2-Triazolylpyrimido[1,2,3-*cd*]purine-8,10-diones *via* 1,3-Dipolar Cycloadditions to 2-Ethynylpyrimido[1,2,3-*cd*]purine-8,10-dione

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Dedicated to the memory of Professor Raymond N. Castle

This paper presents the synthesis of a series of 5,6-dihydro-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine-8,10(9*H*)-dione ring system derivatives with a [1,2,3]triazole ring bonded in position 2. The procedure is based on cycloaddition of substituted alkyl azides to the terminal triple bond of 5,6-dihydro-2-ethynyl-9-methyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine-8,10(9*H*)-dione (**4**). This cycloaddition produced two regioisomers - 5,6-dihydro-9-methyl-2-(1-substituted-1*H*-[1,2,3]triazol-5-yl)-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine-8,10(9*H*)-dione (**7**) and 2-(1-substituted-1*H*-[1,2,3]triazol-4-yl) derivative **8**. The required 2-ethynyl derivative **4** was obtained from the starting 2-unsubstituted compound **1** by bromination to yield the 2-bromo derivative **2**, which was converted by Sonogashira reaction to trimethylsilylethyne **3** and finally, the protective trimethylsilyl group was removed by hydrolysis.

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1-Alkyl-, 1,3- and 3,7-dialkylpurine-2,6-dione substituted with a heterocyclic residue in position 8, *e.g.* pyridin-3- or 4-yl [1], 6-chloropyridin-3-yl [2], 1*H*-tetrazol-5-yl, [1,2,5]thiadiazol-3-yl [3], furan-2-yl [4], thiophen-2-yl [1,2,3], thiophen-3-yl [3, 4] are known to be inhibitors of adenosine receptors. Similar activities were reported with tricyclic pyrimido[2,1-*f*]purinediones [5,6]. In continuation of our research project with peri-fused tricyclic nitrogen-containing heterocycles [7,8], we investigated pyrimido[*cd*]purinedione derivatives substituted with an additional 5-membered, three nitrogen atoms containing heterocycle in position 2.

Results and Discussion.

This paper presents a synthetic approach to 2-triazolylpyrimido[*cd*]purinediones from 2-unsubstituted pyrimido[*cd*]purinediones *via* its respective 2-bromo and 2-ethynyl derivatives, followed by cycloaddition of substituted alkyl azides to the triple bond of the latter intermediate.

The first step of the synthesis consisted of bromination of the starting 5,6-dihydro-9-methyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine-8,10(9*H*)-dione (**1**) to 2-bromo-5,6-dihydro-9-methyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine 8,10(9*H*)-dione (**2**). Because the starting compound **1** was partially soluble in acetic acid, bromination proceeded in this solvent both at reflux and at 40° (in this case in the presence of sodium acetate). The second procedure afforded a purer bromo derivative **2**. The second step of this synthesis involved substitution of hydrogen in trimethylsilylacetylene with 2-bromo derivative **2** in the presence of the bis(triphenylphosphine)palladium dichloride-copper monoiodide catalyst and triethylamine in dimethylformamide to give 5,6-dihydro-9-methyl-2-(2-trimethylsilylethynyl)-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine-8,10(9*H*)-dione (**3**). Reason for employment of trimethylsilyl acetylene was to avoid substitution at both ends of the triple bond. The amounts of the palladium and copper monoiodide catalysts proved to be an important factor influencing the formation of tarry impurities. Thus *e.g.* according to [9] (an analogous Sonogashira reaction with 8-bromoadenosine) the recommended amount of 10 mol% of the palladium catalyst was found to be superfluous and 20 mol% copper monoiodide caused an excessive formation of tarry impurities; 5 mol% palladium and 4 mol% copper monoiodide catalysts at 40° were sufficient for 2-bromo derivative **2**. The reaction was completed in 2.5 hours and appearance of tars was minute only. Therefore, for analysis compound **3** was not purified by column chromatography in this stage of synthesis, since

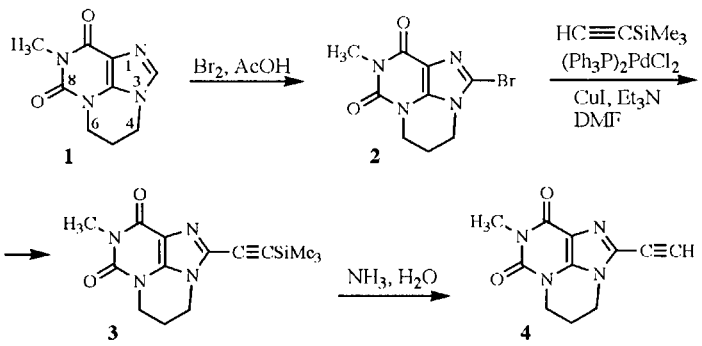
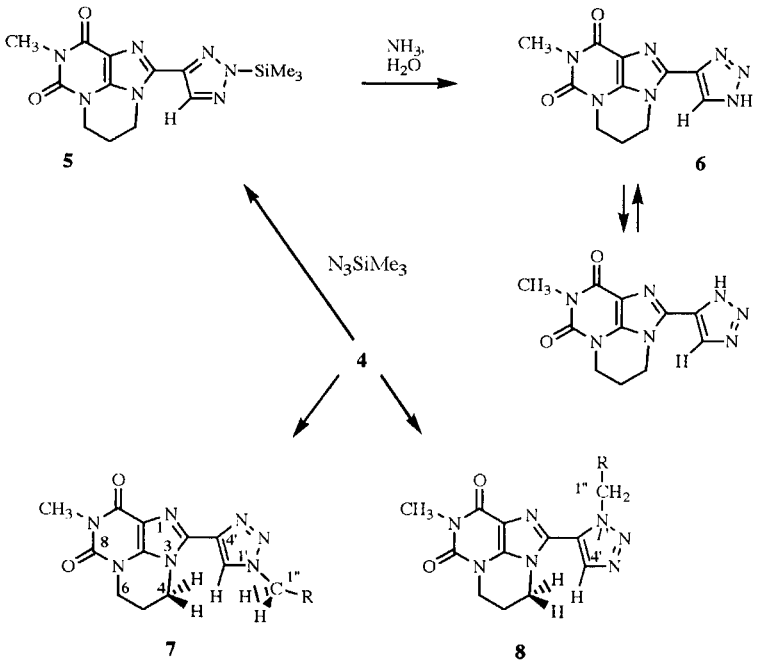
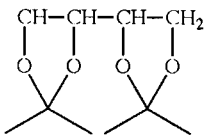
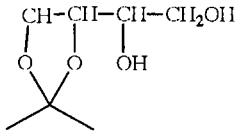


Figure 1



7, 8	R
a	CH_2OH
b	$CH(OH)CH_2OH$
c	C_6H_5
d	CO_2CH_3
e, f	
g, h	
i	$(CHOH)_3CH_2OH$

7, 8	Configuration of isopropylidenedioxy- and $(CHOH)_3$ groups
e	D,L-xylo
f	D-arabino
g	D,L-xylo
h	D-arabino
i	D-arabino

Figure 2

recrystallization from suitable solvents proved sufficient. Introduction of C≡C bond into the pyrimido[*cd*]purine-dione system was established by ms (m/z = 302, M^+), ir (ν = 2164 cm^{-1}) and ^{1}H-nmr (new signal for Me_3Si at δ = 0.28) spectral data.

The trimethylsilyl group was removed from compound **3** by hydrolysis to 5,6-dihydro-2-ethynyl-9-methyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine-8,10(9*H*)-dione (**4**) either by treatment with a saturated solution of sodium carbonate, or with dilute aqueous ammonia at room temperature, or in ethanol - 10% aqueous ammonia at moderately elevated temperature. The third modification of this step represents the most suitable procedure for conversion of the crude intermediate **3** into the purest 2-ethynyl-derivative **4** of all the above mentioned ones (see Figure 1). The presence of a terminal acetylenic bond of compound **4** was evidenced by ir (ν = 2124 cm^{-1}, ^{1}H-nmr (δ = 4.94, s), and ms (m/z = 230, M^+) spectra.

The final step was a 1,3-dipolar cycloaddition of alkyl azides to the terminal acetylenic bond of the polarophile **4**. Trimethylsilyl azide, azidoethanol, benzyl azide, methyl azidoacetate, 1-azidopropane-2,3-diol, 1-azido-1-deoxy-2,3:4,5-di-*O*-isopropylidene-D,L-xylitol and 1-azido-1-deoxy-2,3:4,5-di-*O*-isopropylidene-D-arabinitol were the 1,3-dipoles employed. Trimethylsilyl azide afforded trimethylsilyl[1,2,3]triazolyl derivative **5**. In accordance with ref. [10, 11] we assume that the trimethylsilyl group is joined at N-2 of triazole ring of compound **5**. The protective trimethylsilyl group was hydrolyzed by dilute ammonia at a moderately enhanced temperature to give 5,6-dihydro-9-methyl-2-{1*H*-[1,2,3]triazol-4(5)-yl}-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine-8,10(9*H*)-dione (**6**) (see Figure 2). All other substituted alkyl azides produced pairs of the corresponding regioisomers [11] as follows: 2-{1-(2-hydroxyethyl)-1*H*-[1,2,3]triazol-4- and -5-yl}derivatives **7a** and **8a**; 2-{1-(1,2-dihydroxypropyl)-1*H*-[1,2,3]-triazol-4- and -5-yl} derivatives **7b** and **8b**; 2-(1-benzyl-1*H*-[1,2,3]triazol-4- and -5-yl) derivatives **7c** and **8c**; 2-{1-(methoxycarbonylmethyl)-1*H*-[1,2,3]triazol-4- and -5-yl} derivatives **7d** and **8d**; 2-{1-(1-deoxy-2,3:4,5-di-*O*-isopropylidene-D,L-xylitol-1-yl)-1*H*-[1,2,3]triazol-4- and -5-yl} derivatives **7e** and **8e**; 2-{1-(1-deoxy-2,3:4,5-di-*O*-isopropylidene-D-arabinitol-1-yl)-1*H*-[1,2,3]triazol-4- and -5-yl} derivatives **7f** and **8f** (see Figure 2).

The molecular ion radicals appearing at m/z 273 for **6**, 317 for **7a**, **8a**, 347 for **7b**, **8b**, 363 for **7c**, **8c**, 345 for **7d**, **8d**, 487 for **7e**, **7f**, **8e** can be regarded as the first proof of their structure. Compound **8f** showed the highest peak at M^+-15 which is normal for saccharides with an isopropylidene protective group. However, measurements at lower ionizing electron energy (12 eV instead of 70 eV) revealed also its molecular ion peak at m/z 487. Further arguments supporting the correctness of the supposed structure were obtained from the ^{1}H-nmr data: disappearence of the terminal C≡CH group signal at δ_H 4.94, δ_C 73.2 and 86.0; presence of different H-5' and H-4' signals of compounds **7** and **8**, respectively (*cf.* Experimental). The H-5 signal of the regioisomeric pair **7** and **8** was always found 0.29-0.67 ppm (δ) higher than that of H-4. Cross-peaks of H-5' with H-4, H-1" and with CH_3 (of the protective isopropylidene group) of compounds **7** and also those of H-4' with the single H-4 of compounds **8** were observed by 2D NOESY spectra. These facts indicate spatial arrangement of the triazole ring and its substituents against the pyrimido[*cd*]purinedione ring system as depicted in Figure 2 for compounds **7** (products of cycloaddition 'head to tail') and **8** (products of cycloaddition 'head to head'). An important feature of both regioisomers was their solubility in methanol, ethanol and chloroform: compounds **7** were always much less soluble (least soluble was **7a**) than the counterpairs **8**. They also differ on irradiation with UV_{254} light: the tlc spots of compounds **7** were dark-violet, those of compounds **8** light-blue.

Experiments to remove the isopropylidene protective groups of cycloadducts **7e, 7f, 8e, 8f** with 1-(1-deoxy-2,3:4,5-di-*O*-isopropylidenepentitol-1-yl) substituents at the triazole backbone did not occur as easily as we expected. We succeeded to find a procedure for their partial elimination to yield **7g, 7h, 8g, 8h** with 1-(1-deoxy-2,3-*O*-isopropylidenepentitol-1-yl) groups at the triazole ring by action of dilute acetic acid (30% in water-methanol) at 25° for *ca.* 2 days. The tlc monitoring of this reaction showed that even doubling reaction time did not result in cleavage of the last isopropylidene grouping. The elimination of the last protective group was ensured by treatment of **7h** with a more concentrated acetic acid (40%), at higher temperature (50°) for about *ca.* 20 hours. In this way, compound **7i** was obtained.

From the sterical point of view, we supposed partial cleavage of the protective group from positions 4" and 5", which was confirmed for compounds **7g**, **7h** (with the remaining isopropylidene protective group) by 2D NOESY spectra showing cross-peaks of H-5' with H-4, H-1" (of the alditol chain at triazole ring) and CH_3 (of the protective isopropylidene group). It is our belief that comparable partial hydrolyses took place also with regioisomers **8g**, **8h**.

EXPERIMENTAL

Melting points were determined with a Boetius hot stage microscope and are uncorrected. Elemental analysis were carried out with a Carlo Erba model 1106. The nmr measurements were run on a Bruker AM-300 spectrometer (300 MHz and 75.45Hz, for ^{1}H and ^{13}C, respectively). The spectra were recorded at 25° in deuteriochloroform or in dimethyl-d_6 sulfoxide, tetramethylsilane being the internal reference; signals of compounds **7** and **8** were assigned by means of 2D COSY, HSQC and 2D NOESY experiments. Mass spectra were measured with a Finigan MAT SSQ 710 apparatus; electron impact ionization technique (100-210°, 70 eV). The ir spectra were obtained on a Nicolet Magna IR 750 spectrophotometer. The reaction progress and purity of all prepared compounds was followed by tlc (SILUFOL $UV_{254,366}$, Kavalier, Votice, Czech Republic) in chloroform-ethanol 8:2 (system A) or chloroform-methanol 9:1 (system B) using uv detection. Column chromatography: Kavalier silica gel 40-100 μm (system B). All solvents are purified and dried in accordance with common procedures.

5,6-Dihydro-9-methyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]purine-8,10(9*H*)-dione **1** [7], azidoethanol [12], 1-azidopropan-2,3-diol [13], benzyl azide [14], and methyl azidoacetate [15] were prepared as described in the literature. Trimethylsilylacetylene and trimethylsilylazide were commercially available (Aldrich).

1-Azido-1-deoxy-2,3:4,5-di-*O*-isopropylidenepentitols.

The stirred mixture of crystallized corresponding tosylate [16] (10.mmol) (in turn prepared from the corresponding 2,3:4,5-di-*O*-isopropylidenepentitol [17, 18] and crystallized tosyl chloride by standard methods) and sodium azide (12.8 mmol) in dimethylformamide (50 ml) and water (5 ml) was heated to 110-120° for 27 hours. After cooling to 0° the reaction mixture was poured into ice-water (70 ml) and extracted with chloroform (4x60 ml). The combined extracts were dried (sodium sulfate) and the solvents

distilled off *in vacuo*. To remove traces of dimethylformamide and water, a vacuum distillation was repeated with toluene (2x10 ml). The residue consisted of pure azide, which was utilized without further purification.

1-Azido-1-deoxy-2,3:4,5-di-*O*-isopropylidene-D-arabinitol (**9**).

Oil, yield 83%; ms: m/z (relative intensity) 242(M^+-CH_3) (100), 201 (12), 143 (66), 101 (36), 85 (13), 59 (14), 43 (39); ^{1}H-nmr (deutoriochloroform): 1.27, 1.39 (2x s, 2x 3H, $(CH_3)_2C$), 1.33 (s, 6H, $(CH_3)_2C$), 3.26 (dd, $J_{1,1}$ = 13.1 Hz, $J_{1,2}$ = 5.2 Hz, 1H, H-1), 3.61 (dd, $J_{1,2}$ = 2.8 Hz, 1H, H-1), 3.70 (t, $J_{3,4}$ = 8.1 Hz, 1H, H-3), 3.90 (dd, $J_{4,5}$ = 8.2 Hz, 1H, H-5), 3.96 (m, 1H, H-4), 4.04 (ddd, 1H, H-2), 4.07 (dd, 1H, H-5); ^{13}C-nmr (deuteriochloroform): 25.1, 26.7, 26.8, 27.0 (2x $(CH_3)_2C$), 52.1 (C-1), 67.8 (C-5), 77.1 (C-4), 77.8 (C-3), 79.6 (C-2), 109.7, 110.0 (2x $(CH_3)_2C$); ir (potasium bromide): 2102 cm^{-1}.

1-Azido-1-deoxy-2,3:4,5-di-*O*-isopropylidene-D,L-xylitol (**10**).

Oil, yield 89%; ms: m/z (%) 242 (M^+-CH_3) (100), 201 (41), 143 (21), 101 (38), 85 (15), 59 (13), 43 (39); ^{1}H-nmr (deuteriochloroform): 1.33, 1.39, 1.40, 1.43 (4x s, 4x 3H, 2x $(CH_3)_2C$), 3.25 (dd, $J_{1,1}$ = 13.2 Hz, $J_{1,2}$ = 5.0 Hz, 1H, H-1), 3.53 (dd, $J_{1,2}$ = 3.6 Hz, 1H, H-1), 3.84 (dd, $J_{4,5}$ = 8.2 Hz, 1H, H-5), 3.93 (dd, $J_{3,4}$ = 4.0 Hz, 1H, H-3), 4.01 (dd, 1H, H-5), 4.09 (m, 1H, H-2), 4.15 (m, 1H, H-4); ^{13}C-nmr (deuteriochloroform): 25.3, 26.1, 27.0 (2x $(CH_3)_2C$), 52.0 (C-1), 65.5 (C-5), 74.5 (C-4), 76.2 (C-3), 77.3 (C-2), 109.8, 110.2 (2x $(CH_3)_2C$); ir (potasium bromide): 2104 cm^{-1}.

2-Bromo-5,6-dihydro-9-methyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]-purin-8,10(9*H*)-dione (**2**).

Sodium acetate trihydrate (20.41 g, 150 mmol) was added to a stirred suspension of compound **1** (14.43g, 70 mmol) in acetic acid (150 ml). Bromine (12.7 g, 80 mmol) in acetic acid (30 ml) was dropped into the mixture at 40°. The starting compound dissolved successively whilst a white product precipitated from the yellow solution. Stirring was continued for 6 hours, the solvent was evaporated to dryness under reduced pressure and the product was crystallized from a great amount of water. The colourless needles were filtered off, washed with ethanol and dried. Yield: 17.0 g (85%), mp>300° dec. (water); ms: m/z (relative intensity) 286 (M^+, 56), 284 (M^+, 51), 229 (75), 227 (76), 201 (28), 199 (30), 120 (100), 93 (22).

Anal. Calcd. for $C_9H_9N_4O_2Br$: C, 37.92; H, 3.18; N, 19.65; Br, 28.03. Found: C, 38.00; H, 3.14; N, 19.82; Br, 28.21.

5,6-Dihydro-9-methyl-2-(trimetylsilylethynyl)-4*H*,8*H*-pyrimido-[1,2,3-*cd*]purin-8,10(9*H*)-dione (**3**).

Trimethylsilylacetylene (1.38 g, 1.98 ml, 14.0 mmol) and triethylamine, (2.02 g, 2.79 ml, 20.0 mmol) in dimethylformamide, (22 ml) were poured into the stirred mixture of 2-bromo derivative **2** (2.85 g, 10.0 mmol), copper monoiodide (76 mg, 0.4 mmol) and bis(triphenylphosphine)palladium dichloride (351 mg, 0.5 mmol) in an argon atmosphere at 40° for 2 hours. The starting compound had begun to dissolve and a cotton-like yellow product precipitated. The mixture was kept cooled at 0° for 2 hours, the product was filtered off, washed twice with a little amount of ether, dissolved in chloroform (60 ml) at ambient temperature and filtered. The solvent was evaporated to dryness under diminished pressure to afford the crude 2-(trimethylsilylethynyl) derivative **3**. Yield: 2.2 g (73%). The sample for analysis was crystallized from acetone, mp 220-223° (acetone); ms: m/z (relative intensity) 302 (M^+, 100), 287 (12), 245 (69), 165 (17), 150 (56), 137 (56), 108 (32); ^{1}H-nmr (deuteriochloroform): 0.28 (s, 9H, $(CH_3)_3Si$), 2.36 quintet, 2H, H-5), 3.40 (s, 3H, N-9 CH_3), 4.01 (t, 2H, H-4), 4.13 (t, 2H, H-6); ir (potasium bromide): 2164 cm^{-1}.

5,6-Dihydro-2-ethynyl-9-metyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]-purin-8,10(9*H*)-dione (**4**).

Aqueous ammonia (10%, 25 ml) was added to a solution of crude compound **3** (2.1 g) dissolved in ethanol (200 ml) at 40°. A white product separated. The reaction was through after 3 hours and the product was filtered off the next day, dried and boiled in chloroform (50 ml) to remove traces of tarry impurities. The suspension was left standing at ambient temperature for 2 hours, the product was filtered off and crystallized from 5%-acetic acid. Yield: 1.5 g (65% from **2**), mp>350° dec. (5%-acetic acid); ms: m/z (relative intensity) 230 (M^+, 100), 173 (69), 145 (32), 93 (22), 67 (17); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 2.32 (quintet, 2H, H-5), 3.32 (s, 3H, N-9 CH_3), 3.93 (t, 2H, H-6), 4.16 (t, 2H, H-4), 4.94 (s, 1H, C≡CH); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.2 (C-5), 27.7 (N-9 CH_3), 38.7 (C-6), 40.0 (C-4), 72.3 (*C*≡CH), 86.0 (C≡*C*H), 113.4 (C-10a), 127.9 (C-2), 138.3 (C-10b), 149.7 (C-8), 156.4 (C-10); ir (potasium bromide): 2124 cm^{-1}.

Anal. Calcd. for $C_{11}H_{10}N_4O_2$: C, 57.39; H, 4.38; N, 24.34. Found: C, 57.45; H, 4.39; N, 24.45.

5,6-Dihydro-9-methyl-2-(1*H*-[1,2,3]triazol-4/5-yl)-4*H*,8*H*-pyrimido[1,2,3-*cd*]purin-8,10(9*H*)-dione (**6**).

Trimethylsilyl azide (173 mg, 0.2 ml, 1.5 mmol) was added to a suspension of 2-ethynyl derivative **4** (230 mg, 1.5 mmol) in dimethylformamide (20 ml) and the mixture was heated to 80° for 30 hours. The volatile components were removed under reduced pressure and the dry residue represented the requested crude 2-(2-trimethylsilyl)triazole derivative **5**. Aqueous ammonia (10%) was poured into the latter and the mixture was stirred at 50° for 3 hours. The mixture was filtered, the filtrate was concentrated and the dry product **6** was crystallized from 40%-acetic acid. Yield: 171 mg (63%), mp>350° (40%-acetic acid); ms: m/z (relative intensity) 273 (M^+, 100), 245 (9), 216 (62), 188 (16), 160 (24), 136 (14), 108 (28); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 2.39 (quintet, 2H, H-5), 3.35 (s, 3H, N-9 CH_3), 4.00 (t, 2H, H-6), 4.56 (t, 2H, H-4), 8.48 (s, 1H, H-4'(5')); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.5 (C-5), 27.3 (N-9 CH_3), 38.7 (C-6), 42.4 (C-4), 113.3 (C-10a), 137.0 (C-2, C-5'), 138.9 (C-10b), 149.6 (C-8), 156.5 (C-10).

Anal. Calcd. for $C_{11}H_{11}N_7O_2$: C, 48.35; H, 4.06; N, 35.88. Found: C, 48.62; H, 4.22; N, 35.54.

General Procedure for the Preparation of the 2-(1-Alkyl-1*H*-[1,2,3]triazol-4- and -5-yl)-5,6-dihydro-9-methyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]purin-8,10(9*H*)-diones **7a–f** and **8a–f**.

To the suspended 2-ethynyl derivative **4** (230 mg, 1.0 mmol) in dimethylformamide (20 ml) the respective azides (1.5 mmol) were added and heated to 100° for a time period specified as follows: azidoethanol (131 mg) 48 hours, 1-azidopropane-2,3-diol (176 mg) 100 hours, benzylazide (200 mg) 70 hours, methyl azidoacetate (173 mg) 50 hours, 1-azido-1-deoxy-2,3:4,5-bis-*O*-isopropylidene-D,L-xylitol (386 mg) 140 hours, and 1-azido-1-deoxy-2,3:4,5-bis-*O*-isopropylidene-D-arabinitol (386 mg) 100 hours. Further work-up of the reaction mixture is described with the discrete compounds.

2-{1-(2-Hydroxyethyl)-1*H*-[1,2,3]triazol-4-yl} Derivative (**7a**).

The reaction mixture was concentrated to one third under reduced pressure and product separating on standing in a refrigerator overnight was filtered off and crystallized from 20% acetic acid. Yield: 102 mg (32%), mp >350° (20%-acetic acid); ms: m/z (relative intensity) 317 (M^+, 83), 258 (59), 234 (43), 206 (100), 173 (64), 145 (20), 93 (27).

Anal. Calcd. for $C_{13}H_{15}N_7O_3$: C, 49.21; H, 4.76; N, 30.90. Found: C, 49.25; H, 4.76; N, 30.80.

2-{1-(2-Hydroxyethyl)-1*H*-[1,2,3]triazol-5-yl} Derivative (**8a**).

Dimethylformamide-filtrate, after **7a** was evaporated to dryness *in vacuo* and crystallized from water. Yield: 91 mg (29%), mp 283-285° (water); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 2.33 (m, 2H, H-5), 3.35 (s, 3H, N-9 CH_3), 3.91 (t, J = 5.7 Hz, 2H, CH_2OH), 3.99 (t, J = 5.4 Hz, 2H, H-6), 4.30 (t, J = 5.5 Hz, 2H, H 4), 4.90 (t, J = 5.7 Hz, 2H, H-1"), 8.39 (s, 1H, H 4'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.5 (C-5), 27.7 (N-9 CH_3), 38.7 (C-6), 41.9 (C-4), 51.3 (CH_2OH), 59.7 (C-1"), 114.1 (C-10a), 126.3 (C-2), 133.1 (C-4'), 134.0, 139.1 (C-10b, C-5'), 149.7 (C-8), 156.7 (C-10).

Anal. Calcd. for $C_{13}H_{15}N_7O_3$: C, 49.21; H, 4.76; N, 30.90. Found: C, 49.22; H, 4.86; N, 30.90.

2-{1-(2,3-Dihydroxypropyl)-1*H*-[1,2,3]triazol-4-yl} Derivative (**7b**).

Dimethylformamide was removed under diminished pressure and diethyl ether (5 ml) was poured into the residue. The solid was filtered off, washed with the same volume of ether, dried and crystallized from water. Yield: 86 mg (25%), mp 323-326° (water); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 2.37 (bs, 2H, H-5), 3.31 (s, 3H, N-9 CH_3), 3.54 (m, 2H, H-3"), 3.98 (overlaped m, 3H, H-6, H-2"), 4.45 (dd, $J_{1",1"}$ = 13.8 Hz, $J_{1",2"}$ = 8.25 Hz,1H, H-1"), 4.59 (t, 2H, H-4), 4.69 (dd, $J_{1",2"}$ = 3.0 Hz, 1H, H-1"), 5.01 (t, J = 5.35 Hz, 1H, CH_2OH), 5.31 (d, J = 5.5 Hz, 1H, CHO*H*), 8.67 (s, 1H, H-5'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.7 (C-5), 27.6 (N-9 CH_3), 38.9 (C-6), 42.9 (C-4), 53.1 (C-1"), 63.2 (C-3"), 70.3 (C-2"), 113.4 (C-10a), 125.1 (C-5'), 137.3, 138.4, 139.0 (C-4', C-10b, C-2), 149.8 (C-8), 156.7 (C-10).

Anal. Calcd. for $C_{14}H_{17}N_7O_4$: C, 48.41; H, 4.93; N, 28.23. Found: C, 48.26; H, 4.89; N, 28.51.

2-{1-(2,3-Dihydroxypropyl)-1*H*-[1,2,3]triazol-5-yl) Derivative (**8b**).

After **7b** was filtered off, the aqueous filtrate was evaporated to dryness, the remnant was dissolved in hot ethanol (3 ml) and precipitated with diethyl ether. The light-yellow substance was filtered off the next day and crystallized from ethanol. Yield: 41 mg (12%), mp 237-240° (ethanol); ^{1}H-nmr (deuteriochloroform): 2.32 (m, 2H, H-5), 3.36 (s, 3H, N-9 CH_3), 3.45 (m, 2H, H-3"), 4.00 (m, 3H, H-6, H-2"), 4.26 (t, 2H, H-4), 4.76 (dd, $J_{1",1"}$ = 13.9 Hz, $J_{1",2"}$ = 7.9 Hz, 1H, H-1"), 4.91 (dd, $J_{1",2"}$ = 4.3 Hz 1H, H-1"), 8.37 (s, 1H, H-4'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.5 (C-5), 27.7 (N-9 CH_3), 38.7 (C-6), 41.7 (C-4), 51.9 (C-1"), 63.5 (C-3"), 70.5 (C-2"), 114.1 (C-10a), 126.8 (C-2), 133.2 (C-4'), 134.1 (C-5'), 139.1 (C-10b), 149.7 (C-8), 156.7 (C-10).

Anal. Calcd. for $C_{14}H_{17}N_7O_4$: C, 48.41; H, 4.93; N, 28.23. Found: C, 48.34; H, 4.95; N, 28.00.

2-(1-Benzyl-1*H*-[1,2,3]triazol-4-yl) Derivative (**7c**).

Dimethylformamide was distilled off *in vacuo*, the residue was refluxed with chloroform (10 ml) about 10 minutes, then cooled and the undissolved regioisomer **7c** was isolated with suction. The filtrate was concentrated to a little volume and separated on a silica gel-packed column (15 g, system B) and monitored by tlc. The first fractions contained the second crop of **7c**, which was combined with the first one and crystallized from 50% acetic acid. Yield: 120 mg (33%), mp 328-329° (50%-acetic acid); ms: m/z (relative intensity) 363 (M^+, 66), 334 (100), 277 (11), 249 (14), 222 (14), 91 (48); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 2.37 (m, 2H, H-5), 3.34 (s, 3H, N-9 CH_3), 3.98 (t, 2H, H-6), 4.59 (t, 2H, H-4), 5.81 (s, 2H, H-1"), 7.49 (m, 5H, $H_{arom.}$), 8.93 (s, 1H, H-5'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.9 (C-5), 27.8 (N-9 CH_3), 38.8 (C-6), 43.1 (C-4), 53.3 (C-1"), 113.6 (C-10a), 124.7 (C-5'), 128.2, 128.5, 129.0 ($C_{arom.}$), 135.9, 137.3, 139.2 (C-4', C-10b, C-2), 150.0 (C-8), 156.9 (C-10).

Anal. Calcd. for $C_{18}H_{17}N_7O_2$: C, 59.50; H, 4.72; N, 26.98. Found: C, 59.59; H, 4.55; N, 26.82.

2-(1-Benzyl-1*H*-[1,2,3]triazol-5-yl) Derivative (**8c**).

The subsequent fractions from column chromatography afforded regioisomer **8c**, which was crystallized from water. Yield: 105 mg (29%), mp 130-132 (water); ms: m/z (relative intensity) 363 (M^+, 15), 334 (100), 249 (19), 232 (90), 222 (13), 187 (17), 91 (72), 65 (16); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 2.33 (quintet, 2H, H-5), 3.35 (s, 3H, N-9 CH_3), 3,97 (t, J = 5.6 Hz, 2H, H-6), 4.31 (t, J = 5.7 Hz, 2H, H-4), 6.16 (s, 2H, H-1"), 7.39 (m, 5H, $H_{arom.}$), 8.48 (s, 1H, H-4'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.5 (C-5), 27.7 (N-9 CH_3), 38.7 (C-6), 42.0 (C-4), 51.9 (C-1"), 114.1 (C-10a), 126.1 (C-2), 127.8, 127.9, 128.6 ($C_{arom.}$), 133.0 (C-4'), 133.7, 136.0 (C-5', kvart. $C_{arom.}$), 139.2 (C-10b), 149.7 (C-8), 156.7 (C-10).

Anal. Calcd. for $C_{18}H_{17}N_7O_2$: C, 59.50; H, 4.72; N, 26.98. Found: C, 59.46; H, 4.88; N, 26.82.

2-(1-Methoxycarbonylmethyl-1*H*-[1,2,3]triazol-4-yl) Derivative (**7d**).

The reaction mixture was concentrated to one third under diminished pressure and the product **7d** separating on standing in a refrigerator overnight was filtered off. The filtrate was evaporated to dryness and separated over silica gel as specified with compounds **7c**, **8c**. The combined crops of **7d** were crystallized from water. Yield: 72 mg (21%), mp 302-310° dec. (water); ms: m/z (relative intensity) 345 (M^+, 86), 302 (23), 288 (100), 274 (27), 258 (39), 231 (44), 219 (49), 206 (52), 173 (43), 145 (15), 44 (31); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 2.19 (s, 3H, OCH_3), 2.37 (m, 2H, H-5), 3.34 (s, 3H, N-9 CH_3), 3.99 (t, 2H, H-6), 4.62 (t, 2H, H-4), 5.64 (s, 2H, H-1"), 8.81 (s, 1H, H-5'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.9 (C-5), 27.8 (N-9 CH_3), 38.9 (C-6), 43.1 (C-4), 50.8 (C-1"), 52.8 (OCH_3), 113.7 (C-10a), 125.9 (C-5'), 137.1, 139.0, 139.3 (C-10b, C-2, C-4'), 150.0 (C-8), 156.9 (C-10), 167.6 (C=O).

Anal. Calcd. for $C_{14}H_{15}N_7O_4$: C, 48.70; H, 4.38; N, 28.39. Found: C, 48.58; H, 4.21; N, 28.35

2-(1-Methoxycarbonylmethyl-1*H*-[1,2,3]triazol-5-yl) Derivative (**8d**).

The second regioisomer separated by column chromatography was crystallized from methanol. Yield: 97 mg (28%), mp 307-309° (methanol); ms: m/z (relative intensity) 345 (M^+, 100), 302 (23), 287 (18), 274 (11), 258 (29), 244 (18), 231 (60), 187 (28), 173 (25), 59 (11), 41 (12); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 2.19 (s, 3H, OCH_3), 2.36 (m, 2H, H-5), 3.34 (s, 3H, N-9 CH_3),

3.98 (t, J = 5.5 Hz, 2H, H-6), 4.39 (t, J = 5.5 Hz, 2H, H-4), 5.80 (s, 1H, H-1''), 8.52 (s, 1H, H-4'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.7 (C-5), 27.9 (N-9 CH_3), 38.9 (C-6), 42.3 (C-4), 51.1 (C-1''), 52.6 (OCH_3), 114.3 (C-10a), 127.0 (C-2), 132.4 (C-4'), 134.0 (C-5'), 139.3 (C-10b), 149.8 (C-8), 156.7 (C-10), 167.7 (C=O).

Anal. Calcd. for $C_{14}H_{15}N_7O_4$: C, 48.70; H, 4.38; N, 28.39. Found: C, 48.62; H, 4.17; N, 28.51.

2-{1-(1-Deoxy-2,3:4,5-di-*O*-izopropylidene-D,L-xylitol-1-yl)-1*H*-[1,2,3]triazol-4-yl} Derivative (**7e**).

The mixture of both regioisomers **7e** and **8e** was separated by chromatography on silica gel (18 g, system B) immediately after dimethylformamide was removed *in vacuo*. Compound **7e** effluted as first. Product was crystallized from ethanol. Yield: 71 mg (15%), mp 268-270° (ethanol); ms: m/z (relative intensity) 487 (M^+, 66), 472 (28), 260 (45), 258 (57), 231 (24), 206 (21), 201 (17), 173 (16), 59 (22), 43 (69), 41 (31), 32 (31), 28 (100); ^{1}H-nmr (deuteriochloroform): 1.35, 1.38, 1.41, 1.47 (4x s, 4x 3H, 2x $(CH_3)_2C$), 2.39 (quintet, 2H, H-5), 3.44 (s, 3H, N-9 CH_3), 3.86 (dd, $J_{3'',4''}$ = 3.7 Hz, $J_{2'',3''}$ = 8.3 Hz, 1H, H-3''), 3.94 (dd, $J_{5'',5''}$ = 8.3 Hz, $J_{5'',4''}$ = 6.6 Hz, 1H, H-5''), 4.06 (t, J = 5.3 Hz, 2H, H-6), 4.11 (dd, 1H, H-5''), 4.32 (overlaped m, 2H, H-2'', H-4''), 4.50 (dd, $J_{1'',1''}$ = 14.3 Hz, $J_{1'',2''}$ = 6.8 Hz, 1H, H-1''), 4.75 (t, J = 6.0 Hz, 2H, H-4), 4.80 (dd, $J_{1'',2''}$ = 2.5 Hz, 1H, H-1''), 8.59 (s, 1H, H-5'); ^{13}C-nmr (deuteriochloroform): 21.4 (C-5), 25.0, 26.0, 26.9, 28.1 (2x $(CH_3)_2C$), 27.0 (N-9 CH_3), 39.0 (C-6), 43.5 (C-4), 52.3 (C-1''), 65.3 (C-5''), 73.7 (C-4''), 75.2 (C-2''), 76.8 (C-3''), 110.0, 110.6 (2x $(CH_3)_2C$), 114.1 (C-10a), 125.2 (C-5'), 137.5, 138.1, 139.6 (C-4', C-10b, C-2), 150.1 (C-8), 157.2 (C-10).

Anal. Calcd. for $C_{22}H_{29}N_7O_6$: C, 54.20; H, 6.00; N, 20.11. Found: C, 54.47; H, 6.05; N, 19.85.

2-{1-(1-Deoxy-2,3:4,5-di-*O*-izopropylidene-D,L-xylitol-1-yl)-1*H*-[1,2,3]triazol-5-yl} Derivative (**8e**).

Further fractions from chromatography contained the second regioisomer. Product was crystallized from ethanol. Yield: 227 mg (47%), mp 247-249°(ethanol); ms: m/z (relative intensity) 487 (M^+, 10), 472 (50), 371 (24), 274 (76), 246 (26), 231 (36), 139 (24), 59 (36), 41 (53), 43 (97), 32 (71), 28 (100); ^{1}H-nmr (deuteriochloroform): 1.22, 1.31, 1.36, 1.43 (4x s, 4x 3H, 2x $(CH_3)_2C$), 2.38 (quintet, 2H, H-5), 3.43 (s, 3H, N-9 CH_3), 3.85 (t, $J_{5'',5''}$ = 8.3 Hz, 1H, H-5''), 3.99 (dd, $J_{3'',4''}$ = 7.5 Hz, 1H, H-3''), 4.06 (t, 2H, H-6), 4.20 (overlaped m, 3 H, H-5'', H-4), 4.28 (q, $J_{4'',5''}$= 6.7 Hz, 1H, H-4''), 4.39 (sextet, $J_{2'',3''}$ = 4.2 Hz, 1H, H-2''), 5.04 (dd, $J_{1'',1''}$ = 14.1 Hz, $J_{1'',2''}$ = 7.2 Hz, 1H, H-1''), 5.17 (dd, $J_{1'',2''}$ = 3.2 Hz, 1H, H-1''), 7.92 (s, 1 H, H-4'); ^{13}C-nmr (deuteriochloroform): 21.5 (C-5), 25.3, 26.2, 27.1, 28.3 (2x $(CH_3)_2C$), 27.1 (N-9 CH_3), 38.7 (C-6), 42.1 (C-4), 51.8 (C-1''), 65.7 (C-5''), 74.6 (C-4''), 76.0 (C-2''), 78.5 (C-3''), 109.9, 110.3 (2x $(CH_3)_2C$), 114.2 (C-10a), 126.4 (C-2), 132.4 (C-4'), 134.1 (C-5'), 138.2 (C-10b), 149.8 (C-8), 156.9 (C-10).

Anal. Calcd. for $C_{22}H_{29}N_7O_6$: C, 54.20; H, 6.00; N, 20.11. Found: C, 54.26; H, 6.12; N, 20.08.

2-{1-(1-Deoxy-2,3:4,5-di-*O*-izopropylidene-D-arabinitol-1-yl)-1*H*-[1,2,3]triazol-4-yl} Derivative (**7f**).

The first regioisomer was obtained following the procedure described for **7e**. Yield:176 mg (36%), mp 273-274° (ethanol); ms: m/z (relative intensity) 487 (M^+, 78), 472 (26), 259 (45), 257 (64), 230 (24), 205 (20), 200 (18), 172 (16), 59 (22), 57 (16), 43 (64), 41 (29), 32 (35), 28 (100); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 1.33, 1.51 (2x s, 2x 3H, $(CH_3)_2C$), 1.37 (s, 6H, $(CH_3)_2C$), 2.39 (m, 2H, H-5), 3.38 (s, 3H, N-9 CH_3), 3.63 (t, $J_{3'',4''}$ = 8.1 Hz, 1H, H-3''), 3.98 (dd, 1H, H-5''), 4.03 (t, 2H, H-6), 4.10 (sextet, $J_{4''5''}$ = 6.5 Hz, 1H, H-4''), 4.18 (dd, 1H, H-5''), 4.30 (sextet, $J_{2'',3''}$ = 8.0 Hz, 1H, H-2''), 4.56 (dd, $J_{1'',1''}$ = 14.3 Hz, $J_{1'',2''}$ = 6.9 Hz, 1H, H-1''), 4.71 (t, 2H, H-4), 4.89 (dd, $J_{1'',2''}$ = 0.5 Hz, 1H, H-1''), 8.43 (s, 1H, H-5'); ^{13}C-nmr (deuteriochloroform): 21.4 (C-5), 25.0, 26.7 ($(CH_3)_2C$), 26.9 ($(CH_3)_2C$), 28.1 (N-9 CH_3), 39.0 (C-6), 43.4 (C-4), 52.2 (C-1''), 67.8 (C-5''), 76.6 (C-4''), 76.8 (C-2''), 78.3 (C-3''), 110.0, 110.6 (2x $(CH_3)_2C$), 114.2 (C-10a), 125.1 (C-5'), 137.6, 138.1, 139.6 (C-4', C-10b, C-2), 150.1 (C-8), 157.3 (C-10).

Anal. Calcd. for $C_{22}H_{29}N_7O_6$: C, 54.20; H, 6.00; N, 20.11. Found: C, 54.25; H, 6.03; N, 20.04.

2-{1-(1-Deoxy-2,3:4,5-di-*O*-izopropylidene-D-arabinitol-1-yl)-1*H*-[1,2,3]triazol-5-yl} Derivative (**8f**).

The second regioisomer was obtained following the procedure described for **8e**. Yield:137 mg (28%), mp 182-184° (ethanol); ms: m/z (relative intensity) 487 (M^+, 17), 472 (2), 399 (8), 371 (100), 373 (35); ^{1}H-nmr (deuteriochloroform): 1.06, 1.21, 1.34, 1.45 (4x s, 4x 3H, 2x $(CH_3)_2C$), 2.36 (m, 2H, H-5), 3.44 (s, 3H, N-9 CH_3), 3.81 (t, J = 7.5 Hz, 1H, H-3''), 3.92 (m, 1H, H-5''), 4.11 (overlaped m, 6H, H-4, H-6, H-4'', H-5''), 4.32 (m, 1H, H-2''), 5.11 (dd, $J_{1'',1''}$ = 13.5 Hz, 1H, H-1''), 5.22 (dd, 1H, H-1''), 7.88 (s, 1H, H-4'); ^{13}C-nmr (deuteriochloroform): 21.5 (C-5), 25.1, 26.6, 26.8, 27.0 (2x $(CH_3)_2C$), 28.3 (N-9 CH_3), 38.8 (C-6), 41.9 (C-4), 51.2 (C-1''), 67.4 (C-5''), 76.6 (C-4''), 78.9 (C-2'', C-3''), 109.9 (2x $(CH_3)_2C$), 115.1 (C-10a), 126.7 (C-2), 132.7 (C-4'), 134.2 (C-5'), 138.1 (C-10b), 149.7 (C-8), 156.8 (C-10).

Anal. Calcd. for $C_{22}H_{29}N_7O_6$: C, 54.20; H, 6.00; N, 20.11. Found: C, 54.36; H, 6.01; N, 20.31.

General Procedure for the Preparation of the 2-{1-(1-Deoxy-2,3-*O*-iso-propylidenepentitol-1-yl)-1*H*-[1,2,3]triazol-4- and -5-yl}-9-metyl-5,6-dihydro-4*H*,8*H*-pyrimido[1,2,3-*cd*]purin-8,10(9*H*)-diones **7g-h** and **8g-h**.

The respective starting **7e**, **8e**,**7f**, **8f** (0.2 mmol) were suspended in water-methanol (7 ml, 2:1) and the stirred mixture was treated with concentrated acetic acid (3 ml) at ambient temperature for 48 hours during which the suspension dissolved. The end of the reaction was monitored by tlc (system B). The volatile components were distilled off under diminished pressure and the dry residue was crystallized from a suitable solvent.

2-{1-(1-Deoxy-2,3-*O*-izopropylidene-D,L-xylitol-1-yl)-1*H*-[1,2,3]triazol-4-yl} Derivative (**7g**).

Crude product was crystallized from methanol. Yield: 65 mg (72%), mp 280-282° (methanol); ms: m/z (relative intensity) 447 (M^+, 74),330 (10), 258 (100), 231 (52), 206 (35), 201 (25), 173 (20), 59 (45), 43 (62); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 1.36, 1.41 (2x s, 2x 3H, $(CH_3)_2C$), 2.37 (m, 2H, H-5), 3.34 (s, 3H, N-9 CH_3), 3.57 (m, 3H, H-4'', H-5''), 4.00 (overlaped m, H-3'', H-6), 4.53 (m, 1H, H-2''), 4.61 (t, J = 5.6 Hz, 2H, H-4), 4.76 (dd, $J_{1'',1''}$ = 14.3 Hz, $J_{1'',2''}$ = 6.9 Hz, 1H, H-1''), 4.89 (dd, $J_{1'',2''}$ = 3.4 Hz, 1H, H-1''), 8.72 (s, 1H, H-5'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.9 (C-5), 27.0, 27.1 ($(CH_3)_2C$), 27.8 (N-9 CH_3), 40.5 (C-6), 43.1 (C-4), 51.9 (C-1''), 62.8 (C-5''), 69.9 (C-4''), 74.9 (C-2''), 78.8 (C-3''), 109.1 ($(CH_3)_2C$), 113.6 (C-10a), 125.4 (C-5'), 137.3, 138.9, 139.2 (C-4', C-10b, C-2), 150.0 (C-8), 156.9 (C-10).

Anal. Calcd. for $C_{19}H_{25}N_7O_6$: C, 51.00; H, 5.63; N, 21.91. Found: C, 50.89; H, 5.60; N, 22.14.

2-{1-(1-Deoxy-2,3-*O*-izopropylidene-D,L-xylitol-1-yl)-1*H*-[1,2,3]triazol-5-yl} Derivative (**8g**).

Crude product was crystallized from water. Yield: 50 mg (56%), mp 219-221° (water); ms: m/z (relative intensity) 432 (M^+-CH_3, 14), 358 (63), 274 (100), 246 (38), 231 (79), 187 (11), 160 (13), 59 (28), 43 (46); ^{1}H-nmr (deuteriumoxide): 1.23, 1.33 (2x s, 2x 3H, $(CH_3)_2C$), 2.35 (m, 2H, H-5), 3.36 (s, 3H, N-9 CH_3), 3.47 (m, 3H, H-4", H-5"), 4.00 (m, 3H, H-3", H-6), 4.31 (m, 2H, H-4), 4.57 (m, 1H, H-2"), 5.13 (bs, 2H, H-1"), 8.43 (s, 1H, H-4'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.7 (C-5), 27.0 ($(CH_3)_2C$), 27.9 (N-9 CH_3), 38.9 (C-6), 42.0 (C-4), 51.2 (C-1"), 62.8 (C-5"), 69.6 (C-4"), 74.9 (C-2"), 78.8 (C-3"), 108.9 ($(CH_3)_2C$), 114.3 (C-10a), 126.8 (C-2), 133.2 (C-4'), 138.5, 139.3 (C-10b, C-5'), 149.9 (C-8), 157.0 (C-10).

Anal. Calcd. for $C_{19}H_{25}N_7O_6$: C, 51.00; H, 5.63; N, 21.91. Found: C, 51.27; H, 5.41; N, 22.23.

2-{1-(1-Deoxy-2,3-*O*-izopropylidene-D-arabinitol-1-yl)-1*H*-[1,2,3]triazol-4-yl} Derivative (**7h**).

Crude product was crystallized from methanol. Yield: 70 mg (78%), mp 293-295° (methanol); ^{1}H-nmr (dimethyl-d_6 sulfoxide): 1.38, 1.40 (2x s, 2x 3H, $(CH_3)_2C$), 2.37 (m, 2H, H-5), 3.34 (s, 3H, N-9 CH_3), 3.51 (q, $J_{5",4"}$ = 6.3 Hz, 1H, H-5"), 3.66 (m, 2H, H-4", H-5"), 3.88 (t, J = 7.3 Hz, 1H, H-3"), 3.99 (t, J = 5.5 Hz, 2H, H-6), 4.50 (ddd, 1H, H-2"), 4.61 (t, J = 5.4 Hz, 2H, H-4), 4.72 (dd, $J_{1",1"}$ = 14.2 Hz, $J_{1",2"}$ = 7.6 Hz 1H, H-1"), 4.91 (dd, $J_{1",2"}$ = 2.6 Hz, 1H, H-1"), 8.70 (s, 1H, H-5'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 21.1 (C-5), 26.9, 27.1 ($(CH_3)_2C$), 27.8 (N-9 CH_3), 38.8 (C-6), 43.1 (C-4), 53.3 (C-1"), 63.5 (C-5"), 73.0 (C-4"), 77.8 (C-2"), 77.6 (C-3"), 110.1 ($(CH_3)_2C$), 114.1 (C-10a), 125.5 (C-5'), 137.4, 138.2, 139.5 (C-4', C-10b, C-2), 150.1 (C-8), 157.2 (C-10).

Anal. Calcd. for $C_{19}H_{25}N_7O_6$: C, 51.00; H, 5.63; N, 21.91. Found: C, 51.18; H, 5.43; N, 22.20.

2-{1-(1-Deoxy-2,3-*O*-izopropylidene-D-arabinitol-1-yl)-1*H*-[1,2,3]triazol-5-yl} Derivative (**8h**).

Crude product was crystallized from methanol. Yield: 62 mg (69%), mp 138-140° (methanol); ^{1}H-nmr (deuteriumoxide): 1.16, 1.30 (2x s, 2x 3H, $(CH_3)_2C$), 2.34 (m, 2H, H-5), 3.36 (N-9 CH_3), 3.46 (m, 2H, H-5"), 3.58 (m, 1H, H-4"), 3.88 (t, J = 6.9 Hz, 1H, H-3"), 4.00 (m, 2H, H-6), 4.28 (m, 2H, H-4), 4.50 (q, J = 6.1 Hz, 1H, H-2"), 5.11 (d, J = 5.7 Hz, 2H, H-1"), 8.40 (s, 1H, H-4'); ^{13}C-nmr (dimethyl-d_6 sulfoxide): 20.7 (C-5), 26.8, 27.2, 27.9 ($(CH_3)_2C$, N-9 CH_3), 38.9 (C-6), 41.9 (C-4), 51.8 (C-1"), 63.2 (C-5"), 72.6 (C-4"), 77.5 (C-2"), 78.2 (C-3"), 109.2 ($(CH_3)_2C$), 114.3 (C-10a), 127.0 (C-2), 133.4 (C-4'), 134.1 (C-5'), 139.2 (C-10b), 149.9 (C-8), 156.9 (C-10).

Anal. Calcd. for $C_{19}H_{25}N_7O_6$: C, 51.00; H, 5.63; N, 21.91. Found: C, 50.84; H, 5.41; N, 22.09.

2-{1-(1-Deoxy-D-arabinitol-1-yl)-1*H*-[1,2,3]triazol-4-yl}-5,6-dihydro-9-methyl-4*H*,8*H*-pyrimido[1,2,3-*cd*]purin-8,10(9*H*)-dione (**7i**).

Compound **7h** (45 mg, 0.1 mmol) was suspensed in 40% acetic acid and kept heated at 50°. The starting **7h** dissolved and a white crystalline product separated. The reaction was through after 20 hours, as monitored by tlc (system A). The mixture was cooled, the product was filtered off, washed with a little amonunt of water and crystallized from methanol. Yield: 20 mg (49%), mp 304-306° (methanol); ms: m/z (relative intensity) 407 (M^+, 33), 273 (43), 258 (76), 231 (47), 220 (19), 206 (100), 173 (23), 43 (21).

Anal. Calcd. for $C_{16}H_{21}N_7O_6$: C, 47.17; H, 5.20; N, 24.07. Found: C, 46.92; H, 5.31; N, 24.17.

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