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Synthesis, cytostatic, and antiviral activity of novel 6-[2-(dialkylamino)ethyl]-, 6-(2-alkoxyethyl)-, 6-[2-(alkylsulfanyl)ethyl]-, and 6-[2-(dialkylamino)vinyl]purine nucleosides

Martin Kuchař,[a] Michal Hocek,[a,*] Radek Pohl,[a] Ivan Votruba,[a] I-hung Shih,[b] Eric Mabery[b] and Richard Mackman[b]

[a]*Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Gilead Sciences & IOCB Research Center, Flemingovo nam. 2, CZ-16610 Prague 6, Czech Republic*
[b]*Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA 94404, USA*

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Abstract—An efficient and facile synthesis of a large series of diverse 6-[2-(dialkylamino)vinyl]-, 6-[2-(dialkylamino)ethyl]-, 6-(2-alkoxyethyl)-, and 6-[2-(alkylsulfanyl)ethyl]purine nucleosides (35 examples of both ribo- and 2′-deoxyribonucleosides) was developed. The key transformations involved conjugate nucleophilic additions of amines, alcoholates, or thiolates to Tol-protected 6-alkylylpurine or 6-vinylpurine nucleosides. 6-[(2-Dialkylamino)vinyl]- and some 6-[(2-dialkylamino)ethyl]purine ribonucleosides exerted significant cytostatic effects and some anti-HCV activity with low selectivity.

1. Introduction

Purine bases and nucleosides bearing diverse C-substituents (aryl, alkenyl, alkynyl, or alkyl groups) in position 6 are an important class of compounds possessing broad spectrum of biological effects: for example, cytostatic,[1] antiviral,[2] and antimicrobial[3] activity or receptor modulation.[4] Purines bearing functionalized C-substituents are still quite rare and therefore are a subject of extensive study in our laboratory. We have reported the synthesis and cytostatic activity of 6-(hydroxymethyl)-,[5] 6-(fluoromethyl)-,[6] and 6-(difluoromethyl)purine[7] bases and nucleosides, as well as the synthesis of (purin-6-yl)alanines[8] and -phenylalanines.[9] Very recently we have finished[10] a synthesis of a large series of 6-(mono- and dialkylaminomethyl)-, 6-(alkoxymethyl)-, and 6-(alkylsulfanylmethyl)purine nucleosides that also exerted significant cytostatic effects and moderate non-selective anti-HCV activities. All these syntheses relied on cross-coupling reactions[11] of 6-halopurines with functionalized organometallics followed by further functional group transformations (deoxofluorination, etc.). Then we turned our interest toward studying the biological activity of related 6-(2-substituted ethyl)purines that are not readily accessible via analogous cross-coupling reactions since the corresponding β-substituted organometallics can easily undergo β-elimination.[12,13] Therefore the methods of our choice were conjugate additions of nucleophiles to 6-vinyl- and 6-ethynylpurines. Some scattered examples of these reactions were known,[14,15] however, recently we have reported[16] a systematic study of the scope and limitations of this methodology. Diverse amines, thiolates, and alkoxides readily added[16] to protected 6-vinylpurine bases at ambient temperature without any catalyst to form the corresponding 6-(2-dialkylaminoethyl)-, 6-(2-alkylsulfanylethyl)-, and 6-(2-alkoxyethyl)purines. An interesting dichotomy was observed[16] for additions to 6-ethynylpurines. While the additions of amines led to enamine derivatives (one equivalent of the nucleophile reacted), S- and O-nucleophiles underwent double additions[16] to form the corresponding acetals and thioacetals. Some of the β-substituted 6-ethyl- and 6-vinylpurine bases exerted[16] moderate cytostatic activities. Taking into

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* Corresponding author. Tel.: +420 220183324; fax: +420 220183559; e-mail: hocek@uochb.cas.cz

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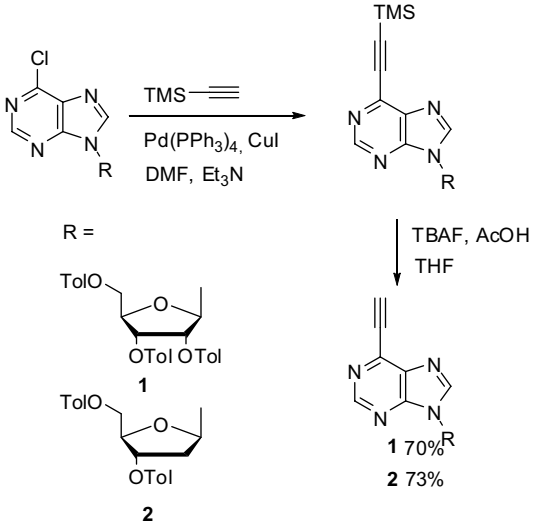
account these promising results and also the known[15] cytostatic effect of 6-(aminoethyl)purine ribonucleoside, we have decided to prepare large series of purine nucleosides (both in ribo and 2′-deoxyribo series) bearing these functionalized ethyl or vinyl groups in position 6. Here we report on the synthesis of these compounds using conjugate additions of nucleophiles and on their cytostatic and antiviral activities.

2. Results and discussion

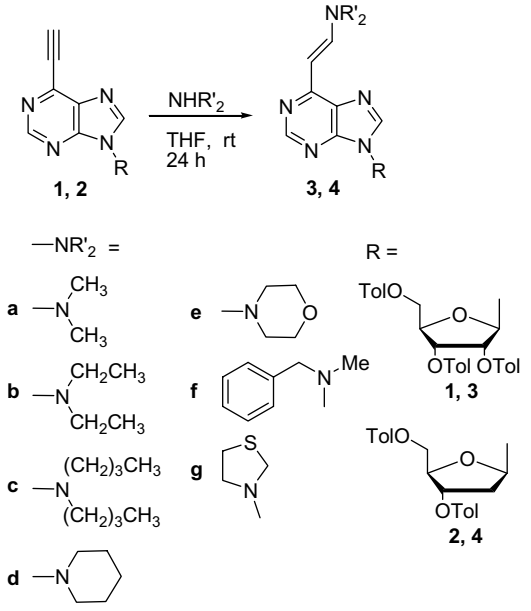
2.1. Chemistry

In order to apply the conjugate additions of nucleophiles to 6-vinyl- or 6-ethynylpurine nucleosides, suitable protecting groups for the sugar moiety must be selected. The protecting groups must be reasonably stable toward nucleophiles (amines and thiolates) under mild conditions but, on the other hand, be easily removable at the end. Therefore, we have chosen *p*-toluoyl (Tol) groups that are more stable toward nucleophilic cleavage compared to acetyl groups. Starting Tol-protected 6-ethynylpurine nucleosides **1** and **2** were prepared[17] by cross-coupling reactions of the corresponding protected 6-chloropurine nucleosides with (trimethylsilyl)acetylene followed by desilylation of the intermediate using TBAF in THF/AcOH to prevent deacylation of the sugar (Scheme 1).

Initially we focused on the conjugate additions of amines. A series of experiments with seven secondary amines were performed under previously established conditions at ambient temperature in dry THF without any catalyst or additive. In all cases the reactions proceeded very well to provide a series of protected (*E*)-6-[2-(dialkylamino)vinyl]purines **3a**–**3g** and **4a**–**4g** in good to excellent yields (Scheme 2, Table 1). In accordance with our previous report,[16] only *E*-configuration enamines were formed by addition of secondary amines. Cleavage of the toluoyl groups was not observed under these reaction conditions (see Scheme 2).



Scheme 1. Preparation of the starting 6-ethynylpurine nucleosides.



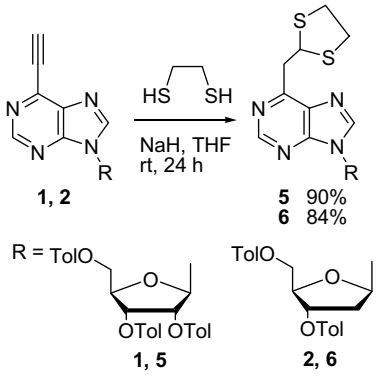
Scheme 2. Additions of amines to 6-ethynylpurine nucleosides.

Table 1. Additions of amines to 6-ethynylpurine nucleosides

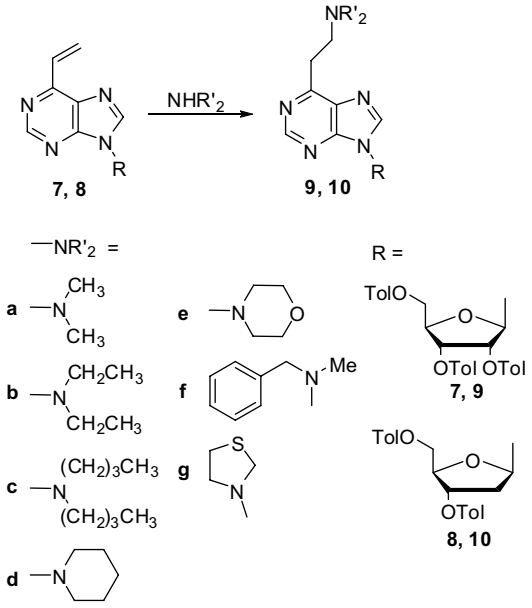
Entry	Starting compound	Amine	Product	Yield (%)
1	**1**	Dimethylamine	**3a**	78
2	**1**	Diethylamine	**3b**	92
3	**1**	Dibutylamine	**3c**	89
4	**1**	Piperidine	**3d**	68
5	**1**	Morpholine	**3e**	97
6	**1**	Benzyl(methyl)amine	**3f**	62
7	**1**	Thiazolidine	**3g**	73
8	**2**	Dimethylamine	**4a**	90
9	**2**	Diethylamine	**4b**	87
10	**2**	Dibutylamine	**4c**	79
11	**2**	Piperidine	**4d**	96
12	**2**	Morpholine	**4e**	78
13	**2**	Benzyl(methyl)amine	**4f**	95
14	**2**	Thiazolidine	**4g**	41

Furthermore, we have examined the nucleophilic addition of ethane-1,2-dithiol in the presence of catalytic amounts (10 mol%) of NaH in dry THF. These reactions proceeded smoothly at ambient temperature in 24 h to give the dithioacetals **5** and **6** in excellent yields (Scheme 3). Similarly, in these reactions no cleavage of the toluoyl-protecting groups was observed.

In additon, we have studied nucleophilic additions onto toluoylated 6-vinylpurine nucleosides **7** and **8**, easily available by the Stille cross-coupling of the corresponding Tol-protected 6-chloropurine nucleosides with vinyl(tributyl)tin (analogy to Ref. 14d). Conjugate addition, by a series of secondary amines, to protected 6-vinylpurine ribonucleoside **7** and 2′-deoxyribonucleoside **8** was performed under analogous conditions (THF at room temperature) to provide a series of 6-(2-dialkylaminoethyl)purine nucleosides **9a**–**9g** and **10a**–**10g** in very good yields (Scheme 4 and Table 2).



Scheme 3. Additions of ethane-1,2-dithiol to 6-ethynylpurine nucleosides.



Scheme 4. Additions of amines to 6-vinylpurine nucleosides.

Table 2. Additions of amines to 6-vinylpurine nucleosides

Entry	Starting compound	Amine	Product	Yield (%)
1	**7**	Dimethylamine	**9a**	81
2	**7**	Diethylamine	**9b**	84
3	**7**	Dibutylamine	**9c**	80
4	**7**	Piperidine	**9d**	75
5	**7**	Morpholine	**9e**	82
6	**7**	Benzyl(methyl)amine	**9f**	78
7	**7**	Thiazolidine	**9g**	79
8	**8**	Dimethylamine	**10a**	96
9	**8**	Dibutylamine	**10c**	88
10	**8**	Morpholine	**10e**	84
11	**8**	Benzyl(methyl)amine	**10f**	90

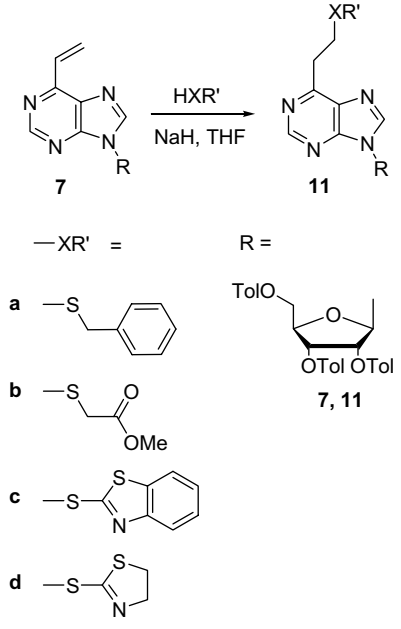
A study in which various thiolates were added to the protected 6-vinylpurine ribonucleoside **7** was our next goal. Addition of thiols in the presence of a catalytic amount (10 mol%) of NaH proceeded at room temperature to give the 6-{[(alkyl)sulfanyl]ethyl}purines **11a**–**11d** in very good yields (Scheme 5 and Table 3) without cleavage of the protecting groups.

With some S- or O-nucleophiles the addition proceeded with simultaneous deprotection of the toluoyl-protecting groups to yield the target free purine nucleosides in one step (Scheme 6, Table 4). Additions of sodium methanethiolate were performed in a mixture of MeOH and water (Entries 1 and 3) to provide the desired 6-[2-(methylsulfanyl)ethyl]purine nucleosides **12e** and **13e**, clearly demonstrating the higher reactivity of the S-nucleophiles compared to O-nucleophiles. Addition of sodium methoxide in methanol produced the 6-[2-(methoxy)ethyl]purines **12f** and **13f** (Entries 2 and 4).

The whole series of toluoyl-protected nucleosides **3**–**6**, **9**–**11** was deprotected by treatment with sodium methoxide in methanol to give the corresponding free nucleosides **12**–**19** generally in good yields (Scheme 7 and Table 5). In some cases the isolated yields were moderate due to attrition of material during column chromatography isolation (Entries 17 and 25).

3. Biological activity

All the title 35 nucleosides **12**–**19** were subjected to biological activity screening. The cytostatic activity in vitro (inhibition of cell growth) was studied on the following cell cultures: (i) mouse leukemia L1210 cells (ATCC CCL 219); (ii) human promyelocytic leukemia HL60 cells (ATCC CCL 240); (iii) human cervix carcinoma HeLaS3 cells (ATCC CCL 2.2); and (iv) human T lymphoblastoid CCRF-CEM cell line (ATCC CCL 119). The cytostatic activities of compounds from this series were evaluated by estimating the cell count in a haematological analyzer. In parallel the cell viability was determined by XTT analysis. Whilst the 2′-deoxyribonucleoside derivatives

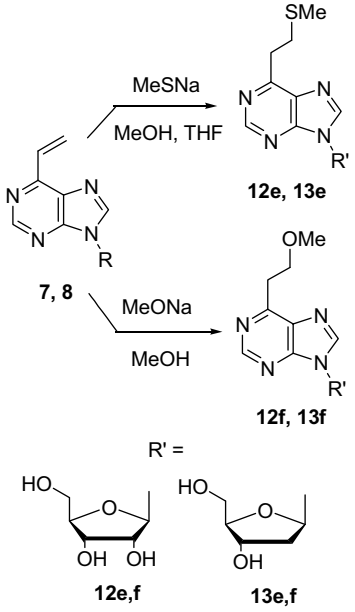


Scheme 5. Additions of thiolates to 6-vinylpurine nucleosides.

Table 3. Additions of thiolates to 6-vinylpurine nucleosides

Entry	Starting compound	Thiol	Reaction time	Product	Yield (%)
1	**7**	BnSH	6 days	**11a**	56
2	**7**	Methyl thioglycolate	24 h	**11b**	57
3	**7**	2-Sulfanylbenzothiazole	24 h	**11c**	87
4	**7**	2-Sulfanylthiazoline	24 h	**11d**	87

13, **15**, **17**, and **19** were all inactive, many ribonucleosides exerted considerable antiproliferative effects at low micromolar concentrations in HL-60, CCRF-CEM and L1210 leukemia cell lines (Table 6). None of the compounds demonstrated effects in the HeLa S3 cell line. The most powerful antiproliferative compounds were the 6-(2-dialkylaminovinyl)purine ribonucleosides **14a**–**14g**, all of which exerted cytostatic effects at low micromolar concentrations. The viability data in all these examples indicated significantly lower IC_{50} values compared to the cell count IC_{50}. Taken together, this difference suggests a significant amount of apoptosis in cells upon exposure to the tested compounds. On the other hand, several 6-(2-dialkylaminoethyl)purine ribonucleosides **18b**, **18c**, **18f**, which also exerted antiproliferative effects at micromolar concentrations, gave higher IC_{50} values in the cell viability assay. This discrepancy was confirmed by the cell flow analysis. In agreement with the data on inhibition of cell proliferation, these compounds do not affect the cell cycle course at concentrations corresponding to the cell count IC_{50}. The significant changes were found at the cell viability IC_{50} determined by XTT test, which shows the G1 block apparent as an accumulation of cells in G1 phase and depletion of S phase (Table 7). All the alkoxyethyl- or (alkylsulfanylethyl)purine ribonucleosides **12a**–**12f** were inactive.



Scheme 6. One-pot addition of nucleophiles to 6-vinylpurines with simultaneous deprotection.

The title modified nucleosides were also tested up to 100 μM for antiviral activities in HCV genotype 1b replicon[18] (Table 6). With the exception of **15c**, **15d** and **19a**, **19e**, the 2′-deoxyribonucleosides were inactive, but many of the ribonucleosides displayed antiviral activity in the HCV replicon. Unfortunately, in most cases the compounds lacked selectivity. High anti-HCV activities (low micromolar concentrations) of the aminovinyl nucleosides **14a**–**14g** correspond to their cytostatic effects. These results suggest that the modified purine ribonucleosides interfere with critical cell growth processes and most of the activity toward HCV viral replication is non-specific.

The 6-(2-dialkylaminovinyl)-purine ribonucleosides **14a**–**14g** represent a new promising class of antiproliferative compounds strongly active against leukemia cell lines. Apparently the mechanism of action of these compounds is different from the series of 6-(substituted methyl)purine ribonucleosides[5–7,10] and therefore any direct comparison or SAR over the whole class of compounds is not possible. On the other hand, the antiviral effect is only connected with the cytostatic activity and is non-specific. Hence these compounds are not promising antiviral agents.

4. Conclusions

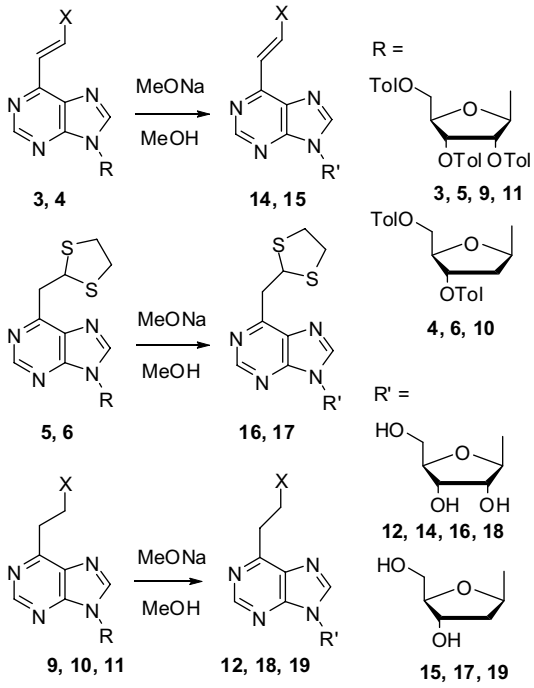
The approach described, based on nucleophilic conjugate additions of N-, O-, and S-nucleophiles to 6-vinyl- and 6-ethynylpurine nucleosides, is a convenient methodology for the generation of diverse dialkylaminovinyl, dialkylaminoethyl, alkyloxyethyl, and alkylsulfanylethyl derivatives of purine nucleosides. A large number of modified derivatives can be easily generated from the common intermediates in one or two simple steps. Some of the ribonucleosides (in particular 6-(2-dialkylaminovinyl)purine derivatives **14**) exert strong cytostatic effects.

Table 4. One-pot addition of nucleophiles to 6-vinylpurines with simultaneous deprotection

Entry	Starting compound	Nucleophile	Solvent	Reaction time	Product	Yield (%)
1	**7**	MeSNa	MeOH, THF	1 h	**12e**	44
2	**7**	MeONa	MeOH	24 h	**12f**	35
3	**8**	MeSNa	MeOH, THF	1 h	**13e**	94
4	**8**	MeOH	MeOH	24 h	**13f**	70

5. Experimental

Mass spectra were measured on a ZAB-EQ (VG Analytical) spectrometer. NMR spectra were recorded on Bruker Avance 500 (^{1}H at 500 MHz, ^{13}C at 125.8 MHz) and Bruker Avance 400 (^{1}H at 400 MHz, ^{13}C at 100.6 MHz). ^{1}H and ^{13}C NMR spectra were referenced to the signal of TMS or to the solvent residual signal. ^{1}H, ^{13}C-HMBC experiments were performed for complete assignment of all signals. Starting compounds **2a**, **2b**[17] were prepared according to literature procedures.



Scheme 7. The cleavage of the toluoyl-protective group.

5.1. 6-[2-(Trimethylsilyl)ethynyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine

Triethylamine (2 ml) and (trimethylsilyl)acetylene (6.5 ml, 26.5 mmol) were added to an argon purged mixture of 6-chloropurine nucleoside (8.5 g, 13.26 mmol), CuI (200 mg, 1.05 mmol) and [Pd(PPh$_3$)$_4$] (300 mg, 0.26 mmol) in DMF (80 ml) and the mixture was stirred at 90 °C for 6 h. The resulting mixture was concentrated under reduced pressure and the residue was purified by column chromatography (silica gel, hexane/ethyl acetate) affording the product as yellowish foam (8.58 mg, 94%). ^{1}H NMR (400 MHz, CDCl$_3$): 0.33 (s, 9H, CH$_3$-TMS); 2.37 and 2.42 (2× s, 9H, CH$_3$-Tol); 4.66 (dd, 1H, J_{gem} = 12.3, $J_{5'b,4'}$ = 4.0, H-5′b); 4.82 (td, 1H, $J_{4',3'}$ = 4.4, $J_{4',5'}$ = 4.0, 3.1, H-4′); 4.91 (dd, 1H, J_{gem} = 12.3, $J_{5'a,4'}$ = 3.1, H-5′a); 6.22 (dd, 1H, $J_{3',2'}$ = 5.6, $J_{3',4'}$ = 4.4, H-3′); 6.40 (t, 1H, $J_{2',3'}$ = 5.6, $J_{2',1'}$ = 5.4, H-2′); 6.49 (d, 1H, $J_{1',2'}$ = 5.4, H-1′); 7.15 and 7.25 (2× m, 6H, H-*m*-Tol); 7.80, 7.92, and 7.97 (3× m, 3× 2H, H-*o*-Tol); 8.28 (s, 1H, H-8); 8.80 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, CDCl$_3$): −0.48 (CH$_3$-TMS); 21.65 (CH$_3$-Tol); 63.21 (CH$_2$-5′); 71.33 (CH-3′); 73.61 (CH-2′); 81.08 (CH-4′); 86.91 (CH-1′); 98.24

Table 5. The cleavage of the toluoyl-protective group

Entry	Starting compound	X	Product	Yield (%)
1	**3a**	Me_2N–	**14a**	72
2	**3b**	Et_2N–	**14b**	84
3	**3c**	Bu_2N–	**14c**	66
4	**3d**	Piperidine-1-yl	**14d**	71
5	**3e**	Morpholine-4-yl	**14e**	89
6	**3f**	Bn(Me)N-	**14f**	90
7	**3g**	Thiazolidine-1-yl	**14g**	63
8	**4a**	Me_2N–	**15a**	89
9	**4b**	Et_2N–	**15b**	81
10	**4c**	Bu_2N–	**15c**	95
11	**4d**	Piperidine-1-yl	**15d**	77
12	**4e**	Morpholine-4-yl	**15e**	76
13	**4f**	Bn(Me)N–	**15f**	90
14	**4g**	Thiazolidine-1-yl	**15g**	68
15	**5**	–SCH_2CH_2S–	**16**	84
16	**6**	–SCH_2CH_2S–	**17**	96
17	**9a**	Me_2N–	**18a**	41
18	**9b**	Et_2N–	**18b**	63
19	**9c**	Bu_2N–	**18c**	59
20	**9d**	Piperidine-1-yl	**18d**	56
21	**9e**	Morpholine-4-yl	**18e**	76
22	**9f**	Bn(Me)N–	**18f**	68
23	**9g**	Thiazolidine-1-yl	**18g**	71
24	**10a**	Me_2N–	**19a**	79
25	**10c**	Bu_2N–	**19c**	38
26	**10e**	Morpholine-4-yl	**19e**	68
27	**10f**	Bn(Me)N–	**19f**	76
28	**11a**	BnS–	**12a**	78
29	**11b**	$MeOCOCH_2S$–	**12b**	80
30	**11c**	Benzothiazole-2-ylsulfanyl	**12c**	68
31	**11d**	Thiazoline-2-ylsulfanyl	**12d**	91

Table 6. Biological activities of title nucleosides

Compound	Cytostatic activity IC_{50}[a] (μM)			HCV antiviral activity and cytotoxicity	
	L1210	HL60	CCRF-CEM	EC_{50} (μM) HCV replicon[b]	CC_{50} (μM) Huh7[c] (MT-4)[d]
12a	n.a.	n.a.	n.a.	>100	>100
12b	n.a.	n.a.	n.a.	54	>100 (>100)
12c	n.a.	n.a.	n.a.	>100	>100
12d	n.a.	n.a.	n.a.	>100	>100
12e	n.a.	n.a.	n.a.	>100	>100
12f	n.a.	n.a.	n.a.	40	>100 (13)
14a	2.2 ± 0.12	3.3 ± 0.2 (*0.62 ± 0.03*)	1.25 ± 0.07 (*0.8 ± 0.02*)	4	5
14b	2.2 ± 0.13	2.3± 0.1 (*0.81 ± 0.02*)	1.0 ± 0.07 (*0.67 ± 0.05*)	4	8
14c	2.0 ± 0.1	7.2 ± 0.4 (*0.84 ± 0.03*)	2.5 ± 0.12 (*0.83 ± 0.12*)	1	2
14d	1.55 ± 0.09	2.3 ± 0.1 (*0.44 ± 0.026*)	2.1 ± 0.15 (*0.32 ± 0.01*)	1	26 (6)
14e	2.3 ± 0.1	4.5 ± 0.2 (*0.31 ± 0.01*)	2.4 ± 0.16 (*0.41 ± 0.04*)	5	23
14f	2.1 ± 0.1	3.6 ± 0.2 (*0.57 ± 0.021*)	2.3 ± 0.11 (*0.78 ± 0.07*)	0.34	1
14g	4.8 ± 0.25	9.1 ± 0.5 (*1.4 ± 0.08*)	4.8 ± 0.25 (*0.39 ± 0.02*)	3	7
15c[e]	n.a.	n.a.	n.a.	85	>100
15d[e]	n.a.	n.a.	n.a.	53	>100
16	n.a.	n.a.	n.a.	>100	>100
18a	n.a.	n.a.	n.a.	7	20
18b	5.2 ± 0.35	6.8 ± 0.49 (*17.3 ± 0.8*)	1.25 ± 0.07 (*0.8 ± 0.02*)	6.7	45
18c	4.4 ± 0.25	5.3 ± 0.3 (*13.8 ± 0.6*)	6.4 ± 0.44 (*4.59 ± 0.14*)	5.6	37
18d	n.a.	n.a.	n.a.	37	>250
18e	n.a.	n.a.	n.a.	6	31
18f	7.9 ± 0.5	11.2 ± 0.75 (*17.7 ± 1.5*)	5.7 ± 0.36 (*5.83 ± 0.23*)	11	132
19a[e]	n.a.	n.a.	n.a.	116	>250
19e[e]	n.a.	n.a.	n.a.	25	>100 (13)
FUdR[f]	0.012 ± 0.003	0.012 ± 0.003	0.017 ± 0.004	—	—
2′CMeA[g]	—	—	—	0.23	>100

[a] Concentration of a compound needed to reduce population growth by 50% in vitro (in parentheses in italics values of XTT test).
[b] Antiviral activity in HCV-Con1 replicon ($N = 2$).
[c] MTT measurement of cellular toxicity in Huh-7 cells harboring con-1 replicon ($N = 2$).
[d] Cellular toxicity in MT-4 cells ($N = 2$).
[e] 2′-Deoxyribonucleosides.
[f] 1-(β-D-2-Deoxy-*erythro*-pentofuranosyl)-5-fluorouracil.
[g] 2′-Methyl-adenosine.

Table 7. The cell cycle analysis in HL-60 cells (relative changes)[a]

Compound	$G1_t/G1_c$	S_t/S_c	$G2/M_t/G2/M_c$
18b, 4.5 μM	0.99	1.08	0.80
18b, 18 μM	1.38	0.78	0.65
18c, 3.5 μM	0.85	1.15	0.93
18c, 14 μM	1.51	0.68	0.64
18g, 9 μM	1.13	0.96	0.72
18g, 18 μM	0.58	0.96	0.80
18f, 4.5 μM	1.08	0.95	0.94
18f, 18 μM	nd	nd	nd

[a] c, control cells; t, cells grown in the presence of tested compound; nd, not determinable.

(–*C*≡C-TMS); 105.80 (–C≡*C*-TMS); 125.53, 125.95, and 126.47 (C-*i*-Tol); 129.17, 129.22, and 129.29 (CH-*m*-Tol); 129.68, 129.81 (CH-*o*-Tol); 134.83 (C-5); 141.60 (C-6); 144.18, 144.54, and 144.65 (C-*p*-Tol); 144.02 (CH-8); 151.34 (C-4); 152.70 (CH-2); 165.07, 165.31, and 166.09 (CO). FAB-MS, *m/z* (rel %) = 703 (10) $[M+H]^+$, 487 (42), 325 (8), 279 (10), 215 (6), 119 (100), 91 (10). HRMS Calcd for $C_{39}H_{39}N_4O_7Si$ $[M+H]^+$ 703.2588. Found: 703.2578. IR ($CHCl_3$): 3115, 3093, 3063, 3034, 2903, 1727, 1612, 1582, 1528, 1510, 1497, 1409, 1379, 1334, 1326, 1311, 1296, 1280, 1267, 1254, 1180, 1126, 1114, 1093, 1020, 855, 849, 840, 691, 640, 476.

5.2. 6-Ethynyl-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (1)

A solution of TBAF·$3H_2O$ (7.5 mmol) in THF (20 ml) was slowly added to a stirred mixture of 6-[(trimethylsilyl)ethynyl]purine nucleoside (475 mg, 1 mmol), acetic acid (0.55 ml, 9 mmol) in THF (30 ml) at 0 °C. TLC indicated the disappearance of starting TMS derivative after 0.5 h. The mixture was diluted with AcOEt (150 ml) and washed with saturated aqueous sodium chloride solution (3× 150 ml). The organic phase was dried over $MgSO_4$ and evaporated in vacuo and the residue was purified by column chromatography (silica gel, hexane/ethyl acetate) affording product **1** (3.32 g, 70%) as yellowish foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.37 and 2.41 (2× s, 9H, CH_3-Tol); 3.72 (s, 1H, HC≡C–); 4.67 (dd, 1H, $J_{gem} = 12.3$, $J_{5'b,4'} = 4.0$, H-5′b); 4.84 (td, 1H, $J_{4',3'} = 4.4$, $J_{4',5'} = 4.0$, 3.1, H-4′); 4.92 (dd, 1H, $J_{gem} = 12.3$, $J_{5'a,4'} = 3.1$, H-5′a); 6.22 (dd, 1H, $J_{3',2'} = 5.6$, $J_{3',4'} = 4.4$, H-3′); 6.40 (t, 1H, $J_{2',3'} = 5.6$, $J_{2',1'} = 5.3$, H-2′); 6.49 (d, 1H, $J_{1',2'} = 5.3$, H-1′); 7.16 and 7.25 (2× m, 6H, H-*m*-Tol); 7.81, 7.92, and 7.97 (3× m, 3× 2H, H-*o*-Tol); 8.31 (s, 1H, H-8); 8.83 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.62 (CH_3-Tol); 63.16 (CH_2-5′); 71.29 (CH-3′); 73.67 (CH-2′); 77.73 (–*C*≡CH); 81.07 (CH-4′); 86.33 (H*C*≡C–); 86.99 (CH-1′); 125.49, 125.90, and 126.42 (C-*i*-Tol);

129.16, 129.20, and 129.27 (CH-*m*-Tol); 129.63, 129.79 (CH-*o*-Tol); 135.42 (C-5); 140.99 (C-6); 144.20, 144.54, and 144.67 (C-*p*-Tol); 144.27 (CH-8); 151.24 (C-4); 152.69 (CH-2); 165.08, 165.28, and 166.06 (CO). FAB-MS, *m*/*z* (rel %) = 653 (34) $[M+Na]^+$, 631 (10) $[M+H]^+$, 487 (78), 215 (8), 119 (100), 91 (12). HRMS Calcd for $C_{36}H_{31}N_4O_7$ $[M+H]^+$ 631.2192. Found: 631.2167. IR ($CHCl_3$): 3303, 3031, 2119, 1727, 1612, 1583, 1510, 1494, 1455, 1408, 1379, 1334, 1298, 1245, 1180, 1126, 1114, 1093, 1043, 1020, 839, 691, 639, 476.

5.3. 6-Vinyl-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (7)

Tributyl(vinyl)tin (2 ml) was added to an argon purged mixture of 6-chloropurine nucleoside (3.2 g, 5 mmol), $[PdCl_2(PPh_3)_2]$ (200 mg, 0.26 mmol) in DMF (80 ml) and the mixture was stirred at 90 °C for 6 h. The resulting mixture was concentrated under reduced pressure and the residue was purified by column chromatography (silica gel, hexane/ethyl acetate) affording product **7** as yellowish foam (2.33 g, 74%). ^{1}H NMR (400 MHz, $CDCl_3$): 2.37 and 2.41 (2× s, 9H, CH_3-Tol); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.1, H-5′b); 4.82 (td, 1H, $J_{4',3'}$ = 4.4, $J_{4',5'}$ = 4.1, 3.2, H-4′); 4.90 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.2, H-5′a); 5.95 (dd, 1H, J_{cis} = 10.9, J_{gem} = 1.5, –CH=CHa*Hb*); 6.24 (dd, 1H, $J_{3',2'}$ = 5.6, $J_{3',4'}$ = 4.4, H-3′); 6.42 (t, 1H, $J_{2',3'}$ = 5.6, $J_{2',1'}$ = 5.3, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.3, H-1′); 7.01 (dd, 1H, J_{trans} = 17.6, J_{gem} = 1.5, –CH=C*Ha*Hb); 7.15 and 7.23 (2× m, 6H, H-*m*-Tol); 7.25 (dd, 1H, J_{trans} = 17.6, J_{cis} = 10.9, –C*H*=CHaHb); 7.82, 7.90, and 7.98 (3× m, 3× 2H, H-*o*-Tol); 8.23 (s, 1H, H-8); 8.83 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.65 and 21.68 (CH_3-Tol); 63.34 (CH_2-5′); 71.36 (CH-3′); 73.67 (CH-2′); 80.94 (CH-4′); 86.82 (CH-1′); 125.63, 125.99, and 126.53 (C-*i*-Tol); 126.57 (–CH=*C*HaHb); 129.16, 129.20, and 129.26 (CH-*m*-Tol); 129.71, 129.82 (CH-*o*-Tol); 131.60 (C-5); 131.78 (–*C*H=CHaHb); 142.99 (CH-8); 144.13, 144.50, and 144.61 (C-*p*-Tol); 151.66 (C-4); 152.64 (CH-2); 153.98 (C-6); 165.12, 165.33, and 166.14 (CO). FAB-MS, *m*/*z* (rel %) = 655 (20) $[M+Na]^+$, 487 (30), 215 (5), 142 (5), 119 (100), 91 (10). HRMS Calcd for $C_{36}H_{33}N_4O_7$ $[M+H]^+$ 633.2349. Found: 633.2336. IR ($CHCl_3$): 3112, 3096, 3063, 3033, 1727, 1635, 1612, 1587, 1574, 1510, 1497, 1423, 1410, 1393, 1379, 1331, 1310, 1298, 1282, 1267, 1249, 1180, 1126, 1114, 1093, 1020, 991, 952, 839, 691, 644, 477.

5.4. 6-Vinyl-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (8)

This compound was prepared from corresponding 6-chlorpurine nucleoside according to the procedure for the preparation of compound **7** in 70% yield as yellowish foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.39 and 2.43 (2× s, 2× 3H, CH_3-Tol); 2.84 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.8, $J_{2'b,3'}$ = 2.1, H-2′b); 3.19 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.4, $J_{2'a,3'}$ = 6.4, H-2′a); 4.65 (m, 2H, H-4′, H-5′b); 4.78 (m, 1H, H-5′a); 5.84 (dt, 1H, $J_{3',2'}$ = 6.4, 2.1, $J_{3',4'}$ = 2.0, H-3′); 5.95 (dd, 1H, J_{cis} = 11.4, J_{gem} = 1.6, –CH=CHa*Hb*); 6.60 (dd, 1H, $J_{1',2'}$ = 8.4, 5.8, H-1′); 7.01 (dd, 1H, J_{trans} = 17.5, J_{gem} = 1.6, –CH=C*Ha*Hb); 7.20 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.28 (dd, 1H, J_{trans} = 17.57, J_{cis} = 11.4, –C*H*=CHaHb); 7.88 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.23 (s, 1H, H-8); 8.85 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.63 and 21.69 (CH_3-Tol); 37.76 (CH_2-2′); 63.90 (CH_2-5′); 75.06 (CH-3′); 83.08 (CH-4′); 84.86 (CH-1′); 126.34 and 126.57 (C-*i*-Tol); 126.43 (–CH=*C*HaHb); 129.21 and 129.24 (CH-*m*-Tol); 129.56 and 129.76 (CH-*o*-Tol); 131.63 (C-5); 131,78 (–*C*H=CHaHb); 142.56 (CH-8); 144.09 and 144.48 (C-*p*-Tol); 151.48 (C-4); 152.39 (CH-2); 153.81 (C-6); 165.88 and 166.08 (CO). FAB-MS, *m*/*z* (rel %) = 521 (28) $[M+Na]^+$, 499 (10) $[M+H]^+$, 305 (16), 293 (42), 279 (12), 185 (8), 173 (18), 147 (18), 119 (100), 81 (64). HRMS Calcd for $C_{28}H_{27}N_4O_5$ $[M+H]^+$ 499.1981. Found: 499.1964. IR ($CHCl_3$): 3093, 3066, 3031, 1721, 1635, 1612, 1586, 1572, 1510, 1495, 1422, 1411, 1391, 1382, 1330, 1269, 1248, 1178, 1121, 1103, 1045, 1020, 992, 950, 841, 691, 645, 476.

6. General method of nucleophilic addition to amines

An amine (15 mmol) was added to a solution of ethynylpurines (1.5 mmol) or vinylpurines in dry THF at room temperature. The mixture was stirred at room temperature for one day. The resulting mixture was concentrated under reduced pressure and the residue was purified by column chromatography (silica gel, hexane/ethyl acetate).

6.1. 6-[(*E*)-2-(Dimethylamino)vinyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (3a)

Yield 78%, yellow foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.37 and 2.41 (2× s, 9H, CH_3-Tol); 3.04 (br s, 6H, CH_3–N); 4.67 (dd, 1H, J_{gem} = 12.1, $J_{5'b,4'}$ = 4.1, H-5′b); 4.78 (td, 1H, $J_{4',3'}$ = 4.4, $J_{4',5'}$ = 4.1, 3.2, H-4′); 4.86 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.2, H-5′a); 5.65 (d, 1H, J_{trans} = 12.9, =CH-pur); 6.21 (dd, 1H, $J_{3',2'}$ = 5.7, $J_{3',4'}$ = 4.4, H-3′); 6.35 (t, 1H, $J_{2',3'}$ = 5.7, $J_{2',1'}$ = 5.6, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.6, H-1′); 7.15, 7.20, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.83 and 7.89 (2× m, 2× 2H, H-*o*-Tol); 7.99 (s, 1H, H-8); 8.01 (m, 2H, H-*o*-Tol); 8.25 (br d, 1H, J_{trans} = 12.9, =CH–N); 8.50 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.69 and 21.71 (CH_3-Tol); 63.71 (CH_2-5′); 71.51 (CH-3′); 73.73 (CH-2′); 80.81 (CH-4′); 86.15 (CH-1′); 90.42 (=CH-pur); 125.81, 126.12, and 126.66 (C-*i*-Tol); 128.05 (C-5); 129.16, 129.20, and 129.33 (CH-*m*-Tol); 129.79, 129.87, and 129.91 (CH-*o*-Tol); 139.25 (CH-8); 144.07, 144.43, and 144.49 (C-*p*-Tol); 149.68 (C-4); 149.80 (=CH–N); 152.82 (CH-2); 157.74 (C-6); 165.16, 165.40, and 166.26 (CO); CH_3N not observed due to very broad signal. FAB-MS, *m*/*z* (rel %) = 676 (30) $[M+H]^+$, 397 (30), 215 (10), 147 (8), 119 (100), 91 (20). HRMS Calcd for $C_{38}H_{38}N_5O_7$ $[M+H]^+$ 676.2771. Found: 676.2783. IR ($CHCl_3$): 3032, 2811, 1727, 1633, 1612, 1586, 1574, 1559, 1510, 1503, 1436, 1417, 1392, 1326, 1311, 1297, 1249, 1180, 1127, 1114, 1099, 1039, 1020, 998, 975, 839, 691, 476.

6.2. 6-[(*E*)-2-(Diethylamino)vinyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (3b)

Yield 92%, yellowish foam. ^{1}H NMR (400 MHz, $CDCl_3$): 1.24 (t, 6H, J_{vic} = 7.2, CH_3CH$_2$N); 2.37 and 2.41 (2× s, 9H, CH$_3$-Tol); 3.35 (q, 4H, J_{vic} = 7.2, CH$_3$CH_2N); 4.67 (dd, 1H, J_{gem} = 12.1, $J_{5'b,4'}$ = 4.1, H-5′b); 4.78 (td, 1H, $J_{4',3'}$ = 4.3, $J_{4',5'}$ = 4.1, 3.2, H-4′); 4.85 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.2, H-5′a); 5.70 (d, 1H, J_{trans} = 13.1, =CH-pur); 6.20 (dd, 1H, $J_{3',2'}$ = 5.7, $J_{3',4'}$ = 4.3, H-3′); 6.34 (t, 1H, $J_{2',3'}$ = $J_{2',1'}$ = 5.7, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.7, H-1′); 7.15, 7.20, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82 and 7.89 (2× m, 2× 2H, H-*o*-Tol); 7.98 (s, 1H, H-8); 8.01 (m, 2H, H-*o*-Tol); 8.26 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.49 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 13.44 (*C*H$_3$CH$_2$N); 21.69 and 21.71 (CH$_3$-Tol); 49.43 (CH$_3$*C*H$_2$N); 63.74 (CH$_2$-5′); 71.54 (CH-3′); 73.74 (CH-2′); 80.83 (CH-4′); 86.03 (CH-1′); 89.60 (=CH-pur); 125.82, 126.13, and 126.66 (C-*i*-Tol); 127.86 (C-5); 129.15, 129.20, and 129.33 (CH-*m*-Tol); 129.79, 129.88, and 129.91 (CH-*o*-Tol); 139.03 (CH-8); 144.06, 144.42, and 144.47 (C-*p*-Tol); 147.82 (=CH–N); 149.60 (C-4); 152.85 (CH-2); 158.03 (C-6); 165.15, 165.40, and 166.26 (CO). FAB-MS, *m*/*z* (rel %) = 704.3 (30) [M+H]$^+$, 487 (4), 218 (22), 119 (100), 91 (14). HRMS Calcd for $C_{40}H_{42}N_5O_7$ [M+H]$^+$ 704.3084. Found: 704.3073. IR ($CHCl_3$): 2876, 1727, 1623, 1612, 1584, 1571, 1557, 1510, 1502, 1431, 1409, 1381, 1360, 1326, 1311, 1295, 1281, 1267, 1244, 1180, 1125, 1114, 1094, 1020, 1001, 975, 839, 814, 691, 646, 476.

6.3. 6-[(*E*)-2-(Dibutylamino)vinyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (3c)

Yield 89%, orange foam. ^{1}H NMR (500 MHz, $CDCl_3$): 0.94 (t, 6H, J_{vic} = 7.3, CH_3CH$_2$CH$_2$CH$_2$N); 1.35 and 1.62 (2× m, 8H, CH$_3$CH_2CH_2CH$_2$N); 2.37 and 2.41 (2× s, 9H, CH$_3$-Tol); 3.27 (t, 4H, J_{vic} = 7.6, CH$_3$CH$_2$CH$_2$CH_2N); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.1, H-5′b); 4.78 (td, 1H, $J_{4',3'}$ = 4.3, $J_{4',5'}$ = 4.1, 3.2, H-4′); 4.85 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.2, H-5′a); 5.67 (d, 1H, J_{trans} = 13.1, =CH-pur); 6.20 (dd, 1H, $J_{3',2'}$ = 5.7, $J_{3',4'}$ = 4.3, H-3′); 6.34 (t, 1H, $J_{2',1'}$ = 5.8; $J_{2',3'}$ = 5.7, H-2′); 6.48 (d, 1H, $J_{1',2'}$ = 5.8, H-1′); 7.15, 7.20, and 7.26 (3× m, 3× 2H, H-*m*-Tol); 7.82 and 7.89 (2× m, 2× 2H, H-*o*-Tol); 7.99 (s, 1H, H-8); 8.01 (m, 2H, H-*o*-Tol); 8.29 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.49 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 13.83 (*C*H$_3$CH$_2$CH$_2$CH$_2$N); 20.12 (CH$_3$*C*H$_2$CH$_2$CH$_2$N); 21.68 and 21.71 (CH$_3$-Tol); 28.70 and 31.11 (CH$_3$CH$_2$*C*H$_2$CH$_2$N); 47.81 and 56.11 (CH$_3$CH$_2$CH$_2$*C*H$_2$N); 63.75 (CH$_2$-5′); 71.53 (CH-3′); 73.71 (CH-2′); 80.81 (CH-4′); 85.97 (CH-1′); 89.69 (=CH-pur); 125.80, 126.12, and 126.65 (C-*i*-Tol); 127.81 (C-5); 129.14, 129.19, and 129.32 (CH-*m*-Tol); 129.79, 129.87, and 129.90 (CH-*o*-Tol); 138.96 (CH-8); 144.05, 144.42, and 144.46 (C-*p*-Tol); 148.99 (=CH–N); 149.58 (C-4); 152.87 (CH-2); 158.09 (C-6); 165.14, 165.40, and 166.26 (CO). FAB-MS, *m*/*z* (rel %) = 760 (8) [M+H]$^+$, 274 (10), 215 (8), 119 (100), 91 (12). HRMS Calcd for $C_{44}H_{50}N_5O_7$ [M+H]$^+$ 760.3710. Found: 760.3738. IR ($CHCl_3$): 2962, 2933, 2875, 1727, 1622, 1612, 1582, 1570, 1559, 1510, 1502, 1430, 1409, 1368, 1326, 1311, 1297, 1246, 1180, 1126, 1114, 1094, 1020, 839, 813, 691, 646, 476.

6.4. 6-[(*E*)-2-(Piperidine-1-yl)vinyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (3d)

Yield 68%, orange foam. ^{1}H NMR (400 MHz, $CDCl_3$): 1.60–1.70 (m, 6H, CH$_2$-pip); 2.37 and 2.41 (2× s, 9H, CH$_3$-Tol); 3.38 (m, 4H, CH$_2$N-pip); 4.67 (dd, 1H, J_{gem} = 12.1, $J_{5'b,4'}$ = 4.1, H-5′b); 4.78 (td, 1H, $J_{4',3'}$ = 4.4, $J_{4',5'}$ = 4.1, 3.1, H-4′); 4.86 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.1, H-5′a); 5.78 (d, 1H, J_{trans} = 13.1, =CH-pur); 6.20 (dd, 1H, $J_{3',2'}$ = 5.7, $J_{3',4'}$ = 4.4, H-3′); 6.33 (t, 1H, $J_{2',3'}$ = $J_{2',1'}$ = 5.7, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.7, H-1′); 7.15, 7.20, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82 and 7.89 (2× m, 2× 2H, H-*o*-Tol); 7.99 (s, 1H, H-8); 8.00 (m, 2H, H-*o*-Tol); 8.24 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.48 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.68 and 21.71 (CH$_3$-Tol); 24.21 and 25.60 (CH$_2$-pip); 50.57 (CH$_2$N-pip); 63.71 (CH$_2$-5′); 71.51 (CH-3′); 73.74 (CH-2′); 80.81 (CH-4′); 86.11 (CH-1′); 89.66 (=CH-pur); 125.81, 126.12, and 126.66 (C-*i*-Tol); 128.04 (C-5); 129.15, 129.19, and 129.32 (CH-*m*-Tol); 129.78, 129.87, and 129.91 (CH-*o*-Tol); 139.13 (CH-8); 144.06, 144.42, and 144.48 (C-*p*-Tol); 148.73 (=CH–N); 149.60 (C-4); 152.82 (CH-2); 158.09 (C-6); 165.15, 165.39, and 166.26 (CO). FAB-MS, *m*/*z* (rel %) = 716 (16) [M+H]$^+$, 230 (16), 215 (10), 119 (100), 91 (14). HRMS Calcd for $C_{41}H_{42}N_5O_7$ [M+H]$^+$ 716.3084. Found: 716.3060. IR ($CHCl_3$): 2945, 2861, 1727, 1624, 1612, 1584, 1571, 1559, 1500, 1446, 1440, 1407, 1344, 1335, 1326, 1295, 1247, 1180, 1162, 1115, 1043, 1026, 1020, 988, 975, 840, 814, 691, 646, 476.

6.5. 6-[(*E*)-2-(Morpholine-4-yl)vinyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (3e)

Yield 97%, yellow foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.37 and 2.41 (2× s, 9H, CH$_3$-Tol); 3.40 (m, 4H, CH$_2$N-morph); 3.76 (m, 4H, CH$_2$O-morph); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.2, H-5′b); 4.79 (td, 1H, $J_{4',3'}$ = 4.5, $J_{4',5'}$ = 4.2, 3.2, H-4′); 4.87 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.2, H-5′a); 5.86 (d, 1H, J_{trans} = 13.2, =CH-pur); 6.20 (dd, 1H, $J_{3',2'}$ = 5.7, $J_{3',4'}$ = 4.5, H-3′); 6.34 (t, 1H, $J_{2',3'}$ = 5.7, $J_{2',1'}$ = 5.6, H-2′); 6.46 (d, 1H, $J_{1',2'}$ = 5.6, H-1′); 7.16, 7.20, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.89, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.02 (s, 1H, H-8); 8.22 (br d, 1H, J_{trans} = 13.2, =CH–N); 8.53 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.69 and 21.71 (CH$_3$-Tol); 49.19 (CH$_2$N-morph); 63.66 (CH$_2$-5′); 66.31 (CH$_2$O-morph); 71.47 (CH-3′); 73.74 (CH-2′); 80.81 (CH-4′); 86.33 (CH-1′); 91.70 (=CH-pur); 125.78, 126.09, and 126.65 (C-*i*-Tol); 128.51 (C-5); 129.17, 129.21, and 129.31 (CH-*m*-Tol); 129.78, 129.87, and 129.89 (CH-*o*-Tol); 139.80 (CH-8); 144.07, 144.45, and 144.53 (C-*p*-Tol); 148.40 (=CH–N); 149.87 (C-4); 152.78 (CH-2); 157.42 (C-6); 165.16, 165.39, and 166.24 (CO). FAB-MS, *m*/*z* (rel %) = 718 (14) [M+H]$^+$, 487 (4), 232 (14), 215 (20), 119 (100), 91 (15). HRMS Calcd for $C_{40}H_{40}N_5O_8$ [M+H]$^+$ 718.2876. Found: 718.2866. IR

($CHCl_3$): 2974, 2925, 2904, 2863, 1727, 1626, 1612, 1587, 1573, 1564, 1510, 1498, 1455, 1443, 1431, 1409, 1388, 1375, 1363, 1327, 1311, 1296, 1245, 1180, 1114, 1094, 1020, 993, 972, 839, 691, 476.

6.6. 6-[(*E*)-2-(Benzyl(methyl)amino)vinyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (3f)

Yield 62%, orange foam. ^{1}H NMR (500 MHz, $CDCl_3$): 2.37, 2.40, and 2.41 (3× s, 3× 3H, CH_3-Tol); 2.91 (br s, 3H, CH_3N); 4.50 (s, 2H, CH_2Ph); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.1, H-5′b); 4.79 (td, 1H, $J_{4',3'}$ = 4.4, $J_{4',5'}$ = 4.1, 3.2, H-4′); 4.86 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.2, H-5′a); 5.79 (d, 1H, J_{trans} = 13.1, =CH-pur); 6.21 (dd, 1H, $J_{3',2'}$ = 5.8, $J_{3',4'}$ = 4.4, H-3′); 6.35 (t, 1H, $J_{2',3'}$ = 5.8, $J_{2',1'}$ = 5.7, H-2′); 6.48 (d, 1H, $J_{1',2'}$ = 5.7, H-1′); 7.16 and 7.20 (2× m, 2× 2H, H-*m*-Tol); 7.24 (m, 4H, H-*o*-Ph and H-*m*-Tol); 7.29 (m, 1H, H-*p*-Ph); 7.34 (m, 2H, H-*m*-Ph); 7.83, 7.89, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.00 (s, 1H, H-8); 8.50 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.53 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.69 and 21.71 (CH_3-Tol); 35.18 (CH_3N); 61.40 (CH_2Ph); 63.70 (CH_2-5′); 71.51 (CH-3′); 73.73 (CH-2′); 80.82 (CH-4′); 86.15 (CH-1′); 90.93 (=CH-pur); 125.78, 126.10, and 126.64 (C-*i*-Tol); 127.33 (CH-*o*-Ph); 127.78 (CH-*p*-Ph); 128.24 (C-5); 128.79 (CH-*m*-Ph); 129.16, 129.20, and 129.32 (CH-*m*-Tol); 129.78, 129.87, and 129.90 (CH-*o*-Tol); 136.42 (C-*i*-Ph); 139.42 (CH-8); 144.08, 144.44, and 144.50 (C-*p*-Tol); 149.71 (=CH–N); 149.76 (C-4); 152.83 (CH-2); 157.76 (C-6); 165.15, 165.40, and 166.26 (CO). FAB-MS, *m*/*z* (rel %) = 752 (40) $[M+H]^+$, 616 (8), 589 (6), 487 (4), 294 (10), 266 (28), 251 (8), 215 (6), 119 (100), 91 (32). HRMS Calcd for $C_{44}H_{42}N_5O_7$ $[M+H]^+$ 752.3084. Found: 752.3117. IR ($CHCl_3$): 3090, 3065, 3033, 2807, 1727, 1625, 1612, 1584, 1571, 1561, 1510, 1505, 1497, 1450, 1413, 1399, 1326, 1311, 1296, 1248, 1180, 1127, 1093, 1020, 839, 815, 691, 646, 476.

6.7. 6-[(*E*)-2-(Thiazolidine-1-yl)vinyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (3g)

Yield 73%, yellow foam. ^{1}H NMR (500 MHz, $CDCl_3$): 2.37 and 2.41 (2× s, 9H, CH_3-Tol); 3.09 (t, 2H, J_{vic} = 6.2, H-5-thiazolidine); 3.74 (t, 2H, J_{vic} = 6.2, H-4-thiazolidine); 4.18 (s, 2H, H-2-thiazolidine); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.2, H-5′b); 4.79 (td, 1H, $J_{4',3'}$ = 4.5, $J_{4',5'}$ = 4.2, 3.2, H-4′); 4.86 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.2, H-5′a); 5.76 (d, 1H, J_{trans} = 13.2, =CH-pur); 6.22 (dd, 1H, $J_{3',2'}$ = 5.8, $J_{3',4'}$ = 4.5, H-3′); 6.36 (t, 1H, $J_{2',3'}$ = 5.8, $J_{2',1'}$ = 5.5, H-2′); 6.46 (d, 1H, $J_{1',2'}$ = 5.5, H-1′); 7.16, 7.20, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.89, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.03 (s, 1H, H-8); 8.41 (br d, 1H, J_{trans} = 13.2, =CH–N); 8.54 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.70 and 21.72 (CH_3-Tol); 30.33 (CH_2-5-thiazolidine); 52.80 (CH_2-4-thiazolidine); 55.41 (CH_2-2-thiazolidine); 63.65 (CH_2-5′); 71.47 (CH-3′); 73.74 (CH-2′); 80.82 (CH-4′); 86.35 (CH-1′); 93.74 (=CH-pur); 125.76, 126.08, and 126.63 (C-*i*-Tol); 128.55 (C-5); 129.18, 129.21, and 129.32 (CH-*m*-Tol); 129.78, 129.87, and 129.90 (CH-*o*-Tol); 139.94 (CH-8); 144.10 (C-*p*-Tol); 144.46 (=CH–N); 144.48 and 144.55 (C-*p*-Tol); 149.96 (C-4); 152.78 (CH-2); 157.07 (C-6); 165.17, 165.39, and 166.25 (CO). FAB-MS, *m*/*z* (rel %) = 720 (18) $[M+H]^+$, 487 (12), 215 (12), 181 (17), 119 (100), 105 (7), 91 (20), 75 (12), 61(10), 57 (22). HRMS Calcd for $C_{39}H_{39}N_5O_7S$ $[M+H]^+$ 720.2491. Found: 720.2474. IR ($CHCl_3$): 3020, 2981, 2869, 1727, 1624, 1612, 1588, 1573, 1564, 1510, 1497, 1438, 1421, 1390, 1368, 1332, 1327, 1311, 1297, 1244, 1180, 1127, 1114, 1094, 1020, 997, 974, 839, 817, 691, 646, 477.

6.8. 6-[(*E*)-2-(Dimethylamino)vinyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (4a)

Yield 90%, orange foam. ^{1}H NMR (500 MHz, $CDCl_3$): 2.40 and 2.44 (2× s, 2× 3H, CH_3-Tol); 2.83 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.7, $J_{2'b,3'}$ = 2.0, H-2′b); 3.07 (br s, 6H, CH_3N); 3.09 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.6, $J_{2'a,3'}$ = 6.3, H-2′a); 4.63 (td, 1H, $J_{4',5'}$ = 4.2, 4.0, $J_{4',3'}$ = 2.2, H-4′); 4.67 (dd, 1H, J_{gem} = 12.0, $J_{5'b,4'}$ = 4.2, H-5′b); 4.74 (dd, 1H, J_{gem} = 12.0, $J_{5'a,4'}$ = 4.0, H-5′a); 5.69 (d, 1H, J_{trans} = 12.9, =CH-pur); 5.80 (dt, 1H, $J_{3',2'}$ = 6.3, 2.0, $J_{3',4'}$ = 2.2, H-3′); 6.57 (dd, 1H, $J_{1',2'}$ = 8.6, 5.7, H-1′); 7.23 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.92 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.02 (s, 1H, H-8); 8.33 (br d, 1H, J_{trans} = 12.9, =CH–N); 8.51 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.67 and 21.73 (CH_3-Tol); 37.96 (CH_2-2′); 43.90 (CH_3N); 64.13 (CH_2-5′); 75.22 (CH-3′); 82.86 (CH-4′); 84.40 (CH-1′); 90.06 (=CH-pur); 126.43 and 126.71 (C-*i*-Tol); 127.92 (C-5); 129.26 and 129.28 (CH-*m*-Tol); 129.64 and 129.82 (CH-*o*-Tol); 138.90 (CH-8); 144.08 and 144.46 (C-*p*-Tol); 149.28 (C-4); 150.19 (=CH–N); 152.19 (CH-2); 157.30 (C-6); 165.97 and 166.20 (CO). FAB-MS, *m*/*z* (rel %) = 542 (60) $[M+H]^+$, 190 (100), 174 (8), 147 (14), 119 (70), 91 (20), 81 (48), 58 (8). HRMS Calcd for $C_{30}H_{33}N_5O_5$ $[M+H]^+$ 542.2403. Found: 542.2404. IR ($CHCl_3$): 2811, 1720, 1612, 1587, 1572, 1558, 1509, 1503, 1436, 1417, 1413, 1391, 1326, 1311, 1249, 1179, 1120, 1103, 1048, 1021, 841, 814, 691, 647, 475.

6.9. 6-[(*E*)-2-(Diethylamino)vinyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (4b)

Yield 87%, orange foam. ^{1}H NMR (500 MHz, $CDCl_3$): 1.25 (t, 6H, J_{vic} = 7.2, CH_3CH_2N); 2.40 and 2.44 (2× s, 2× 3H, CH_3-Tol); 2.82 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.7, $J_{2'b,3'}$ = 1.9, H-2′b); 3.08 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.7, $J_{2'a,3'}$ = 6.3, H-2′a); 3.37 (q, 4H, J_{vic} = 7.2, CH_3CH_2N); 4.62 (td, 1H, $J_{4',5'}$ = 4.2, 4.0, $J_{4',3'}$ = 2.2, H-4′); 4.67 (dd, 1H, J_{gem} = 12.0, $J_{5'b,4'}$ = 4.2, H-5′b); 4.74 (dd, 1H, J_{gem} = 12.0, $J_{5'a,4'}$ = 4.0, H-5′a); 5.72 (d, 1H, J_{trans} = 13.1, =CH-pur); 5.79 (dt, 1H, $J_{3',2'}$ = 6.3, 1.9, $J_{3',4'}$ = 2.2, H-3′); 6.57 (dd, 1H, $J_{1',2'}$ = 8.7, 5.7, H-1′); 7.23 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.92 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.00 (s, 1H, H-8); 8.27 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.50 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 11.83 and 14.30 (CH_3CH_2N); 21.67 and 21.72 (CH_3-Tol); 37.97 (CH_2-2′); 42.06 and 50.20 (CH_3CH_2N); 64.16 (CH_2-5′); 75.26 (CH-3′); 82.82 (CH-4′); 84.32 (CH-1′); 89.35 (=CH-pur); 126.44 and

126.72 (C-*i*-Tol); 127.85 (C-5); 129.25 and 129.27 (CH-*m*-Tol); 129.64 and 129.81 (CH-*o*-Tol); 138.61 (CH-8); 144.05 and 144.44 (C-*p*-Tol); 147.79 (=CH–N); 149.29 (C-4); 152.55 (CH-2); 157.90 (C-6); 165.97 and 166.21 (CO). FAB-MS, *m/z* (rel %) = 592 (6), 570 (40) $[M+H]^+$, 244 (6), 218 (100), 202 (6), 188 (25), 174 (6), 161 (8), 147 (14), 135 (7), 119 (97), 91 (25), 81(64). HRMS Calcd for $C_{32}H_{36}N_5O_5$ $[M+H]^+$ 570.2716. Found: 570.2724. IR ($CHCl_3$): 2979, 1720, 1623, 1613, 1584, 1570, 1558, 1499, 1431, 1403, 1326, 1311, 1243, 1179, 1120, 1103, 1048, 1020, 840, 814, 691, 647, 474.

6.10. 6-[(*E*)-2-(Dibutylamino)vinyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (4c)

Yield 79%, yellow foam. ^{1}H NMR (500 MHz, $CDCl_3$): 0.95 (t, 6H, J_{vic} = 7.3, $CH_3CH_2CH_2CH_2N$); 1.36 and 1.63 (2× m, 8H, $CH_3CH_2CH_2CH_2N$); 2.40 and 2.44 (2× s, 2× 3H, CH_3-Tol); 2.82 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.7, $J_{2'b,3'}$ = 1.9, H-2′b); 3.08 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.7, $J_{2'a,3'}$ = 6.3, H-2′a); 3.28 (br t, 4H, J_{vic} = 7.6, $CH_3CH_2CH_2CH_2N$); 4.62 (td, 1H, $J_{4',5'}$ = 4.3, 4.1, $J_{4',3'}$ = 2.2, H-4′); 4.67 (dd, 1H, J_{gem} = 12.0, $J_{5'b,4'}$ = 4.3, H-5′b); 4.73 (dd, 1H, J_{gem} = 12.0, $J_{5'a,4'}$ = 4.1, H-5′a); 5.69 (d, 1H, J_{trans} = 13.0, =CH-pur); 5.79 (dt, 1H, $J_{3',2'}$ = 6.3, 1.9, $J_{3',4'}$ = 2.2, H-3′); 6.57 (dd, 1H, $J_{1',2'}$ = 8.7, 5.7, H-1′); 7.23 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.92 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.00 (s, 1H, H-8); 8.30 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.50 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 13.83 ($CH_3CH_2CH_2CH_2N$); 20.06 ($CH_3CH_2CH_2CH_2N$); 21.67 and 21.72 (CH_3-Tol); 28.49 and 31.41 ($CH_3CH_2CH_2CH_2N$); 37.97 (CH_2-2′); 47.71 and 55.91 ($CH_3CH_2CH_2CH_2N$); 64.18 (CH_2-5′); 75.27 (CH-3′); 82.81 (CH-4′); 84.34 (CH-1′); 89.31 (=CH-pur); 126.47 and 126.75 (C-*i*-Tol); 127.84 (C-5); 129.26 (CH-*m*-Tol); 129.66 and 129.82 (CH-*o*-Tol); 138.58 (CH-8); 144.04 and 144.43 (C-*p*-Tol); 148.95 (=CH–N); 149.29 (C-4); 152.58 (CH-2); 157.99 (C-6); 165.97 and 166.21 (CO). FAB-MS, *m/z* (rel %) = 626 (8) $[M+H]^+$, 274 (44), 244 (7), 188 (8), 174 (8), 147 (8), 134 (7), 119 (100), 98 (10), 91 (21), 81 (36), 69 (12), 57 (16). HRMS Calcd for $C_{36}H_{43}N_5O_5$ $[M+H]^+$ 626.3342. Found: 626.3324. IR ($CHCl_3$): 3095, 3034, 1720, 1622, 1614, 1582, 1568, 1561, 1510, 1499, 1429, 1370, 1325, 1297, 1269, 1249, 1179, 1120, 1103, 1049, 1021, 841, 813, 691, 475.

6.11. 6-[(*E*)-2-(Piperidine-1-yl)vinyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (4d)

Yield 96%, orange foam. ^{1}H NMR (500 MHz, $CDCl_3$): 1.60–1.70 (m, 6H, CH_2-pip); 2.40 and 2.44 (2× s, 2× 3H, CH_3-Tol); 2.82 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.7, $J_{2'b,3'}$ = 2.0, H-2′b); 3.09 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.7, $J_{2'a,3'}$ = 6.3, H-2′a); 3.38 (m, 4H, CH_2N-pip); 4.62 (td, 1H, $J_{4',5'}$ = 4.2, 4.1, $J_{4',3'}$ = 2.2, H-4′); 4.67 (dd, 1H, J_{gem} = 12.0, $J_{5'b,4'}$ = 4.2, H-5′b); 4.74 (dd, 1H, J_{gem} = 12.0, $J_{5'a,4'}$ = 4.1, H-5′a); 5.79 (d, 1H, J_{trans} = 13.1, =CH-pur); 5.80 (dt, 1H, $J_{3',2'}$ = 6.3, 2.0, $J_{3',4'}$ = 2.2, H-3′); 6.57 (dd, 1H, $J_{1',2'}$ = 8.7, 5.7, H-1′); 7.23 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.92 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.00 (s, 1H, H-8); 8.19 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.51 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.67 and 21.72 (CH_3-Tol); 24.19 and 25.56 (CH_2-pip); 37.92 (CH_2-2′); 64.14 (CH_2-5′); 75.24 (CH-3′); 82.81 (CH-4′); 84.32 (CH-1′); 89.52 (=CH-pur); 126.44 and 126.72 (C-*i*-Tol); 128.04 (C-5); 129.24 and 129.27 (CH-*m*-Tol); 129.64 and 129.81 (CH-*o*-Tol); 138.68 (CH-8); 144.04 and 144.43 (C-*p*-Tol); 148.62 (=CH–N); 149.32 (C-4); 152.58 (CH-2); 158.02 (C-6); 165.96 and 166.20 (CO); CH_2N-pip not observed due to very broad signal. FAB-MS, *m/z* (rel %) = 604 (8), 582 (35) $[M+H]^+$, 230 (85), 216 (8), 160 (8), 147 (18), 134 (8), 119 (100), 96 (12), 91 (30), 81 (64). HRMS Calcd for $C_{33}H_{36}N_5O_5$ $[M+H]^+$ 582.2716. Found: 5822734. IR ($CHCl_3$): 2945, 2860, 1720, 1624, 1613, 1584, 1569, 1510, 1497, 1446, 1440, 1403, 1362, 1345, 1326, 1311, 1246, 1179, 1163, 1120, 1104, 1021, 841, 691, 475.

6.12. 6-[(*E*)-2-(Morpholine-4-yl)vinyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (4e)

Yield 78%, yellowish foam. ^{1}H NMR (500 MHz, $CDCl_3$): 2.40 and 2.44 (2× s, 2× 3H, CH_3-Tol); 2.83 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.7, $J_{2'b,3'}$ = 2.0, H-2′b); 3.11 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.6, $J_{2'a,3'}$ = 6.3, H-2′a); 3.40 (m, 4H, CH_2N-morph); 3.77 (m, 4H, CH_2O-morph); 4.63 (td, 1H, $J_{4',5'}$ = 4.3, 4.0, $J_{4',3'}$ = 2.2, H-4′); 4.67 (dd, 1H, J_{gem} = 11.9, $J_{5'b,4'}$ = 4.3, H-5′b); 4.75 (dd, 1H, J_{gem} = 11.9, $J_{5'a,4'}$ = 4.0, H-5′a); 5.80 (dt, 1H, $J_{3',2'}$ = 6.3, 2.0, $J_{3',4'}$ = 2.2, H-3′); 5.86 (d, 1H, J_{trans} = 13.3, =CH-pur); 6.57 (dd, 1H, $J_{1',2'}$ = 8.6, 5.7, H-1′); 7.23 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.92 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.03 (s, 1H, H-8); 8.17 (d, 1H, J_{trans} = 13.3, =CH–N); 8.55 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.68 and 21.73 (CH_3-Tol); 37.91 (CH_2-2′); 48.80 (CH_2N-morph); 64.12 (CH_2-5′); 66.32 (CH_2O-morph); 75.21 (CH-3′); 82.88 (CH-4′); 84.47 (CH-1′); 91.59 (=CH-pur); 126.44 and 126.73 (C-*i*-Tol); 128.53 (C-5); 129.27 (CH-*m*-Tol); 129.66 and 129.82 (CH-*o*-Tol); 139.37 (CH-8); 144.08 and 144.48 (C-*p*-Tol); 148.36 (=CH–N); 149.64 (C-4); 152.51 (CH-2); 157.31 (C-6); 165.97 and 166.20 (CO). FAB-MS, *m/z* (rel %) = 584 (17) $[M+H]^+$, 232 (50), 215 (8), 181 (8), 160 (10), 147 (15), 131 (18), 119 (100), 105 (15), 91 (35), 81 (45), 57(23). HRMS Calcd for $C_{32}H_{34}N_5O_6$ $[M+H]^+$ 584.2509. Found: 584.2496. IR ($CHCl_3$): 3036, 2974, 2925, 2903, 2863, 1720, 1626, 1578, 1572, 1561, 1496, 1455, 1443, 1430, 1401, 1389, 1376, 1362, 1349, 1310, 1297, 1249, 1179, 1169, 1104, 1079, 1027, 1021, 927, 867, 841, 817, 647.

6.13. 6-[(*E*)-2-(Benzyl(methyl)amino)vinyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (4f)

Yield 95%, yellow foam. ^{1}H NMR (500 MHz, $CDCl_3$): 2.40 and 2.44 (2× s, 2× 3H, CH_3-Tol); 2.82 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.8, $J_{2'b,3'}$ = 2.0, H-2′b); 2.92 (br s, 3H, CH_3N); 3.11 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.6, $J_{2'a,3'}$ = 6.3, H-2′a); 4.51 (s, 2H, CH_2Ph); 4.63 (td, 1H, $J_{4',5'}$ = 4.3,4.0, $J_{4',3'}$ = 2.2, H-4′); 4.68 (dd, 1H, J_{gem} = 12.0, $J_{5'b,4'}$ = 4.3, H-5′b); 4.75 (dd, 1H, J_{gem} = 12.0, $J_{5'a,4'}$ = 4.0, H-5′a); 5.78–5.83 (m, 2H, H-3′ and =CH-pur); 6.58 (dd, 1H, $J_{1',2'}$ = 8.6, 5.8, H-1′);

7.23 (m, 2H, H-*m*-Tol); 7.25 (m, 2H, H-*o*-Ph); 7.28 (m, 2H, H-*m*-Tol); 7.29 (m, 1H, H-*p*-Ph); 7.35 (m, 2H, H-*m*-Ph); 7.92 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.02 (s, 1H, H-8); 8.49 (br d, 1H, J_{trans} = 13.0, =CH–N); 8.54 (s, 1H, H-2). ^{13}C NMR (125.7 MHz, $CDCl_3$): 21.68 and 21.73 (CH_3-Tol); 35.22 (CH_3N); 37.92 (CH_2-2′); 61.52 (CH_2Ph); 64.14 (CH_2-5′); 75.25 (CH-3′); 82.84 (CH-4′); 84.37 (CH-1′); 90.88 (=CH-pur); 126.43 and 126.71 (C-*i*-Tol); 127.33 (CH-*o*-Ph); 127.80 (CH-*p*-Ph); 128.27 (C-5); 128.79 (CH-*m*-Ph); 129.26 and 129.28 (CH-*m*-Tol); 129.65 and 129.82 (CH-*o*-Tol); 136.61 (C-*i*-Ph); 138.99 (CH-8); 144.07 and 144.46 (C-*p*-Tol); 149.51 (C-4); 149.55 (=CH–N); 152.60 (CH-2); 157.68 (C-6); 165.97 and 166.20 (CO). FAB-MS: *m*/*z* (rel %) = 640 (10), 618 (30) $[M+H]^+$, 397 (30), 215 (10), 147 (8), 119 (100), 91 (20). HRMS Calcd for $C_{38}H_{38}N_5O_7$ $[M+H]^+$ 618.2716. Found: 618.2734. IR ($CHCl_3$): 3089, 3066, 3032, 2800, 1720, 1613, 1584, 1569, 1560, 1511, 1497, 1411, 1398, 1375, 1326, 1297, 1248, 1179, 1120, 1103, 1047, 1029, 841, 815, 692, 647, 475.

6.14. 6-[(*E*)-2-(Thiazolidine-1-yl)vinyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (4g)

Yield 41%, yellow foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.40 and 2.44 (2× s, 9H, CH_3-Tol); 2.88 (ddd, 1H, J_{gem} = 14.1, $J_{2'b,1'}$ = 5.7, $J_{2'b,3'}$ = 2.0, H-2′b); 3.10 (t, 2H, J_{vic} = 6.2, H-5-thiazolidine); 3.12 (ddd, 1H, J_{gem} = 14.1, $J_{2'a,1'}$ = 8.5, $J_{2'a,3'}$ = 6.2, H-2′a); 3.76 (t, 2H, J_{vic} = 6.2, H-4-thiazolidine); 4.49 (s, 2H, H-2-thiazolidine); 4.64 (ddd, 1H, $J_{4',5'}$ = 4.2, 3.8, $J_{4',3'}$ = 2.3, H-4′); 4.67 (dd, 1H, J_{gem} = 11.8, $J_{5'b,4'}$ = 4.2, H-5′b); 4.75 (dd, 1H, J_{gem} = 11.8, $J_{5'a,4'}$ = 3.8, H-5′a); 5.78 (d, 1H, J_{trans} = 13.1, =CH-pur); 5.81 (ddd, 1H, $J_{3',2'}$ = 6.2, 2.0, $J_{3',4'}$ = 2.3, H-3′); 6.57 (dd, 1H, $J_{1',2'}$ = 8.5, 5.7, H-1′); 7.23 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.92 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.05 (s, 1H, H-8); 8.44 (d, 1H, J_{trans} = 13.1, =CH–N); 8.56 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.68 and 21.73 (CH_3-Tol); 30.33 (CH_2-5-thiazolidine); 37.94 (CH_2-2′); 51.53 (CH_2-2-thiazolidine); 52.70 (CH_2-4-thiazolidine); 64.10 (CH_2-5′); 75.19 (CH-3′); 82.93 (CH-4′); 84.54 (CH-1′); 93.30 (=CH-pur); 126.42 and 126.70 (C-*i*-Tol); 128.43 (C-5); 129.27 (CH-*m*-Tol); 129.64 and 129.81 (CH-*o*-Tol); 139.59 (CH-8); 144.10 and 144.48 (C-*p*-Tol); 145.01 (=CH–N); 149.58 (C-4); 152.13 (CH-2); 157.62 (C-6); 165.96 and 166.18 (CO). FAB-MS, *m*/*z* (rel %) = 586 (12) $[M+H]^+$, 234 (36), 174 (10), 147 (10), 137 (10), 119 (100), 91 (24), 81 (73). HRMS Calcd for $C_{38}H_{38}N_5O_7$ $[M+H]^+$ 676.2771. Found: 676.2783. IR ($CHCl_3$): 3126, 3094, 3032, 1720, 1613, 1510, 1588, 1572, 1561, 1496, 1419, 1389, 1326, 1269, 1251, 1179, 1121, 1103, 1020, 997, 841, 817, 691, 817, 647.

6.15. 6-[2-(Dimethylamino)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (9a)

Yield 81%, yellowish foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.33 (s, 6H, CH_3N); 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 2.92 (t, 2H, J_{vic} = 7.5, CH_2N); 3.34 and 3.38 (2× dt, 2H, J_{gem} = 13.9, J_{vic} = 7.5, CH_2-pur); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.2, H-5′b); 4.81 (ddd, 1H, $J_{4',3'}$ = 4.7, $J_{4',5'}$ = 4.2, 3.3, H-4′); 4.88 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.3, H-5′a); 6.23 (dd, 1H, $J_{3',2'}$ = 5.7, $J_{3',4'}$ = 4.7, H-3′); 6.39 (dd, 1H, $J_{2',3'}$ = 5.7, $J_{2',1'}$ = 5.4, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.4, H-1′); 7.16, 7.21, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.90, and 8.00 (3× m, 3× 2H, H- *o*-Tol); 8.18 (s, 1H, H-8); 8.80 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.70 and 21.73 (CH_3-Tol); 31.04 (CH_2-pur); 45.24 (CH_3N); 57.57 (CH_2N); 63.50 (CH_2-5′); 71.40 (CH-3′); 73.65 (CH-2′); 80.94 (CH-4′); 86.79 (CH-1′); 125.67, 126.03, and 126.60 (C-*i*-Tol); 129.21, 129.24, and 129.31 (CH-*m*-Tol); 129.79, 129.86, and 129.88 (CH-*o*-Tol); 133.60 (C-5); 142.41 (CH-8); 144.18, 144.54, and 144.66 (C-*p*-Tol); 150.45 (C-4); 152.70 (CH-2); 161.57 (C-6); 165.17, 165.38, and 166.21 (CO). FAB-MS, *m*/*z* (rel %) = 678 (10) $[M+H]^+$, 486 (10), 215 (20), 142 (8), 119 (100), 91 (25). HRMS Calcd for $C_{38}H_{40}N_5O_7$ $[M+H]^+$ 678.2927. Found: 678.2920. IR ($CHCl_3$): 3117, 3095, 3064, 3037, 2826, 2783, 1725, 1612, 1597, 1584, 1510, 1498, 1409, 1378, 1334, 1311, 1297, 1180, 1126, 1114, 1094, 1020, 840, 691, 645, 476.

6.16. 6-[2-(Diethylamino)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (9b)

Yield 84%, yellowish foam. ^{1}H NMR (400 MHz, $CDCl_3$): 1.09 (br t, 6H, J_{vic} = 7.4, CH_3CH_2); 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 2.67 (br m, 4H, CH_2CH_3); 3.10 (br m, 2H, CH_2N); 3.34 (br m, 2H, CH_2-pur); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.2, H-5′b); 4.81 (ddd, 1H, $J_{4',3'}$ = 4.8, $J_{4',5'}$ = 4.2, 3.1, H-4′); 4.88 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.1, H-5′a); 6.23 (dd, 1H, $J_{3',2'}$ = 5.9, $J_{3',4'}$ = 4.8, H-3′); 6.39 (dd, 1H, $J_{2',3'}$ = 5.9, $J_{2',1'}$ = 5.3, H-2′); 6.46 (d, 1H, $J_{1',2'}$ = 5.3, H-1′); 7.16, 7.21, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.90, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.17 (s, 1H, H-8); 8.79 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 11.94 (CH_3CH_2); 21.70 and 21.73 (CH_3-Tol); 29.66 (CH_2-pur); 46.88 (CH_2CH_3); 50.71 (CH_2N); 63.46 (CH_2-5′); 71.37 (CH-3′); 73.66 (CH-2′); 80.91 (CH-4′); 86.84 (CH-1′); 125.68, 126.03, and 126.60 (C-*i*-Tol); 129.22, 129.25, and 129.31 (CH-*m*-Tol); 129.79 and 129.87 (CH-*o*-Tol); 133.55 (C-5); 142.38 (CH-8); 144.19, 144.55, and 144.67 (C-*p*-Tol); 150.38 (C-4); 152.68 (CH-2); 161.88 (C-6); 165.17, 165.38, and 166.22 (CO). FAB-MS, *m*/*z* (rel %) = 706 (7) $[M+H]^+$, 147 (10), 119 (30), 109 (5), 93 (8) 86 (100), 69 (8). HRMS Calcd for $C_{40}H_{44}N_5O_7$ [M+H]: 706.3240. Found: 706.3249. IR ($CHCl_3$): 3117, 3095, 3065, 3038, 1727, 1612, 1597, 1589, 1510, 1498, 1409, 1379, 1334, 1311, 1297, 1248, 1180, 1126, 1114, 1093, 1045, 1020, 840, 691, 476.

6.17. 6-[2-(Dibutylamino)ethyl-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (9c)

Yield 80%, yellow foam. ^{1}H NMR (400 MHz, $CDCl_3$): 0.88 (t, 6H, J_{vic} = 7.3, $CH_3CH_2CH_2CH_2$); 1.26 (m, 4H, $CH_3CH_2CH_2CH_2$); 1.43 (m, 4H, $CH_3CH_2CH_2CH_2$); 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 2.51 (m, 4H, $CH_3CH_2CH_2CH_2$); 3.05 (m, 2H, CH_2N); 3.31 (m, 2H, CH_2-pur); 4.67 (dd, 1H, J_{gem} = 12.1, $J_{5'b,4'}$ = 4.1, H-5′b); 4.81 (ddd, 1H, $J_{4',3'}$ = 4.7, $J_{4',5'}$ = 4.1, 3.1, H-4′);

4.88 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.1, H-5′a); 6.23 (dd, 1H, $J_{3',2'}$ = 5.8, $J_{3',4'}$ = 4.7, H-3′); 6.39 (dd, 1H, $J_{2',3'}$ = 5.8, $J_{2',1'}$ = 5.5, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.5, H-1′); 7.16, 7.21, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.90, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.17 (s, 1H, H-8); 8.79 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 14.06 ($CH_3CH_2CH_2CH_2$); 20.65 ($CH_3CH_2CH_2CH_2$); 21.69 and 21.72 (CH_3-Tol); 29.47 ($CH_3CH_2CH_2CH_2$); 30.04 (CH_2-pur); 52.17 (CH_2N); 53.59 ($CH_3CH_2CH_2CH_2$); 63.48 (CH_2-5′); 71.38 (CH-3′); 73.64 (CH-2′); 80.91 (CH-4′); 86.78 (CH-1′); 125.68, 126.03, and 126.60 (C-*i*-Tol); 129.21, 129.24, and 129.31 (CH-*m*-Tol); 129.78 and 129.86 (CH-*o*-Tol); 133.56 (C-5); 142.25 (CH-8); 144.17, 144.53, and 144.65 (C-*p*-Tol); 150.32 (C-4); 152.64 (CH-2); 162.36 (C-6); 165.16, 165.38, and 166.21 (CO). FAB-MS, *m*/*z* (rel %) = 762 (15) $[M+H]^+$, 215 (6), 197 (4), 180 (6), 142 (100), 119 (84), 100 (10), 91 (15), 73 (14), 57 (22). HRMS Calcd for $C_{44}H_{52}N_5O_7$ $[M+H]^+$ 762.3866. Found: 762.3877. IR ($CHCl_3$): 3117, 3095, 3065, 3035, 1727, 1612, 1597, 1585, 1510, 1498, 1409, 1334, 1311, 1297, 1246, 1180, 1126, 1093, 1044, 1020, 840, 691, 645, 476.

6.18. 6-[2-(Piperidine-1-yl)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (9d)

Yield 75%, yellow foam. ^{1}H NMR (500 MHz, $CDCl_3$): 1.44 and 1.61 (2× br m, 6H, CH_2-pip); 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 2.56 (br m, 4H, J_{vic} = 6.2, CH_2N-pip); 2.96 (br m, 2H, CH_2–N); 3.41 (br m, 2H, CH_2-pur); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.2, H-5′b); 4.81 (td, 1H, $J_{4',3'}$ = 4.7, $J_{4',5'}$ = 4.2, 3.2, H-4′); 4.88 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.2, H-5′a); 6.23 (dd, 1H, $J_{3',2'}$ = 5.8, $J_{3',4'}$ = 4.7, H-3′); 6.39 (t, 1H, $J_{2',3'}$ = 5.8, $J_{2',1'}$ = 5.4, H-2′); 6.46 (d, 1H, $J_{1',2'}$ = 5.4, H-1′); 7.16, 7.21, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.81, 7.90, and 7.99 (3× m, 3× 2H, H-*o*-Tol); 8.17 (s, 1H, H-8); 8.78 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.70 and 21.72 (CH_3-Tol); 24.22 and 25.82 (CH_2-pip); 30.20 (CH_2-pur); 54.09 (CH_2N-pip); 57.12 (CH_2N); 63.44 (CH_2-5′); 71.37 (CH-3′); 73.65 (CH-2′); 80.92 (CH-4′); 86.85 (CH-1′); 125.67, 126.03, and 126.59 (C-*i*-Tol); 129.21, 129.24, and 129.31 (CH-*m*-Tol); 129.78, 129.86, and 129.88 (CH-*o*-Tol); 133.49 (C-5); 142.39 (CH-8); 144.19, 144.55, and 144.67 (C-*p*-Tol); 150.38 (C-4); 152.66 (CH-2); 161.70 (C-6); 165.17, 165.38, and 166.21 (CO). FAB-MS, *m*/*z* (rel %) = 718 (18) $[M+H]^+$, 215 (4) 119 (62), 98 (100). HRMS Calcd for $C_{41}H_{44}N_5O_7$ $[M+H]^+$ 718.3240. Found: 718.3223. IR ($CHCl_3$): 2940, 2858, 2807, 1727, 1612, 1597, 1585, 1510, 1498, 1409, 1335, 1298, 1280, 1268, 1247, 1180, 1156, 1094, 1021, 840, 691, 645, 476.

6.19. 6-[2-(Morpholine-4-yl)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (9e)

Yield 82%, yellowish foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 2.58 (m, 4H, CH_2N-morph); 2.97 (t, 2H, J_{vic} = 7.6, CH_2N); 3.39 (m, 2H, CH_2-pur); 3.69 (m, 4H, CH_2O-morph); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.2, H-5′b); 4.82 (ddd, 1H, $J_{4',3'}$ = 4.8, $J_{4',5'}$ = 4.2, 3.1, H-4′); 4.89 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.1, H-5′a); 6.23 (dd, 1H, $J_{3',2'}$ = 5.7, $J_{3',4'}$ = 4.8, H-3′); 6.40 (dd, 1H, $J_{2',3'}$ = 5.7, $J_{2',1'}$ = 5.3, H-2′); 6.46 (d, 1H, $J_{1',2'}$ = 5.3, H-1′); 7.16, 7.22, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.90, and 7.99 (3× m, 3× 2H, H-*o*-Tol); 8.17 (s, 1H, H-8); 8.79 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.69 and 21.72 (CH_3-Tol); 30.38 (CH_2-pur); 53.36 (CH_2N-morph); 55.82 (CH_2N); 63.44 (CH_2-5′); 66.93 (CH_2O-morph); 71.35 (CH-3′); 73.66 (CH-2′); 80.89 (CH-4′); 86.93 (CH-1′); 125.65, 126.00, and 126.58 (C-*i*-Tol); 129.22, 129.25, and 129.30 (CH-*m*-Tol); 129.78 and 129.86 (CH-*o*-Tol); 133.57 (C-5); 142.46 (CH-8); 144.19, 144.56, and 144.70 (C-*p*-Tol); 150.38 (C-4); 152.64 (CH-2); 161.43 (C-6); 165.18, 165.37, and 166.19 (CO). FAB-MS, *m*/*z* (rel %) = 720 (10) $[M+H]^+$, 706 (60), 487 (10), 274 (20), 230 (8), 215 (32) 177 (12), 163 (14), 119 (100), 100 (28), 86 (98)91. HRMS Calcd for $C_{40}H_{42}N_5O_8$ [M+H]: 720.3033. Found: 720.3049. IR ($CHCl_3$): 3117, 3095, 3065, 3033, 2970, 2925, 2899, 2863, 1727, 1612, 1598, 1586, 1510, 1498, 1409, 1375, 1335, 1311, 1297, 1246, 1180, 1126, 1071, 868, 645.

6.20. 6-[2-(Benzyl(methyl)amino)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (9f)

Yield 78%, white foam. ^{1}H NMR (500 MHz, $CDCl_3$): 2.29 (s, 3H, CH_3N); 2.38, 2.41, and 2.42 (3× s, 3× 3H, CH_3-Tol); 3.02 (t, 2H, J_{vic} = 7.5, CH_2N); 3.39 and 3.42 (2× dt, 2H, J_{gem} = 13.5, J_{vic} = 7.5, CH_2-pur); 3.58 (s, 2H, CH_2Ph); 4.67 (dd, 1H, J_{gem} = 12.3, $J_{5'b,4'}$ = 4.2, H-5′b); 4.82 (ddd, 1H, $J_{4',3'}$ = 4.7, $J_{4',5'}$ = 4.2, 3.1, H-4′); 4.89 (dd, 1H, J_{gem} = 12.3, $J_{5'a,4'}$ = 3.1, H-5′a); 6.24 (dd, 1H, $J_{3',2'}$ = 5.8, $J_{3',4'}$ = 4.7, H-3′); 6.40 (dd, 1H, $J_{2',3'}$ = 5.8, $J_{2',1'}$ = 5.4, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.4, H-1′); 7.14-7.26 (m, 11H, H-*m*-Tol, H-*o,m,p*-Ph); 7.82, 7.91, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.14 (s, 1H, H-8); 8.78 (s, 1H, H-2). ^{13}C NMR (125.7 MHz, $CDCl_3$): 21.70 and 21.73 (CH_3-Tol); 31.02 (CH_2-pur); 41.86 (CH_3N); 55.59 (CH_2N); 61.80 (CH_2Ph); 63.48 (CH_2-5′); 71.38 (CH-3′); 73.64 (CH-2′); 80.90 (CH-4′); 86.78 (CH-1′); 125.65, 126.01, and 126.57 (C-*i*-Tol); 126.80 (CH-*p*-Ph); 128.05 (CH-*o*-Ph); 128.93 (CH-*m*-Ph); 129.21, 129.24, and 129.31 (CH-*m*-Tol); 129.78, 129.86, and 129.88 (CH-*o*-Tol); 133.57 (C-5); 138.89 (C-*i*-Ph); 142.30 (CH-8); 144.18, 144.55, and 144.67 (C-*p*-Tol); 150.34 (C-4); 152.61 (CH-2); 161.90 (C-6); 165.17, 165.38, and 166.21 (CO). FAB-MS, *m*/*z* (rel %) = 754 (40) $[M+H]^+$, 266 (12), 215 (24), 181 (18), 119 (100), 91 (60), HRMS Calcd for $C_{44}H_{44}N_5O_7$ $[M+H]^+$ 754.3240. Found: 754.3227. IR ($CHCl_3$): 3117, 3063, 3034, 2981, 2807, 1727, 1612, 1598, 1585, 1510, 1499, 1409, 1378, 1311, 1297, 1247, 1180, 1126, 1114, 1093, 1020, 840, 691, 645.

6.21. 6-[2-(Thiazolidine-1-yl)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (9g)

Yield 79%, white foam. ^{1}H NMR (500 MHz, $CDCl_3$): 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 2.89 (t, 2H, J_{vic} = 6.2, H-5-thiazolidine); 3.01 (t, 2H, J_{vic} = 7.2, CH_2N); 3.10 (t, 2H, J_{vic} = 6.2, H-4-thiazolidine); 3.36 and 3.39 (2× dt, 2H, J_{gem} = 14.1, J_{vic} = 7.2, CH_2-pur); 4.12 (s, 2H, H-2-

thiazolidine); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.2, H-5′b); 4.82 (ddd, 1H, $J_{4',3'}$ = 4.8, $J_{4',5'}$ = 4.2, 3.2, H-4′); 4.89 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.2, H-5′a); 6.23 (dd, 1H, $J_{3',2'}$ = 5.8, $J_{3',4'}$ = 4.8, H-3′); 6.39 (dd, 1H, $J_{2',3'}$ = 5.8, $J_{2',1'}$ = 5.4, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.4, H-1′); 7.16, 7.22, and 7.25 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.90, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.18 (s, 1H, H-8); 8.80 (s, 1H, H-2). ^{13}C NMR (125.7 MHz, $CDCl_3$): 21.71 and 21.73 (CH_3-Tol); 29.55 (CH_2-5-thiazolidine); 32.85 (CH_2-pur); 50.98 (CH_2N); 57.99 (CH_2-4-thiazolidine); 60.50 (CH_2-2-thiazolidine); 63.46 (CH_2-5′); 71.35 (CH-3′); 73.66 (CH-2′); 80.91 (CH-4′); 86.86 (CH-1′); 125.63, 125.99, and 126.57 (C-*i*-Tol); 129.22, 129.25, and 129.31 (CH-*m*-Tol); 129.78, 129.85, and 129.87 (CH-*o*-Tol); 133.68 (C-5); 142.54 (CH-8); 144.20, 144.56, and 144.69 (C-*p*-Tol); 150.46 (C-4); 152.66 (CH-2); 160.96 (C-6); 165.18, 165.37, and 166.20 (CO). FAB-MS, *m*/*z* (rel %) = 722 (38) $[M+H]^+$, 487 (42), 215 (10), 119 (100), 102 (20). HRMS Calcd for $C_{39}H_{40}N_5O_7S$ $[M+H]^+$ 722.2648. Found: 722.2660. IR ($CHCl_3$): 3020, 2981, 2869, 1727, 1624, 1612, 1588, 1573, 1564, 1510, 1497, 1438, 1421, 1390, 1332, 1327, 1280, 1268, 1244, 1180, 1127, 1114, 1094, 1020,997, 974, 839, 691, 477.

6.22. 6-[2-(Dimethylamino)ethyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (10a)

Yield 96%, white foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.33 (s, 6H, CH_3N); 2.41 and 2.45 (2× s, 2× 3H, CH_3-Tol); 2.84 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.8, $J_{2'b,3'}$ = 2.0, H-2′b); 2.93 (t, 2H, J_{vic} = 7.3, CH_2N); 3.19 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.4, $J_{2'a,3'}$ = 6.4, H-2′a); 3.38 (t, 2H, J_{vic} = 7.3, CH_2-pur); 4.65 (m, 2H, H-4′, H-5′b); 4.78 (m, 1H, H-5′a); 5.83 (dt, 1H, $J_{3',2'}$ = 6.4, 2.1, $J_{3',4'}$ = 2.0, H-3′); 6.60 (dd, 1H, $J_{1',2'}$ = 8.4, 5.8, H-1′); 7.22 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.91 and 7.98 (2× m, 2× 2H, H-*o*-Tol); 8.20 (s, 1H, H-8); 8.84 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.67 and 21.73 (CH_3-Tol); 31.01 (CH_2-pur); 37.70 (CH_2-2′); 45.30 (CH_3N); 57.63 (CH_2–N); 63.99 (CH_2-5′); 75.10 (CH-3′); 83.04 (CH-4′); 84.84 (CH-1′); 126.38 and 126.66 (C-*i*-Tol); 129.25 and 129.27 (CH-*m*-Tol); 129.64 and 129.80 (CH-*o*-Tol); 133.62 (C-5); 141.96 (CH-8); 144.12 and 144.52 (C-*p*-Tol); 150.25 (C-4); 152.45 (CH-2); 161.49 (C-6); 165.92 and 166.14 (CO). FAB-MS, *m*/*z* (rel %) = 544 (20) $[M+H]^+$, 190 (20), 147 (10), 119 (35), 91 (14), 81 (20), 58 (100). HRMS Calcd for $C_{30}H_{34}N_5O_5$ $[M+H]^+$ 544.2559. Found: 544.2541. IR ($CHCl_3$): 3095, 3064, 3033, 2825, 2782, 1721, 1612, 1597, 1583, 1509, 1497, 1444, 1420, 1408, 1377 1333, 1311, 1246, 1179, 1121, 1102, 1020, 948, 841, 691, 646, 476.

6.23. 6-[2-(Dibutylamino)ethyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (10c)

Yield 88%, yellowish foam. ^{1}H NMR (400 MHz, $CDCl_3$): 0.88 (t, 6H, J_{vic} = 7.3, CH_3CH$_2$CH$_2$CH$_2$N); 1.26 and 1.43 (2× m, 8H, CH$_3$CH_2CH_2CH$_2$N); 2.40 and 2.44 (2× s, 2× 3H, CH_3-Tol); 2.51 (t, 4H, J_{vic} = 7.6, CH$_3$CH$_2$CH$_2$CH_2N); 2.84 (ddd, 1H, J_{gem} = 14.1, $J_{2'b,1'}$ = 5.8, $J_{2'b,3'}$ = 2.1, H-2′b); 3.05 (t, 2H, J_{vic} = 7.6, CH_2N); 3.20 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.4, $J_{2'a,3'}$ = 6.4, H-2′a); 3.32 (t, 2H, J_{vic} = 7.6, CH_2-pur); 4.67 (m, 2H, H-4′, H-5′b); 4.78 (m, 1H, H-5′a); 5.83 (dt, 1H, $J_{3',2'}$ = 6.4, 2.1, H-3′); 6.59 (dd, 1H, $J_{1',2'}$ = 8.4, 5.8, H-1′); 7.20 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.88 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.18 (s, 1H, H-8); 8.82 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 14.06 (CH_3CH$_2$CH$_2$CH$_2$N); 20.63 (CH$_3$CH_2CH$_2$CH$_2$N); 21.66 and 21.72 (CH_3-Tol); 29.47 (CH$_3$CH$_2$CH_2CH$_2$N); 30.06 (CH_2-pur); 37.68 (CH_2-2′); 52.18 (CH_2–N); 53.59 (CH$_3$CH$_2$CH$_2$CH_2N); 63.97 (CH_2-5′); 75.08 (CH-3′); 82.99 (CH-4′); 84.78 (CH-1′); 126.37 and 126.65 (C-*i*-Tol); 129.23 and 129.26 (CH-*m*-Tol); 129.62 and 129.78 (CH-*o*-Tol); 133.56 (C-5); 141.81 (CH-8); 144.10 and 144.50 (C-*p*-Tol); 150.12 (C-4); 152.36 (CH-2); 162.23 (C-6); 165.90 and 166.13 (CO). FAB-MS, *m*/*z* (rel %) = 628 (6) $[M+H]^+$, 274 (8), 232 (12), 142 (100), 119 (44), 98 (24), 81 (22), 70 (7), 87 (26). HRMS Calcd for $C_{36}H_{46}N_5O_5$ $[M+H]^+$ 628.3498. Found: 628.3482. IR ($CHCl_3$): 3095, 3063, 3033, 2814, 1612, 1597, 1582, 1510, 1496, 1420, 1408, 1378, 1333, 1311, 1269, 1248, 1179, 1120, 1103, 1020, 841, 691, 646, 476.

6.24. 6-[2-(Morpholine-4-yl)ethyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (10e)

Yield 84%, white foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.41 and 2.45 (2× s, 2× 3H, CH_3-Tol); 2.57 (m, 4H, J_{vic} = 4.5, CH_2N-morph); 2.84 (ddd, 1H, J_{gem} = 14.1, $J_{2'b,1'}$ = 5.8, $J_{2'b,3'}$ = 2.1, H-2′b); 2. 96 (t, 2H, J_{vic} = 7.7, CH_2N); 3.22 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.4, $J_{2'a,3'}$ = 6.4, H-2′a); 3.39 (t, 2H, J_{vic} = 7.7, CH_2-pur); 3.69 (t, 4H, J_{vic} = 4,5, CH_2O-morph); 4.65 (m, 2H, H-4′, H-5′b); 4.78 (m, 1H, H-5′a); 5.83 (dt, 1H, $J_{3',2'}$ = 6.4, 2.1, $J_{3',4'}$ = 2.0, H-3′); 6.60 (dd, 1H, $J_{1',2'}$ = 8.4, 5.8, H-1′); 7.22 and 7.28 (2× m, 2× 2H, H-*m*-Tol); 7.91 and 7.98 (2× m, 2× 2H, H-*o*-Tol); 8.20 (s, 1H, H-8); 8.82 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.66 (CH_3-Tol); 30.35 (CH_2-pur); 37.66 (CH_2-2′); 53.36 (CH_2N-morph); 56.82 (CH_2–N); 63.94 (CH_2-5′); 66.92 (CH_2O-morph); 75.04 (CH-3′); 83.02 (CH-4′); 84.81 (CH-1′); 126.34 and 126.63 (C-*i*-Tol); 129.24 and 129.26 (CH-*m*-Tol); 129.62 and 129.80 (CH-*o*-Tol); 133.55 (C-5); 142.00 (CH-8); 144.12 and 144.52 (C-*p*-Tol); 150.20 (C-4); 152.37 (CH-2); 161.27 (C-6); 165.90 and 166.11 (CO). FAB-MS, *m*/*z* (rel %) = 586 (24) $[M+H]^+$, 315 (12), 232 (14), 171 (10), 147 (14), 119 (100), 98 (36), 91 (32), 81 (42). HRMS Calcd for $C_{32}H_{36}N_5O_6$ $[M+H]^+$ 586.2665. Found: 586.2680. IR ($CHCl_3$): 3095, 3031, 3010, 2968, 2821, 1721, 1612, 1509, 1456, 1446, 1397, 1376, 1318, 1311, 1295, 1269, 1250, 1179, 1115, 1103, 1071, 1036, 1020, 1008, 840, 691, 475.

6.25. 6-[2-(Benzyl(methyl)amino)ethyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (10f)

Yield 90%, yellowish foam. ^{1}H NMR (500 MHz, $CDCl_3$): 2. 30 (s, 3H, CH_3N); 2.40 and 2.44 (2× s, 2× 3H, CH_3-Tol); 2.84 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.8, $J_{2'b,3'}$ = 2.0, H-2′b); 3.03 (t, 2H, J_{vic} = 7.5 CH_2–N); 3.21 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.4, $J_{2'a,3'}$ = 6.4, H-

2′a); 3.40 and 3.44 (2× dt, 2H, J_{gem} = 13.5, J_{vic} = 7.5, CH_2-pur); 3.58 (s, 2H, CH_2Ph); 4.67 (m, 2H, H-4′, H-5′b); 4.78 (m, 1H, H-5′a); 5.84 (dt, 1H, $J_{3',2'}$ = 6.4, 2.0, $J_{3',4'}$ = 2.2, H-3′); 6.60 (dd, 1H, $J_{1',2'}$ = 8.4, 5.8, H-1′); 7.21 and 7.29 (2× m, 9H, H-*m*-Tol, H-Ph); 7.92 and 7.97 (2× m, 2× 2H, H-*o*-Tol); 8.17 (s, 1H, H-8); 8.82 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.67 and 21.73 (CH_3-Tol); 31.01 (CH_2-pur); 35.97 (CH_3N); 37.70 (CH_2-2′); 55.60 (CH_2–N); 61.79 (CH_2Ph); 63.98 (CH_2-5′); 75.09 (CH-3′); 83.01 (CH-4′); 84.77 (CH-1′); 126.37 and 126.65 (C-*i*-Tol); 126.78 (CH-*o*-Ph); 128.03 (CH-*p*-Ph); 128.90 (CH-*m*-Ph); 129.24 and 129.26 (CH-*m*-Tol); 129.62 and 129.79 (CH-*o*-Tol); 133.58 (C-5); 138.92 (C-*i*-Ph); 141.85 (CH-8); 144.12 and 144.52 (C-*p*-Tol); 150.16 (C-4); 152.35 (CH-2); 161.77 (C-6); 165.91 and 166.13 (CO). FAB-MS, *m*/*z* (rel %) = 620 (47) $[M+H]^+$, 266 (7), 176 (8), 147 (16), 134 (100), 119 (64), 91 (75), 81 (36). HRMS Calcd for $C_{36}H_{38}N_5O_5$ $[M+H]^+$ 620.2872. Found: 620.2860. IR ($CHCl_3$): 3087, 3065, 3031, 2796, 1721, 1612, 1597, 1583, 1509, 1495, 1454, 1438, 1420, 1408, 1378, 1333, 1250, 1179, 1121, 1075, 1027, 1020, 841, 700, 692, 646, 476.

6.26. General method of nucleophilic addition to thiols, method A

Ethynylpurines **7** or **8** (1.5 mmol) or vinylpurines **1** or **2** (1.5 mmol) were stirred in dry THF at room temperature with thiol (4.5 mmol) in the presence of catalytic amount of NaH. The resulting mixture was concentrated under reduced pressure and the residue was purified by column chromatography (silica gel, hexane/ethyl acetate).

6.27. 6-[(1,3-Dithiolan-2-yl)methyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (5)

Yield 90%, white foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 3.20-3.39 (m, 4H, CH_2–S); 3.69 and 3.73 (2× dd, 2H, J_{gem} = 14.7, J_{vic} = 7.5, CH_2-pur); 4.67 (dd, 1H, J_{gem} = 12.2, $J_{5'b,4'}$ = 4.1, H-5′b); 4.81 (td, 1H, $J_{4',3'}$ = 4.6, $J_{4',5'}$ = 4.1, 3.1, H-4′); 4.89 (dd, 1H, J_{gem} = 12.2, $J_{5'a,4'}$ = 3.1, H-5′a); 5.31 (t, 1H, J_{vic} = 7.5, S–CH–S); 6.22 (dd, 1H, $J_{3',2'}$ = 5.8, $J_{3',4'}$ = 4.6, H-3′); 6.38 (t, 1H, $J_{2',3'}$ = 5.8, $J_{2',1'}$ = 5.4, H-2′); 6.48 (d, 1H, $J_{1',2'}$ = 5.4, H-1′); 7.16, 7.21, and 7.26 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.90, and 7.99 (3× m, 3× 2H, H-*o*-Tol); 8.20 (s, 1H, H-8); 8.83 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.70 and 21.73 (CH_3-Tol); 38.65 (CH_2–S); 43.25 (CH_2-pur); 50.76 (S–CH–S); 63.46 (CH_2-5′); 71.39 (CH-3′); 73.70 (CH-2′); 81.00 (CH-4′); 86.80 (CH-1′); 125.66, 126.02, and 126.58 (C-*i*-Tol); 129.22, 129.25, and 129.34 (CH-*m*-Tol); 129.78, 129.87, and 129.89 (CH-*o*-Tol); 133.36 (C-5); 142.82 (CH-8); 144.21, 144.56, and 144.67 (C-*p*-Tol); 150.76 (C-4); 152.68 (CH-2); 159.27 (C-6); 165.17, 165.38, and 166.20 (CO). FAB-MS, *m*/*z* (rel %) = 725 (52) $[M+H]^+$, 487 (12), 215 (14), 119 (100), 105 (10), 91 (20). HRMS Calcd for $C_{38}H_{37}N_4O_7S_2$ $[M+H]^+$ 725.2155. Found: 725.2130. IR ($CHCl_3$): 3036, 2996, 2928, 1727, 1612, 1597, 1510, 1498, 1455, 1409, 1379, 1334, 1311, 1267, 1200, 1180, 1126, 1114, 1093, 1041, 1020, 839, 644, 620.

6.28. 6-[(1,3-Dithiolan-2-yl)methyl]-9-(2-deoxy-3,5-di-*O*-toluoyl-β-D-*erythro*-pentafuranosyl)purine (6)

Yield 84%, white foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.41 and 2.45 (2× s, 2× 3H, CH_3-Tol); 2.85 (ddd, 1H, J_{gem} = 14.2, $J_{2'b,1'}$ = 5.8, $J_{2'b,3'}$ = 2.1, H-2′b); 3.19 (ddd, 1H, J_{gem} = 14.2, $J_{2'a,1'}$ = 8.4, $J_{2'a,3'}$ = 6.3, H-2′a); 3.26 and 3.35 (2× m, 2× 2H, CH_2–S); 3.70 and 3.74 (2× dd, 2H, J_{gem} = 14.7, J_{vic} = 7.5, CH_2-pur); 4.63-4.70 (m, 2H, H-4′ and H-5′b); 4.77 (m, 1H, H-5′a); 5.33 (t, 1H, J_{vic} = 7.5, S–CH–S); 5.84 (dt, 1H, $J_{3',2'}$ = 6.3, 2.1, $J_{3',4'}$ = 2.1, H-3′); 6.60 (dd, 1H, $J_{1',2'}$ = 8.4, 5.8, H-1′); 7.23 and 7.29 (2× m, 2× 2H, H-*m*-Tol); 7.91 and 7.98 (2× m, 2× 2H, H-*o*-Tol); 8.22 (s, 1H, H-8); 8.87 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.69 and 21.74 (CH_3-Tol); 37.80 (CH_2-2′); 38.65 (CH_2–S); 43.24 (CH_2-pur); 50.82 (S–CH–S); 63.96 (CH_2-5′); 75.07 (CH-3′); 83.11 (CH-4′); 84.85 (CH-1′); 126.37 and 126.64 (C-*i*-Tol); 129.29 (CH-*m*-Tol); 129.64 and 129.82 (CH-*o*-Tol); 133.36 (C-5); 142.41 (CH-8); 144.18 and 144.56 (C-*p*-Tol); 150.58 (C-4); 152.42 (CH-2); 159.12 (C-6); 165.94 and 166.15 (CO). FAB-MS, *m*/*z* (rel %) = 613 (8), 591 (20) $[M+H]^+$, 3239 (45), 179 (12), 154 (7), 134 (10), 119 (100), 105 (36), 91 (22), 81 (87). HRMS Calcd for $C_{30}H_{31}N_4O_5S_2$ $[M+H]^+$ 591.1735. Found: 591.1724. IR ($CHCl_3$): 3126, 3095, 3064, 3034, 2995, 1721, 1612, 1597, 1585, 1509, 1495, 1420, 1407, 1379, 1333, 1269, 1246, 1179, 1120, 1102, 1020, 997, 841, 691, 841, 691, 646.

6.29. 6-[2-(Benzylsulfanyl)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (11a)

Yield 56%, white foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.38, 2.41, and 2.42 (3× s, 3× 3H, CH_3-Tol); 3.00 (t, 2H, J_{vic} = 7.7, CH_2–S); 3.44 and 3.48 (2× dt, 2H, J_{gem} = 14.1, J_{vic} = 7.7, CH_2-pur); 3.77 (s, 2H, CH_2Ph); 4.67 (dd, 1H, J_{gem} = 12.1, $J_{5'b,4'}$ = 4.1, H-5′b); 4.82 (ddd, 1H, $J_{4',3'}$ = 4.8, $J_{4',5'}$ = 4.1, 3.1, H-4′); 4.89 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.1, H-5′a); 6.22 (dd, 1H, $J_{3',2'}$ = 5.8, $J_{3',4'}$ = 4.8, H-3′); 6.39 (dd, 1H, $J_{2',3'}$ = 5.8, $J_{2',1'}$ = 5.4, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.4, H-1′); 7.14–7.34 (m, 11H, H-*m*-Tol, H-*o,m,p*-Ph); 7.82, 7.90, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.18 (s, 1H, H-8); 8.79 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.70 and 21.73 (CH_3-Tol); 29.15 (CH_2S); 32.85 (CH_2-pur); 36.12 (CH_2Ph); 63.47 (CH_2-5′); 71.39 (CH-3′); 73.69 (CH-2′); 80.96 (CH-4′); 86.84 (CH-1′); 125.66, 126.02, and 126.59 (C-*i*-Tol); 126.90 (CH-*p*-Ph); 128.45 (CH-*o*-Ph); 128.89 (CH-*m*-Ph); 129.22, 129.25, and 129.33 (CH-*m*-Tol); 129.78, 129.87, and 129.88 (CH-*o*-Tol); 133.47 (C-5); 138.18 (C-*i*-Ph); 142.54 (CH-8); 144.21, 144.56, and 144.68 (C-*p*-Tol); 150.54 (C-4); 152.68 (CH-2); 160.84 (C-6); 165.18, 165.38, and 166.20 (CO). FAB-MS, *m*/*z* (rel %) = 779 (40), 757 (48) $[M+H]^+$, 665 (14), 487 (12), 215 (12), 119 (100), 91 (20). HRMS Calcd for $C_{43}H_{41}N_4O_7S$ $[M+H]^+$ 757.2695. Found: 757.2715. IR ($CHCl_3$): 3087, 3065, 3033, 1727, 1612, 1597, 1585, 1578, 1510, 1496, 1409, 1379, 1334, 1311,

1298, 1281, 1267, 1247, 1180, 1126, 1114, 1093, 1020, 840, 702, 691, 645.

6.30. 6-(2-{[(Methoxycarbonyl)methyl]sulfanyl}ethyl)-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (11b)

Yield 57%, yellowish foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 3.23 (t, 2H, J_{vic} = 7.4, CH_2–S); 3.32 (s, 2H, CH_2CO); 3.49 and 3.52 (2× dt, 2H, J_{gem} = 14.6, J_{vic} = 7.4, CH_2-pur); 3.73 (s, 3H, CH_3O); 4.67 (dd, 1H, J_{gem} = 12.3, $J_{5'b,4'}$ = 4.3, H-5′b); 4.82 (ddd, 1H, $J_{4',3'}$ = 4.7, $J_{4',5'}$ = 4.3, 3.1, H-4′); 4.89 (dd, 1H, J_{gem} = 12.3, $J_{5'a,4'}$ = 3.1, H-5′a); 6.22 (dd, 1H, $J_{3',2'}$ = 5.7, $J_{3',4'}$ = 4.7, H-3′); 6.39 (dd, 1H, $J_{2',3'}$ = 5.7, $J_{2',1'}$ = 5.3, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.3, H-1′); 7.16, 7.22, and 7.26 (3× m, 3× 2H, H-*m*-Tol); 7.82, 7.90, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.18 (s, 1H, H-8); 8.80 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.69 (CH_3-Tol); 30.28 (CH_2S); 32.47 (CH_2-pur); 33.26 (CH_2CO); 52.38 (CH_3O); 63.46 (CH_2-5′); 71.38 (CH-3′); 73.68 (CH-2′); 80.97 (CH-4′); 86.85 (CH-1′); 125.65, 126.01, and 126.58 (C-*i*-Tol); 129.22, 129.25, and 129.32 (CH-*m*-Tol); 129.78, 129.86, and 129.88 (CH-*o*-Tol); 133.50 (C-5); 142.65 (CH-8); 144.21, 144.56, and 144.69 (C-*p*-Tol); 150.57 (C-4); 152.69 (CH-2); 160.38 (C-6); 165.17, 165.37, and 166.20 (CO-Tol); 170.77 (COOMe). FAB-MS, *m*/*z* (rel %) = 762 (12), 739 (45) $[M+H]^+$, 487 (12), 215 (10), 119 (100), 91 (14). HRMS Calcd for $C_{39}H_{39}N_4O_9S$ $[M+H]^+$ 739.2437. Found: 739.2421. IR ($CHCl_3$): 3117, 3094, 3031, 2955, 1729, 1612, 1597, 1585, 1510, 1498, 1438, 1409, 1379, 1334, 1311, 1297, 1280, 1267, 1180, 1127, 1114, 1093, 1042, 839, 691, 644.

6.31. 6-[2-(Benzothiazole-2-ylsulfanyl)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (11c)

Yield 87%, white foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 3.70 (m, 2H, CH_2-pur); 4.67 (dd, 1H, J_{gem} = 12.3, $J_{5'b,4'}$ = 4.3, H-5′b); 4.82 (ddd, 1H, $J_{4',3'}$ = 4.7, $J_{4',5'}$ = 4.3, 3.1, H-4′); 4.89 (dd, 1H, J_{gem} = 12.3, $J_{5'a,4'}$ = 3.1, H-5′a); 4.97 (m, 2H, CH_2–S); 6.20 (dd, 1H, $J_{3',2'}$ = 5.6, $J_{3',4'}$ = 4.7, H-3′); 6.34 (dd, 1H, $J_{2',3'}$ = 5.6, $J_{2',1'}$ = 5.3, H-2′); 6.45 (d, 1H, $J_{1',2'}$ = 5.3, H-1′); 7.14–7.31 (m, 9H, H-4,5,6-benzothiazole and H-*m*-Tol); 7.41 (ddd, 1H, $J_{7,6}$ = 7.7, $J_{7,5}$ = 1.1, $J_{7,4}$ = 0.7, H-7-benzothiazole); 7.82, 7.91, and 8.00 (3× m, 3× 2H, H-*o*-Tol); 8.18 (s, 1H, H-8); 8.83 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.73 and 21.75 (CH_3-Tol); 30.13 (CH_2-pur); 44.25 (CH_2S); 63.42 (CH_2-5′); 71.36 (CH-3′); 73.71 (CH-2′); 81.00 (CH-4′); 86.94 (CH-1′); 112.32 (CH-4-benzothiazole); 121.24 (CH-7-benzothiazole); 124.62 (CH-6-benzothiazole); 125.66, 126.02, 126.04, and 126.60 (C-7a-benzothiazole and C-*i*-Tol); 126.87 (CH-5-benzothiazole); 129.27, 129.29, and 129.35 (CH-*m*-Tol); 129.81, 129.89, and 129.91 (CH-*o*-Tol); 133.83 (C-5); 141.33 (C-7a-benzothiazole); 142.95 (CH-8); 144.29, 144.61, and 144.76 (C-*p*-Tol); 150.57 (C-4); 152.77 (CH-2); 158.46 (C-6); 165.18, 165.40, and 166.22 (CO); 189.17 (C-2-benzothiazole). FAB-MS, *m*/*z* (rel %) = 822 (24), 800 (8) $[M+H]^+$, 633 (10), 487 (10), 215 (12), 147 (14), 119 (100), 91 (14). HRMS Calcd for $C_{43}H_{38}N_5O_7S_2$ $[M+H]^+$ 800.2212. Found: 800.2189. IR ($CHCl_3$): 3118, 3065, 3034, 2993, 1727, 1612, 1599, 1585, 1498, 1462, 1428, 1409, 1334, 1310, 1297, 1281, 1267, 1180, 1127, 1114, 1093, 1020, 996, 840, 691, 644, 477.

6.32. 6-[2-(Thiazoline-2-ylsulfanyl)ethyl]-9-(2,3,5-tri-*O*-toluoyl-β-D-ribofuranosyl)purine (11d)

Yield 87%, white foam. ^{1}H NMR (400 MHz, $CDCl_3$): 2.38 and 2.42 (2× s, 9H, CH_3-Tol); 3.20 (m, 2H, H-5-thiazole); 3.60 (t, 2H, J_{vic} = 7.2, CH_2-pur); 4.08 (m, 2H, H-4-thiazole); 4.34 (t, 2H, J_{vic} = 7.2, CH_2–S); 4.67 (dd, 1H, J_{gem} = 12.1, $J_{5'b,4'}$ = 4.3, H-5′b); 4.82 (ddd, 1H, $J_{4',3'}$ = 4.7, $J_{4',5'}$ = 4.3, 3.2, H-4′); 4.90 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.2, H-5′a); 6.21 (dd, 1H, $J_{3',2'}$ = 5.6, $J_{3',4'}$ = 4.7, H-3′); 6.38 (dd, 1H, $J_{2',3'}$ = 5.6, $J_{2',1'}$ = 5.4, H-2′); 6.47 (d, 1H, $J_{1',2'}$ = 5.4, H-1′); 7.16, 7.22, and 7.26 (3× m, 3× 3H, H-*m*-Tol); 7.80, 7.91, and 7.99 (3× m, 3× 2H, H-*o*-Tol); 8.20 (s, 1H, H-8); 8.80 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 21.70 and 21.71 (CH_3-Tol); 27.45 (CH_2-5-thiazole); 30.19 (CH_2-pur); 47.09 (CH_2S); 57.19 (CH_2-4-thiazole); 63.43 (CH_2-5′); 71.38 (CH-3′); 73.68 (CH-2′); 80.99 (CH-4′); 86.94 (CH-1′); 125.61, 125.99, and 126.57 (C-*i*-Tol); 129.23, 129.26, and 129.33 (CH-*m*-Tol); 129.78 and 129.87 (CH-*o*-Tol); 133.61 (C-5); 142.88 (CH-8); 144.25, 144.60, and 144.72 (C-*p*-Tol); 150.57 (C-4); 152.66 (CH-2); 159.15 (C-6); 165.19, 165.39, and 166.19 (CO); 196.88 (C-2-thiazole). FAB-MS, *m*/*z* (rel %) = 774 (48), 752 (8) $[M+H]^+$, 720 (10), 487 (10), 215 (12), 147 (12), 119 (100), 91 (12). HRMS Calcd for $C_{39}H_{38}N_5O_7S_2$ $[M+H]^+$ 752.2212. Found: 752.2233. IR ($CHCl_3$): 3117, 3095, 3034, 2989, 1727, 1612, 1598, 1585, 1509, 1499, 1488, 1455, 1420, 1410, 1375, 1367, 1334, 1297, 1281, 1267, 1248, 1180, 1126, 1114, 1093, 1020, 840, 691, 645, 476.

6.33. General method of nucleophilic addition of thiols, method B

A solution of 6-vinylpurines **7**, **8** (1.5 mmol) in THF (10 ml) was added to a mixture of sodium thiolate (15 mmol) in methanol (10 ml). The mixture was stirred at room temperature for one day. The resulting mixture was neutralized by HCl (2 M in MeOH). Inorganic salt was filtered and filtrate was concentrated under reduced pressure. The residue was purified by column chromatography (silica gel, chloroform/methanol) and crystallized from chloroform/heptane.

6.34. 6-[2-(Methylsulfanyl)ethyl]-9-(β-D-ribofuranosyl)purine (12e)

Yield 44%, white hygroscopic crystals. ^{1}H NMR (400 MHz, DMSO-d_6): 2.09 (s, 3H, CH_3); 3.03 (t, 2H, J_{vic} = 7.3, CH_2–S); 3.39 (t, 2H, J_{vic} = 7.3, CH_2-pur); 3.57 (ddd, 1H, J_{gem} = 11.9, $J_{5'b,OH}$ = 6.0, $J_{5'b,4'}$ = 4.1, H-5′b); 3.69 (ddd, 1H, J_{gem} = 11.9, $J_{5'a,OH}$ = 5.2, $J_{5'a,4'}$ = 3.9, H-5′a); 3.97 (q, 1H, $J_{4',5'}$ = 3.9, 4.1, $J_{4',3'}$ = 3.7, H-4′); 4.18 (q, 1H, $J_{3',2'}$ = 5.2, $J_{3',OH}$ = 4.9, $J_{3',4'}$ = 3.6, H-3′); 4.65 (q, 1H, $J_{2',OH}$ = 6.1, $J_{2',1'}$ = 5.8, $J_{2',3'}$ = 5.2, H-2′); 5.12 (t, 1H, $J_{OH,5'}$ = 6.0, 5.2, OH-5′); 5.24 (d, 1H, $J_{OH,3'}$ = 4.9, OH-3′); 5.53 (d, 1H,

$J_{OH,2'}$ = 6.1, OH-2′); 6.02 (d, 1H, $J_{1',2'}$ = 5.8, H-1′); 8.78 (s, 1H, H-2); 8.86 (s, 1H, H-8). ^{13}C NMR (100.6 MHz, DMSO-d_6): 14.41 (CH_3); 31.04 (CH_2-pur); 31.90 (CH_2–S); 61.24 (CH_2-5′); 70.30 (CH-3′); 73.50 (CH-2′); 85.67 (CH-4′); 87.50 (CH-1′); 132.78 (C-5); 144.23 (CH-8); 150.28 (C-4); 151.71 (CH-2); 159.69 (C-6). FAB-MS, *m/z* (rel %) = 327 (6) $[M+H]^+$, 250 (6), 231 (7), 209 (10), 195 (50). 179 (15), 161 (20), 147 (76), 134 (22), 120 (20), 109 (10), 73 (20), 61 (40), 52 (100). HRMS Calcd for $C_{13}H_{19}N_4O_4S$ $[M+H]^+$ 327.1127. Found: 327.1143. IR (KBr): 3496, 3401, 3204, 1604, 1587, 1499, 1438, 1420, 1405, 1381, 1338, 1216, 1123, 1096, 1063, 967, 955, 696, 639. Anal. Calcd for $C_{13}H_{18}N_4O_4S{\cdot}0.25H_2O$: C, 47.19; H, 5.64; N, 16.93; S, 9.69. Found: C, 47.59; H, 5.49; N, 16.56; S, 9.72. $[\alpha]_D$ −43.3 (*c* 0.30, MeOH).

6.35. 6-[2-(Methylsulfanyl)ethyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (13e)

Yield 94%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 2.09 (s, 3H, CH_3); 2.34 (ddd, 1H, J_{gem} = 13.3, $J_{2'b,1'}$ = 6.3, $J_{2'b,3'}$ = 3.4, H-2′b); 2.81 (ddd, 1H, J_{gem} = 13.3, $J_{2'a,1'}$ = 7.2, $J_{2'a,3'}$ = 6.0, H-2′a); 3.02 (t, 2H, J_{vic} = 7,3) 3.38 (t, 2H, J_{vic} = 7,3); 3.52 (dt, 1H, J_{gem} = 11.6, $J_{5'b,OH}$ = 5.6, $J_{5'b,4'}$ = 4.7, H-5′b); 3.63 (dt, 1H, J_{gem} = 11.6, $J_{5'a,OH}$ = 5.6, $J_{5'a,4'}$ = 4.7, H-5′a); 3.88 (td, 1H, $J_{4',5'}$ = 4.7, $J_{4',3'}$ = 3.0, H-4′); 4.45 (m, 1H, $J_{3',2'}$ = 6.0, 3.4, $J_{3',OH}$ = 4.2, $J_{3',4'}$ = 3.0, H-3′); 5.00 (t, 1H, $J_{OH,5'}$ = 6.3, OH-5′); 5.36 (d, 1H, $J_{OH,3'}$ = 4.2, OH-3′); 6.47 (dd, 1H, $J_{1',2'}$ = 7.2, 6.3, H-1′); 8.74 (s, 1H, H-2); 8.84 (s, 1H, H-2); ^{13}C NMR (100.6 MHz, DMSO-d_6): 14.41 (CH_3); 31.04 (CH_2-pur); 31.91 (CH_2S); 39.10 (C-2′); 61.51 (CH_2-5′); 70.61 (CH-3′); 83.64 (CH-1′); 87.91 (CH-4′); 132.77 (C-5); 143.12 (CH-8); 149.98 (C-4); 151.63 (CH-2); 159.56 (C-6). FAB-MS, *m/z* (rel %) = 311 (24) $[M+H]^+$, 295 (8), 274 (14), 257 (18), 249 (8), 215 (6), 202 (8), 195 (24), 149 (14), 115 (8), 57 (28). HRMS Calcd for $C_{13}H_{19}N_4O_3S$ $[M+H]^+$ 311.1177. Found: 311.1190. IR (KBr): 3612, 3294, 3116, 3076, 1598, 1585, 1438, 1499, 1419, 1400, 1335, 1322, 1105, 1094, 1059, 959, 816, 709, 703, 645. Anal. Calcd for $C_{13}H_{18}N_4O_3S{\cdot}0.25\ H_2O$: C, 49.59; H, 5.92; N, 17.76; S, 10.18. Found: C, 49.79; H, 5.72; N, 17.63; S, 10.04. $[\alpha]_D$ −8.7 (*c* 0.31, MeOH).

6.36. 6-(2-Methoxyethyl)-9-(β-D-ribofuranosyl)purine (12f)

A mixture of sodium methanolate (0.5 mmol) in methanol (20 ml) was added to a solution of 6-vinylpurine **7** (1.5 mmol) in THF (10 ml). The mixture was stirred at room temperature for one day. The resulting mixture was concentrated under reduced pressure. The residue was purified by column chromatography (silica gel, chloroform/methanol) to obtained 164 mg (35%) as white foam product. ^{1}H NMR (400 MHz, DMSO-d_6): 3.21 (s, 3H, CH_3–O); 3.34 (t, 2H, J_{vic} = 6.6, CH_2-pur); 3.57 (ddd, 1H, J_{gem} = 11.9, $J_{5'b,OH}$ = 6.0, $J_{5'b,4'}$ = 4.1, H-5′b); 3.69 (ddd, 1H, J_{gem} = 11.9, $J_{5'a,OH}$ = 5.2, $J_{5'a,4'}$ = 3.9, H-5′a); 3.89 (t, 2H, J_{vic} = 6.6, CH_2–O); 3.97 (q, 1H, $J_{4',5'}$ = 3.9, 4.1, $J_{4',3'}$ = 3.7, H-4′); 4.18 (q, 1H, $J_{3',2'}$ = 5.2, $J_{3',OH}$ = 4.9, $J_{3',4'}$ = 3.6, H-3′); 4.65 (q, 1H, $J_{2',OH}$ = 6.1, $J_{2',1'}$ = 5.8, $J_{2',3'}$ = 5.2, H-2′); 5.12 (t, 1H, $J_{OH,5'}$ = 6.0, 5.2, OH-5′); 5.24 (d, 1H, $J_{OH,3'}$ = 4.9, OH-3′); 5.53 (d, 1H, $J_{OH,2'}$ = 6.1, OH-2′); 6.02 (d, 1H, $J_{1',2'}$ = 5.8, H-1′); 8.77 (s, 1H, H-2); 8.84 (s, 1H, H-8). ^{13}C NMR (100.6 MHz, DMSO-d_6): 32.71 (CH_2-pur); 57.67 (CH_3–O); 61.25(CH_2-5′); 69.66 (CH_2–O); 70.30 (CH-3′); 73.50 (CH-2′); 85.65 (CH-4′); 87.51 (CH-1′); 132.99 (C-5); 144.15 (CH-8); 150.21 (C-4); 151.65 (CH-2); 159.12 (C-6). FAB-MS, *m/z* (rel %) = 311 (16) $[M+H]^+$, 221 (6), 189 (10). 179 (100), 161 (16), 147 (72), 135 (20), 120 (14), 109 (10), 94 (7), 73 (14), 57 (16). HRMS Calcd for $C_{13}H_{19}N_4O_5$ $[M+H]^+$ 311.1355. Found: 311.1368. IR (KBr): 3401, 3284, 3111, 2831, 1603, 1584, 1498, 1409, 1394, 1333, 1213, 1117, 1096, 1082, 1062, 646. Anal. Calcd for $C_{13}H_{18}N_4O_5{\cdot}0.25H_2O$: C, 49.60; H, 5.92; N, 17.80. Found: C, 49.82; H, 5.71; N, 17.39. $[\alpha]_D$ −48.0 (*c* 0.27, MeOH).

6.37. 6-(2-Methoxyethyl)-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (13f)

Yield 70%, white crystals. ^{1}H NMR (400 MHz, DMSO-d_6): 2.45 (ddd, 1H, J_{gem} = 13.3, $J_{2'b,1'}$ = 6.3, $J_{2'b,3'}$ = 3.4, H-2′b); 2.80 (ddd, 1H, J_{gem} = 13.3, $J_{2'a,1'}$ = 7.3, $J_{2'a,3'}$ = 5.9, H-2′a); 3.21 (3H, CH_3–O); 3.32 (t, 2H, J_{vic} = 6.6); 3.53 (ddd, 1H, J_{gem} = 11.7, $J_{5'b,OH}$ = 5.7, $J_{5'b,4'}$ = 4.7, H-5′b); 3.62 (ddd, 1H, J_{gem} = 11.7, $J_{5'a,OH}$ = 5.5, $J_{5'a,4'}$ = 4.9, H-5′a); 3.88 (t, 2H, J_{vic} = 6.6); 3.88 (td, 1H, $J_{4',5'}$ = 4.7, 4.9, $J_{4',3'}$ = 2.7, H-4′); 4.45 (m, 1H, $J_{3',2'}$ = 5.9, 3.4, $J_{3',OH}$ = 4.2, $J_{3',4'}$ = 2.7, H-3′); 5.00 (t, 1H, $J_{OH,5'}$ = 5.7, 5.5, OH-5′); 5.36 (d, 1H, $J_{OH,3'}$ = 4.2, OH-3′); 6.46 (dd, 1H, $J_{1',2'}$ = 7.3, 6.3, H-1′); 8.73 (s, 1H, H-2); 8.83 (s, 1H, H-2); ^{13}C NMR (100.6 MHz, DMSO-d_6): 32.71 (CH_2-pur); 39.11 (C-2′); 57.67 (CH_3–O); 61.52 (CH_2-5′); 69.70 (CH_2–O); 70.62 (CH-3′); 83.65 (CH-1′); 87.91 (CH-4′); 133.00 (C-5); 144.05 (CH-8); 149.92 (C-4); 151.57 (CH-2); 158.99 (C-6). FAB-MS, *m/z* (rel %) = 295 (100) $[M+H]^+$, 179 (65), 147 (15), 93 (7), 72 (6). HRMS Calcd for $C_{13}H_{19}N_4O_4$ $[M+H]^+$ 295.1406. Found: 295.1411. IR (KBr): 3325, 3194, 3116, 2833, 1598, 1581, 1500, 1446, 1382, 1340, 1329, 1207, 1116, 1106, 1065, 653. Anal. Calcd for $C_{13}H_{18}N_4O_4$: C, 53.05; H, 6.16; N, 19.04. Found: C, 52.76; H, 5.95; N, 18.66. $[\alpha]_D$ −10.1 (*c* 0.37, MeOH).

6.38. General method of cleavage toluoyl-protective group

The toluoyl-protected compound (1.0 mmol) was dissolved in a solution of MeONa (0.3 mmol) in methanol (40 ml). The mixture was stirred at ambient temperature for 16 h. The mixture was evaporated and purified by a silica gel column chromatography (chloroform, methanol).

6.39. 6-[(*E*)-2-(Dimethylamino)vinyl]-9-(β-D-ribofuranosyl)purine (14a)

Yield 72%, yellow hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 3.01 (br s, 6H, CH_3N); 3.56 (dd, 1H, J_{gem} = 12.1, $J_{5'b,4'}$ = 2.6, H-5′b); 3.68 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.7, H-5′a); 3.97 (q, 1H, $J_{4',5'}$ = 3.7, 2.6, $J_{4',3'}$ = 3.1, H-4′); 4.16 (dd, 1H,

$J_{3',2'}$ = 5.0, $J_{3',4'}$ = 3.1, H-3′); 4.62 (dd, 1H, $J_{2',1'}$ = 6.1, $J_{2',3'}$ = 5.0, H-2′); 5.23, 5.39, and 5.50 (3× br s, 3× br s, OH-2′, 3′, 5′); 5.51 (d, 1H, J_{trans} = 12.9, =CH-pur); 5.91 (d, 1H, $J_{1',2'}$ = 6.1, H-1′); 8.29 (br d, 1H, J_{trans} = 12.9, =CH–N); 8.37 (s, 1H, H-2); 8.45 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 39.96 and 44.41 (CH_3N); 61.81 (CH_2-5′); 70.81 (CH-3′); 73.61 (CH-2′); 86.03 (CH-4′); 87.97 (CH-1′); 89.71 (=CH-pur); 127.55 (C-5); 141.53 (CH-8); 149.25 (C-4); 150.14 (=CH–N); 151.80 (CH-2); 157.11 (C-6). FAB-MS, *m/z* (rel %) = 322 (40) $[M+H]^+$, 232 (7), 215 (20), 190 (100), 165 (7), 147 (15), 115 (14), 105 (18), 57 (30). HRMS Calcd for $C_{14}H_{20}N_5O_4$ $[M+H]^+$ 322.1515. Found: 322.1523. IR (KBr): 3205, 3113, 2809, 1634, 1586, 1571, 1560, 1500, 1436, 1414, 1392, 1218, 1103, 1080, 1060, 811, 646. Anal. Calcd for $C_{14}H_{19}N_5O_4 \cdot 0.5H_2O$: C, 50.90; H, 6.10; N, 21.20. Found: C, 51.23; H, 5.96; N, 21.07. $[\alpha]_D$ −62.6 (*c* 0.32, MeOH).

6.40. 6-[(*E*)-2-(Diethylamino)vinyl]-9-(β-D-ribofuranosyl)purine (14b)

Yield 84%, yellowish hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 1.18 (t, 6H, J_{vic} = 7.1, CH_3CH$_2$N); 4.36 (q, 4H, J_{vic} = 7.1, CH$_3$CH_2N); 3.56 (ddd, 1H, J_{gem} = 12.1, $J_{5'b,OH}$ = 7.1, $J_{5'b,4'}$ = 3.7, H-5′b); 3.68 (dt, 1H, J_{gem} = 12.1, $J_{5'a,OH}$ = 4.5, $J_{5'a,4'}$ = 3.8, H-5′a); 3.96 (q, 1H, $J_{4',5'}$ = 3.8, 3.7, $J_{4',3'}$ = 3.2, H-4′); 4.15 (td, 1H, $J_{3',2'}$ = 4.9, $J_{3',OH}$ = 4.7, $J_{3',4'}$ = 3.2, H-3′); 4.61 (td, 1H, $J_{2',OH}$ = 6.2, $J_{2',1'}$ = 6.1, $J_{2',3'}$ = 4.9, H-2′); 5.17 (d, 1H, $J_{OH,3'}$ = 4.7, OH-3′); 5.35 (dd, 1H, $J_{OH,5'}$ = 7.1, 4.5, OH-5′); 5.43 (d, 1H, $J_{OH,2'}$ = 6.2, OH-2′); 5.56 (d, 1H, J_{trans} = 13.1, =CH-pur); 5.90 (d, 1H, $J_{1',2'}$ = 6.1, H-1′); 8.30 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.36 (s, 1H, H-2); 8.43 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 12.13 and 14.71 (*C*H$_3$CH$_2$N); 41.67 and 49.57 (CH$_3$*C*H$_2$N); 61.76 (CH_2-5′); 70.74 (CH-3′); 73.59 (CH-2′); 85.94 (CH-4′); 87.94 (CH-1′); 89.12 (=CH-pur); 127.41 (C-5); 141.34 (CH-8); 147.97 (=CH–N); 149.14 (C-4); 151.73 (CH-2); 157.27 (C-6). FAB-MS, *m/z* (rel %) = 350 (90) $[M+H]^+$, 260 (12), 260 (12), 246 (8), 218 (100), 188 (15), 174 (7), 161 (8), 147 (15), 135 (10), 120 (6), 84 (7), 57 (7). HRMS Calcd for $C_{16}H_{24}N_5O_4$ $[M+H]^+$ 350.1828. Found: 350.1831. IR (KBr): 3520, 3391, 3180, 3123, 1326, 1588, 1565, 1500, 1471, 1431, 1403, 1382, 1333, 1220, 813, 639, 646. Anal. Calcd for $C_{16}H_{23}N_5O_4 \cdot 0.75H_2O$: C, 52.96; H, 6.80; N, 19.30. Found: C, 52.63; H, 6.52; N, 19.04. $[\alpha]_D$ −62.5 (*c* 0.26, MeOH).

6.41. 6-[(*E*)-2-(Dibutylamino)vinyl]-9-(β-D-ribofuranosyl)purine (14c)

Yield 66%, yellowish hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 0.92 (t, 6H, J_{vic} = 7.3, CH_3CH$_2$CH$_2$CH$_2$); 1.32 and 1.57 (2× m, 2× 4H, CH$_3$CH_2CH_2CH$_2$); 3.29 (br m, 4H, CH$_3$CH$_2$CH$_2$CH_2); 3.55 (ddd, 1H, J_{gem} = 12.1, $J_{5'b,OH}$ = 6.3, $J_{5'b,4'}$ = 3.6, H-5′b); 3.68 (ddd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.6, $J_{5'a,OH}$ = 3.3, H-5′b); 3.97 (q, 1H, $J_{4',5'}$ = $J_{4',3'}$ = 3.6, H-4′); 4.15 (btd, 1H, $J_{3',2'}$ = $J_{3',OH}$ = 4.2, $J_{3',4'}$ = 3.6, H-3′); 4.61 (bddd, 1H, $J_{2',1'}$ = 6.0, $J_{2',OH}$ = 5.6, $J_{2',3'}$ = 4.2, H-2′); 5.21 (br d, 1H, $J_{OH,3'}$ = 4.2, OH-3′); 5.38 (bdd, 1H, $J_{OH,5'}$ = 6.3, 3.3, OH-5′); 5.46 (br d, 1H, $J_{OH,2'}$ = 5.6, OH-2′); 5.54 (br d, 1H, J_{trans} = 12.7, =CH-pur); 5.90 (d, 1H, $J_{1',2'}$ = 6.0, H-1′); 8.31 (br d, 1H, J_{trans} = 12.7, =CH–N); 8.35 (s, 1H, H-2); 8.43 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 13.91 (*C*H$_3$CH$_2$CH$_2$CH$_2$); 19.75 (CH$_3$*C*H$_2$CH$_2$CH$_2$); 28.36 and 31.31 (CH$_3$CH$_2$*C*H$_2$CH$_2$); 47.42 and 55.45 (CH$_3$CH$_2$CH$_2$*C*H$_2$); 61.79 (CH_2-5′); 70.77 (CH-3′); 73.65 (CH-2′); 85.99 (CH-4′); 87.99 (CH-1′); 89.07 (=CH-pur); 127.42 (C-5); 141.42 (CH-8); 148.97 (=CH–N); 149.14 (C-4); 151.78 (CH-2); 157.29 (C-6). FAB-MS, *m/z* (rel %) = 406 (75) $[M+H]^+$, 316 (12), 302 (8), 274 (100), 244 (7), 230 (12), 216 (12), 188 (10), 174 (12), 160 (8), 147 (15), 135 (15), 57 (13). HRMS Calcd for $C_{20}H_{32}N_5O_4$ $[M+H]^+$ 406.2454. Found: 406.2459. IR (KBr): 3508, 3211, 1626, 1583, 1574, 1565, 1497, 1466, 1458, 1446, 1428, 1405, 1368, 1332, 1215, 1101, 1077, 1060, 816, 645. Anal. Calcd for $C_{20}H_{31}N_5O_4 \cdot 1.25H_2O$: C, 56.12; H, 7.89; N, 16.36. Found: C, 56.24; H, 7.68; N, 16.38. $[\alpha]_D$ −52.4 (*c* 0.32, MeOH).

6.42. 6-[(*E*)-2-(Piperidine-1-yl)vinyl]-9-(β-D-ribofuranosyl)purine (14d)

Yield 71%, yellowish hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 1.54–1.65 (m, 6H, CH_2-pip); 3.36 (m, 4H, CH_2N-pip); 3.56 (ddd, 1H, J_{gem} = 12.1, $J_{5'b,OH}$ = 7.0, $J_{5'b,4'}$ = 3.7, H-5′b); 3.68 (dt, 1H, J_{gem} = 12.1, $J_{5'a,OH}$ = 4.6, $J_{5'a,4'}$ = 3.6, H-5′a); 3.96 (q, 1H, $J_{4',5'}$ = 3.7, 3.6, $J_{4',3'}$ = 3.2, H-4′); 4.15 (td, 1H, $J_{3',2'}$ = 4.9, $J_{3',OH}$ = 4.7, $J_{3',4'}$ = 3.2, H-3′); 4.62 (td, 1H, $J_{2',OH}$ = 6.2, $J_{2',1'}$ = 6.1, $J_{2',3'}$ = 4.9, H-2′); 5.19 (d, 1H, $J_{OH,3'}$ = 4.7, OH-3′); 5.37 (dd, 1H, $J_{OH,5'}$ = 7.0, 4.6, OH-5′); 5.46 (d, 1H, $J_{OH,2'}$ = 6.2, OH-2′); 5.66 (d, 1H, J_{trans} = 13.1, =CH-pur); 5.91 (d, 1H, $J_{1',2'}$ = 6.1, H-1′); 8.23 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.37 (s, 1H, H-2); 8.44 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 23.87 and 25.51 (CH_2-pip); 47.80 (CH_2N-pip); 61.81 (CH_2-5′); 70.80 (CH-3′); 73.58 (CH-2′); 86.02 (CH-4′); 87.96 (CH-1′); 89.32 (=CH-pur); 127.63 (C-5); 141.52 (CH-8); 148.95 (=CH–N); 149.21 (C-4); 151.76 (CH-2); 157.37 (C-6). FAB-MS, *m/z* (rel %) = 362 (97) $[M+H]^+$, 307 (6), 272 (8), 258 (7), 230 (47), 215 (22), 201 (12), 185 (35), 181 (9), 147 (12), 123 (7), 105 (7), 75 (27), 61 (14), 57 (38). HRMS Calcd for $C_{17}H_{24}N_5O_4$ $[M+H]^+$ 362.1828. Found: 362.1823. IR (KBr): 3325, 3180, 3113, 3045, 2932, 2854, 2700, 1628, 1601, 1588, 1571, 1501, 1442, 1426, 1403, 1365, 1327, 1238, 1201, 990, 982, 970, 852, 810, 642. Anal. Calcd for $C_{17}H_{23}N_5O_4 \cdot 0.5H_2O$: C, 55.12; H, 6.53; N, 18.91. Found: C, 54.99; H, 6.45; N, 18.54. $[\alpha]_D$ −31.4 (*c* 0.50, MeOH).

6.43. 6-[(*E*)-2-(Morpholine-4-yl)vinyl]-9-(β-D-ribofuranosyl)purine (14e)

Yield 89%, yellowish hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 3.39 (m, 4H, CH_2N-morph); 3.56 (ddd, 1H, J_{gem} = 12.1, $J_{5'b,OH}$ = 6.9, $J_{5'b,4'}$ = 3.8, H-5′b); 3.67 (m, 4H, CH_2O-morph); 3.68 (dt, 1H, J_{gem} = 12.1, $J_{5'a,OH}$ = 4.7, $J_{5'a,4'}$ = 3.6, H-5′a); 3.97 (q,

1H, $J_{4',5'}$ = 3.8, 3.6, $J_{4',3'}$ = 3.2, H-4′); 4.15 (td, 1H, $J_{3',2'}$ = 5.0, $J_{3',OH}$ = 4.7, $J_{3',4'}$ = 3.2, H-3′); 4.62 (td, 1H, $J_{2',OH}$ = 6.2, $J_{2',1'}$ = 6.1, $J_{2',3'}$ = 5.0, H-2′); 5.20 (d, 1H, $J_{OH,3'}$ = 4.7, OH-3′); 5.32 (dd, 1H, $J_{OH,5'}$ = 6.9, 4.7, OH-5′); 5.46 (d, 1H, $J_{OH,2'}$ = 6.2, OH-2′); 5.74 (d, 1H, J_{trans} = 13.2, =CH-pur); 5.92 (d, 1H, $J_{1',2'}$ = 6.1, H-1′); 8.22 (br d, 1H, J_{trans} = 13.2, =CH–N); 8.43 (s, 1H, H-2); 8.49 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 48.16 (CH_2N-morph); 61.76 (CH_2-5′); 65.92 (CH_2O-morph); 70.75 (CH-3′); 73.60 (CH-2′); 85.99 (CH-4′); 87.91 (CH-1′); 90.66 (=CH-pur); 127.91 (C-5); 141.89 (CH-8); 148.92 (=CH–N); 149.47 (C-4); 151.77 (CH-2); 156.90 (C-6). FAB-MS, *m/z* (rel %) = 364 (30) $[M+H]^+$ (cation), 232 (100), 215 (8), 201 (5), 181 (8), 174 (7), 159 (7), 147 (20), 135 (7), 120 (7), 105 (9), 98 (47), 57 (50). HRMS Calcd for $C_{16}H_{22}N_5O_5$ $[M+H]^+$ 364.1620. Found: 364.1612. IR (KBr): 3185, 3120, 3045, 1627, 1574, 1560, 1500, 1449, 1427, 1404, 1390, 1375, 1360, 1332, 1230, 1114, 1099, 1060, 1023, 989, 965, 862, 811, 644. Anal. Calcd for $C_{16}H_{21}N_5O_5 \cdot 0.25H_2O$: C, 50.99; H, 6.02; N, 18.58. Found: C, 51.16; H, 5.88; N, 18.49. $[\alpha]_D$ −45.0 (*c* 0.30, MeOH).

6.44. 6-[(*E*)-2-(Benzyl(methyl)amino)vinyl]-9-(β-D-ribofuranosyl)purine (14f)

Yield 90%, white hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 2.91 (br s, 3H, CH_3–N); 3.56 (dd, 1H, J_{gem} = 12.1, $J_{5'b,4'}$ = 3.8, H-5′b); 3.68 (dd, 1H, J_{gem} = 12.1, $J_{5'a,4'}$ = 3.7, H-5′a); 3.96 (q, 1H, $J_{4',5'}$ = 3.8, 3.7, $J_{4',3'}$ = 3.2, H-4′); 4.15 (dd, 1H, $J_{3',2'}$ = 5.0, $J_{3',4'}$ = 3.2, H-3′); 4.58 (s, 2H, CH_2Ph); 4.62 (dd, 1H, $J_{2',1'}$ = 6.0, $J_{2',3'}$ = 5.0, H-2′); 5.20–5.50 (br s, 3H, OH-2′, 3′, 5′); 5.61 (d, 1H, J_{trans} = 13.0, =CH-pur); 5.91 (d, 1H, $J_{1',2'}$ = 6.0, H-1′); 7.29 (m, 2H, H-*o*-Ph); 7.30 (m, 1H, H-*p*-Ph); 7.38 (m, 2H, H-*m*-Ph); 8.41 (s, 1H, H-2); 8.46 (s, 1H, H-2); 8.51 (br d, 1H, J_{trans} = 13.0, =CH–N). ^{13}C NMR (125.7 MHz, DMSO-d_6): 35.15 (CH_3N); 60.29 (CH_2Ph); 61.76 (CH_2-5′); 70.74 (CH-3′); 73.63 (CH-2′); 85.97 (CH-4′); 87.93 (CH-1′); 90.37 (=CH-pur); 127.50 (CH-*o*-Ph); 127.68 (CH-*p*-Ph); 127.75 (C-5); 128.89 (CH-*m*-Ph); 137.62 (C-*i*-Ph); 141.70 (CH-8); 149.38 (C-4); 149.67 (=CH–N); 151.80 (CH-2); 157.01 (C-6). FAB-MS, *m/z* (rel %) = 398 (60) $[M+H]^+$, 308 (8), 266 (32), 215 (25), 201 (12), 147 (7), 122 (7), 57 (33). HRMS Calcd for $C_{20}H_{24}N_5O_4$ $[M+H]^+$ 398.1828. Found: 398.1832. IR (KBr): 3195, 3118, 1627, 1589, 1583, 1567, 1505, 1496, 1413, 1397, 1328, 1219, 1103, 1083, 1067, 1028, 1003, 821, 810, 737, 698, 646. Anal. Calcd for $C_{20}H_{23}N_5O_4 \cdot 1.25H_2O$: C, 57.20; H, 6.12; N, 16.68. Found: C, 57.55; H, 5.84; N, 16.44. $[\alpha]_D$ −63.3 (*c* 0.23, MeOH).

6.45. 6-[(*E*)-2-(Thiazolidine-1-yl)vinyl]-9-(β-D-ribofuranosyl)purine (14g)

Yield 63%, yellowish hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 3.11 (t, 2H, J_{vic} = 6.3, H-5-thiazolidine); 3.56 (ddd, 1H, J_{gem} = 12.1, $J_{5'b,OH}$ = 6.3, $J_{5'b,4'}$ = 3.9, H-5′b); 3.68 (dt, 1H, J_{gem} = 12.1, $J_{5'a,OH}$ = 4.9, $J_{5'a,4'}$ = 3.9, H-5′a); 3.71 (t, 2H, J_{vic} = 6.3, H-4-thiazolidine); 3.97 (q, 1H, $J_{4',5'}$ = 3.9, $J_{4',3'}$ = 3.0, H-4′); 4.16 (td, 1H, $J_{3',2'}$ = $J_{3',OH}$ = 4.7, $J_{3',4'}$ = 3.0, H-3′); 4.55 (s, 2H, H-2-thiazolidine); 4.62 (td, 1H, $J_{2',OH}$ = 6.2, $J_{2',1'}$ = 6.0, $J_{2',3'}$ = 4.7, H-2′); 5.19 (d, 1H, $J_{OH,3'}$ = 4.7, OH-3′); 5.28 (br t, 1H, $J_{OH,5'}$ = 6.3, 4.9, OH-5′); 5.47 (d, 1H, $J_{OH,2'}$ = 6.2, OH-2′); 5.67 (d, 1H, J_{trans} = 13.2, =CH-pur); 5.94 (d, 1H, $J_{1',2'}$ = 6.0, H-1′); 8.45 (s, 1H, H-2); 8.47 (br d, 1H, J_{trans} = 13.2, =CH–N); 8.52 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 29.96 (CH_2-5-thiazolidine); 51.95 (CH_2-4-thiazolidine); 52.36 (CH_2-2-thiazolidine); 61.68 (CH_2-5′); 70.67 (CH-3′); 73.62 (CH-2′); 85.93 (CH-4′); 87.88 (CH-1′); 92.72 (=CH-pur); 127.92 (C-5); 142.03 (CH-8); 145.24 (=CH–N); 149.57 (C-4); 151.69 (CH-2); 156.38 (C-6). FAB-MS, *m/z* (rel %) = 366 (20) $[M+H]^+$, 307 (7), 279 (5), 234 (12), 215 (24), 197 (12), 181 (14), 149 (10), 119 (20), 61 (18), 57 (51). HRMS Calcd for $C_{15}H_{20}N_5O_4S$ $[M+H]^+$ 366.1236. Found: 366.1229. IR (KBr): 3399, 3270, 3120, 1624, 1588, 1572, 1496, 1417, 1390, 1331, 1218, 1124, 1101, 1083, 1058, 978, 814, 644. Anal. Calcd for $C_{15}H_{19}N_5O_4S \cdot 2H_2O$: C, 44.88; H, 5.77; N, 17.45. Found: C, 44.74; H, 5.39; N, 17.10. $[\alpha]_D$ −53.7 (*c* 0.30, MeOH).

6.46. 6-[(*E*)-2-(Dimethylamino)vinyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (15a)

Yield 89%, yellow hygroscopic foam. ^{1}H NMR (500 MHz, DMSO-d_6): 2.27 (ddd, 1H, J_{gem} = 13.2, $J_{2'b,1'}$ = 6.2, $J_{2'b,3'}$ = 3.0, H-2′b); 2.74 (ddd, 1H, J_{gem} = 13.2, $J_{2'a,1'}$ = 7.8, $J_{2'a,3'}$ = 5.8, H-2′a); 3.01 (br s, 6H, CH_3N); 3.52 and 3.63 (2× dd, 2H, J_{gem} = 11.9, $J_{5',4'}$ = 4.3, H-5′); 3.88 (td, 1H, $J_{4',5'}$ = 4.3, $J_{4',3'}$ = 2.6, H-4′); 4.42 (ddd, 1H, $J_{3',2'}$ = 5.8, 3.0, $J_{3',4'}$ = 2.6, H-3′); 5.21 (br s, 1H, OH-5′); 5.32 (br s, 1H, OH-3′); 5.49 (d, 1H, J_{trans} = 13.0, =CH-pur); 6.37 (dd, 1H, $J_{1',2'}$ = 7.8, 6.2, H-1′); 8.28 (br d, 1H, J_{trans} = 13.0, =CH–N); 8.37 (s, 1H, H-2); 8.43 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 37.34 (CH_3N); 39.53 (CH_2-2′); 44.60 (CH_3N); 62.05 (CH_2-5′); 71.13 (CH-3′); 83.99 (CH-1′); 88.17 (CH-4′); 89.79 (=CH-pur); 127.50 (C-5); 141.20 (CH-8); 149.06 (C-4); 150.04 (=CH–N); 151.79 (CH-2); 157.01 (C-6). FAB-MS, *m/z* (rel %) = 306 (50) $[M+H]^+$, 215 (14), 190 (100), 147 (17), 123 (8), 104 (10), 93 (75), 75 (14), 57 (27). HRMS Calcd for $C_{14}H_{20}N_5O_3$ $[M+H]^+$ 306.1566. Found: 306.1554. IR (KBr): 3217, 3106, 2807, 1632, 1581, 1570, 1561, 1497, 1435, 1407, 1394, 1328, 1218, 1099, 1056, 812, 647. Anal. Calcd for $C_{14}H_{19}N_5O_3 \cdot 0.75H_2O$: C, 52.74; H, 6.48; N, 21.96. Found: C, 53.17; H, 8.82; N, 21.59. $[\alpha]_D$ −21.9 (*c* 0.31, MeOH).

6.47. 6-[(*E*)-2-(Diethylamino)vinyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (15b)

Yield 81%, yellow hygroscopic foam. ^{1}H NMR (500 MHz, DMSO-d_6): 1.17 (t, 6H, J_{vic} = 7.1, CH_3CH$_2$); 2.27 (ddd, 1H, J_{gem} = 13.2, $J_{2'b,1'}$ = 6.2, $J_{2'b,3'}$ = 3.0, H-2′b); 2.73 (ddd, 1H, J_{gem} = 13.2, $J_{2'a,1'}$ = 7.8, $J_{2'a,3'}$ = 5.8, H-2′a); 3.35 (q, 4H, J_{vic} = 7.1, CH$_3$CH_2); 3.48 (ddd, 1H, J_{gem} = 11.7, $J_{5'b,OH}$ = 6.4, $J_{5'b,4'}$ = 4.3, H-5′b); 3.62 (dt, 1H, J_{gem} = 11.7, $J_{5'a,OH}$ = 4.9, $J_{5'a,4'}$ = 4.3, H-5′a); 3.88 (td, 1H, $J_{4',5'}$ = 4.3,

$J_{4',3'}$ = 2.6, H-4′); 4.41 (m, 1H, $J_{3',2'}$ = 5.8, 3.0, $J_{3',OH}$ = 4.0, $J_{3',4'}$ = 2.6, H-3′); 5.21 (dd, 1H, $J_{OH,5'}$ = 6.4, 4.9, OH-5′); 5.32 (d, 1H, $J_{OH,3'}$ = 4.0, OH-3′); 5.55 (d, 1H, J_{trans} = 13.1, =CH-pur); 6.36 (dd, 1H, $J_{1',2'}$ = 7.8, 6.2, H-1′); 8.29 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.35 (s, 1H, H-2); 8.41 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 11.60 and 14.75 (CH_3CH_2); 39.56 (CH_2-2′); 41.91 and 49.81 (CH_3CH_2); 62.06 (CH_2-5′); 71.13 (CH-3′); 83.98 (CH-1′); 88.17 (CH-4′); 89.07 (=CH-pur); 127.38 (C-5); 141.10 (CH-8); 147.92 (=CH–N); 149.00 (C-4); 151.79 (CH-2); 157.22 (C-6). FAB-MS, *m/z* (rel %) = 334 (65) $[M+H]^+$, 244 (14), 218 (100), 188 (15), 161 (10), 147 (17), 135 (13), 84 (11), 56 (6). HRMS Calcd for $C_{16}H_{24}N_5O_3$ $[M+H]^+$ 334.1879. Found: 334.1888. IR (KBr): 3409, 3259, 1625, 1584, 1568, 1501, 1401, 1329, 1219, 1099, 1058, 647. Anal. Calcd for $C_{16}H_{23}N_5O_3 \cdot 0.75H_2O$: C, 55.40; H, 7.12; N, 20.19. Found: C, 55.79; H, 6.96; N, 19.80. $[\alpha]_D$ −17.7 (*c* 0.12, MeOH).

6.48. 6-[(*E*)-2-(Dibutylamino)vinyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (15c)

Yield 85%, white hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 0.92 (t, 6H, J_{vic} = 7.3, $CH_3CH_2CH_2CH_2$); 1.32 and 1.56 (2× m, 2× 4H, $CH_3CH_2CH_2CH_2$); 2.27 (ddd, 1H, J_{gem} = 13.2, $J_{2'b,1'}$ = 6.1, $J_{2'b,3'}$ = 3.1, H-2′b); 2.72 (ddd, 1H, J_{gem} = 13.2, $J_{2'a,1'}$ = 7.7, $J_{2'a,3'}$ = 5.8, H-2′a); 3.28 (br m, 4H, $CH_3CH_2CH_2CH_2$); 3.52 (ddd, 1H, J_{gem} = 11.7, $J_{5'b,OH}$ = 6.5, $J_{5'b,4'}$ = 4.2, H-5′b); 3.62 (ddd, 1H, J_{gem} = 11.7, $J_{5'a,OH}$ = 5.0, $J_{5'a,4'}$ = 4.2, H-5′b); 3.88 (td, 1H, $J_{4',5'}$ = 4.2, $J_{4',3'}$ = 2.7, H-4′); 4.41 (m, 1H, $J_{3',2'}$ = 5.8, 3.1, $J_{3',OH}$ = 4.1, $J_{3',4'}$ = 2.7, H-3′); 5.21 (dd, 1H, $J_{OH,5'}$ = 6.5, 5.0, OH-5′); 5.32 (d, 1H, $J_{OH,3'}$ = 4.1, OH-3′); 5.52 (br d, 1H, J_{trans} = 13.3, =CH-pur); 6.36 (dd, 1H, $J_{1',2'}$ = 7.7, 6.1, H-1′); 8.30 (br d, 1H, J_{trans} = 13.3, =CH–N); 8.35 (s, 1H, H-2); 8.42 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 13.90 ($CH_3CH_2CH_2CH_2$); 19.49 ($CH_3CH_2CH_2CH_2$); 27.71 ($CH_3CH_2CH_2CH_2$); 39.57 (CH_2-2′); 47.32 and 55.39 ($CH_3CH_2CH_2CH_2$); 62.04 (CH_2-5′); 71.11 (CH-3′); 83.96 (CH-1′); 88.16 (CH-4′); 89.17 (=CH-pur); 127.36 (C-5); 141.10 (CH-8); 148.86 (=CH–N); 149.98 (C-4); 151.79 (CH-2); 157.19 (C-6). FAB-MS, *m/z* (rel %) = 390 (74) $[M+H]^+$, 300 (16), 274 (100), 244 (6), 230 (6), 216 (6), 216 (8), 200 (4), 188 (6), 174 (12), 160 (10), 147 (14), 135 (12), 57 (14). HRMS Calcd for $C_{20}H_{32}N_5O_3$ $[M+H]^+$ 390.2505. Found: 390.2512. IR (KBr): 3612, 3199, 1622, 1581, 1562, 1504, 1431, 1418, 1331, 1106, 1085, 1060, 815, 646. Anal. Calcd for $C_{20}H_{31}N_5O_3 \cdot 0.75H_2O$: C, 59.61; H, 8.13; N, 17.38. Found: C, 59.95; H, 8.10; N, 17.00. $[\alpha]_D$ −11.3 (*c* 0.29, MeOH).

6.49. 6-[(*E*)-2-(Piperidine-1-yl)vinyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (15d)

Yield 77%, yellow hygroscopic foam. ^{1}H NMR (500 MHz, DMSO-d_6): 1.52–1.66 (m, 6H, CH_2-pip); 2.27 (ddd, 1H, J_{gem} = 13.2, $J_{2'b,1'}$ = 6.2, $J_{2'b,3'}$ = 3.0, H-2′b); 2.74 (ddd, 1H, J_{gem} = 13.2, $J_{2'a,1'}$ = 7.8, $J_{2'a,3'}$ = 5.8, H-2′a); 3.36 (m, 4H, CH_2N-pip); 3.52 (ddd, 1H, J_{gem} = 11.7, $J_{5'b,OH}$ = 5.8, $J_{5'b,4'}$ = 4.3, H-5′b); 3.62 (dt, 1H, J_{gem} = 11.7, $J_{5'a,4'}$ = 4.3, $J_{5'a,OH}$ = 4.2, H-5′a); 3.88 (td, 1H, $J_{4',5'}$ = 4.3, $J_{4',3'}$ = 2.6, H-4′); 4.41 (m, 1H, $J_{3',2'}$ = 5.8, 3.0, $J_{3',OH}$ = 4.0, $J_{3',4'}$ = 2.6, H-3′); 5.20 (br t, 1H, $J_{OH,5'}$ = 5.8, 4.2, OH-5′); 5.32 (d, 1H, $J_{OH,3'}$ = 4.0, OH-3′); 5.65 (d, 1H, J_{trans} = 13.1, =CH-pur); 6.37 (dd, 1H, $J_{1',2'}$ = 7.8, 6.2, H-1′); 8.22 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.37 (s, 1H, H-2); 8.42 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 23.88 and 25.57 (CH_2-pip); 39.53 (CH_2-2′); 62.06 (CH_2-5′); 71.13 (CH-3′); 83.98 (CH-1′); 88.17 (CH-4′); 89.40 (=CH-pur); 127.57 (C-5); 141.21 (CH-8); 148.92 (=CH–N); 149.05 (C-4); 151.77 (CH-2); 157.27 (C-6); CH_2N-pip not observed. FAB-MS, *m/z* (rel %) = 327 (6) $[M+H]^+$, 346 (68), 256 (15), 230 (100), 159 (6), 147 (18), 120 (6), 96 (8), 84 (6), 57 (7). HRMS Calcd for $C_{17}H_{24}N_5O_3$ $[M+H]^+$ 346.1879. Found: 346.1876. IR (KBr): 3401, 3255, 2935, 2855, 1625, 1583, 1566, 1500, 1463, 1445, 1431, 1400, 1362, 1343, 1327, 1200, 1162, 1117, 1099, 1058, 1024, 1003, 855, 647. Anal. Calcd for $C_{17}H_{23}N_5O_3 \cdot 0.75H_2O$: C, 56.89; H, 6.88; N, 19.50. Found: C, 56.60; H, 6.50; N, 19.10. $[\alpha]_D$ −21.5 (*c* 0.15, MeOH).

6.50. 6-[(*E*)-2-(Morpholine-4-yl)vinyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (15e)

Yield 76%, yellowish hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 2.28 (ddd, 1H, J_{gem} = 13.2, $J_{2'b,1'}$ = 6.1, $J_{2'b,3'}$ = 3.1, H-2′b); 2.75 (ddd, 1H, J_{gem} = 13.2, $J_{2'a,1'}$ = 7.7, $J_{2'a,3'}$ = 5.8, H-2′a); 3.37 (m, 4H, CH_2N-morph); 3.48 and 3.63 (2× bdd, 2H, J_{gem} = 11.7, $J_{5',4'}$ = 2.7, H-5′); 3.66 (m, 4H, CH_2O-morph); 3.89 (td, 1H, $J_{4',5'}$ = 4.3, $J_{4',3'}$ = 2.7, H-4′); 4.42 (br m, 1H, $J_{3',2'}$ = 5.8, 3.1, $J_{3',4'}$ = 2.7, H-3′); 5.17 (br s, 1H, OH-5′); 5.35 (br s, 1H, OH-3′); 5.72 (d, 1H, J_{trans} = 13.2, =CH-pur); 6.38 (t, 1H, $J_{1',2'}$ = 7.7, 6.1, H-1′); 8.21 (d, 1H, J_{trans} = 13.2, =CH–N); 8.42 (s, 1H, H-2); 8.47 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 39.53 (CH_2-2′); 48.54 (CH_2N-morph); 62.03 (CH_2-5′); 65.93 (CH_2O-morph); 71.11 (CH-3′); 83.98 (CH-1′); 88.18 (CH-4′); 90.80 (=CH-pur); 127.89 (C-5); 141.61 (CH-8); 148.87 (=CH–N); 149.31 (C-4); 151.80 (CH-2); 156.82 (C-6). FAB-MS, *m/z* (rel %) = 348 (100) $[M+H]^+$, 258 (15), 232 (90), 215 (22), 201 (15), 181 (14) 147 (20), 122 (8), 61 (14), 57 (50). HRMS Calcd for $C_{16}H_{22}N_5O_4$ $[M+H]^+$ 348.1671. Found: 348.1675. IR (KBr): 3420, 3211, 3105, 1595, 1578, 1560, 1495, 1445, 1425, 1401, 1359, 1328, 1226, 1172, 1023, 866, 813, 647. Anal. Calcd for $C_{16}H_{21}N_5O_4 \cdot 0.5H_2O$: C, 53.92; H, 6.22; N, 19.65. Found: C, 53.60; H, 6.05; N, 19.37. $[\alpha]_D$ −24.8 (*c* 0.27, MeOH).

6.51. 6-[(*E*)-2-(Benzyl(methyl)amino)vinyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (15f)

Yield 90%, yellowish hygroscopic foam. ^{1}H NMR (500 MHz, DMSO-d_6): 2.28 (ddd, 1H, J_{gem} = 13.2, $J_{2'b,1'}$ = 6.2, $J_{2'b,3'}$ = 3.1, H-2′b); 2.74 (ddd, 1H, J_{gem} = 13.2, $J_{2'a,1'}$ = 7.8, $J_{2'a,3'}$ = 5.8, H-2′a); 2.91 (br s, 3H, CH_3N); 3.52 (ddd, 1H, J_{gem} = 11.9, $J_{5'b,OH}$ = 6.4, $J_{5'b,4'}$ = 4.3, H-5′b); 3.63 (dt, 1H, J_{gem} = 11.7,

$J_{5'a,OH}$ = 4.9, $J_{5'a,4'}$ = 4.3, H-5′a); 3.88 (td, 1H, $J_{4',5'}$ = 4.3, $J_{4',3'}$ = 2.7, H-4′); 4.42 (m, 1H, $J_{3',2'}$ = 5.8, 3.1, $J_{3',OH}$ = 4.1, $J_{3',4'}$ = 2.7, H-3′); 4.56 (s, 2H, CH_2Ph); 5.18 (br t, 1H, $J_{OH,5'}$ = 6.4, 4.9, OH-5′); 5.33 (d, 1H, $J_{OH,3'}$ = 4.1, OH-3′); 5.60 (d, 1H, J_{trans} = 13.0, =CH-pur); 6.38 (dd, 1H, $J_{1',2'}$ = 7.8, 6.2, H-1′); 7.28 (m, 2H, H-*o*-Ph); 7.30 (m, 1H, H-*p*-Ph); 7.38 (m, 2H, H-*m*-Ph); 8.40 (s, 1H, H-2); 8.44 (s, 1H, H-2); 8.50 (br d, 1H, J_{trans} = 13.0, =CH–N). ^{13}C NMR (125.7 MHz, DMSO-d_6): 35.44 (CH_3N); 39.53 (CH_2-2′); 60.40 (CH_2Ph); 62.03 (CH_2-5′); 71.11 (CH-3′); 83.96 (CH-1′); 88.16 (CH-4′); 90.44 (=CH-pur); 126.97 (CH-*o*-Ph); 127.50 (CH-*p*-Ph); 127.71 (C-5); 128.89 (CH-*m*-Ph); 137.61 (C-*i*-Ph); 141.41 (CH-8); 149.21 (C-4); 149.64 (=CH–N); 151.82 (CH-2); 156.93 (C-6). FAB-MS, *m*/*z* (rel %) = 327 (6) $[M+H]^+$, 282 (85), 306 (35), 266 (75), 174 (17), 160 (7), 147 (10), 134 (14), 120 (10), 91 (100), 73 (8), 57 (7). HRMS Calcd for $C_{20}H_{24}N_5O_3$ $[M+H]^+$ 382.1879. Found: 382.1881. IR (KBr): 3178, 3062, 3028, 2904, 2798, 1590, 1573, 1560, 1495, 1451, 1408, 1399, 1328, 1219, 1179, 1098, 1083, 1070, 1055, 1048, 1028, 737, 697, 648. Anal. Calcd for $C_{20}H_{23}N_5O_3 \cdot 1.35H_2O$: C, 59.20; H, 6.38; N, 17.26. Found: C, 59.58; H, 6.03; N, 16.87. $[\alpha]_D$ −20.2 (*c* 0.37, MeOH).

6.52. 6-[(*E*)-2-(Thiazolidine-1-yl)vinyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (15g)

Yield 81%, yellow hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 2.29 (ddd, 1H, J_{gem} = 13.3, $J_{2'b,1'}$ = 6.2, $J_{2'b,3'}$ = 3.2, H-2′b); 2.75 (ddd, 1H, J_{gem} = 13.3, $J_{2'a,1'}$ = 7.7, $J_{2'a,3'}$ = 5.8, H-2′a); 3.11 (t, 2H, J_{vic} = 6.3, H-5-thiazolidine); 3.52 and 3.62 (2× bddd, 2H, J_{gem} = 11.7, $J_{5',OH}$ = 5.2, $J_{5',4'}$ = 4.4, H-5′); 3.70 (t, 2H, J_{vic} = 6.3, H-4-thiazolidine); 3.88 (td, 1H, $J_{4',5'}$ = 4.4, $J_{4',3'}$ = 2.7, H-4′); 4.42 (m, 1H, $J_{3',2'}$ = 5.8, 3.2, $J_{3',OH}$ = 3.9, $J_{3',4'}$ = 2.7, H-3′); 4.55 (s, 2H, H-2-thiazolidine); 5.13 (br t, 1H, $J_{OH,5'}$ = 5.2, OH-5′); 5.32 (d, 1H, $J_{OH,3'}$ = 3.9, OH-3′); 5.65 (br d, 1H, J_{trans} = 13.1, =CH-pur); 6.39 (dd, 1H, $J_{1',2'}$ = 7.7, 6.2, H-1′); 8.45 (s, 1H, H-2); 8.47 (br d, 1H, J_{trans} = 13.1, =CH–N); 8.50 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 30.00 (CH_2-5-thiazolidine); 39.50 (CH_2-2′); 51.75 (CH_2-2-thiazolidine); 52.21 (CH_2-4-thiazolidine); 61.96 (CH_2-5′); 71.04 (CH-3′); 83.95 (CH-1′); 88.16 (CH-4′); 92.66 (=CH-pur); 127.86 (C-5); 141.84 (CH-8); 145.32 (=CH–N); 149.36 (C-4); 151.62 (CH-2); 156.20 (C-6). FAB-MS, *m*/*z* (rel %) = 350 (60) $[M+H]^+$, 260 (10), 234 (100), 204 (8), 188 (7). 174 (22), 149 (12), 147 (27), 135 (7), 119 (26), 93 (14), 73 (16), 57 (12). HRMS Calcd for $C_{15}H_{20}N_4O_3S$ $[M+H]^+$ 350.1286. Found: 350.1292. IR (KBr): 3408, 3214, 1625, 1595, 1560, 1493, 1406, 1398, 1327, 1219, 1099, 1054, 646. Anal. Calcd for $C_{15}H_{19}N_5O_3S \cdot 0.75H_2O$: C, 49.64; H, 5.69; N, 19.3; S, 8.83. Found: C, 50.05; H, 5.67; N, 18.97, S, 8.95. $[\alpha]_D$ −16.6 (*c* 0.28, MeOH).

6.53. 6-[(1,3-Dithiolan-2-yl)methyl]-9-(β-D-ribofuranosyl)purine (16)

Yield 84%, white hygroscopic crystals. ^{1}H NMR (500 MHz, DMSO-d_6): 3.20–3.35 (m, 4H, CH_2–S); 3.58 (ddd, 1H, J_{gem} = 12.0, $J_{5'b,OH}$ = 6.0, $J_{5'b,4'}$ = 4.1, H-5′b); 3.63 (d, 2H, J_{vic} = 7.6, CH_2-pur); 3.69 (ddd, 1H, J_{gem} = 12.0, $J_{5'a,OH}$ = 5.3, $J_{5'a,4'}$ = 4.2, H-5′a); 3.98 (q, 1H, $J_{4',5'}$ = 4.2, 4.1, $J_{4',3'}$ = 3.6, H-4′); 4.19 (td, 1H, $J_{3',2'}$ = $J_{3',OH}$ = 4.9, $J_{3',4'}$ = 3.6, H-3′); 4.66 (td, 1H, $J_{2',OH}$ = 6.1, $J_{2',1'}$ = 5.8, $J_{2',3'}$ = 4.9, H-2′); 5.10 (dd, 1H, $J_{OH,5'}$ = 6.0, 5.3, OH-5′); 5.23 (d, 1H, $J_{OH,3'}$ = 4.9, OH-3′); 5.32 (t, 1H, J_{vic} = 7.6, S–CH–S); 5.53 (d, 1H, $J_{OH,2'}$ = 6.1, OH-2′); 6.03 (d, 1H, $J_{1',2'}$ = 5.8, H-1′); 8.79 (s, 1H, H-8); 8.87 (s, 1H, H-2). ^{13}C NMR (125.7 MHz, DMSO-d_6): 38.18 (CH_2–S); 42.62 (CH_2-pur); 50.37 (S–CH–S); 61.47 (CH_2-5′); 70.54 (CH-3′); 73.77 (CH-2′); 85.94 (CH-4′); 87.76 (CH-1′); 132.76 (C-5); 144.69 (CH-8); 150.71 (C-4); 151.90 (CH-2); 158.44 (C-6). FAB-MS, *m*/*z* (rel %) = 371 (20) $[M+H]^+$, 239 (35), 215 (15), 205 (10), 197 (16), 181 (16), 149 (15), 133 (10), 115 (14), 105 (54), 61 (55), 57 (100). HRMS Calcd for $C_{14}H_{19}N_4O_4S_2$ $[M+H]^+$ 371.0847. Found: 371.0861. IR (KBr): 3429, 3113, 1607, 1597, 1584, 1503, 1457, 1424, 1405, 1412, 1331, 1213, 1121, 1094, 1056, 649. Anal. Calcd for $C_{14}H_{18}N_4O_4S_2 \cdot 0.5H_2O$: C, 44.32; H, 5.05; N, 14.77; S, 16.90. Found: C, 44.60; H, 4.70; N, 14.61; S, 16.62. $[\alpha]_D$ −47.7 (*c* 0.35, MeOH).

6.54. 6-[(1,3-Dithiolan-2-yl)methyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (17)

Yield 96%, yellowish hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 2.35 (ddd, 1H, J_{gem} = 13.3, $J_{2'b,1'}$ = 6.3, $J_{2'b,3'}$ = 3.5, H-2′b); 2.79 (ddd, 1H, J_{gem} = 13.3, $J_{2'a,1'}$ = 7.2, $J_{2'a,3'}$ = 6.0, H-2′a); 3.23 and 3.31 (2× m, 2× 2H, CH_2–S); 3.53 (ddd, 1H, J_{gem} = 11.6, $J_{5'b,OH}$ = 5.6, $J_{5'b,4'}$ = 4.8, H-5′b); 3.63 (dt, 1H, J_{gem} = 11.6, $J_{5'a,OH}$ = 5.6, $J_{5'a,4'}$ = 4.8, H-5′a); 3.62 (d, 2H, J_{vic} = 7.5, CH_2-pur); 3.90 (td, 1H, $J_{4',5'}$ = 4.8, $J_{4',3'}$ = 3.0, H-4′); 4.45 (m, 1H, $J_{3',2'}$ = 6.0, 3.5, $J_{3',OH}$ = 4.2, $J_{3',4'}$ = 3.0, H-3′); 5.00 (t, 1H, $J_{OH,5'}$ = 5.6, OH-5′); 5.32 (t, 1H, J_{vic} = 7.6, S–CH–S); 5.37 (d, 1H, $J_{OH,3'}$ = 4.2, OH-3′); 6.47 (t, 1H, $J_{1',2'}$ = 7.2, 6.3, H-1′); 8.75 (s, 1H, H-2); 8.86 (s, 1H, H-2); ^{13}C NMR (100.6 MHz, DMSO-d_6): 37.93 (CH_2–S); 39.10 (C-2′); 42.37 (CH_2-pur); 50.11 (S–CH–S); 61.48 (CH_2-5′); 70.58 (CH-3′); 83.64 (CH-1′); 87.92 (CH-4′); 132.49 (C-5); 144.34 (CH-8); 150.15 (C-4); 151.56 (CH-2); 158.07 (C-6). FAB-MS, *m*/*z* (rel %) = 355 (100) $[M+H]^+$, 279 (10), 239 (90), 217 (12), 179 (30), 149 (20), 147 (16), 134 (20), 117 (16), 73 (50), 61 (22), 57 (45). HRMS Calcd for $C_{14}H_{19}N_4O_3S_2$ $[M+H]^+$ 355.0898. Found: 355.0903. IR (KBr): 3428, 3275, 1637, 1598, 1581, 1497, 1420, 1400, 1334, 1211, 1094, 1056, 645. Anal. Calcd for $C_{14}H_{18}N_4O_3S_2 \cdot 0.5H_2O$: C, 46.27; H, 5.27; N, 15.42. Found: C, 46.65; H, 5.46; N, 15.11. $[\alpha]_D$ −14.9 (*c* 0.28, MeOH).

6.55. 6-[2-(Dimethylamino)ethyl]-9-(β-D-ribofuranosyl)purine (18a)

Yield 41%, white hygroscopic crystals. ^{1}H NMR (400 MHz, DMSO-d_6): 2.16 (s, 6H, CH_3); 2.79 (t, 2H, J_{vic} = 7.3, CH_2–N); 3.23 (t, 2H, J_{vic} = 7.3, CH_2-pur); 3.57 (ddd, 1H, J_{gem} = 11.9, $J_{5'b,OH}$ = 6.0, $J_{5'b,4'}$ = 4.1, H-5′b); 3.68 (ddd, 1H, J_{gem} = 11.9, $J_{5'a,OH}$ = 5.2,

$J_{5'a,4'}$ = 3.9, H-5′a); 3.97 (q, 1H, $J_{4',5'}$ = 3.9, 4.1, $J_{4',3'}$ = 2.9, H-4′); 4.18 (q, 1H, $J_{3',2'}$ = 5.2, $J_{3',OH}$ = 4.9, $J_{3',4'}$ = 2.9, H-3′); 4.65 (q, 1H, $J_{2',OH}$ = 6.1, $J_{2',1'}$ = 5.9, $J_{2',3'}$ = 5.2, H-2′); 5.12 (t, 1H, $J_{OH,5'}$ = 6.0, 5.2, OH-5′); 5.24 (d, 1H, $J_{OH,3'}$ = 4.9, OH-3′); 5.53 (d, 1H, $J_{OH,2'}$ = 6.1 OH-2′); 6.02 (d, 1H, $J_{1',2'}$ = 5.9 H-1′); 8.75 (s, 1H, H-2); 8.82 (s, 1H, H-8). ^{13}C NMR (100.6 MHz, DMSO-d_6): 30.59 (CH_2-pur); 44.80 (CH_3); 57.30 (CH_2–N); 61.26 (CH_2-5′); 70.31 (CH-3′); 73.45 (CH-2′); 85.66 (CH-4′); 87.50 (CH-1′); 132.85 (C-5); 144.03 (CH-8); 150.13 (C-4); 151.64 (CH-2); 160.55 (C-6). FAB-MS, *m*/*z* (rel %) = 324 (90) $[M+H]^+$, 279 (5), 220 (5), 190 (15), 147 (14), 93 (12), 73 (6), 58 (100). HRMS Calcd for $C_{14}H_{22}N_5O_4$ $[M+H]^+$ 324.1671. Found: 324.1678. IR (KBr): 3535, 3400, 3218, 3115, 2831, 2781, 1605, 1585, 1499, 1463, 1405, 1339, 1218, 1099, 1063, 1039, 804, 642. Anal. Calcd for $C_{14}H_{21}N_5O_4$·1-H_2O: C, 49.26; H, 6.79; N, 20.52. Found: C, 49.10; H, 6.70; N, 20.17. $[\alpha]_D$ −44.8 (*c* 0.38, MeOH).

6.56. 6-[2-(Diethylamino)ethyl]-9-(β-D-ribofuranosyl)purine (18b)

Yield 63%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 0.93 (t, 6H, J_{vic} = 7.1, CH_2CH_3); 2.53 (q, 4H, J_{vic} = 7.1, CH_2CH_3); 2.97 (t, 2H, J_{vic} = 7.4, CH_2–N); 3.20 (t, 2H, J_{vic} = 7.4, CH_2-pur); 3.57 (br m, 1H, H-5′b); 3.68 (br m, 1H, H-5′a); 3.98 (q, 1H, $J_{4',3'}$ = 3.7, H-4′); 4.19 (br m, 1H, H-3′); 4.65 (br m, 1H, $J_{1',2'}$ = 5.8, H-2′); 5.12 (br m, OH-5′); 5.23 (br m, OH-3′); 5.53 (br d, OH-2′); 6.02 (d, 1H, $J_{1',2'}$ = 5.8, H-1′); 8.76 (s, 1H, H-2); 8.82 (s, 1H, H-8). ^{13}C NMR (100.6 MHz, DMSO-d_6): 11.68 (CH_3); 29.50 (CH_2-pur); 46.09 (CH_2CH_3); 50.31 (CH_2–N); 61.25 (CH_2-5′); 70.29 (CH-3′); 73.50 (CH-2′); 85.65 (CH-4′); 87.48 (CH-1′); 132.79 (C-5); 144.00 (CH-8); 150.12 (C-4); 151.64 (CH-2); 160.69 (C-6). FAB-MS, *m*/*z* (rel %) = 352 (100) $[M+H]^+$, 279 (4), 249 (4), 217 (4), 201 (12), 149 (14), 135 (10), 91 (41), 86 (33), 73 (10), 57 (11). HRMS Calcd for $C_{16}H_{26}N_5O_4$ $[M+H]^+$ 352.1984. Found: 352.1990. IR (KBr): 3392, 3270, 3110, 3067, 2971, 2876, 1635, 1599, 1583, 1498, 1458, 1418, 1405, 1388, 1334, 1211, 1122, 1086, 1058, 813, 647. Anal. Calcd for $C_{16}H_{25}N_5O_4$·0.8H_2O: C, 52.53; H, 7.33; N, 19.14. Found: C, 52.83; H, 7.19; N, 18.75. $[\alpha]_D$ −29.4 (*c* 0.17, MeOH).

6.57. 6-[2-(Dibutylamino)ethyl]-9-(β-D-ribofuranosyl)purine (18c)

Yield 59%, white hygroscopic foam. ^{1}H NMR (500 MHz, DMSO-d_6): 0.82 (t, 6H, J_{vic} = 7.3, $CH_3CH_2CH_2CH_2$); 1.18 and 1.32 (2× m, 2× 4H, $CH_3CH_2CH_2CH_2$); 2.42 (m, 4H, $CH_3CH_2CH_2CH_2$); 2.94 (m, 2H, CH_2N); 3.19 (m, 2H, CH_2-pur); 3.57 and 3.69 (2× dd, 2H, J_{gem} = 12.1, $J_{5',4'}$ = 4.2, H-5′); 3.97 (td, 1H, $J_{4',5'}$ = 4.2, $J_{4',3'}$ = 3.6, H-4′); 4.18 (dd, 1H, $J_{3',2'}$ = 5.0, $J_{3',4'}$ = 3.6, H-3′); 4.62 (dd, 1H, $J_{2',1'}$ = 5.7, $J_{2',3'}$ = 5.0, H-2′); 5.00–5.70 (br m, 3H, OH-2′,3′,5′); 6.01 (d, 1H, $J_{1',2'}$ = 5.7, H-1′); 8.75 (s, 1H, H-2); 8.81 (s, 1H, H-8). ^{13}C NMR (125.7 MHz, DMSO-d_6): 14.11 ($CH_3CH_2CH_2CH_2$); 20.14 ($CH_3CH_2CH_2CH_2$); 29.10 ($CH_3CH_2CH_2CH_2$); 29.97 (CH_2-pur); 51.87 (CH_2N); 53.01 ($CH_3CH_2CH_2CH_2$); 61.51 (CH_2-5′); 70.53 (CH-3′); 73.83 (CH-2′); 85.87 (CH-4′); 87.78 (CH-1′); 133.08 (C-5); 144.20 (CH-8); 150.35 (C-4); 151.88 (CH-2); 161.14 (C-6). FAB-MS, *m*/*z* (rel %) = 408 (20) $[M+H]^+$, 274 (6), 232 (7), 147 (10), 142 (100), 98 (10), 84 (5), 57 (12). HRMS Calcd for $C_{20}H_{34}N_5O_4$ $[M+H]^+$ 408.2610. Found: 408.2630. IR (KBr): 3419, 3265, 3115, 3067, 2871, 1630, 1600, 1581, 1499, 1465, 1459, 1418, 1405, 1377, 1334, 1212, 1121, 1085, 1057, 811, 647. Anal. Calcd for $C_{20}H_{33}N_5O_4$·0.5H_2O: C, 57.67; H, 8.23; N, 16.81. Found: C, 57.23; H, 7.85; N, 16.99. $[\alpha]_D$ −40.6 (*c* 0.17, MeOH).

6.58. 6-[2-(Piperidine-1-yl)ethyl]-9-(β-D-ribofuranosyl)purine (18d)

Yield 56%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 1.35 (m, 2H, CH_2-4-pip); 1.45 (m, 4H, CH_2-3-pip); 2.43 (m, 4H, CH_2N-pip); 2.82 (t, 2H, J_{vic} = 7.5, CH_2N); 3.25 (t, 2H, J_{vic} = 7.5, CH_2-pur); 3.59 (ddd, 1H, J_{gem} = 12.0, $J_{5'b,OH}$ = 6.8, $J_{5'b,4'}$ = 4.1, H-5′b); 3.68 (ddd, H, J_{gem} = 12.0, $J_{5'a,OH}$ = 4.4, $J_{5'a,4'}$ = 3.9, H-5′a); 3.98 (q, 1H, $J_{4',5'}$ = 3.9, 4.1, $J_{4',3'}$ = 3.7, H-4′); 4.15 (q, 1H, $J_{3',2'}$ = 5.3, $J_{3',OH}$ = 4.8, $J_{3',4'}$ = 3.7, H-3′); 4.65 (q, 1H, $J_{2',OH}$ = 6.0, $J_{2',1'}$ = 5.8, $J_{2',3'}$ = 5.3, H-2′); 5.13 (t, 1H, $J_{OH,5'}$ = 6.8, 4.4, OH-5′); 5.26 (d, 1H, $J_{OH,3'}$ = 4.8, OH-3′); 5.54 (d, 1H, $J_{OH,2'}$ = 6.0, OH-2′); 6.03 (d, 1H, $J_{1',2'}$ = 5.8, H-1′); 8.76 (s, 1H, H-2); 8.82 (s, 1H, H-8). ^{13}C NMR (100.6 MHz, DMSO-d_6): 23.85 (CH_2-4-pip); 25.34 (CH_2-3-pip); 29.99 (CH_2-pur); 53.55 (CH_2N-pip); 56.73 (CH_2N); 61.25 (CH_2-5′); 70.30 (CH-3′); 73.51 (CH-2′); 85.65 (CH-4′); 87.49 (CH-1′); 132.73 (C-5); 144.04 (CH-8); 150.15 (C-4); 151.65 (CH-2); 160.45 (C-6). FAB-MS, *m*/*z* (rel %) = 364 (35) $[M+H]^+$, 147 (5), 98 (100). HRMS Calcd for $C_{17}H_{26}N_5O_4$ $[M+H]^+$ 364.1984. Found: 364.1981. IR (KBr): 3370, 2934, 2855, 2770, 1598, 1580, 1498, 1469, 1454, 1444, 1417, 1405, 1354, 1334, 1212, 1118, 1087, 1057, 647. Anal. Calcd for $C_{17}H_{25}N_5O_4$·0.9H_2O: C, 53.79; H, 7.12; N, 18.45. Found: C, 54.12; H, 7.01; N, 18.10. $[\alpha]_D$ −34.3 (*c* 0.43, MeOH).

6.59. 6-[2-(Morpholine-4-yl)ethyl]-9-(β-D-ribofuranosyl)purine (18e)

Yield 76%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 2.44 (m, 4H, J_{vic} = 4,6, CH_2N-morph); 2.83 (t, 2H, J_{vic} = 7.5, CH_2–N); 3.26 (t, 2H, J_{vic} = 7.5, CH_2-pur); 3.51 (t, 4H, J_{vic} = 4,6, CH_2O-morph); 3.58 (ddd, 1H, J_{gem} = 11.8, $J_{5'b,OH}$ = 5.9, $J_{5'b,4'}$ = 4.2, H-5′b); 3.69 (ddd, 1H, J_{gem} = 11.8, $J_{5'a,OH}$ = 5.2, $J_{5'a,4'}$ = 3.7, H-5′a); 3.97 (q, 1H, $J_{4',5'}$ = 3.9, 4.2, $J_{4',3'}$ = 3.7, H-4′); 4.18 (q, 1H, $J_{3',2'}$ = 5.2, $J_{3',OH}$ = 4.8, $J_{3',4'}$ = 3.7, H-3′); 4.65 (q, 1H, $J_{2',OH}$ = 5.8, $J_{2',1'}$ = 5.8, $J_{2',3'}$ = 5.2, H-2′); 5.12 (t, 1H, $J_{OH,5'}$ = 5.9, 5.2, OH-5′); 5.25 (d, 1H, $J_{OH,3'}$ = 4.8, OH-3′); 5.53 (d, 1H, $J_{OH,2'}$ = 5.8 OH-2′); 6.02 (d, 1H, $J_{1',2'}$ = 5.8 H-1′); 8.76 (s, 1H, H-2); 8.83 (s, 1H, H-8). ^{13}C NMR (100.6 MHz, DMSO-d_6): 29.62 (CH_2-pur); 52.73 (CH_2N-morph); 56.21 (CH_2–N); 61.04 (CH_2-5′); 65.82 (CH_2O-morph); 70.08 (CH-3′); 73.29 (CH-2′); 85.44 (CH-4′); 87.28 (CH-1′); 132.56 (C-5); 143.85

(CH-8); 149.95 (C-4); 151.45 (CH-2); 160.05 (C-6). FAB-MS, *m/z* (rel %) = 366 (60) $[M+H]^+$, 270 (6), 234 (7), 147 (12), 135 (7) 100 (100), 98 (18), 91 (18), 73 (10), 57 (15). HRMS Calcd for $C_{16}H_{24}N_5O_5$ $[M+H]^+$ 366.1777. Found: 366.1772. IR (KBr): 3400, 3265, 3113, 3068, 2820, 1599, 1582, 1499, 1459, 1447, 1417, 1403, 1373, 1358, 1335, 1296, 1211, 1116, 1070, 1036, 1006, 914, 867, 818, 647, 613. Anal. Calcd for $C_{16}H_{23}N_5O_5 \cdot 2.25H_2O$: C, 47.34; H, 6.83; N, 17.25. Found: C, 47.72; H, 6.39; N, 16.84. $[\alpha]_D$ −33.7 (*c* 0.44, MeOH).

6.60. 6-[2-(Benzyl(methyl)amino)ethyl]-9-(β-D-ribofuranosyl)purine (18f)

Yield 68%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 2.19 (s, 3H, CH_3); 2.90 (t, 2H, J_{vic} = 6.8, CH_2–N); 3.30 (t, 2H, J_{vic} = 7.2, CH_2-pur); 3.52 (s, CH_2-Ph); 3.59 (ddd, 1H, J_{gem} = 11.9, $J_{5'b,OH}$ = 6.8, $J_{5'b,4'}$ = 4.1, H-5′b); 3.68 (ddd, H, J_{gem} = 11.9, $J_{5'a,OH}$ = 4.4, $J_{5'a,4'}$ = 3.9, H-5′a); 3.99 (br m, 1H, H-4′); 4.20 (br m, 1H, $J_{3',2'}$ = 4.3, H-3′); 4.65 (br m, 1H, $J_{2',3'}$ = 4.3, H-2′); 5.14 (br m, 1H, OH-5′); 5.26 (br m, 1H, OH-3′); 5.54 (br d, 1H, $J_{OH,2'}$ = 4.6, OH-2′); 6.03 (br d, 1H, $J_{1',2'}$ = 5.1, H-1′); 7.12 (m, 2H, H-*o*-Ph); 7.20 (m, 1H, H-*p*-Ph); 7.23 (m, 2H, H-*m*-Ph); 8.74 (s, 1H, H-2); 8.81 (s, 1H, H-2); ^{13}C NMR (100.6 MHz, DMSO-d_6): 30.34 (CH_2-pur); 41.53 (CH_3); 54.92 (CH_2–N); 60.87 (CH_2Ph); 61.27 (CH_2-5′); 70.31 (CH-3′); 73.55 (CH-2′); 85.65 (CH-4′); 87.51 (CH-1′); 126.69 (CH-*p*-Ph); 127.93 (CH-*m*-Ph); 128.44 (CH-*o*-Ph); 132.84 (C-5); 138.68 (C-*i*-Ph); 143.99 (CH-8); 150.13 (C-4); 151.61 (CH-2); 160.51 (C-6). FAB-MS, *m/z* (rel %) = 400 (10) $[M+H]^+$, 176 (6), 147 (14), 134 (76), 120 (9), 91 (100). HRMS Calcd for $C_{20}H_{26}N_5O_4$ $[M+H]^+$ 400.1984. Found: 400.1978. IR (KBr): 3369, 3113, 3090, 3066, 3030, 2795, 1599, 1584, 1497, 1454, 1417, 1406, 1335, 1213, 1123, 1083, 1050, 1027, 809, 742, 700, 647. Anal. Calcd for $C_{20}H_{25}N_5O_4 \cdot 0.5H_2O$: C, 58.81; H, 6.42; N, 17.15. Found: C, 58.78; H, 6.36; N, 16.87. $[\alpha]_D$ −38.0 (*c* 0.39, MeOH).

6.61. 6-[2-(Thiazolidine-1-yl)ethyl]-9-(β-D-ribofuranosyl)purine (18g)

Yield 71%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 2.77 (t, 2H, J_{vic} = 6.3, H-5-thiazolidine); 2.88 (t, 2H, J_{vic} = 7.2, CH_2–S); 3.00 (t, 2H, J_{vic} = 6.3, H-5-thiazolidine); 3.28 (t, 2H, J_{vic} = 7.2, CH_2-pur); 3.57 (ddd, 1H, J_{gem} = 11.9, $J_{5'b,OH}$ = 6.0, $J_{5'b,4'}$ = 4.1, H-5′b); 3.69 (ddd, 1H, J_{gem} = 11.9, $J_{5'a,OH}$ = 5.2, $J_{5'a,4'}$ = 3.9, H-5′a); 3.97 (q, 1H, $J_{4',5'}$ = 3.9, 4.1, $J_{4',3'}$ = 3.6, H-4′); 4.18 (q, 1H, $J_{3',2'}$ = 5.2, $J_{3',OH}$ = 4.9, $J_{3',4'}$ = 3.6, H-3′); 4.65 (q, 1H, $J_{2',OH}$ = 6.1, $J_{2',1'}$ = 5.8, $J_{2',3'}$ = 5.2, H-2′); 5.12 (t, 1H, $J_{OH,5'}$ = 6.0, 5.2, OH-5′); 5.24 (d, 1H, $J_{OH,3'}$ = 4.9, OH-3′); 5.53 (d, 1H, $J_{OH,2'}$ = 6.1 OH-2′); 6.02 (d, 1H, $J_{1',2'}$ = 5.8 H-1′); 8.77 (s, 1H, H-2); 8.84 (s, 1H, H-8). ^{13}C NMR (100.6 MHz, DMSO-d_6): 28.74 (CH_2-5-thiazolidine); 32.06 (CH_2-pur); 50.25 (CH_2-4-thiazolidine); 57.25 (CH_2–N); 60.02 (CH_2-2-thiazolidine); 61.26 (CH_2-5′); 70.30 (CH-3′); 73.48 (CH-2′); 85.66 (CH-4′); 87.49 (CH-1′); 132.91 (C-5); 144.14 (CH-8); 150.19 (C-4); 151.65 (CH-2); 160.01 (C-6). FAB-MS, *m/z* (rel %) = 368 (47) $[M+H]^+$, 236 (17), 176 (8), 147 (26), 135 (14), 102 (100), 73 (10). HRMS Calcd for $C_{15}H_{22}N_5O_4S$ $[M+H]^+$ 368.1392. Found: 368.1398. IR (KBr): 3307, 3118, 3068, 1599, 1584, 1497, 1417, 1406, 1335, 1212, 1121, 1085, 1057, 816, 646. Anal. Calcd for $C_{15}H_{21}N_5O_4S \cdot 0.6H_2O$: C, 47.03; H, 5.92; N, 18.52. Found: C, 48.01; H, 6.05; N, 18.13. $[\alpha]_D$ −39.0 (*c* 0.19, MeOH).

6.62. 6-[2-(Diethylamino)ethyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (19a)

Yield 79%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 2.16 (s, 3H, CH_3); 2.33 (ddd, 1H, J_{gem} = 13.2, $J_{2'b,1'}$ = 6.1, $J_{2'b,3'}$ = 3.2, H-2′b); 2.78 (t, 2H, J_{vic} = 7.1, CH_2–N); 2.84 (ddd, 1H, J_{gem} = 13.2, $J_{2'a,1'}$ = 7.3, $J_{2'a,3'}$ = 6.0, H-2′a); 3.21 (t, 2H, J_{vic} = 7.1, CH_2-pur); 3.52 (dt, 1H, J_{gem} = 11.4, $J_{5'b,OH}$ = 5.0, $J_{5'b,4'}$ = 4.5, H-5′b); 3.63 (dt, 1H, J_{gem} = 11.4, $J_{5'a,OH}$ = 5.0, $J_{5'a,4'}$ = 4.5, H-5′a); 3.89 (td, 1H, $J_{4',5'}$ = 4.5, $J_{4',3'}$ = 3.0, H-4′); 4.42 (m, 1H, $J_{3',2'}$ = 6.0, 3.2, $J_{3',OH}$ = 4.0, $J_{3',4'}$ = 3.0, H-3′); 5.01 (br t, 1H, $J_{OH,5'}$ = 5.0, 5.0, OH-5′); 5.36 (d, 1H, $J_{OH,3'}$ = 4.0, OH-3′); 6.46 (dd, 1H, $J_{1',2'}$ = 7.3, 6.1, H-1′); 8.72 (s, 1H, H-2); 8.81 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, DMSO-d_6): 30.55 (CH_2-pur); 39.08 (C-2′); 44.78 (CH_3N); 57.28 (CH_2-2′); 61.54 (CH_2-5′); 70.63 (CH-3′); 83.63 (CH-1′); 87.90 (CH-4′); 132.84 (C-5); 143.92 (CH-8); 149.84 (C-4); 151.57 (CH-2); 160.39 (C-6). FAB-MS, *m/z* (rel %) = 308 (10) $[M+H]^+$, 274 (15), 257 (16), 249 (34), 215 (7), 202 (8), 195 (10), 149 (12), 102 (8), 57 (26). HRMS Calcd for $C_{14}H_{22}N_5O_3$ $[M+H]^+$ 308.1722. Found: 308.1715. IR (KBr): 3429, 3270, 3110, 3065, 2825, 2781, 1627, 1600, 1580, 1497, 1419, 1400, 1334, 1212, 1040, 806, 645. Anal. Calcd for $C_{14}H_{21}N_5O_3 \cdot 0.25H_2O$: C, 53.92; H, 6.95; N, 22.46. Found: C, 54.27; H, 6.82; N, 22.05. $[\alpha]_D$ −6.0 (*c* 0.15, MeOH).

6.63. 6-[2-(Dibutylamino)ethyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (19c)

Yield 38%, yellowish hygroscopic foam. ^{1}H NMR (400 MHz, $CDCl_3$): 0.89 (t, 6H, J_{vic} = 7.3, CH_3CH$_2$CH$_2$CH$_2$N); 1.26 and 1.45 (2× m, 8H, CH$_3$CH_2CH_2CH$_2$N); 2.38 (ddd, 1H, J_{gem} = 13.3, $J_{2'b,1'}$ = 5.6, $J_{2'b,3'}$ = 1.3, H-2′b); 2.53 (t, 4H, J_{vic} = 7.5, CH$_3$CH$_2$CH$_2$CH_2N); 3.06 (t, 2H, J_{vic} = 7.6, CH_2N); 3.06 (ddd, 1H, J_{gem} = 13.3, $J_{2'a,1'}$ = 9.0, H-2′a); 3.35 (t, 2H, J_{vic} = 7.6, CH_2-pur); 3.82 (dt, 1H, J_{gem} = 12.5 H-5′b); 3.62 (dt, 1H, J_{gem} = 12.5, H-5′a); 4.23 (br s, 1H, H-4′); 4.81 (br d, 1H, H-3′); 6.43 (dd, 1H, H-1′); 8.18 (s, 1H, H-8); 8.84 (s, 1H, H-2). ^{13}C NMR (100.6 MHz, $CDCl_3$): 14.04 (*C*H$_3$CH$_2$CH$_2$CH$_2$N); 20.65 (CH$_3$*C*H$_2$CH$_2$CH$_2$N); 29.10 (CH$_3$CH$_2$*C*H$_2$CH$_2$N); 30.15 (CH_2-pur); 40.75 (CH_2-2′); 52.13 (CH_2–N); 53.49 (CH$_3$CH$_2$CH$_2$*C*H$_2$N); 63.17 (CH_2-5′); 72.74 (CH-3′); 87.40 (CH-1′); 89.42 (CH-4′); 134.60 (C-5); 143.43 (CH-8); 149.37 (C-4); 151.50 (CH-2); 162.93 (C-6). FAB-MS, *m/z* (rel %) = 392 (30) $[M+H]^+$, 300 (3), 274 (8), 232 (10), 218 (3), 173 (3), 147 (12), 142 (100), 98

(12), 84 (6), 57 (10). HRMS Calcd for $C_{20}H_{34}N_5O_3$ $[M+H]^+$ 392.2661. Found: 392.2675. IR (KBr): 3429, 3267, 3120, 3065, 2871, 1626, 1599, 1581, 1498, 1459, 1418, 1401, 1378, 1334, 1212, 1096, 1059, 809, 646. Anal. Calcd for $C_{20}H_{33}N_5O_3 \cdot 0.75H_2O$: C, 59.31; H, 8.59; N, 17.29. Found: C, 59.59; H, 8.36; N, 17.18. $[\alpha]_D$ −1.3 (*c* 0.24, MeOH).

6.64. 6-[2-(Morpholine-4-yl)ethyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (19e)

Yield 68%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 2.33 (ddd, 1H, J_{gem} = 13.3, $J_{2'b,1'}$ = 6.2, $J_{2'b,3'}$ = 3.4, H-2′b); 2.43 (m, 4H, J_{vic} = 4.7, CH_2N-morph); 2.77 (ddd, 1H, J_{gem} = 13.3, $J_{2'a,1'}$ = 7.4, $J_{2'a,3'}$ = 6.0, H-2′a); 2.82 (t, 2H, J_{vic} = 7.2, CH_2–N); 3.21 (t, 2H, J_{vic} = 7.1, CH_2-pur); 3.51 (t, 4H, J_{vic} = 4.7, CH_2N-morph); 3.52 (dt, 1H, J_{gem} = 11.7, $J_{5'b,OH}$ = 5.0, $J_{5'b,4'}$ = 4.5, H-5′b); 3.62 (dt, 1H, J_{gem} = 11.7, $J_{5'a,OH}$ = 5.0, $J_{5'a,4'}$ = 4.5, H-5′a); 3.88 (td, 1H, $J_{4',5'}$ = 4.5, $J_{4',3'}$ = 3.0, H-4′); 4.45 (m, 1H, $J_{3',2'}$ = 6.0, 3.4, $J_{3',OH}$ = 4.2, $J_{3',4'}$ = 3.0, H-3′); 5.0 (t, 1H, $J_{OH,5'}$ = 5.0, OH-5′); 5.37 (d, 1H, $J_{OH,3'}$ = 4.2, OH-3′); 6.46 (dd, 1H, $J_{1',2'}$ = 7.4, 6.2, H-1′); 8.72 (s, 1H, H-2); 8.81 (s, 1H, H-2); ^{13}C NMR (100.6 MHz, DMSO-d_6): 29.822 (CH_2-pur); 39.12 (C-2′); 52.94 (CH_2N-morph); 56.43 (CH_2-2′); 61.52 (CH_2-5′); 66.03 (CH_2O-morph); 70.62 (CH-3′); 83.63 (CH-1′); 87.90 (CH-4′); 132.77 (C-5); 143.97 (CH-8); 149.87 (C-4); 151.59 (CH-2); 160.13 (C-6). FAB-MS, *m*/*z* (rel %) = 350 (66) $[M+H]^+$, 249 (4), 234 (14), 147 (12), 100 (100), 73 (7), 57 (8). HRMS Calcd for $C_{16}H_{24}N_5O_4$ $[M+H]^+$ 350.1828. Found: 350.1814. IR (KBr): 3613, 3295, 3120, 3072, 2968, 2897, 2817, 2774, 2738, 2694, 1599, 1585, 1499, 1459, 1447, 1419, 1399, 1373, 1336, 1295, 1115, 1095, 1070, 1060, 1036, 1007, 915, 868, 646, 613. Anal. Calcd for $C_{16}H_{23}N_5O_4 \cdot 0.4H_2O$: C, 53.89; H, 6.73; N, 19.64. Found: C, 54.28; H, 6.89; N, 19.29. $[\alpha]_D$ −12.5 (*c* 0.27, MeOH).

6.65. 6-[2-(Benzyl(methyl)amino)ethyl]-9-(2-deoxy-β-D-*erythro*-pentafuranosyl)purine (19f)

Yield 76%, white hygroscopic foam. ^{1}H NMR (400 MHz, DMSO-d_6): 2.18 (s, 3H, CH_3); 2.35 (ddd, 1H, J_{gem} = 13.0, $J_{2'b,1'}$ = 6.0, $J_{2'b,3'}$ = 2.4, H-2′b); 2.81 (ddd, 1H, J_{gem} = 13.0, $J_{2'a,1'}$ = 7.1, $J_{2'a,3'}$ = 6.0, H-2′a); 2.88 (t, 2H, J_{vic} = 7.2, CH_2–N); 3.28 (t, 2H, J_{vic} = 7.2, CH_2-pur); 3.50 (s, CH_2-Ph); 3.52 (dt, 1H, J_{gem} = 11.7, $J_{5'b,OH}$ = 5.0, $J_{5'b,4'}$ = 4.5, H-5′b); 3.63 (dt, 1H, J_{gem} = 11.7, $J_{5'a,OH}$ = 5.0, $J_{5'a,4'}$ = 4.5, H-5′a); 3.90 (td, 1H, $J_{4',5'}$ = 4.5, $J_{4',3'}$ = 3.0, H-4′); 4.45 (m, 1H, $J_{3',2'}$ = 6.0, 2.4, $J_{3',OH}$ = 4.1, $J_{3',4'}$ = 3.0, H-3′); 5.02 (t, 1H, $J_{OH,5'}$ = 5.0, OH-5′); 5.37 (d, 1H, $J_{OH,3'}$ = 4.1, OH-3′); 6.47 (dd, 1H, $J_{1',2'}$ = 7.1, 6.0, H-1′); 7.12 (m, 2H, H-*o*-Ph); 7.20 (m, 1H, H-*p*-Ph); 7.25 (m, 2H, H-*m*-Ph); 8.70 (s, 1H, H-2); 8.79 (s, 1H, H-2); ^{13}C NMR (100.6 MHz, DMSO-d_6); 31.35 (CH_2-pur); 39.14 (C-2′); 41.54 (CH_3); 54.94 (CH_2–N); 60.88 (CH_2Ph); 61.55 (CH_2-5′); 70.64 (CH-3′); 83.62 (CH-1′); 87.89 (CH-4′); 126.65 (CH-*o*-Ph); 127.90 (CH-*p*-Ph); 128.45 (CH-*m*-Ph); 132.82 (C-5); 138.76 (C-*i*-Ph); 143.85 (CH-8); 149.83 (C-4); 151.52 (CH-2); 160.40 (C-6). FAB-MS, *m*/*z* (rel %) = 384 (100) $[M+H]^+$ (cation), 294 (5), 268 (6), 249 (15), 241 (5), 201 (6), 147 (9), 134 (68), 122 (10), 91 (52), 73 (8), 57 (10). HRMS Calcd for $C_{20}H_{26}N_5O_3$ $[M+H]^+$ 384.2036. Found: 384.2041. IR (KBr): 3422, 3265, 3115, 3088, 3064, 3028, 2793, 1636, 1599, 1581, 1496, 1454, 1418, 1401, 1334, 1212, 1028, 809, 738, 700, 646. Anal. Calcd for $C_{20}H_{25}N_5O_3 \cdot 0.65H_2O$: C, 60.79; H, 6.71; N, 17.72. Found: C, 61.18; H, 6.80; N, 17.40. $[\alpha]_D$ −8.2 (*c* 0.31, MeOH).

6.66. 6-[2-(Benzylsulfanyl)ethyl]-9-(β-D-ribofuranosyl)purine (12a)

Yield 78%, white hygroscopic crystals. ^{1}H NMR (400 MHz, DMSO-d_6): 2.95 (t, 2H, J_{vic} = 7.3, CH_2–S); 3.38 (t, 2H, J_{vic} = 7.3, CH_2-pur); 3.59 (ddd, 1H, J_{gem} = 11.9, $J_{5'b,OH}$ = 6.8, $J_{5'b,4'}$ = 4.1, H-5′b); 3.68 (ddd, H, J_{gem} = 11.9, $J_{5'a,OH}$ = 4.4, $J_{5'a,4'}$ = 3.9, H-5′a); 3.79 (s, 2H, CH_2S); 3.97 (q, 1H, $J_{4',5'}$ = 3.9, 4.1, $J_{4',3'}$ = 3.7, H-4′); 4.15 (q, 1H, $J_{3',2'}$ = 5.24, $J_{3',OH}$ = 4.9, $J_{3',4'}$ = 3.7, H-3′); 4.65 (q, 1H, $J_{2',OH}$ = 6.1, $J_{2',1'}$ = 5.8, $J_{2',3'}$ = 5.24, H-2′); 5.12 (t, 1H, $J_{OH,5'}$ = 6.8, 4.4, OH-5′); 5.26 (d, 1H, $J_{OH,3'}$ = 4.9, OH-3′); 5.54 (d, 1H, $J_{OH,2'}$ = 6.0, OH-2′); 6.03 (d, 1H, $J_{1',2'}$ = 5.8, H-1′);7.22 (m, 1H, H-*p*-Ph); 7.29 (m, 2H, H-*m*-Ph); 7.31 (m, 2H, H-*o*-Ph); 8.79 (s, 1H, H-2); 8.85 (s, 1H, H-8). ^{13}C NMR (100.6 MHz, DMSO-d_6); 28.47 (CH_2–S); 32.08 (CH_2-pur); 34.74 (CH_2-Ph); 61.24 (CH_2-5′); 70.29 (CH-3′); 73.51 (CH-2′); 85.66 (CH-4′); 87.52 (CH-1′) 126.89 (CH-*p*-Ph); 128.44 (CH-*m*-Ph); 128.90 (CH-*o*-Ph); 132.92 (C-5); 138.20 (C-*i*-Ph); 141.71 (CH-8); 150.10 (C-4); 152.40 (CH-2); 160.43 (C-6). FAB-MS, *m*/*z* (rel %) = 403 (36) $[M+H]^+$, 313 (8), 271 (96), 179 (24), 147 (40), 134 (8), 121 (7), 91 (100), 73 (12), 57 (12). HRMS Calcd for $C_{19}H_{23}N_4O_4S$ $[M+H]^+$ 403.1440. Found: 403.1433. IR (KBr): 3391, 3270, 3112, 3088, 3066, 3028, 1599, 1582, 1495, 1453, 1416, 1405, 1334, 1211, 1113, 1082, 1054, 1027, 1003, 815, 745, 703, 645, 546, 471. Anal. Calcd for $C_{19}H_{22}N_4O_4S$: C, 56.70; H, 5.51; N, 13.92; S, 7.97. Found: C, 56.41; H, 5.63; N, 13.69; S, 7.89. $[\alpha]_D$ −41.2 (*c* 0.34, MeOH).

6.67. 6-(2-{[(Methoxycarbonyl)methyl]sulfanyl}ethyl)-9-(β-D-ribofuranosyl)purine (12b)

Yield 80%, white hygroscopic foam. ^{1}H NMR (600 MHz, DMSO-d_6): 3.16 (t, 2H, J_{vic} = 7.1, CH_2S); 3.41 (t, 2H, J_{vic} = 7.1, CH_2-pur); 3.42 (s, 2H, CH_2CO); 3.57 (ddd, 1H, J_{gem} = 12.0, $J_{5'b,OH}$ = 6.1, $J_{5'b,4'}$ = 4.0, H-5′b); 3.64 (s, 3H, CH_3O); 3.68 (ddd, 1H, J_{gem} = 12.0, $J_{5'a,OH}$ = 5.1, $J_{5'a,4'}$ = 4.1, H-5′a); 3.97 (ddd, 1H, $J_{4',5'}$ = 4.1, 4.0, $J_{4',3'}$ = 3.6, H-4′); 4.18 (ddd, 1H, $J_{3',2'}$ = 5.0, $J_{3',OH}$ = 4.9, $J_{3',4'}$ = 3.6, H-3′); 4.65 (ddd, 1H, $J_{2',OH}$ = 6.1, $J_{2',1'}$ = 5.8, $J_{2',3'}$ = 5.0, H-2′); 5.13 (dd, 1H, $J_{OH,5'}$ = 6.1, 5.1, OH-5′); 5.26 (d, 1H, $J_{OH,3'}$ = 4.9, OH-3′); 5.55 (d, 1H, $J_{OH,2'}$ = 6.1, OH-2′); 6.02 (d, 1H, $J_{1',2'}$ = 5.8, H-1′); 8.79 (s, 1H, H-8); 8.86 (s, 1H, H-2). ^{13}C NMR (151 MHz, DMSO-d_6): 29.68 (CH_2S); 32.05 (CH_2-pur); 32.64 (CH_2CO); 52.29 (CH_3O); 61.53 (CH_2-5′); 70.58 (CH-3′); 73.79 (CH-2′); 85.96 (CH-4′); 87.78 (CH-1′); 133.06 (C-5); 144.61 (CH-8); 150.60 (C-4); 152.04 (CH-2); 159.62 (C-6);

170.78 (CO). FAB-MS, *m/z* (rel %) = 385 (100) $[M+H]^+$, 295 (7), 279 (7), 253 (70), 217 (7), 180 (18), 147 (36), 134 (8), 121 (7), 91 (100), 73 (38), 61 (22), 57 (44). HRMS Calcd for $C_{15}H_{21}N_4O_6S$ $[M+H]^+$ 385.1181. Found: 385.1168 IR (KBr): 3615, 3306, 3115, 3073, 1734, 1599, 1586, 1500, 1438, 1418, 1335, 1286, 645. Anal. Calcd for $C_{15}H_{20}N_4O_6S{\cdot}0.75\ H_2O$: C, 45.28; H, 5.45; N, 14.08; S, 8.06. Found: C, 45.57; H, 5.18; N, 13.71; S, 8.27. $[\alpha]_D$ −24.8 (*c* 0.10, MeOH).

6.68. 6-[2-(Benzothiazole-2-ylsulfanyl)ethyl]-9-(β-D-ribofuranosyl)purine (12c)

Yield 68%, white hygroscopic crystals. ^{1}H NMR (600 MHz, DMSO-d_6): 3.55 (m, 2H, CH_2-pur); 3.57 (ddd, 1H, J_{gem} = 12.1, $J_{5'b,OH}$ = 5.9, $J_{5'b,4'}$ = 3.9, H-5′b); 3.69 (ddd, 1H, J_{gem} = 12.1, $J_{5'a,OH}$ = 5.3, $J_{5'a,4'}$ = 4.2, H-5′a); 3.97 (ddd, 1H, $J_{4',5'}$ = 4.2, 3.9, $J_{4',3'}$ = 3.6, H-4′); 4.17 (ddd, 1H, $J_{3',OH}$ = 5.1, $J_{3',2'}$ = 4.8, $J_{3',4'}$ = 3.6, H-3′); 4.57 (ddd, 1H, $J_{2',OH}$ = 6.0, $J_{2',1'}$ = 5.5, $J_{2',3'}$ = 4.8, H-2′); 4.95 (m, 2H, CH_2S); 5.13 (dd, 1H, $J_{OH,5'}$ = 5.9, 5.3, OH-5′); 5.26 (d, 1H, $J_{OH,3'}$ = 5.1, OH-3′); 5.54 (d, 1H, $J_{OH,2'}$ = 6.0, OH-2′); 6.01 (d, 1H, $J_{1',2'}$ = 5.5, H-1′); 7.36 (ddd, 1H, $J_{6,7}$ = 7.9, $J_{6,5}$ = 7.3, $J_{6,4}$ = 1.0, H-6-benzothiazole); 7.46 (ddd, 1H, $J_{5,4}$ = 8.3, $J_{5,6}$ = 7.3, $J_{5,7}$ = 1.3, H-5-benzothiazole); 7.53 (ddd, 1H, $J_{4,5}$ = 8.3, $J_{4,6}$ = 1.0, $J_{4,7}$ = 0.5, H-4-benzothiazole); 7.78 (ddd, 1H, $J_{7,6}$ = 7.9, $J_{7,5}$ = 1.3, $J_{7,4}$ = 0.5, H-7-benzothiazole); 8.79 (s, 1H, H-8); 8.86 (s, 1H, H-2). ^{13}C NMR (151 MHz, DMSO-d_6): 29.87 (CH_2-pur); 44.22 (CH_2S); 61.39 (CH_2-5′); 70.41 (CH-3′); 73.96 (CH-2′); 85.79 (CH-4′); 87.86 (CH-1′); 113.19 (CH-4-benzothiazole); 122.19 (CH-7-benzothiazole); 125.13 (CH-6-benzothiazole); 126.85 (C-7a-benzothiazole); 127.41 (CH-5-benzothiazole); 133.28 (C-5); 141.24 (C-3a-benzothiazole); 144.73 (CH-8); 150.53 (C-4); 152.03 (CH-2); 157.62 (C-6); 188.38 (C-2-benzothiazole). FAB-MS, *m/z* (rel %) = 446 (20) $[M+H]^+$, 424 (16), 279 (16), 181 (24), 147 (40), 126 (12), 91 (100), 73 (48), 61 (16), 57 (50). HRMS Calcd for $C_{19}H_{20}N_5O_4S_2$ $[M+H]^+$ 446.0956. Found: 446.0950. IR (KBr): 3413, 3270, 3112, 3068, 1630, 1600, 1585, 1498, 1461, 1420, 1405, 1374, 1333, 1316, 1261, 1205, 1165, 1144, 1134, 1121, 1082, 1058, 1025, 818, 750, 720, 709, 673, 644. Anal. Calcd for $C_{19}H_{19}N_5O_4S_2{\cdot}0.75H_2O$: C, 49.72; H, 4.50; N, 15.26; S, 13.97. Found: C, 50.08; H, 4.26; N, 14.90; S, 13.75. $[\alpha]_D$ −32.5 (*c* 0.25, MeOH).

6.69. 6-[2-(Thiazoline-2-ylsulfanyl)ethyl]-9-(β-D-ribofuranosyl)purine (12d)

Yield 91%, white hygroscopic crystals. ^{1}H NMR (600 MHz, DMSO-d_6): 3.30 (m, 2H, H-5-thiazole); 3.47 (m, 2H, CH_2-pur); 3.57 (ddd, 1H, J_{gem} = 12.1, $J_{5'b,OH}$ = 6.0, $J_{5'b,4'}$ = 3.9, H-5′b); 3.69 (ddd, 1H, J_{gem} = 12.1, $J_{5'a,OH}$ = 5.3, $J_{5'a,4'}$ = 4.2, H-5′a); 3.97 (ddd, 1H, $J_{4',5'}$ = 4.2, 3.9, $J_{4',3'}$ = 3.6, H-4′); 4.185 (ddd, 1H, $J_{3',OH}$ = 5.0, $J_{3',2'}$ = 4.8, $J_{3',4'}$ = 3.6, H-3′); 4.19 (m, 2H, H-4-thiazole); 4.23 (m, 2H, CH_2S); 4.61 (ddd, 1H, $J_{2',OH}$ = 6.1, $J_{2',1'}$ = 5.6, $J_{2',3'}$ = 4.8, H-2′); 5.13 (dd, 1H, $J_{OH,5'}$ = 6.0, 5.3, OH-5′); 5.26 (d, 1H, $J_{OH,3'}$ = 5.0, OH-3′); 5.54 (d, 1H, $J_{OH,2'}$ = 6.1, OH-2′); 6.02 (d, 1H, $J_{1',2'}$ = 5.6, H-1′); 8.81 (s, 1H, H-8); 8.86 (s, 1H, H-2). ^{13}C NMR (151 MHz, DMSO-d_6): 27.24 (CH_2-5-thiazole); 29.57 (CH_2-pur); 46.85 (CH_2S); 57.00 (CH_2-4-thiazole); 61.44 (CH_2-5′); 70.47 (CH-3′); 73.89 (CH-2′); 85.86 (CH-4′); 87.83 (CH-1′); 133.07 (C-5); 144.64 (CH-8); 150.56 (C-4); 152.00 (CH-2); 158.38 (C-6); 195.36 (C-2-thiazole). FAB-MS, *m/z* (rel %) = 398 (12) $[M+H]^+$, 147 (20), 126 (10), 91 (100), 73 (40), 61 (20), 57 (50). HRMS Calcd for $C_{15}H_{20}N_5O_4S_2$ $[M+H]^+$ 398.0956. Found: 398.0961. IR (KBr): 3413, 3270, 1600, 1583, 1494, 1455, 1420, 1405, 1364, 1334, 1292, 1212, 1120, 1081, 1053, 646. Anal. Calcd for $C_{15}H_{19}N_5O_4S_2{\cdot}0.5H_2O$: C, 44.32; H, 4.96; N, 17.23; S, 15.77. Found: C, 44.62; H, 4.77; N, 16.94; S, 15.40. $[\alpha]_D$ −40.1 (*c* 0.31, MeOH).

7. Biological assays

7.1. Cytostatic activity assays

Inhibition of the cell growth was estimated in *mouse lymphocytic leukemia L1210 cells* (ATCC CCL 219), *CCRF-CEM T lymphoblastoid cells* (human acute lymphoblastic leukemia, ATCC CCL 119), *human promyelocytic leukemia HL-60 cells* (ATCC CCL 240) and *human cervix carcinoma HeLa S3 cells* (ATCC CCL 2.2). *L1210 cells*, *CCRF-CEM cells* and *HL-60* cells were cultivated in RPMI 1640 medium supplemented with calf foetal serum using 24-well tissue culture plates. The endpoint of the cell growth was 72 h following the drug addition. Cells were then counted in Celtac MEK 5208 (NIHON KOHDEN) haematological analyzer. *HeLa S3 cells* were seeded to 24-well dishes in RPMI 1640 HEPES modification with foetal calf serum. 48 h following the drug addition the cultivation was stopped and the cell growth was evaluated after methylene blue addition. The cell viability was quantified using XTT [2][19] standard spectrophotometric assay (Roche Molecular Biochemicals). The inhibitory potency of the compounds tested was expressed as IC_{50} values. The cell cycle analysis by flow cytometry (BD FACSAria) was performed by using ethanol-fixed cells stained with propidium iodide in buffer containing RNase A.

7.2. EC_{50} determination in HCV replicon cells[20]

Con-1/lucneo replicon cells were seeded in 96-well plates at a density of 8×10^3 cells per well in 100 μl of culture medium, excluding Geneticin. Compound was serially diluted in 100% DMSO and then added to the cells at a 1:200 dilution, achieving a final concentration of 0.5% DMSO and a total volume of 200 μl. Plates were incubated at 37 °C for 3 days, after which culture medium was removed and cells were lysed in lysis buffer provided by Promega's luciferase assay system. Following the manufacturer's instruction, 100 μl of luciferase substrate was added to the lysed cells and the luciferase activity was measured in a TopCount luminometer. EC_{50} was calculated by non-linear regression. Parallel plates treated with the same drug dilutions were assayed for cytotoxicity using the Promega CellTiter-Glo cell viability assay.

7.3. CC_{50} determination in HCV replicon cells

Replicon cells were seeded in 96-well plates at a density of 8×10^3 cells per well in 100 μl of culture medium, excluding Geneticin. Compound was serially diluted in 100% DMSO and then added to the cells at a 1:200 dilution, achieving a final concentration of 0.5% DMSO and a total volume of 200 μl. Plates were incubated at 37 °C for 3 days after which 100 μl of CellTiter Glo reagent was added to each well and luminescence was read in a TopCount luminometer.

7.4. CC_{50} determination in MT4 cells

Cells were seeded in 384-well plates at 2×10^3 cells/well in 20 μl of culture medium. Compounds were serially diluted in culture medium and added to each well for a final volume of 40 μl. Plates were incubated for 5 days, after which cell survival was determined by addition of 40 μl of CellTiter Glo reagent followed by luminescence read-out.

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