

**REACTION OF 6-SUBSTITUTED 3-AMINO-2-PHENYL-4(3H)-QUINAZOLINONES WITH D-RIBOSE AND L-ARABINOSE**

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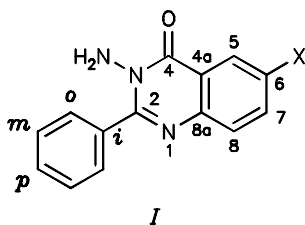
Condensation of 3-amino-2-phenyl-4(3H)-quinazolinone (*Ia*) and 3-amino-6-bromo-2-phenyl-4(3H)-quinazolinone (*Ib*) with D-ribose and L-arabinose in boiling methanol gave the corresponding *N*-glycosides *Iia*, *IIIa*, *Iib* and *IIIb*. Acetylation of compounds *II* and *III*, followed by Zemplén's deacetylation, afforded the *N*-acetyl derivatives *VIa*, *VIb*, *VIIa* and *VIIb*. According to their NMR spectra in solution, the *N*-ribosides exist as  $\beta$ -pyranosides in the  ${}^4C_1$  (D) conformation whereas the *N*-arabinosides are  $\alpha$ -pyranosides in the  ${}^4C_1$  (L) conformation.

Recently we have found that glucosides of substituted quinazolinones exhibit antiproliferative activities against wide variety of cancer cells<sup>1</sup>. Promising activities against leukemia and lymphoma cancer cells were associated with 6-bromo-3-[*N*-(D-glucopyranosyl)]amino-2-phenyl-4(3H)-quinazolinone, 3-[*N*-acetyl-*N*-(2,3,4,6-tetra-*O*-acetyl- $\beta$ -D-glucopyranosyl)]amino-6-bromo-2-phenyl-4(3H)-quinazolinone and 3-[*N*-acetyl-*N*-( $\beta$ -D-glucopyranosyl)]amino-6-bromo-2-phenyl-4(3H)-quinazolinone. In the present paper, we report the synthesis of several new *N*-ribosides and *N*-arabinosides of 6-substituted 3-amino-2-phenyl-4(3H)-quinazolinones.

The glycosylations of 3-amino-2-phenyl-4(3H)-quinazolinone (*Ia*) and 3-amino-6-bromo-2-phenyl-4(3H)-quinazolinone (*Ib*) with D-ribose or L-arabinose were conducted in boiling methanol in the presence of acetic acid as catalyst<sup>2</sup>. The reaction products were isolated as thick syrups which on purification were converted to amorphous substances.

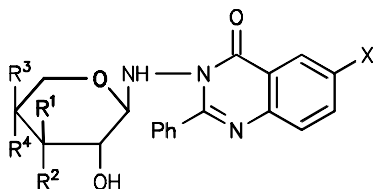
The structure of 2-phenyl-3-[*N*-(D-ribopyranosyl)]amino-4(3H)-quinazolinone (*IIa*), 3-[*N*-(L-arabinopyranosyl)]amino-2-phenyl-4(3H)-quinazolinone (*IIIa*), 6-bromo-2-phenyl-3-[*N*-(D-ribopyranosyl)]amino-4(3H)-quinazolinone (*Iib*) and 3-[*N*-(L-arabinopyranosyl)]-

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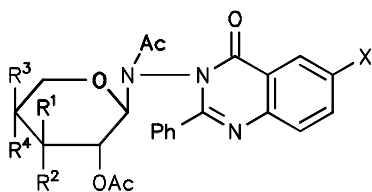


In formulae *I-VII* :

*a*, X = H; *b*, X = Br

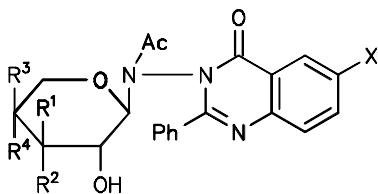


	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
<i>II</i>	H	OH	H	OH
<i>III</i>	OH	H	OH	H



*IV, V*

	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
<i>IV</i>	H	OAc	H	OAc
<i>V</i>	OAc	H	OAc	H



*VI, VII*

	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
<i>VI</i>	H	OH	H	OH
<i>VII</i>	OH	H	OH	H

amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*IIIb*) was confirmed by their IR spectra, elemental analysis,  $^1\text{H}$  NMR,  $^{13}\text{C}$  NMR and mass spectra (Tables I and II).

Infrared spectra of compounds *II* and *III* show absorption bands at 3 200–3 500  $\text{cm}^{-1}$ , the region characteristic for carbohydrate hydroxyl groups and NH group of the *N*-glycoside bond. The absorption bands at 910–915  $\text{cm}^{-1}$  and 760–770  $\text{cm}^{-1}$  are due to asymmetric and symmetric vibrations of the pyranoside ring of D-ribose and L-arabinose, respectively<sup>3</sup>.

As expected<sup>4</sup>, in solutions the glycosides *II* and *III* exist as mixtures of the  $\alpha$ - and  $\beta$ -anomers. For both the *N*-riboside *IIa* and its bromo derivative *IIb*, the ratio of the anomers was approximately 6 : 1. The spin–spin coupling constant  $J(1,2)$  for the predominating anomer in compounds *IIa* and *IIb* (7.5 Hz and 8 Hz, respectively) corresponds to diaxial orientation of the H-1 and H-2 protons which indicates  $\beta$ -configuration and  $^4\text{C}_1$  (D) conformation for this anomer. The small value of  $J(1,2)$  in the minor anomer *IIb* (4 Hz) is consistent with its  $\alpha$ -configuration and the same conformation. It was not possible to identify the H-1 or H-2 signals for the minor anomer *IIa* because of an overlap with signals of the remaining carbohydrate protons. The *N*-arabinosides *IIIa* and *IIIb* also are a mixture of  $\alpha$ - and  $\beta$ -anomers, the ratio of the anomers being 5 : 1 for *IIIa* and 3 : 1 for *IIIb*. As in the previous cases, the predominating anomer has a greater spin–spin coupling constant (7.8 and 8.0 Hz) than the minor anomer (3.5 and 3.7 Hz). The greater coupling constants ( $J(1,2) = J(2,3) = 7.8$  Hz,  $J(3,4) = 3$  Hz) for the predominating anomer *IIIa* indicate that protons H-1, H-2 and H-3 are axial and proton H-4 is equatorial; this shows the  $\alpha$ -L-configuration and  $^4\text{C}_1$  (L) conformation of the pyranoside structure.

This conclusion is confirmed by  $^{13}\text{C}$  NMR data for the *N*-arabinoside *IIIb*, in which the signals at 90.56 and 86.06 ppm correspond to the C-1 atom in the respective  $\alpha$ - and  $\beta$ -anomers. The appreciable upfield shift of this signal in the  $\beta$ -anomers as compared with the  $\alpha$ -anomers is consistent with greater steric strain in the  $\beta$ -anomer due to the axial arrangement of substituents at C-1.

A similar difference between the  $\delta$   $\text{C}^1$  values in the anomers is characteristic of arabinose<sup>5</sup> ( $\alpha$ -anomer 97.7 ppm,  $\beta$ -anomer 93.7 ppm) in *O*-glycopyranosides.

The 3-amino-2-phenyl-4(3*H*)-quinazolinone glycosides *II* and *III* were acetylated with acetic anhydride in pyridine at room temperature to give 3-[*N*-acetyl-*N*-(2,3,4-tri-*O*-acetyl- $\beta$ -D-ribofuranosyl)]amino-2-phenyl-4(3*H*)-quinazolinone (*IVa*), 3-[*N*-acetyl-*N*-(2,3,4-tri-*O*-acetyl- $\beta$ -D-ribofuranosyl)]amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*IVb*), 3-[*N*-acetyl-*N*-(2,3,4-tri-*O*-acetyl- $\alpha$ -L-arabinopyranosyl)]amino-2-phenyl-4(3*H*)-quinazolinone (*Va*) and its 6-bromo derivative *Vb*.

The IR spectra of compounds *IV* and *V* exhibited two very strong bands at 1 660–1700  $\text{cm}^{-1}$  and 1 760–1 775  $\text{cm}^{-1}$  assigned to the stretching vibrations of the amide (N–C=O) and ester (O–C=O) carbonyl groups, respectively. The structures of compounds *IVa* and *IVb* were also confirmed by their NMR spectra, which indicated

TABLE I  
<sup>1</sup>H NMR data (δ, ppm; J, Hz) of compounds II–VII

Compound <sup>a</sup>	Carbohydrate moiety			Quinazolinone					CH <sub>3</sub> CO–N	CH <sup>3</sup> CO–O
	anomer	H-1	J(1,2)	H-2–H-5	H-5	H-6	H-7	H-8		
<i>IIa</i>	β	5.57 <sub>b</sub>	7.50	3.10–4.45 <sub>b</sub>	8.80	8.20	7.80	7.20	7.60	–
	α	–	–	–	8.82	8.42	7.95	7.25	7.65	–
<i>IIb</i>	β	5.60	8.00	3.20–4.50 <sub>b</sub>	8.60	–	7.30	–	7.75	–
	α	5.38	4.00	–	7.30	–	–	–	7.75	–
<i>IIIa</i>	α	4.90	7.80	3.30–4.48 <sub>b</sub>	8.78	8.10	7.20	–	7.80	–
	β	5.15	3.50	–	7.22	–	–	–	7.82	–
<i>IIIb</i>	α	4.96	8.00	3.50–4.60 <sub>b</sub>	8.62	–	7.35	–	7.82	–
	β	5.20	3.70	–	8.72	–	7.35	–	7.82	–
<i>IVa</i>	β	5.95	9.20	3.70–5.10	7.30	–	–	–	9.12	2.10
<i>IVb</i>	β	5.92	8.50	3.72–5.15	7.20	–	–	–	9.15	2.07
<i>Va</i>	α	5.85	8.30	3.75–5.20	7.30	–	–	–	9.10	2.12
<i>Vb</i>	α	5.83	8.50	3.88–5.22	7.40	–	–	–	9.12	2.08
<i>VIa</i>	β	5.75	9.00	3.40–4.60 <sub>b</sub>	–	–	–	–	–	2.31
	β	5.70	9.00	–	8.57	8.20	7.30	–	7.78	2.17
<i>VIIb</i>	β	5.70	8.50	3.88–4.20 <sub>b</sub>	–	–	–	–	–	2.22
	β	5.67	8.50	–	8.60	–	7.83	7.45	7.70	2.10
<i>VIIIa</i>	α	5.35	9.20	3.20–4.55 <sub>b</sub>	–	–	–	–	–	2.26
	α	5.20	9.20	–	5.58	8.22	7.32	–	7.75	2.10
<i>VIIIb</i>	α	5.36	8.50	3.30–4.90 <sub>b</sub>	–	–	–	–	–	2.25
	α	5.20	8.50	–	8.62	–	7.42	–	7.83	2.05

<sup>a</sup> Solvents: hexadeuteriodimethyl sulfoxide for *II* and *III*; deuteriochloroform for *IV–VII*. <sup>b</sup> Overlapping signals.

TABLE II  
Chemical shifts ( $\delta$ , ppm) in  $^{13}\text{C}$  NMR spectra of compounds *IIa*, *IIIa* and *IIIb–VIIIb*

Position	<i>IIa</i>	<i>IIIa</i>	<i>IIIb</i>	<i>IVb</i>	<i>Vb</i>	<i>VIb</i>	<i>VIIIb</i>
Quinazolinone							
C-2	164.90	164.91	164.77	166.24	165.90	165.07	165.27
C-4	167.86	166.96	165.43	168.24	167.91	167.56	168.92
C-4a	120.96	120.74	122.46	123.87	119.62	123.80	122.25
C-5	127.29	127.28	127.29	128.90	127.90	129.36	127.53
C-6	123.33	123.25	122.66	127.89	124.08	122.12	122.18
C-7	134.78	134.60	134.90	134.30	135.10	134.28	134.28
C-8	119.86	119.60	114.92	115.65	120.06	117.26	115.65
C-8a	139.75	139.74	138.82	140.80	140.15	139.07	138.6
Phenyl							
<i>ipso</i>	120.21	120.56	121.35	122.57	119.62	122.35	122.18
<i>ortho</i>	132.40	132.42	132.52	132.60	132.68	132.68	132.61
<i>meta</i>	128.80	128.42	129.27	128.90	128.80	129.36	127.37
<i>para</i>	132.60	132.57	132.52	132.98	134.20	132.68	131.80
Carbohydrate moiety							
C-1	87.70	90.68	90.56	88.90	81.57	84.01	83.46
C-2	72.05	72.29	72.27	71.43	70.55	71.76	72.60
C-3	70.14	70.54	69.05	70.50	68.31	70.40	68.70
C-4	69.01	69.12	66.99	69.76	67.54	69.05	68.40
C-5	64.15	64.83	64.81	64.21	66.67	61.11	67.20
Other signals							
CO	–	–	–	170.16–174.16	170.53–173.75	172.71	173.39
CH <sub>3</sub>	–	–	–	20.66– 21.43	20.82– 21.16	20.88	21.08

TABLE III  
 Properties of compounds II–VII

Compound	M.p., °C	Formula (M.w.)	$R_F$ in system			Calculated/Found		
			A	B	C	% C	% H	% N
<i>IIa</i>	115	C <sub>19</sub> H <sub>19</sub> N <sub>3</sub> O <sub>5</sub>	0.00	0.00	0.25	61.79	5.15	11.38
	decomp.	(369.4)				61.60	5.01	11.21
<i>IIb</i>	142–143	C <sub>19</sub> H <sub>18</sub> BrN <sub>3</sub> O <sub>5</sub>	0.00	0.00	0.29	51.00	4.02	9.39
	decomp.	(448.3)				51.02	4.14	9.41
<i>IIIa</i>	138	C <sub>19</sub> H <sub>19</sub> N <sub>3</sub> O <sub>5</sub>	0.00	0.00	0.26	61.79	5.15	11.38
	decomp.	(369.4)				61.61	5.07	11.20
<i>IIIb</i>	160	C <sub>19</sub> H <sub>18</sub> BrN <sub>3</sub> O <sub>5</sub>	0.00	0.00	0.30	51.00	4.02	9.39
	decomp.	(448.3)				51.06	4.12	9.42
<i>IVa</i>	130–135	C <sub>27</sub> H <sub>27</sub> N <sub>3</sub> O <sub>9</sub>	0.80	0.87	–	60.33	5.03	7.82
		(537.6)				60.11	4.98	7.63
<i>IVb</i>	112–114	C <sub>27</sub> H <sub>26</sub> BrN <sub>3</sub> O <sub>9</sub>	0.85	0.90	–	52.68	4.23	6.84
		(616.5)				52.70	4.12	6.61
<i>Va</i>	85–86	C <sub>27</sub> H <sub>27</sub> N <sub>3</sub> O <sub>9</sub>	0.72	0.80	–	60.33	5.03	7.82
		(537.6)				60.17	5.01	7.90
<i>Vb</i>	133–134	C <sub>27</sub> H <sub>26</sub> BrN <sub>3</sub> O <sub>9</sub>	0.75	0.85	–	52.68	4.23	6.84
		(616.5)				52.50	4.13	6.88
<i>VIa</i>	201–202	C <sub>21</sub> H <sub>21</sub> N <sub>3</sub> O <sub>6</sub>	0.00	0.00	0.41	61.31	5.11	10.21
		(411.4)				61.22	5.02	10.10
<i>VIb</i>	214–215	C <sub>21</sub> H <sub>20</sub> BrN <sub>3</sub> O <sub>6</sub>	0.00	0.00	0.42	51.53	4.09	8.58
		(390.3)				51.45	4.07	8.52
<i>VIIa</i>	222–223	C <sub>21</sub> H <sub>21</sub> N <sub>3</sub> O <sub>6</sub>	0.00	0.00	0.39	61.31	5.11	10.21
		(411.4)				61.20	5.01	10.18
<i>VIIb</i>	233–234	C <sub>21</sub> H <sub>20</sub> BrN <sub>3</sub> O <sub>6</sub>	0.00	0.00	0.45	51.53	4.09	8.58
		(490.3)				51.51	4.05	8.53

the  $\beta$ -configuration and  ${}^4C_1$  (D) conformation. The spectrum of compound *IVa* displays one doublet of the anomeric proton at  $\delta$  5.95 ppm ( $J(1,2) = 9.2$  Hz) while in the spectrum of compound *IVb* this doublet appears at  $\delta$  5.92 ppm ( $J(1,2) = 8.5$  Hz) (see Table I). Proton NMR spectra of compounds *Va* and *Vb* show the  $\alpha$ -configuration and  ${}^4C_1$  (L) conformation; the coupling constant values ( $J(1,2) = J(2,3) = 8.5$  Hz,  $J(3,4) = 3$  Hz) for *Va* and *Vb* indicate axial position of protons H-1, H-2 and H-3, and equatorial position of proton H-4 (see Table I).

This conclusion is confirmed by the  ${}^{13}\text{C}$  NMR data (see Table II). The  ${}^{13}\text{C}$  NMR spectrum of compound *Vb* is characterized by a signal at  $\delta$  81.57 ppm corresponding to the C atom of the  $\alpha$ -anomer. Three signals, attributed to the three acetoxy carbonyl atoms, appear at  $\delta$  170.53, 170.66 and 170.81 ppm. The two signals at  $\delta$  173.48 and 173.75 ppm can be ascribed to the respective *exo* and *endo* isomeric forms of the *N*-acetyl carbonyl carbon atom. Three signals at  $\delta$  20.82, 20.90 and 20.95 ppm correspond to the three methyl carbons of the acetoxy groups while the signal at  $\delta$  21.16 ppm can be assigned to the acetamido methyl carbon atom. The four signals at  $\delta$  70.55, 68.31, 67.54 and 66.67 ppm are assigned to C-2, C-3, C-4 and C-5 of the arabinosyl residue<sup>6</sup>. The quinazolinone signals at  $\delta$  165.90, 167.91, 119.62, 127.90, 124.08, 135.10, 120.06 and 140.15 ppm can be attributed to the C-2, C-4, C-4a, C-5, C-6, C-7, C-8 and C-8a atoms, respectively<sup>7</sup>. Signals of the 2-phenyl group lie at  $\delta$  119.62, 132.90, 128.80 and 134.20 ppm and correspond to the respective *i*-, *o*-, *m*- and *p*-aromatic carbon atoms.

Deacetylation of compounds *IV* and *V* by the Zemplen's method<sup>8</sup> in methanol in the presence of catalytic amount of sodium methoxide afforded 3-[*N*-acetyl-*N*-( $\beta$ -D-ribo-pyranosyl)]amino-2-phenyl-4(3*H*)-quinazolinone (*VIa*), 3-[*N*-acetyl-*N*-( $\beta$ -D-ribo-pyranosyl)]amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*VIb*), 3-[*N*-acetyl-*N*-( $\alpha$ -L-arabino-pyranosyl)]amino-2-phenyl-4(3*H*)-quinazolinone (*VIIa*) and 3-[*N*-acetyl-*N*-( $\alpha$ -L-arabino-pyranosyl)]amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone *VIb*. The structure of the *N*-glycosides *VI* and *VII* was confirmed by their IR,  ${}^1\text{H}$  NMR and  ${}^{13}\text{C}$  NMR spectra and elemental analyses.

The IR spectra of compounds *VI* and *VII* exhibit very strong bands at  $1\ 660\ \text{cm}^{-1}$  and  $1\ 710\ \text{cm}^{-1}$  due to the N-C=O stretching vibrations of the amide carbonyl; no ester carbonyl bands at  $1\ 775$  were observed.

In the  ${}^1\text{H}$  NMR spectrum of compound *VIIb* the anomeric proton appears as two doublets at  $\delta$  5.36 ppm ( $J(1,2) = 8.5$  Hz) and  $\delta$  5.20 ppm ( $J(1,2) = 8.5$  Hz). The magnitude of the coupling constant for *VIIb* indicates that the protons H-1, H-2 and H-3 are axial and proton H-4 equatorial; this confirms the pyranoside structure,  ${}^4C_1$  (L) conformation and  $\alpha$ -L-configuration. In the region of acetyl protons there are two signals at  $\delta$  2.25 and 2.05 ppm which at  $60\ ^\circ\text{C}$  coalesce into one at  $\delta$  2.05 ppm. The signals of the anomeric proton (Table I) coalesce ( $\delta$  5.20 ppm) with no change in the coupling constant. We suggest that the presence of coalescent signals in *VIIb* (and in *VIa*, *VIb* and *VIIa* as well, see Table I) indicates two, at room temperature stable, rotamers around

the glycoside amide bond. The other protons of the carbohydrate moiety of *VIIb* resonate at  $\delta$  4.90–3.30 ppm. The quinazolinone protons appear as a multiplet at  $\delta$  8.62–7.42 ppm.

Carbon-13 NMR spectrum of compound *VIIb* exhibits a signal at  $\delta$  83.46 ppm corresponding to the C-1 atom of the  $\alpha$ -anomer, a signal at  $\delta$  173.39 ppm (acetoxy carbonyl carbon atom), two signals at  $\delta$  2.08 and 20.77 ppm attributed to the methyl carbon atoms, and four signals at  $\delta$  72.6, 68.7, 68.4 and 67.2 ppm assigned to the C-2, C-3, C-4 and C-5 atoms of the arabinosyl residue. Signals at  $\delta$  165.27, 168.92, 122.25, 127.53, 122.18, 134.28, 115.65 and 138.64 ppm can be attributed to the respective C-2, C-4, C-4a, C-5, C-6, C-7, C-8 and C-8a atoms of the quinazolinone moiety, and signals at  $\delta$  122.18, 132.61, 127.37 and 131.80 ppm to the ipso, *o*-, *m*- and *p*-aromatic carbons of the 2-phenyl group.

## EXPERIMENTAL

All melting points were determined in open capillaries on a MEL-TEMP II apparatus and are uncorrected. Infrared spectra were recorded on a UNICAM SP 1200 spectrophotometer using the KBr technique. Microanalyses were performed at the National Research Center (NRC), Cairo, Egypt. Proton NMR and  $^{13}\text{C}$  NMR spectra were obtained with a Varian CFT-20 spectrometer (at 220 and 200 MHz, respectively). Tetramethylsilane was used as internal standard and chemical shifts are expressed in  $\delta$  (ppm) values. Mass spectral data were obtained with a mass spectrometer Model 7070F at 70 eV and inlet temperature 90 °C.

All analytical samples were homogeneous as indicated by thin-layer chromatography on EM Silica gel 60 F<sub>254</sub> sheets (0.2 mm) in benzene–acetone 5 : 2 (A), chloroform–acetone 5 : 2 (B) and 2-propanol–benzene–ammonium hydroxide 10 : 5 : 2 (C). Spots were detected with a UVGL-58 UV lamp.

### 2-Phenyl-3-[*N*-(D)-ribofuranosyl]amino-4(3*H*)-quinazolinone (*IIa*)

A solution of D-ribose (1.60 g, 0.01 mol) in dry methanol (10 ml), followed by glacial acetic acid (1 ml), was added to a solution of 3-amino-2-phenyl-4(3*H*)-quinazolinone (*Ia*; 2.37 g, 0.01 mol) in dry methanol (30 ml). The mixture was refluxed for 45 min, the solvent was evaporated in vacuo and the residue was recrystallized from dry methanol to give 1.48 g (40%) of product *IIa*.

### 6-Bromo-2-phenyl-3-[*N*-(D)-ribofuranosyl]amino-4(3*H*)-quinazolinone (*IIb*)

D-Ribose (1.60 g, 0.01 mol) and glacial acetic acid (1 ml) were added to a solution of 3-amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*Ib*; 3.15 g, 0.01 mol) in methanol (40 ml). The reaction mixture was refluxed for 30 min and the precipitate was recrystallized from absolute methanol. Yield 2.53 g (52%) of product *IIb*.

### 3-[*N*-(L)-Arabinofuranosyl]amino-2-phenyl-4(3*H*)-quinazolinone (*IIIa*)

This compound was obtained from L-arabinose (1.60 g, 0.01 mol) and 3-amino-2-phenyl-4(3*H*)-quinazolinone (2.37 g, 0.0075 mol) similarly as described for riboside *IIa*. Crystallization from absolute ethanol afforded 0.81 g (22%) of *IIIa*.



3-[*N*-(*L*-Arabinopyranosyl)]amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*IIIb*)

The title compound was obtained from 3-amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*Ib*; 3.15 g, 0.01 mol) and *L*-arabinose (1.60 g, 0.01 mol) as described for compound *Ib*. Crystallization from 2-propanol gave 1.34 g (30%) of product *IIIb*.

3-[*N*-Acetyl-*N*-(2,3,4-tri-*O*-acetyl- $\beta$ -*D*-ribosepyranosyl)]amino-2-phenyl-4(3*H*)-quinazolinone (*IVa*)

Acetic anhydride (distilled; 12 ml) was added to a solution of compound *IIa* (1.0 g, 0.0027 mol) in anhydrous pyridine (20 ml). The mixture was stirred for 15 min at 0 °C and then set aside at room temperature for 24 h. The solution was poured into ice-cold water (300 ml), the precipitate was filtered off, washed with water, dried and recrystallized from 20% aqueous ethanol to give *IVa* (1.10 g, 75%). Mass spectrum (*m/z*): 265, 259, 239, 238, 139.

3-[*N*-Acetyl-*N*-(2,3,4-tri-*O*-acetyl- $\beta$ -*D*-ribosepyranosyl)]amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*IVb*)

The title compound *IVb* was obtained from compound *Ib* (1.0 g, 0.0022 mol) in the same manner as described for compound *IVa* and crystallized from 30% ethanol; yield 1.11 g (81%). Mass spectrum (*m/z*): 359, 344, 318, 317, 259.

3-[*N*-Acetyl-*N*-(2,3,4-tri-*O*-acetyl- $\alpha$ -*L*-arabinopyranosyl)]amino-2-phenyl-4(3*H*)-quinazolinone (*Va*)

The title compound was obtained from compound *IIIa* (1.0 g, 0.0027 mol) as described for *IVa* and crystallized from 40% aqueous ethanol. Yield 0.87 g (50%).

3-[*N*-Acetyl-*N*-(2,3,4-tri-*O*-acetyl- $\alpha$ -*L*-arabinopyranosyl)]amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*Vb*)

Compound *Vb* was obtained from compound *IIIb* (1.5 g, 0.0033 mol) and acetic anhydride (18 ml) in anhydrous pyridine (28 ml) as described for *IVa*. Crystallization from 20% aqueous ethanol afforded 1.28 g (62%) of product *Vb*.

3-[*N*-Acetyl-*N*-( $\beta$ -*D*-ribosepyranosyl)]amino-2-phenyl-4(3*H*)-quinazolinone (*VIa*)

To a solution of compound *IVa* (1.00 g, 0.185 mol) in dry methanol (20 ml), few drops of sodium methoxide solution (0.25 g in 4 ml methanol) were added. The reaction mixture was left at room temperature for 2 h and adjusted to pH 7 by addition of Dowex-50 (H<sup>+</sup> form). The reaction mixture was filtered and the filtrate evaporated in vacuo. The residue was dissolved in hot dry ether, the solution filtered and the solvent evaporated. Crystallization from absolute ethanol yielded 0.4 g (52%) of riboside *VIa*.

3-[*N*-Acetyl-*N*-( $\beta$ -*D*-ribosepyranosyl)]amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*VIb*)

The title compound was obtained similarly as described for compound *VIa*. Acetyl derivative *IVb* (1.00 g, 0.162 mol) was converted into 0.437 g (55%) of riboside *VIb*, crystallized from absolute ethanol.

3-[*N*-Acetyl-*N*-( $\alpha$ -*L*-arabinopyranosyl)]amino-2-phenyl-4(3*H*)-quinazolinone (*VIIa*)

The title compound was obtained from acetyl derivative *Va* (1.00 g, 0.185 mol) as described for compound *VIa*. Crystallization from absolute ethanol gave 0.383 g (50%) of *VIIa*. Mass spectrum (*m/z*): 411, 238, 237.

3-[*N*-Acetyl-*N*-( $\alpha$ -L-arabinopyranosyl)]amino-6-bromo-2-phenyl-4(3*H*)-quinazolinone (*VIIb*)

The title compound *VIIb* was obtained in an identical manner to compound *VIa* from acetylated *Vb* (1.00 g, 0.162 mol). The yield was 0.43 g (54%) (absolute ethanol).

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