IR spectrum: 1615 ( $\nu_{C=N}$ ), 1642 ( $\nu_{C=O}$ ) and 1680 (ester carbonyl)  $cm^{-1}$ .

**$\alpha$  -Acetoxyformylidene-2,3-tetramethylene-3,4-dihydroquinazolin-4-one [ VIII e].** From 0.1 g (0.44 mmol) of II in 2.16 g (21 mmol) of acetic anhydride was obtained. 0.1 g of VIII e with m.p. 219 – 220<sup>0</sup> C. IR spectrum: 1605 ( $\nu_{C=N}$ ), 1660 ( $\nu_{C=O}$ ) and 1760 ( $\nu_{COO}$ )  $cm^{-1}$ .

**$\alpha$  - Aminoformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX a ].** A mixture of 0.1 g (0.47 mmol) of I and 0.5 g (2.2 mmol) of I and 3 ml of 25% ammonia solution is heated on a water bath for 1 hour. Cooled, the precipitate is filtered off, washed with water and dried. Yield 80 mg IX a . M.p. 126 – 128<sup>0</sup> (from acetone). IR spectrum: 1630 ( $\nu_{C=N}$ ), 1682 ( $\nu_{C=O}$ ) and 3400 ( $\nu_{NH_2}$ )  $cm^{-1}$ .

**$\alpha$  - Hydroxylaminoformylidene -2,3 – trimethylene-3,4 -dihydroquinazolin-4-one [ IX b].** To a solution of 0.1 g (0.47 mmol) of I and 2 – 3 ml of alcohol is added 0.1 g (3 mmol) of hydroxylamine . After keeping at room temperature for 24 hours, the reaction mixture is extracted with chloroform. The organic layer is dried with sodium sulfate and the solvent is distilled off. Yield 70 mg of IX b. Mp . 187 – 190<sup>0</sup> (from acetone). IR spectrum: 1612 ( $\nu_{C=N}$ ), 1660 ( $\nu_{C=O}$ ) and 3315 ( $\nu_{NH_2}$ )  $cm^{-1}$ .

**$\alpha$  -Sec-butylaminoformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX g].** A solution of 0.1 g (0.47 mmol) of V in 0.5 ml of sec- butylamine is left at room temperature for 24 hours. Ether is added to the reaction mixture, the precipitate is filtered off and washed with ether. 0.11 g of IX g is isolated. M.p. 150 – 151<sup>0</sup> (from acetone).

IX in, d-m were synthesized similarly .

**$\alpha$  -n-Butylaminoformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX g].** From 0.2 (0.94 mmol) of V and 0.5 ml of n- butylamine, 75 mg of IX g was obtained with a mp of 179 – 181<sup>0</sup> (from acetone).

**$\alpha$  – Tert.- butylaminoformylene -2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX d].** From 0.1 (0.47 mmol ) of V and 0.5 ml of tert- butylamine , 55 mg of IX d with a mp of 145–147<sup>0</sup> (from acetone) are obtained .

**$\alpha$ -phenylaminoformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX e].** From 0.1 (0.47 mmol ) of V and 0.4 ml of aniline, 0.11 g of IX e was synthesized with mp 205 – 207<sup>0</sup> (from acetone). IR spectrum: 1608 (  $\nu_{C=N}$  ), 1678 (  $\nu_{C=O}$  ) and 3320 (  $\nu_{NH}$  )  $cm^{-1}$  . Mol. Wt 289 (mass spectrometry ) .

**$\alpha$  – (p- Tolyl ) aminoformaldehyde -2,3 – trimethylene - 3,4 -dihydroquinazolin-4-one [ IX g].** From 0.1 g (0.47 mmol ) of V and 0.11 g (0.93 mmol ) of p- toluidine , 0.11 g of IX g is obtained with mp . 208 – 210<sup>0</sup> (from acetone). IR spectrum: 1615 (  $\nu_{C=N}$  ), 1660 (  $\nu_{C=O}$  ) and 3300 – 3320 (  $\nu_{NH}$  )  $cm^{-1}$  . Mol. Wt 303 (mass spectrometric).

**$\alpha$ -Phenylhydrazoformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX z].** From 0.1 g (0.47 mmol ) of V and 0.3 ml of phenylhydrazine, 54 mg of IX z are obtained with a mp of 221 – 223<sup>0</sup> (from acetone). IR spectrum: 1610 (  $\nu_{C=N}$  ), 1660 (  $\nu_{C=O}$  ) and 3280 (  $\nu_{NH}$  )  $cm^{-1}$  .

**$\alpha$  – (2,4-Dinitrophenylhydrazino) formylidene - 2,3 – trimethylene - 3,4 – dihydroquinazolin-4-one [ IX and ].** From 0.1 (0.47 mmol ) of V and 0.1 g (0.5 mmol ) of 2,4-dinitrophenylhydrazino, 60 mg of IX were synthesized with mp . 238 – 244<sup>0</sup> (from alcohol). IR spectrum: 1640 (  $\nu_{C=N}$  ), 1682 (  $\nu_{C=O}$  ) and 3260, 3340 - 3360 (  $\nu_{NH}$  )  $cm^{-1}$  .

**$\alpha$ -Dimethylaminoformaldehyde-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX k].** From 0.1 (0.47 mmol ) of V and 0.2 ml of 33% aqueous dimethylamine solution , 0.11 g (97%) of IX k with mp . 177 – 179<sup>0</sup> (from acetone) was obtained. A mixed melting test with a known sample does not give depression.

**$\alpha$ -Piperidinoformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX l].** A mixture of 0.1 (0.47 mmol ) of V and 0.86 g (10 mmol ) of piperidine is heated at a temperature of 110-120<sup>0</sup> for an hour, left overnight, and the excess piperidine is evaporated. The dry residue is treated with ether, the formed precipitate is filtered off and washed with ether. Yield 80 mg of IX l. Mp . 180 – 181<sup>0</sup> (from acetone). IR spectrum: 1610 (  $\nu_{C=N}$  ), 1660 (  $\nu_{C=O}$  )  $cm^{-1}$  .

Similar to the above, IX l, l-r were synthesized.

**$\alpha$  –Morpholinoformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX m].** From 0.1 g (0.47 mmol ) of V and 0.3 g (3.4 mmol ) of morpholine , 0.1 g of IX m is obtained with mp . 184 – 186<sup>0</sup> (from acetone). IR spectrum: 1608 (  $\nu_{C=N}$  ), 1660 (  $\nu_{C=O}$  ).

**$\alpha$  –Piperidinoformylidene-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ IX l].** From 70 mg (0.33 mmol ) of V and 0.86 g (10 mmol ) of piperidine, 60 mg (74%) of IX l were obtained. After recrystallization from acetone, the yield is 40 mg (41%), mp . 180 – 181<sup>0</sup> (from acetone). A mixed melting test with a known sample does not give depression.

**$\alpha$  – Piperidinoformylene -6-nitro-2,3-trimethylene-3,4- dihydroquinazolin-4-one [ IX n].** From 0.2 g (0.7 mmol ) of V and 0.86 g (10 mmol ) of piperidine, 0.17 g of IX n was synthesized with mp . 238 – 243<sup>0</sup> (from acetone). IR spectrum: 1640 (  $\nu_{C=N}$  ), 1680 (  $\nu_{C=O}$  ).

**$\alpha$  – Morpholinoformylene – 6 – nitro - 2,3 – trimethylene - 3,4 – dihydroquinazolin-4-one [ IX o ].** From 0.23 g (0.8 mmol ) of VI and 0.6 g (6.8 mmol ) of morpholine , 0.23 g of IX o with mp . 257 – 259<sup>0</sup> (from acetone) is obtained . IR spectrum: 1640 (  $\nu_{C=N}$  ), 1688 (  $\nu_{C=O}$  ).

**$\alpha$  – Piperidinoformylidene – 7-nitro - 2,3 – trimethylene - 3,4 – dihydroquinazolin-4-one [ IX and].** From 0.2 g (0.7 mmol ) of V and 0.86 g (10 mmol ) of piperidine , 0.17 g of IX and with mp . 247 – 249<sup>0</sup> (from acetone) were obtained. IR spectrum: 1645 (  $\nu_{C=N}$  ), 1665 (  $\nu_{C=O}$  ). Mol. Wt 326 (mass spectrometric).

**$\alpha$  –Morpholinoformylidene-2,3-tetramethylene-3,4-dihydroquinazolin-4-one [ IX p].** From 0.1 g (0.44 mmol) of V and 0.3 g (3.4 mmol) of morpholine, 0.12 g of IX m with mp. 146 – 148<sup>o</sup> (from acetone) was synthesized. IR spectrum: 1608 ( $\nu_{C=N}$ ), 1659 ( $\nu_{C=O}$ ).

**$\alpha$  – ( dimethylaminocyanomethyl )-2,3-trimethylene-3,4- dihydroquinazoline -4-one [ X ] .** A mixture of 0.5 g (2 mmol) of V and 1.86 g (22 mmol) of acetone cyanohydrin is maintained at room temperature for 14–18 hours, treated with ether, and the reaction product is purified by passing it through a column of aluminum oxide (eluent: chloroform). Yield 0.3 g of X b. Mp . 138–140<sup>o</sup> (from hexane ). IR spectrum: 1612, 1630 ( $\nu_{C=N}$ ), 1668 ( $\nu_{C=O}$ ) and 3315 ( $\nu_{NH_2}$ )  $cm^{-1}$  2222 ( $\nu_{CN}$ )  $cm^{-1}$  . Mol. Weight 268 (mass spectrometric).

**$\alpha$  – ( dimethylaminocarboxymethyl )-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ XI ] .** To 30 mg (0.12 mmol) of X is added dropwise 0.24 ml of concentrated hydrochloric acid. The reaction mixture is left at room temperature for 1.5 hours, then heated on a water bath for an hour, cooled, diluted with 1 ml of water, the formed precipitate is filtered off, washed with water, dried. 25 mg of XI are obtained . Mp . 206 – 208<sup>o</sup> (from hexane ). IR spectrum: 1602 ( $\nu_{C=N}$ ), 1680 ( $\nu_{C=O}$ ).

**$\alpha$  –( Oxycyanomethyl )-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ XII ] .** A mixture of 0.4 g (1.9 mmol) of I and 1.7 g (20 mmol) of acetone cyanohydrin heated for 30 minutes at a temperature of 70 – 80<sup>o</sup> . The reaction mixture is cooled, dissolved in ether and hexane is added . The formed precipitate is filtered off. Yield 0.3 g XII . Mp . 306 – 308<sup>o</sup> (from hexane ). IR spectrum: 1618, ( $\nu_{C=N}$ ), 1670 ( $\nu_{C=O}$ ) and 2204 ( $\nu_{CN}$ )  $cm^{-1}$  , 310 - 3600 ( $\nu_{OH}$ )  $cm^{-1}$  . Mol. Weight 241 (mass spectrometry ) .

**$\alpha$  -Bromo-a-formyl-2,3-trimethylene-3,4-dihydroquinazolin-4-one [ XIII ] .** To a solution of 0.1 g (0.4 mmol) of V in 3 ml of chloroform, with cooling and vigorous stirring, add dropwise a solution of 0.1 g (1.25 mmol) of bromine in 5 ml of chloroform. The reaction mixture is stirred at room temperature for 1 hour and left for 12 hours. The residue after distilling off the solvent is treated with water. The precipitated crystals are separated, washed boiling solution of acetone. Obtain 0.1 g of XV . M.p. 151 – 152<sup>o</sup> (from acetone). IR spectrum: 1620, ( $\nu_{C=N}$ ), 1680 ( $\nu_{C=O}$ ), 670, 698 ( $\nu_{C-Br}$ )  $cm^{-1}$  .

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