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Synthesis of Purines Bearing Functionalized C-Substituents by the Conjugate Addition of Nucleophiles to 6-Vinylpurines and 6-Ethynylpurines

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Purines bearing diverse β-substituted ethyl or vinyl groups in position 6 were prepared by the conjugate addition of N-, O- and S-nucleophiles to 6-vinylpurines or 6-ethynylpurines. The scope of this methodology was systematically studied. The addition of amines, alcoholates and thiolates to 6-vinylpurines gave the corresponsing 6-(2-aminoethyl)-, 6-(2-alkoxyethyl)- and 6-[2-(alkylsulfanyl)ethyl]purines. The addition of amines to 6-ethynylpurines gave 6-(2-aminovinyl)-purines, while the addition of alcoholates and thiolates gave 6-(2-dialkoxyethyl)- and 6-[2-bis(alkylsulfanyl)ethyl]purines. (© Wiley-VCH Verlag GmbH & Co. KGaA, 69451 Weinheim, Germany, 2006)

Introduction

Purine bases and nucleosides bearing C-substituents at position 6 are an important class of compounds possessing a broad spectrum of biological effects including cytostatic,[1] antiviral[2] and antimicrobial[3] activity and receptor modulation.[4] Purines bearing functionalized C-substituents are still quite rare and are, therefore, a subject of extensive study in our laboratory. Recently, 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 (purin-6-yl)phenylalanines.[9] All these syntheses relied on cross-coupling reactions[10] of 6-halopurines with functionalized organometallics and further functional group transformations (e.g. deoxyfluorination). We then became interested in the related 6-(2-substituted ethyl)purines that are not readily accessible via analogous cross-coupling reactions, since the corresponding β-substituted organometallics easily undergo β-elimination.[11] Therefore, our method of choice was the conjugate addition of nucleophiles to 6-vinylpurines and 6-ethynylpurines.

Purine is an electron-withdrawing substituent and, thus, vinylpurines easily undergo conjugate additions. The very first example was described by Sasaki et al.,[12] who used the addition of thiophenol to a 2-amino-6-vinylpurine nucleoside in the synthesis of a 2-amino-6-[2-(phenylsulfanyl)-ethyl]purine nucleoside, which was incorporated into oligonucleotides and used as a precursor for the corresponding 6-vinylpurine, which formed stable cross-links in DNA duplexes by the conjugate addition of cytosine. Czernecki et al.[13] reported a radical azidophenylselenylation of vinylpurine in the synthesis of a 6-[2-(acylamino)ethyl]purine nucleoside. Several examples of preparative conjugate additions to 6-vinylpurines were described by Gundersen et al.[14] including the addition of MeOH and thiophenol under acidic conditions and NaOMe, PhSNa and several examples of C-nucleophiles under basic conditions. Later, analogous additions of the same nucleophiles were also reported[15] for 2- and 8-vinylpurines. More recently, Zhang et al.[16] reported the synthesis of 6-(2-aminoethyl)purine and two other related purine ribonucleosides by the conjugate addition of ammonia, aniline and NaOMe to protected 6-vinylpurine ribonucleosides, and the title compound was found to exhibit cytostatic activity and RNA binding. The only examples of additions to ethynylpurines are the conversion of 6-ethynyl-9-phenylpurine to 6-acetylpurine by treating[17] with aqueous mercury sulfate and sulfuric acid and to 6-(2,2-dimethoxyethyl)purine by the reaction with NaOMe and analogous synthesis of 2-acetyladenosine by the reaction[18] of 2-ethynyladenosine with $Hg(OAc)_2$ in aqueous AcOH. Thus, examples of these reactions are isolated and no systematic study has been performed to define the scope and limitation of this methodology. The goal of this work was to perform such a study and to prepare a large series of derivatives for biological activity screening.

Results and Discussion

Conjugate Additions to 6-Vinylpurines

We first studied conjugate additions to 9-benzyl-6-vinylpurine (**1**)[14] and 6-vinyl-9-(tetrahydropyran-2-yl)purine (**2**), both of which are easily available[14] from the corresponding 6-chloropurines by a Stille cross-coupling with vi-

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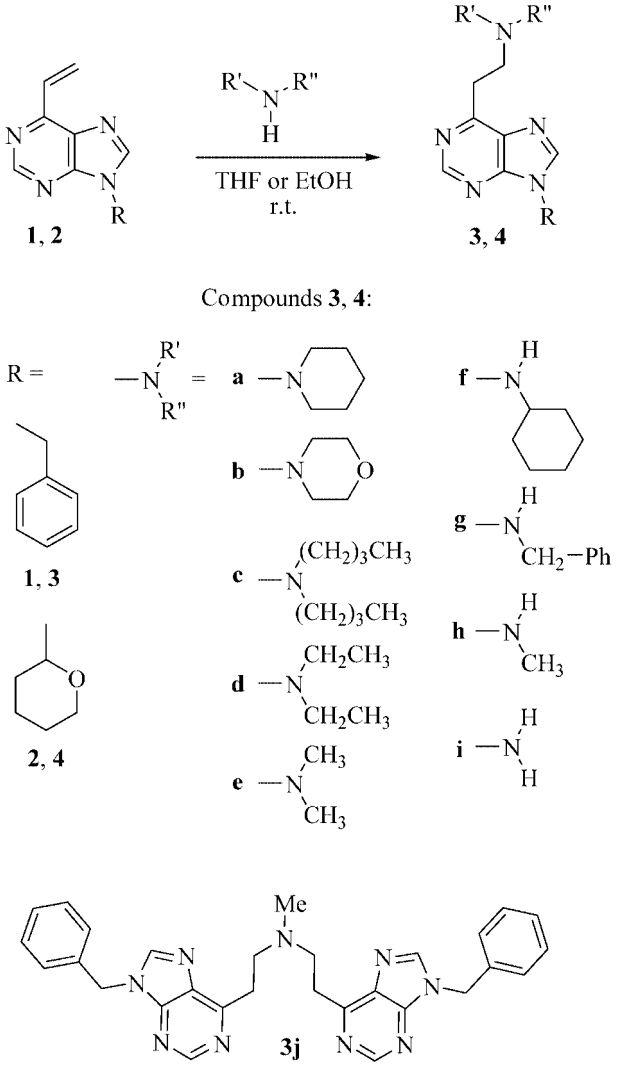
nyl(tributyl)tin. A series of experiments with five secondary amines, three primary amines and ammonia was performed (Scheme 1, Table 1). In all cases, the reactions were performed at ambient temperature in either THF or EtOH, without any catalyst or additive, to give the series of 6-(2-substituted aminoethyl)purines **3a–3i** and **4a–4i** in moderate to good yields. The reactions in THF were slower (taking 1–3 d) but cleaner than the reactions in EtOH, especially in the case of 9-THP-protected purine **2**, where partial cleavage of the protecting group was observed in EtOH. An additional treatment of 6-[(methylamino)ethyl]purine **3h** with an excess of vinylpurine **1** gave dimer **3j**. The same compound also formed by allowing compound **3h** to stand for several days. Apparently, a partial elimination and subsequent addition of the intermediate vinylpurine to another molecule of **3h** must have occurred. With other related amines, this side reaction was not observed.



Scheme 1.

We then examined the addition of O- and S-nucleophiles (Scheme 2, Table 2). Reactions of vinylpurines **1** and **2** with pure alcohols were very sluggish. However, the corresponding alkoxides (either added or generated in situ from an alcohol and sodium hydride) reacted better to give the 6-[2-(alkyloxy)ethyl]purines **5a–5c** and **6a–6c** within 1–2 d. On the other hand, the addition of thiolates and sodium hydrogen sulfide proceeded very smoothly to give the 6-{[(alkyl)-sulfanyl]ethyl}purines **5d–5f** and **6d–6f** in very good yields within 2 h. In the addition of sodium methanethiolate, performed in a mixture of EtOH and H_2O (Entries 5 and 11), the S-nucleophile was much more reactive than the corresponding O-nucleophile, giving the desired S-adducts **5d** and **6d** in very good yields, accompanied by only trace amounts of **5b** and **6b** as by-products from the addition of sodium ethoxide.

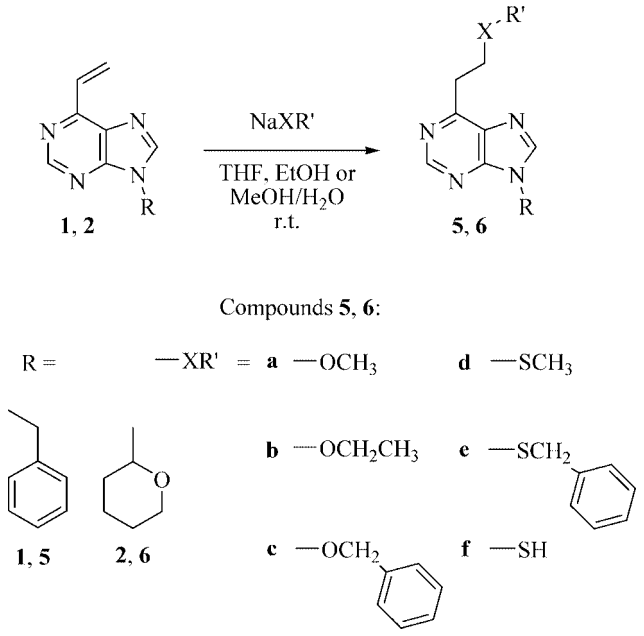
Table 1. Additions of amines to 6-vinylpurines.

Entry	Starting compd.	Amine	Solvent	Time	Product	Yield [%]
1	**1**	piperidine	THF	2 d	**3a**	63
2	**1**	morpholine	THF	3 d	**3b**	64
3	**1**	dibutylamine	THF	2 d	**3c**	66
4	**1**	diethylamine	THF	2 d	**3d**	45
5	**1**	dimethylamine	EtOH	1 h	**3e**	67
6	**1**	cyclohexylamine	THF	1 d	**3f**	86
7	**1**	benzylamine	THF	1 d	**3g**	72
8	**1**	methylamine	EtOH	2 h	**3h**	94
9	**1**	NH_3	EtOH	1 d	**3i**	58
10	**2**	piperidine	THF	1 d	**4a**	60
11	**2**	morpholine	EtOH	1 d	**4b**	78
12	**2**	dibutylamine	THF	2 d	**4c**	79
13	**2**	diethylamine	EtOH	1 d	**4d**	44
14	**2**	dimethylamine	EtOH	4 h	**4e**	83
15	**2**	cyclohexylamine	THF	2 d	**4f**	76
16	**2**	benzylamine	EtOH	1 d	**4g**	50
17	**2**	methylamine	EtOH	2 h	**4h**	40
18	**2**	NH_3	EtOH	2 h	**4i**	60

Conjugate Addition to 6-Ethynylpurines

We have further studied analogous additions to 6-ethynylpurines **7** and **8**, which are easily available[19] by the Sonogashira cross-coupling of the corresponding 6-chloropurines, followed by desilylation by methanolic ammonia. The conjugate addition of a series of secondary and primary amines to 6-ethynylpurines **7** and **8** were performed under analogous conditions as for 6-vinylpurines (Scheme 3, Table 3). Secondary amines reacted slowly but cleanly to give (*E*)-configured 9-benzylated enamines **9** in high yields (Entries 1–5) and 9-THP-substituted enamines **10** in somewhat lower yields, accompanied by partial cleavage of the THP group (Entries 10–14). On the other hand, the addition of primary amines gave mixtures of (*Z*)- and (*E*)-configured enamines **11** and **12** with the (*Z*) isomers usually prevailing. The mixtures were virtually inseparable, and the ratio depended on the solvent, clearly showing an equilibrium between the two isomers. Apparently, the equilibration proceeds by imine–enamine tautomerism. The addition of ammonia or aniline did not proceed within 7 d.

Analogously, we have studied the addition of O- and S-nucleophiles to ethynylpurines **7** and **8** (Scheme 4, Table 4).



Scheme 2.

Table 2. Addition of alcohols and thiols to protected 6-vinylpurines.

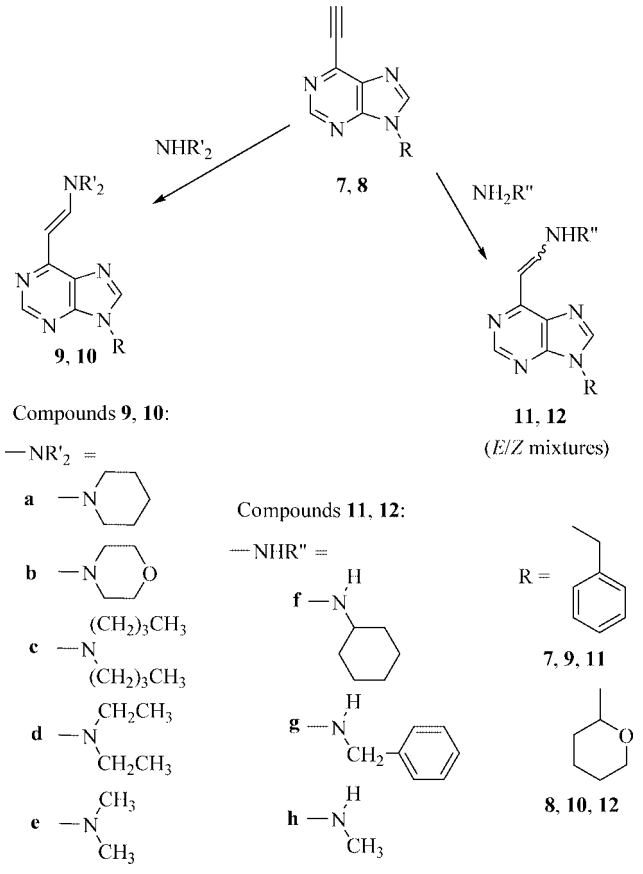
Entry	Starting compd.	Reagent	Additive	Solvent	Time	Product	Yield [%]
1	**1**	EtOH	–	EtOH	7 d	**5a**	trace
2	**1**	MeONa	–	MeOH	2 d	**5a**	55
3	**1**	EtOH	NaH	THF	1 d	**5b**	50
4	**1**	BnOH	NaH	THF	2 d	**5c**	61
5	**1**	MeSNa	–	EtOH/H_2O	1 h	**5d**[a]	81
6	**1**	BnSH	NaH	THF	4 h	**5e**	96
7	**1**	NaSH	–	EtOH/H_2O	1 d	**5f**	95
8	**2**	MeONa	–	MeOH	2 d	**6a**	81
9	**2**	EtOH	NaH	THF	1 d	**6b**	67
10	**2**	BnOH	NaH	THF	2 d	**6c**	49
11	**2**	MeSNa	–	EtOH/H_2O	4 h	**6d**[a]	90
12	**2**	BnSH	NaH	THF	4 h	**6e**	98
13	**2**	NaSH	–	EtOH/H_2O	1 h	**6f**	94

[a] Accompanied by trace amounts (<5%) of **5b** or **6b**.

The addition of sodium methoxide to **7** and **8** proceeded under the conditions previously described for 6-vinylpurines to give the dimethyl acetals **13a** and **14a** within 4 h in very good yields. The additions of 1,2-ethanedithiol in the presence of NaH proceeded analogously to give the dithioacetals **13b** and **14b** in high yields.

Reactivity of Acetals and Enamines

Having a practical methodology for the synthesis of enamines **9–12** and acetals **13** and **14** in hand, we became interested in the reactivity and further synthetic applicability of these species. We first attempted the hydrolysis of acetal **13a** to the corresponding aldehyde according to different literature procedures (Scheme 5). However, under strongly acidic conditions (i.e. stirring with aqueous hydrochloric acid or with a heterogeneous system of TFA in H_2O/chloroform[20]), 9-benzyl-6-methylpurine (**15**) was unexpectedly isolated in 22% and 20% yield, respectively, as a product of the fragmentation of the acetal. The remainder of the product was a complex mixture of chromatographically immobile by-products. When stirring **13a** in a solution of 10% hydrochloric acid in THF,[21] the 6-methylpurine **15** was obtained almost quantitatively in 92% yield as the only product. This unusual C–C bond cleavage is not precedented in the literature, to the best of our knowledge. Exposure of **13a** to the Lewis acid KBF_4,[22] or heating it to a reflux in dioxane/DMSO[23] led to complex mixtures of products, indicating degradation. On the other hand, under mild conditions in AcOH buffer, no reaction occurred. Additionally, we have not succeeded in the hydrolysis of dithioacetal **13b**. Under mild conditions, with $NaHSO_4$ on silica gel,[24] no reaction occurred. Exposure of **13b** to the iodine systems $CeCl_3$/NaI[25] and $AgNO_3/I_2$[26] yielded complex mixtures of products.

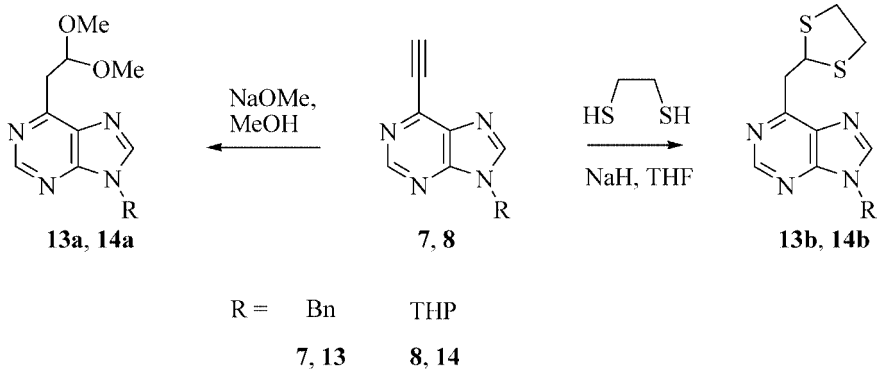


Scheme 3.

The reactivity of the enamines **9a** and **9e** was studied (Scheme 6). Although there are many reports of the hydrolysis of enamines, compounds **9a** and **9e** did not react when exposed to heterogeneous SiO_2/H_2O in THF,[27] TFA in $H_2O/CHCl_3$ or strongly basic conditions.[28] The enamines **9a** and **9e** were also extremely resistant to hydride re-

Table 3. Additions of amines to 6-ethynylpurines **7** and **8**.

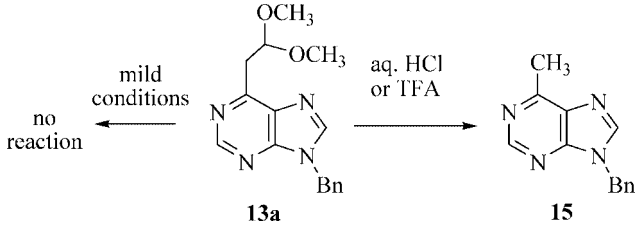
Entry	Starting compound	Reagent	Solvent	Reaction time	Product	Yield [%]
1	**7**	piperidine	THF	8 h	**9a**	87
2	**7**	morpholine	THF	1 d	**9b**	95
3	**7**	dibutylamine	THF	1 d	**9c**	97
4	**7**	diethylamine	THF	1 d	**9d**	84
5	**7**	dimethylamine	EtOH	4 h	**9e**	94
6	**7**	cyclohexylamine	THF	2 d	**11f** *Z*/*E* 10:1	83
7	**7**	benzylamine	THF	2 d	**11g** *Z*/*E* 3:1	81
8	**7**	methylamine	EtOH	2 h	**11h** *Z*/*E* 11:5	76
9	**7**	aniline	THF	7 d	no reaction	–
10	**8**	piperidine	THF	2 d	**10a**	59
11	**8**	morpholine	EtOH	2 d	**10b**	45
12	**8**	dibutylamine	THF	2 d	**10c**	81
13	**8**	diethylamine	EtOH	1 d	**10d**	81
14	**8**	dimethylamine	EtOH/THF	4 d	**10e**	64
15	**8**	cyclohexylamine	THF	2 d	**12f** *Z*/*E* 5:1	73
16	**8**	benzylamine	EtOH	1 d	**12g** *Z*/*E* 5:1	85
17	**8**	methylamine	EtOH	2 h	**12h** *Z*/*E* 4:7	94



Scheme 4.

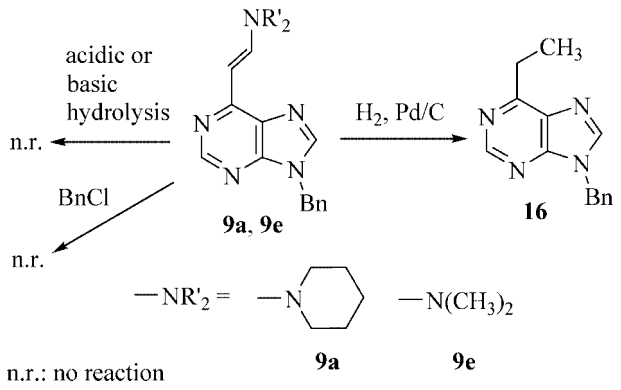
Table 4. Additions of alcoholates and thiolates to 6-ethynylpurines.

Entry	Starting compd.	Reagent	Additive	Solvent	Reaction time	Product	Yield [%]
1	**7**	MeONa	–	MeOH	1 h	**13a**	86
2	**7**	1,2-ethanedithiol	NaH	THF	16 h	**13b**	85
3	**7**	H_2O	CF_3COOH	H_2O	1 d	no reaction	–
4	**8**	MeONa	–	MeOH	4 h	**14a**	91
5	**8**	1,2-ethanedithiol	NaH	THF	8 h	**14b**	83



Scheme 5.

ductions and catalytic hydrogenations. The attempted reduction with $NaBH_4$[29] and hydrogenations over Pd on $CaCO_3$[30] or Wilkinson's catalyst[31] did not proceed. On the other hand, catalytic hydrogenations with Pd/C[32] or PtO_2[33] catalysts proceeded very slowly to give the unexpected 9-benzyl-6-ethylpurine (**16**) as the only product in about 25% yield (the rest was unreacted starting compound). The reaction of enamine **9a** with sodium methoxide was also unsuccessful, showing that the enamine double bond is not

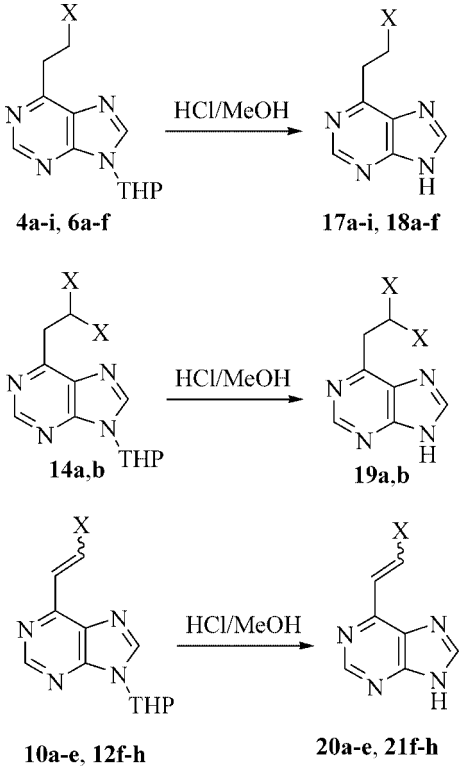


Scheme 6.

reactive to another conjugate addition. The attempted alkylation[34] of **9a** with benzyl chloride did not proceed either. Taken together, these experiments showed a very strange chemical behaviour of such "push-pull" enamines compared to classical enamines, which is due to the presence of extremely electron-withdrawing purine.

Deprotection of THP-Protected Purines

The whole series of THP-protected purines **4**, **6**, **10**, **12** and **14** was deprotected to give the corresponding 9*H*-purines. We first tried our standard methodology of acidic cation exchange resin (Dowex, H^+ form) in EtOH,[35] but the amines and enamines suffered from strong binding to the resin. Therefore, we hydrolyzed with 1 M hydrochloric acid in MeOH to give the whole series of 25 6-(2-substituted ethyl or vinyl)-9*H*-purines **17a**–**i**, **18a**–**f**, **19a** and **19b**, **20a**–**e** and **21f**–**h** mostly in very good yields (Scheme 7, Table 5).



Scheme 7. The cleavage of the THP protective group.

Cytostatic Activity of the Title Compounds

All of the title substituted purines **3**–**6, 9**–**14** and **17**–**21** were subjected to biological activity screening. In vitro cytostatic activity (inhibition of cell growth) was studied on the following cell cultures: mouse leukaemia L1210 cells (ATCC CCL 219), human promyelocytic leukaemia HL60 cells (ATCC CCL 240), human cervix carcinoma HeLaS3 cells (ATCC CCL 2.2) and human T lymphoblastoid CCRF-CEM cells (ATCC CCL 119). The results are summarized in Table 6. Out of the 76 tested compounds, only

Table 5. The cleavage of the THP protective group.

Entry	Starting compd.	X	Product	Yield [%]
1	**4a**	piperidin-1-yl	**17a**	90
2	**4b**	morpholin-4-yl	**17b**	84
3	**4c**	Bu_2N	**17c**	70
4	**4d**	Et_2N	**17d**	69
5	**4e**	Me_2N	**17e**	77
6	**4f**	cyclohexylamino	**17f**	54
7	**4g**	BnNH	**17g**	39
8	**4h**	MeNH	**17h**	69
9	**4i**	NH_2	**17i**	61
10	**6a**	MeO	**18a**	76
11	**6b**	EtO	**18b**	94
12	**6c**	BnO	**18c**	71
13	**6d**	MeS	**18d**	82
14	**6e**	BnS	**18e**	86
15	**6f**	SH	**18f**	70
16	**14a**	MeO	**19a**	89
17	**14b**	$-SCH_2CH_2S-$	**19b**	81
18	**10a**	piperidin-1-yl	**20a**	82
19	**10b**	morpholin-4-yl	**20b**	80
20	**10c**	Bu_2N	**20c**	84
21	**10d**	Et_2N	**20d**	79
22	**10e**	Me_2N	**20e**	88
23	**12f**	cyclohexylamino	**21f**	72
24	**12g**	BnNH	**21g**	35
25	**12h**	MeNH	**21h**	82

11 derivatives exhibited a considerable cytostatic effect against leukaemia cell lines L1210, HL60 and CCRF-CEM, while none of them were active against solid tumour (HeLa) cells. All the active compounds contained hydrophobic substituents in position 6. The most active were purines containing bulky hydrophobic cyclohexylamino (compounds **3f**, **11f** and **12f**) or dibutylamino (compounds **4c** and **10c**) groups connected to position 6. Sulfur derivatives **5f** and **14b** also showed some activity but only against L1210 cells. Although the activity of these compounds is not extremely high and some of them are of limited stability, these data will contribute to the design of other cytostatic purines.

Table 6. Cytostatic activity of the title compounds.

Entry	Compd.	IC_{50}, μM L1210	HL60	HeLa S3	CCRF-CEM
1	**3f**	12.8±0.9	NA	NA	NA
2	**4c**	10.1±0.5	12.3±0.6	NA	4.7±0.3
3	**5f**	16.9±0.9	NA	NA	NA
4	**10c**	2.8±0.2	NA	NA	NA
5	**11f**	9.2±0.9	8.0±0.7	NA	8.0±0.5
6	**12f**	14.4±0.9	9.6±0.6	NA	7.0±0.4
7	**14b**	21.0±1.0	NA	NA	NA
8	**20b**	6.8±0.6	14±1.0	NA	13.7±0.8
9	**20c**	8.5±0.5	15±1.1	NA	17±1.3
10	**20e**	11.9±0.9	NA	NA	13.7±0.9
11	**21f**	12.0±0.9	25±1.9	NA	24±2.1

Conclusions

The conjugate addition of N-, O- and S-nucleophiles to 6-vinylpurines proceeded under ambient temperature without catalysis and could be applied to the synthesis of a large

series of 6-(2-substituted ethyl)purines. The addition of amines to 6-ethynylpurines gave enamines (one equiv. of the nucleophile was added), while the addition of alcoholates and thiolates gave acetals and dithioacetals (two equiv. of the nucleophile were added). These enamines and acetals did not show characteristic reactivity due to the presence of the strongly electron-withdrawing purine system. Some of the title compounds exerted cytostatic activity.

Experimental Section

Melting points were determined on a Kofler block and are uncorrected. Mass spectra were measured on a ZAB-EQ (VG Analytical) spectrometer. NMR spectra were recorded with 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) spectrometers. ^{1}H and ^{13}C NMR spectra were referenced to the signal of TMS or to the residual solvent signal. ^{1}H-^{13}C HMBC experiments were performed for the complete assignment of all signals. Starting compounds **1**,[14] **2**,[36] **3**[19] and **4**[19] were prepared according to literature procedures. Cytostatic activity tests were performed according to literature procedure.[1a]

General Method for the Nucleophilic Addition of Primary and Secondary Amines: The amine (25.6 mmol) was added to a solution of 6-ethynylpurine **7** or **8** (1.281 mmol) or 6-vinylpurine **1** or **2** in THF or EtOH (8 mL) at room temperature. The mixture was stirred at room temperature for the reaction time specified below for each compound. The resulting mixture was concentrated under reduced pressure, and the residue was purified by column chromatography (silica gel, ethyl acetate). Crude products were purified by crystallization from ethyl acetate/hexane.

9-Benzyl-6-[2-(piperidinin-1-yl)ethyl]purine (3a): Reaction time 2 d, yield 63%, orange crystals, m.p. 78–80 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.44 (m, 2 H, CH_2-pip), 1.59 (p, J_{vic} = 5.4 Hz, 4 H, CH_2-pip), 2.55 (br. t, 4 H, J_{vic} = 5.4 Hz, CH_2N-pip), 2.96 (m, 2 H, CH_2-N), 3.43 (m, 2 H, CH_2-pur), 5.43 (s, 2 H, CH_2-Ph), 7.29–7.40 (m, 5 H, Ph), 8.00 (s, 1 H, 8-H), 8.91 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 24.32 (CH_2-pip), 25.98 (CH_2-pip), 30.26 (CH_2-pur), 47.25 (CH_2-Ph), 54.14 (CH_2N-pip), 57.30 (CH_2-N), 127.86 (CH-*o*-Ph), 128.58 (CH-*p*-Ph), 129.14 (CH-*m*-Ph), 132.75 (C-5), 135.17 (C-*i*-Ph), 143.52 (CH-8), 150.76 (C-4), 152.58 (CH-2), 161.48 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 321 (18) $[M]^+$, 235 (66), 224 (8), 209 (8), 147 (22), 98 (100), 91 (84). HRMS: calcd. for $C_{19}H_{23}N_5$ 321.1953; found 321.1951. IR ($CHCl_3$): $\tilde{\nu}$ = 2940, 1595, 1499, 1456, 1407, 1332, 1209, 1197, 723, 698, 649 cm^{-1}. $C_{19}H_{23}N_5$ (321.38): calcd. C 70.00, H 7.21, N 21.79; found C 69.54, H 7.05, N 21.35.

9-Benzyl-6-[2-(morpholin-4-yl)ethyl]purine (3b): Reaction time 3 d, yield 64%, colourless crystal, m.p. 108–110 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 2.58 (m, 4 H, CH_2N-morph), 2.98 (m, 2 H, CH_2-N), 3.41 (m, 2 H, CH_2-pur), 3.69 (m, 4 H, CH_2O-morph), 5.44 (s, 2 H, CH_2-Ph), 7.30–7.40 (m, 5 H, Ph), 8.00 (s, 1 H, 8-H), 8.92 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 30.30 (CH_2-pur), 47.28 (CH_2-Ph), 53.39 (CH_2N-morph), 56.88 (CH_2-N), 66.98 (CH_2O-morph), 127.87 (CH-*o*-Ph), 128.62 (CH-*p*-Ph), 129.16 (CH-*m*-Ph), 132.81 (C-5), 135.13 (C-*i*-Ph), 143.59 (CH-8), 150.80 (C-4), 152.58 (CH-2), 160.97 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 324 (100) $[M+H]^+$ (cation), 237 (10), 185 (30), 91 (95). HRMS: calcd. for $C_{18}H_{22}N_5O$ $[M+H]^+$: 324.1824; found 324.1841. IR ($CHCl_3$): $\tilde{\nu}$ = 2970, 2816, 1596, 1499, 1332, 1209,1116, 868, 726 cm^{-1}.

9-Benzyl-6-[2-(dibutylamino)ethyl]purine (3c): Reaction time 2 d, yield 66%, brown oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.88 (t, J_{vic} = 7.3 Hz, 6 H, $CH_3CH_2CH_2CH_2$), 1.26 (2×m, 2×4 H, $CH_3CH_2CH_2CH_2$), 1.44 (2×m, 2×4 H, $CH_3CH_2CH_2CH_2$), 2.52 (m, 4 H, $CH_3CH_2CH_2CH_2$), 3.09 (m, 2 H, CH_2-N), 3.55 (m, 2 H, CH_2-pur), 5.44 (s, 2 H, CH_2-Ph), 7.28–7.40 (m, 5 H, Ph), 8.00 (s, 1 H, 8-H), 8.91 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 14.07 ($CH_3CH_2CH_2CH_2$), 20.64 ($CH_3CH_2CH_2CH_2$), 29.48 ($CH_3CH_2CH_2CH_2$), 30.02 (CH_2-pur), 47.22 (CH_2-Ph), 52.20 (CH_2-N), 53.60 ($CH_3CH_2CH_2CH_2$), 127.82 (CH-*o*-Ph), 128.55 (CH-*p*-Ph), 129.12 (CH-*m*-Ph), 132.81 (C-5), 135.22 (C-*i*-Ph), 143.44 (CH-8), 150.70 (C-4), 152.56 (CH-2), 161.92 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 365 (8) $[M]^+$, 322 (66), 308 (8), 277 (12), 235 (90), 142 (100), 91 (50). HRMS: calcd. for $C_{22}H_{31}N_5$ 365.2579; found 365.2588. IR ($CHCl_3$): $\tilde{\nu}$ = 2934, 2864, 1596, 1499, 1457, 1406, 1332, 1234, 1179, 1079, 948, 724, 698, 649 cm^{-1}.

9-Benzyl-6-[2-(diethylamino)ethyl]purine (3d): Reaction time 2 d, yield 45%, brown oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.08 (t, J_{vic} = 7.2 Hz, 6 H, CH_3CH_2), 2.66 (q, J_{vic} = 7.2 Hz, 4 H, CH_2CH_3), 3.11 (m, 2 H, CH_2-N), 3.35 (m, 2 H, CH_2-pur), 5.43 (s, 2 H, CH_2-Ph), 7.29–7.40 (m, 5 H, Ph), 8.00 (s, 1 H, 8-H), 8.92 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 12.10 (CH_3CH_2), 29.77 (CH_2-pur), 46.90 (CH_2CH_3), 47.24 (CH_2-Ph), 50.87 (CH_2-N), 127.86 (CH-*o*-Ph), 128.57 (CH-*p*-Ph), 129.13 (CH-*m*-Ph), 132.80 (C-5), 135.17 (C-*i*-Ph), 143.50 (CH-8), 150.74 (C-4), 152.59 (CH-2), 161.70 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 309 (34) $[M]^+$, 294 (28), 280 (26), 269 (12), 235 (86), 225 (8), 208 (18), 145 (22), 91 (64), 86 (100). HRMS: calcd. for $C_{18}H_{23}N_5$ 309.1953; found 309.1946. IR ($CHCl_3$): $\tilde{\nu}$ = 2980, 1622, 1583, 1498, 1429, 1360, 1326, 1263, 1191, 1116, 996, 814, 726, 667 cm^{-1}.

9-Benzyl-6-[2-(dimethylamino)ethyl]purine (3e): Reaction time 1 h, yield 67%, colourless crystal, m.p. 57–59 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 2.34 (s, 6 H, CH_3), 2.95 (m, 2 H, CH_2-N), 3.39 (m, 2 H, CH_2-pur), 5.43 (s, 2 H, CH_2-Ph), 7.30–7.39 (m, 5 H, Ph), 8.00 (s, 1 H, 8-H), 8.93 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 31.02 (CH_2-pur), 45.29 (CH_3), 47.25 (CH_2-Ph), 57.61 (CH_2-N), 127.90 (CH-*o*-Ph), 128.58 (CH-*p*-Ph), 129.12 (CH-*m*-Ph), 132.82 (C-5), 135.11 (C-*i*-Ph), 143.55 (CH-8), 150.80 (C-4), 152.61 (CH-2), 161.13 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 281 (44) $[M]^+$, 266 (10), 235 (18), 224 (18), 209 (8), 147 (30), 134 (8), 119 (12), 91 (72), 58 (100). HRMS: calcd. for $C_{16}H_{19}N_5$ 281.1640; found 281.1654. IR ($CHCl_3$): $\tilde{\nu}$ = 2982, 2782, 1596, 1499, 1457, 1406, 1332, 1209, 1041, 665 cm^{-1}.

9-Benzyl-6-[2-(cyclohexylamino)ethyl]purine (3f): Reaction time 1 d, yield 86%, brown oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.00–1.32 (3×m, 10 H, CH_2-cyclohex), 1.67–1.77 (3×m, 10 H, CH_2-cyclohex), and 1.85–1.92 (3×m, 10 H, CH_2-cyclohex), 2.53 (tt, J = 10.5, 3.8 Hz, 1 H, CHN-cyclohex), 3.22 (t, J = 6.6 Hz, 2 H, CH_2-NH), 3.41 (t, J = 6.6 Hz, 2 H, CH_2-pur), 5.44 (s, 2 H, CH_2-Ph), 7.29–7.40 (m, 5 H, Ph), 8.01 (s, 1 H, 8-H), 8.91 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 24.97 (CH_2-cyclohex), 26.07 (CH_2-cyclohex), 33.27 (CH_2-cyclohex), 33.46 (CH_2-pur), 44.82 (CH_2-NH), 47.28 (CH_2-Ph), 56.42 (CHN-cyclohex), 127.85 (CH-*o*-Ph), 128.58 (CH-*p*-Ph), 129.12 (CH-*m*-Ph), 132.66 (C-5), 135.07 (C-*i*-Ph), 143.63 (CH-8), 150.76 (C-4), 152.52 (CH-2), 161.09 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 335 (28) $[M]^+$, 292 (66), 252 (64), 224 (84), 147 (16), 98 (12), 91 (100). HRMS: calcd. for $C_{20}H_{25}N_5$ 335.2109; found 335.2120. IR ($CHCl_3$): $\tilde{\nu}$ = 2933, 2856, 1596, 1499, 1452, 1406, 1332, 1196, 725, 699, 648 cm^{-1}.

9-Benzyl-6-[2-(benzylamino)ethyl]purine (3g): Reaction time 1 d, yield 72%, brown oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.23 (t, J_{vic} = 6.6 Hz, 2 H, CH_2-N), 3.44 (t, J_{vic} = 6.6 Hz, 2 H, CH_2-pur),

3.86 (s, 2 H, CH_2-Ph), 5.43 (s, 2 H, CH_2-Ph-9), 7.19–7.40 (m, 10 H, 2 × Ph), 8.00 (s, 1 H, 8-H), 8.92 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 33.23 (CH_2-pur), 47.26 (CH_2-Ph-9 and CH_2-N), 53.56 (CH_2-Ph), 126.81 (CH-Ph), 127.87 (CH-Ph), 128.09 (CH-Ph), 128.30 (CH-Ph), 128.60 (CH-Ph), 129.15 (CH-Ph), 132.80 (C-5), 135.12 (C-*i*-Ph), 140.28 (C-*i*-Ph), 143.61 (CH-8), 150.79 (C-4), 152.56 (CH-2), 161.15 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 344 (12) $[M+H]^+$ (cation), 225 (44), 134 (8), 91 (100). HRMS: calcd. for $C_{21}H_{22}N_5$ $[M+H]^+$: 344.1875; found 344.1882. IR ($CHCl_3$): $\tilde{\nu}$ = 2990, 1672, 1596, 1498, 1456, 1407, 1332, 1196, 1079, 1029, 876, 699, 666, 648 cm^{-1}.

9-Benzyl-6-[2-(methylamino)ethyl]purine (3h): This compound was prepared by a modified procedure. Compound **1** was added dropwise over 2 h into a solution of methylamine to prevent double addition leading to **3j**. Yield 94%, colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 2.51 (s, 3 H, CH_3), 3.21 (t, *J* = 6.7 Hz, 2 H, CH_2-NH), 3.45 (t, *J* = 6.6 Hz, 2 H, CH_2-pur), 5.43 (s, 2 H, CH_2-Ph), 7.29–7.39 (m, 5 H, Ph), 8.04 (s, 1 H, 8-H), 8.91 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 32.42 (CH_2-pur), 35.74 (CH_3), 47.12 (CH_2-Ph), 49.51 (CH_2-NH), 127.74 (CH-*o*-Ph), 128.43 (CH-*p*-Ph), 128.96 (CH-*m*-Ph), 132.54 (C-5), 134.94 (C-*i*-Ph), 143.66 (CH-8), 150.65 (C-4), 152.37 (CH-2), 160.58 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 268 (20) $[M+H]^+$ (cation), 237 (20), 225 (35), 177 (15), 147 (15), 91 (100). HRMS: calcd. for $C_{15}H_{18}N_5$ $[M+H]^+$ 268.1562; found 268.1545. IR ($CHCl_3$): $\tilde{\nu}$ = 3382, 3092, 3069, 3035, 2982, 2852, 1596, 1499, 1456, 1406, 1332, 1214, 784, 699, 648 cm^{-1}.

9-Benzyl-6-(2-aminoethyl)purine (3i): Reaction time 1 d, yield 58%, white solid, m.p. 139–142 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.28 (t, *J* = 6.5 Hz, 2 H, CH_2-NH_2), 3.42 (t, *J* = 6.5 Hz, 2 H, CH_2-pur), 5.43 (s, 2 H, CH_2-Ph), 7.28–7.40 (m, 5 H, Ph), 7.96 (s, 1 H, 8-H), 8.86 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 33.15 (CH_2-pur), 47.25 (CH_2-Ph), 47.55 (CH_2-NH_2), 127.87 (CH-*o*-Ph), 128.59 (CH-*p*-Ph), 129.15 (CH-*m*-Ph), 132.75 (C-5), 135.12 (C-*i*-Ph), 143.58 (CH-8), 150.77 (C-4), 152.50 (CH-2), 161.08 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 253 (17) $[M]^+$, 236 (33), 224 (62), 91 (100). HRMS: calcd. for $C_{14}H_{15}N_5$ 253.1327; found 253.1331. IR ($CHCl_3$): $\tilde{\nu}$ = 2988, 1596, 1499, 1456, 1406, 1332, 1232, 1196, 699, 648 cm^{-1}.

Bis[2-(9-benzylpurin-6-yl)ethyl](methyl)amine (3j): This compound was obtained by stirring a mixture of compound **3h** (50 mg, 0.187 mmol) with 9-benzyl-6-vinylpurine (**1**, 44 mg, 0.187 mmol) in THF (5 mL) at 70 °C for 1 d. The reaction mixture was concentrated under reduced pressure and purified by column chromatography (silica gel, chloroform). Compound **3j** was obtained as a yellow oil. Yield 42 mg (45%). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 2.50 (s, 6 H, CH_3), 3.16 (t, J_{vic} = 7.5 Hz, 4 H, CH_2-N), 3.41 (m, J_{vic} = 7.5 Hz, 4 H, CH_2-pur), 5.43 (s, 4 H, CH_2-Ph), 7.29–7.39 (m, 10 H, Ph), 7.97 (s, 2 H, 8-H), 8.88 (s, 2 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 30.53 (CH_2-pur), 41.69 (CH_3), 47.24 (CH_2-Ph), 55.31 (CH_2-N), 127.87 (CH-*o*-Ph), 128.57 (CH-*p*-Ph), 129.14 (CH-*m*-Ph), 132.78 (C-5), 135.15 (C-*i*-Ph), 143.52 (CH-8), 150.75 (C-4), 152.53 (CH-2), 161.34 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 504 (25) $[M+H]^+$ (cation), 280 (65), 237 (18), 147 (18), 91 (100). HRMS: calcd. for $C_{29}H_{30}N_9$ $[M+H]^+$ 504.2624; found 504.2613. IR ($CHCl_3$): $\tilde{\nu}$ = 3092, 3069, 3035, 2803, 1596, 1581, 1499, 1456, 1438, 1406, 1375, 1355, 1332, 1079, 1030, 699, 648 cm^{-1}.

Compound **3j** was also observed to spontaneously form as an impurity from oily **3h** on standing for several days.

6-[2-(Piperidine-1-yl)ethyl]-9-(tetrahydropyran-2-yl)purine (4a): Reaction time 1 d, yield 60%, brown solid, m.p. 71–89 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.44 (m, 2 H, CH_2-pip), 1.59 (p, *J* = 5.4 Hz, 4 H, CH_2-pip), 1.63–1.87 (2 × m, 6 H, CH_2-THP), 2.03–2.19 (2 × m, 6 H, CH_2-THP), 2.55 (br. t, *J* = 5.4 Hz, 4 H, CH_2N-pip), 2.96 (m, 2 H, CH_2-N), 3.42 (m, 2 H, CH_2-pur), 3.80 (td, *J* = 11.6, 2.5 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, *J* = 11.6, 4.3, 2.0 Hz, 1 H, aCH_2O-THP), 5.80 (dd, *J* = 9.9, 3.0 Hz, 1 H, CHO-THP), 8.24 (s, 1 H, 8-H), 8.88 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.79 (CH_2-THP), 24.28 (CH_2-pip), 24.85 (CH_2-THP), 25.92 (CH_2-pip), 30.25 (CH_2-pur), 31.74 (CH_2-THP), 54.10 (CH_2N-pip), 57.24 (CH_2-N), 68.84 (CH_2O-THP), 81.90 (CHO-THP), 132.89 (C-5), 141.51 (CH-8), 149.93 (C-4), 152.39 (CH-2), 161.47 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 315 (18) $[M]^+$, 230 (20), 224 (8), 202 (8), 147 (42), 134 (22), 98 (100). HRMS: calcd. for $C_{17}H_{25}N_5O$ 315.2059; found 315.2065. IR ($CHCl_3$): $\tilde{\nu}$ = 2941, 1597, 1496, 1455, 1409, 1334, 1208, 1086, 1045, 973, 913, 666 cm^{-1}.

6-[2-(Morpholine-4-yl)ethyl]-9-(tetrahydropyran-2-yl)purine (4b): Reaction time 1 d, yield 78%, yellow crystal, m.p. 64–70 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.64–1.85 (2 × m, 6 H, CH_2-THP), 2.04–2.20 (2 × m, 6 H, CH_2-THP), 2.58 (m, 4 H, CH_2N-morph), 2.97 (t, J_{vic} = 7.1 Hz, 2 H, CH_2-N), 3.40 (dt, J_{gem} = 13.6 Hz, J_{vic} = 7.1 Hz, 2 H, CH_2-pur), 3.41 (dt, J_{gem} = 13.6 Hz, J_{vic} = 7.1 Hz, 2 H, CH_2-pur), 3.69 (m, 4 H, CH_2O-morph), 3.80 (td, *J* = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, *J* = 11.6, 4.3, 1.6 Hz, 1 H, aCH_2O-THP), 5.80 (dd, *J* = 10.0, 3.2 Hz, 1 H, CHO-THP), 8.24 (s, 1 H, 8-H), 8.88 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.79 (CH_2-THP), 24.84 (CH_2-THP), 30.33 (CH_2-pur), 31.74 (CH_2-THP), 53.36 (CH_2N-morph), 56.85 (CH_2-N), 66.94 (CH_2O-morph), 68.86 (CH_2O-THP), 81.92 (CHO-THP), 132.97 (C-5), 141.58 (CH-8), 149.97 (C-4), 152.39 (CH-2), 161.02 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 318 (100) $[M+H]^+$ (cation), 234 (80), 147 (65), 134 (25). HRMS: calcd. for $C_{16}H_{24}N_5O_2$ $[M+H]^+$ 318.1930; found 318.1923. IR ($CHCl_3$): $\tilde{\nu}$ = 2970, 2862, 1598, 1334, 1116, 1045, 913, 666 cm^{-1}.

6-[2-(Dibutylamino)ethyl]-9-(tetrahydropyran-2-yl)purine (4c): Reaction time 2 d, yield 79%, brown oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.11 (t, *J* = 7.1 Hz, 9 H, CH_3CH$_2$), 1.60–1.88 (2 × m, 6 H, CH_2-THP), 2.02–2.19 (2 × m, 6 H, CH_2-THP), 2.71 (q, *J* = 7.1 Hz, 6 H, CH_2CH$_3$), 3.15 (dd, *J* = 8.5, 5.6 Hz, 2 H, CH_2-N), 3.38 (dd, *J* = 8.5, 5.6 Hz, 2 H, CH_2-pur), 3.80 (td, *J* = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, *J* = 11.6, 4.2, 1.6 Hz, 1 H, aCH_2O-THP), 5.80 (dd, *J* = 9.9, 2.9 Hz, 1 H, CHO-THP), 8.24 (s, 1 H, 8-H), 8.88 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 11.82 (**C**H$_3$CH$_2$), 22.78 (CH_2-THP), 24.84 (CH_2-THP), 29.41 (CH_2-pur), 31.75 (CH_2-THP), 46.90 (**C**H$_2$CH$_3$), 50.58 (CH_2-N), 68.83 (CH_2O-THP), 81.91 (CHO-THP), 132.95 (C-5), 141.54 (CH-8), 149.95 (C-4), 152.40 (CH-2), 161.22 (C-6) ppm. HRMS: calcd. for $C_{20}H_{34}N_5O$ $[M+H]^+$ 360.2763; found 360.2752. IR ($CHCl_3$): $\tilde{\nu}$ = 3127, 3065, 2872, 1597, 1582, 1496, 1466, 1457, 1442, 1409, 1379, 1334, 1186, 1086, 1059, 1045913, 876, 844, 823, 648 cm^{-1}.

6-[2-(Diethylamino)ethyl]-9-(tetrahydropyran-2-yl)purine (4d): Reaction time 1 d, yield 44%, red oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.08 (t, J_{vic} = 7.2 Hz, 6 H, CH_3CH$_2$), 1.63–1.87 (2 × m, 6 H, CH_2-THP), 1.98–2.22 (2 × m, 6 H, CH_2-THP), 2.67 (q, J_{vic} = 7.2 Hz, 6 H, CH_2CH$_3$), 3.11 (m, 2 H, CH_2-N), 3.36 (m, 2 H, CH_2-pur), 3.80 (td, *J* = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, *J* = 11.6, 4.3, 1.8 Hz, 1 H, aCH_2O-THP), 5.79 (dd, *J* = 10.0, 3.2 Hz, 1 H, CHO-THP), 8.24 (s, 1 H, 8-H), 8.88 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 11.98 (**C**H$_3$CH$_2$), 22.80 (CH_2-THP), 24.86 (CH_2-THP), 29.61 (CH_2-pur), 31.77 (CH_2-THP), 46.84 (**C**H$_2$CH$_3$), 50.74 (CH_2-N), 68.84 (CH_2O-THP), 81.91 (CHO-THP), 132.97 (C-5), 141.50 (CH-8), 149.93 (C-4), 152.42 (CH-2), 161.63 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 303 (18) $[M]^+$, 230 (56),

218 (16), 202 (56), 190 (20), 147 (42), 119 (14), 86 (100). HRMS: calcd. for $C_{16}H_{25}N_5O$ 303.2059; found 303.2062. IR ($CHCl_3$): $\tilde{\nu}$ = 2977, 2863, 1597, 1496, 1455, 1409, 1332, 1205, 1086, 1045, 972, 913, 666, 646 cm^{-1}.

6-[2-(Dimethylamino)ethyl]-9-(tetrahydropyran-2-yl)purine (4e): Reaction time 4 h, yield 83%, white solid, m.p. >300 °C. ^1H NMR (400 MHz, $CDCl_3$): δ = 1.61–1.87 (2×m, 6 H, CH_2-THP), 2.02–2.19 (2×m, 6 H, CH_2-THP), 2.33 [s, 6 H, $(CH_3)_2N$], 2.93 (t, J = 7.3 Hz, 2 H, CH_2-N), 3.37 (2×dt, J_{gem} = 10.1 Hz, J = 7.3 Hz, 2 H, CH_2-pur), 3.40 (2×dt, J_{gem} = 10.1 Hz, J = 7.3 Hz, 2 H, CH_2-pur), 3.80 (td, J = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 4.18 (ddt, J = 11.6, 4.2, 2.1 Hz, 1 H, aCH_2O-THP), 5.80 (dd, J = 10.1, 2.7 , 1 H, CHO-THP), 8.25 (s, 1 H, 8-H), 8.89 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.77 (CH_2-THP), 24.84 (CH_2-THP), 31.04 (CH_2-pur), 31.79 (CH_2-THP), 45.25 [$(CH_3)_2N$], 57.62 (CH_2-N), 68.83 (CH_2O-THP), 81.91 (CHO-THP), 132.97 (C-5), 141.57 (CH-8), 149.97 (C-4), 152.41 (CH-2), 161.17 (C-6) ppm. EI-MS: m/z (rel.%) = 275 (56) $[M]^+$, 190 (80), 176 (12), 163 (8), 147 (72), 134 (30), 85 (34), 58 (100). HRMS: calcd. for $C_{14}H_{21}N_5O$ 275.1746; found 275.1749. IR ($CHCl_3$): $\tilde{\nu}$ = 3403, 2982, 2860, 2826, 1598, 1497, 1410, 1334, 1206, 1098, 1086, 1045, 913, 666, 648 cm^{-1}.

6-[2-(Cyclohexylamino)ethyl]-9-(tetrahydropyran-2-yl)purine (4f): Reaction time 2 d, yield 76%, red oil. ^1H NMR (400 MHz, $CDCl_3$): δ = 1.00–1.32 (m, 6 H, CH_2-cyclohex), 1.56–1.93 (m, 7 H, CH_2-cyclohex + CH_2-THP), 2.03–2.19 (m, 3 H, CH_2-THP), 2.52 (tt, J = 10.5, 3.8 Hz, 1 H, CHN-cyclohex), 3.20 (t, J = 6.8 Hz, 2 H, CH_2-NH), 3.40 (t, J = 6.8 Hz, 2 H, CH_2-pur), 3.80 (td, J = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, J = 11.6, 4.1, 2.0 Hz, 1 H, aCH_2O-THP), 5.80 (dd, J = 10.0, 2.9 Hz, 1 H, CHO-THP), 8.25 (s, 1 H, 8-H), 8.88 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.75 (CH_2-THP), 24.82 (CH_2-THP), 24.99 (CH_2-cyclohex), 26.08 (CH_2-cyclohex), 31.74 (CH_2-THP), 33.31 (CH_2-cyclohex), 33.53 (CH_2-pur), 44.87 (CH_2-NH), 56.41 (CHN-cyclohex), 68.82 (CH_2O-THP), 81.90 (CHO-THP), 132.85 (C-5), 141.62 (CH-8), 149.94 (C-4), 152.35 (CH-2), 161.16 (C-6) ppm. EI-MS: m/z (rel.%) = 329 (10) $[M]^+$, 244 (52), 218 (20), 202 (22), 162 (25), 147 (30), 134 (100), 112 (24), 85 (22). HRMS: calcd. for $C_{18}H_{27}N_5O$ 329.2215; found 329.2209. IR ($CHCl_3$): $\tilde{\nu}$ = 3389, 2977, 2856, 1598, 1497, 1452, 1409, 1334, 1257, 1086, 1045, 913, 665, 648 cm^{-1}.

6-[2-(Benzylamino)ethyl]-9-(tetrahydropyran-2-yl)purine (4g): Reaction time 1 d, yield 50%, brown oil. ^1H NMR (500 MHz, $CDCl_3$): δ = 1.63–1.87 (2×m, 6 H, CH_2-THP), 2.01–2.19 (2×m, 6 H, CH_2-THP), 3.32 (t, J = 6.4 Hz, 2 H, CH_2-N), 3.58 (t, J = 6.4 Hz, 2 H, CH_2-pur), 3.79 (td, J = 11.7, 2.6 Hz, 1 H, bCH_2O-THP), 4.03 (s, 2 H, CH_2-Ph), 4.19 (ddt, J = 11.7, 4.4, 1.8 Hz, 1 H, aCH_2O-THP), 5.79 (dd, J = 10.4, 2.5 Hz, 1 H, CHO-THP), 7.28 (m, 1 H, H-*p*-Ph), 7.34 (m, 2 H, H-*m*-Ph), 7.42 (m, 2 H, H-*o*-Ph), 8.25 (s, 1 H, 8-H), 8.85 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 22.70 (CH_2-THP), 24.80 (CH_2-THP), 31.25 (CH_2-pur), 31.78 (CH_2-THP), 46.23 (CH_2-N), 52.65 (CH_2-Ph), 68.85 (CH_2O-THP), 82.02 (CHO-THP), 127.85 (CH-*p*-Ph), 128.68 (CH-*o,m*-Ph), 128.79 (CH-*o,m*-Ph), 132.51 (C-5), 136.54 (C-*i*-Ph), 141.90 (CH-8), 150.00 (C-4), 152.16 (CH-2), 160.04 (C-6) ppm. FAB-MS: m/z (rel.%) = 238 (20) $[M+H]^+$ (cation), 266 (20), 147 (27), 135 (40), 91 (100). HRMS: calcd. for $C_{19}H_{24}N_5O$ $[M+H]^+$ 338.1980; found 338.1992. IR ($CHCl_3$): $\tilde{\nu}$ = 3382, 3087, 3065, 3030, 1622, 1597, 1583, 1496, 1465, 1442, 1410, 1379, 1334, 1187, 1086, 913, 876, 699, 648 cm^{-1}.

6-[2-(Methylamino)ethyl]-9-(tetrahydropyran-2-yl)purine (4h): Reaction time 2 h, yield 40%, colourless oil. ^1H NMR (400 MHz, $CDCl_3$): δ = 1.63–1.87 (2×m, 6 H, CH_2-THP), 2.02–2.19 (2×m, 6 H, CH_2-THP), 3.12 (t, 2 H, CH_2-N), 3.39 (t, 2 H, CH_2-pur), 3.80 (td, J = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 4.18 (ddt, J = 11.6, 4.2, 1.8 Hz, 1 H, aCH_2O-THP), 5.79 (dd, J = 10.1, 2.9 Hz, 1 H, CHO-THP), 8.23 (s, 1 H, 8-H), 8.86 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.78 (CH_2-THP), 24.86 (CH_2-THP), 30.63 (CH_2-pur), 31.76 (CH_2-THP), 41.76 (CH_3), 55.32 (CH_2-N), 68.81 (CH_2O-THP), 81.90 (CHO-THP), 132.94 (C-5), 141.50 (CH-8), 149.91 (C-4), 152.35 (CH-2), 161.38 (C-6) ppm. FAB-MS: m/z (rel.%) = 262 (28) $[M+H]^+$ (cation), 231 (20), 176 (12), 147 (30), 135 (22). HRMS: calcd. for $C_{13}H_{20}N_5O$ $[M+H]^+$ 262.1667; found 262.1674. IR ($CHCl_3$): $\tilde{\nu}$ = 3375, 2980, 2952, 2859, 2476, 1597, 1583, 1496, 1456, 1409, 1334, 1086, 1045, 913, 648 cm^{-1}.

6-(2-Aminoethyl)-9-(tetrahydropyran-2-yl)purine (4i): Reaction time 2 h, yield 60%, brown oil. ^1H NMR (400 MHz, $CDCl_3$): δ = 1.62–1.88 (2×m, 6 H, CH_2-THP), 2.02–2.19 (2×m, 6 H, CH_2-THP), 3.29 (t, J = 7.0 Hz, 2 H, CH_2-N), 3.36 (t, J = 7.0 Hz, 2 H, CH_2-pur), 3.80 (td, J = 11.6, 2.5 Hz, 1 H, bCH_2O-THP), 4.18 (ddt, J = 11.6, 4.1, 1.8 Hz, 1 H, aCH_2O-THP), 5.78 (dd, J = 10.2, 2.9 Hz, 1 H, CHO-THP), 8.20 (s, 1 H, 8-H), 8.82 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.80 (CH_2-THP), 24.88 (CH_2-THP), 30.94 (CH_2-pur), 31.75 (CH_2-THP), 51.76 (CH_2-N), 68.76 (CH_2O-THP), 81.85 (CHO-THP), 132.96 (C-5), 141.35 (CH-8), 149.84 (C-4), 152.26 (CH-2), 161.55 (C-6) ppm. EI-MS: m/z (rel.%) = 247 (42) $[M]^+$, 230 (18), 202 (10), 177 (24), 162 (82), 190 (20), 147 (28), 134 (100), 119 (22), 85 (70). HRMS: calcd. for $C_{12}H_{17}N_5O$ 247.1433; found 247.1435. IR ($CHCl_3$): $\tilde{\nu}$ = 3403, 2982, 2860, 1598, 1497, 1410, 1334, 1206, 1086, 1045, 913, 666, 648 cm^{-1}.

(*E*)-9-Benzyl-6-[2-(piperidin-1-yl)vinyl]purine (9a): Reaction time 8 h, yield 87%, red solid, m.p. 121–123 °C. ^1H NMR (400 MHz, $CDCl_3$): δ = 1.55–1.60 (m, 6 H, H-3′, H-4′ and H-5′), 3.29–3.34 (m, 4 H, 2-H′ and H-6′), 5.30 (s, 2 H, CH_2-Ph), 5.77 (d, J_{trans} = 13.1 Hz, 1 H, =CH-pur), 7.17–7.30 (m, 5 H, Ph), 7.75 (s, 1 H, 8-H), 8.21 (d, J_{trans} = 13.1 Hz, 1 H, =CH-N), 8.52 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 24.04 (CH_2-4′), 25.43 (CH_2-3′ and CH_2-5′), 46.69 (CH_2-Ph), 49.74 (CH_2-2′ and CH_2-6′), 89.55 (=CH-pur), 127.27 (C-5), 127.50 (CH-*o*-Ph), 128.08 (CH-*p*-Ph), 128.81 (CH-*m*-Ph), 135.65 (C-*i*-Ph), 140.69 (CH-8), 148.72 (=CH-N), 149.61 (C-4), 152.40 (CH-2), 157.56 (C-6) ppm. EI-MS: m/z (rel.%) = 268 (7) $[M]^+$, 319 (100), 237 (25), 211 (7), 145 (7), 91 (38). HRMS: calcd. for $C_{19}H_{21}N_5$ 319.1797; found 319.1803. IR ($CHCl_3$): $\tilde{\nu}$ = 2945, 1623, 1548, 1440, 1402, 1326, 1271, 1247, 1118, 987, 815, 668 cm^{-1}. $C_{19}H_{21}N_5$ (319.4): calcd. C 71.45, H 6.63, N 21.93; found C 71.10, H 6.55, N 21.51.

(*E*)-9-Benzyl-6-[2-(morpholin-4-yl)vinyl]purine (9b): Reaction time 1 d, yield 95%, red solid, m.p. 123–133 °C. ^1H NMR (400 MHz, $CDCl_3$): δ = 3.40 (t, J_{vic} = 5.1 Hz, 4 H, CH_2-N), 3.77 (t, J_{vic} = 5.1 Hz, 4 H, CH_2-O), 5.39 (s, 2 H, CH_2-Ph), 5.89 (d, J_{trans} = 13.3 Hz, 1 H, =CH-pur), 7.25–7.39 (m, 5 H, Ph), 7.83 (s, 1 H, 8-H), 8.22 (d, J_{trans} = 13.3 Hz, 1 H, =CH-N), 8.63 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 46.94 (CH_2-Ph), 48.76 (CH_2-N), 66.33 (CH_2-O), 91.88 (=CH-pur), 127.71 (CH-*o*-Ph), 127.95 (C-5), 128.34 (CH-*p*-Ph), 129.03 (CH-*m*-Ph), 135.66 (C-*i*-Ph), 141.33 (CH-8), 148.31 (=CH-N), 150.18 (C-4), 152.70 (CH-2), 157.15 (C-6) ppm. EI-MS: m/z (rel.%) = 321 (55) $[M]^+$, 291 (15), 261 (15), 237 (18), 224 (12), 145 (7), 91 (100). HRMS: calcd. for $C_{18}H_{19}N_5O$ 321.1589; found 321.1581. IR ($CHCl_3$): $\tilde{\nu}$ = 2974, 1626, 1586, 1437, 1400, 1229, 1115, 989, 727, 667 cm^{-1}. $C_{18}H_{19}N_5O$ (321.38): calcd. C 67.27, H 5.96, N 21.79; found C 66.89, H 6.11, N 21.44.

(*E*)-9-Benzyl-6-[2-(dibutylamino)vinyl]purine (9c): Reaction time 1 d, yield 97%, brown oil. ^1H NMR (400 MHz, $CDCl_3$): δ = 0.95 (t, J_{vic} = 7.3 Hz, 6 H, CH_3CH$_2$CH$_2$CH$_2$), 1.36 (2×m, 2×4 H, CH$_3$CH_2CH$_2$CH$_2$), 1.63 (2×m, 2×4 H, CH$_3$CH$_2$CH_2CH$_2$), 3.28 (m, 4 H, CH$_3$CH$_2$CH$_2$CH_2), 5.37 (s, 2 H, CH_2-Ph), 5.72 (d, J_{trans}

= 13.2 Hz, 1 H, =CH-pur), 7.24–7.37 (m, 5 H, Ph), 7.79 (s, 1 H, 8-H), 8.33 (br. d, J_{trans} = 13.2 Hz, 1 H, =CH-N), 8.57 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 13.84 ($CH_3CH_2CH_2CH_2$), 20.14 ($CH_3CH_2CH_2CH_2$), 28.73 ($CH_3CH_2CH_2CH_2$), 31.52 ($CH_3CH_2CH_2CH_2$), 46.80 (CH_2-Ph), 47.89 ($CH_3CH_2CH_2CH_2$), 56.02 ($CH_3CH_2CH_2CH_2$), 89.79 (=CH-pur), 127.29 (C-5), 127.61 (CH-*o*-Ph), 128.21 (CH-*p*-Ph), 128.96 (CH-*m*-Ph), 135.87 (C-*i*-Ph), 140.65 (CH-8), 148.88 (=CH-N), 149.79 (C-4), 152.76 (CH-2), 157.85 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 363 (98) $[M]^+$, 334 (45), 320 (50), 306 (50), 292 (8), 278 (20), 237 (22), 224 (54), 204 (48), 190 (32), 162 (65), 148 (84), 91 (100), 65 (12), 45 (14). HRMS: calcd. for $C_{22}H_{29}N_5$ 363.2423; found 363.2417. IR ($CHCl_3$): $\tilde{\nu}$ = 2963, 1622, 1582, 1427, 1369, 1275, 1114, 814, 724, 665 cm^{-1}.

(*E*)-9-Benzyl-6-[2-(diethylamino)vinyl]purine (9d): Reaction time 1 d, yield 84%, red oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.25 (t, J_{vic} = 7.2 Hz, 6 H, CH_3), 3.37 (q, J_{vic} = 7.2 Hz, 4 H, CH_2-CH_3), 5.38 (s, 2 H, CH_2-Ph), 5.75 (d, J_{trans} = 13.1 Hz, 1 H, =CH-pur), 7.24–7.37 (m, 5 H, Ph), 7.80 (s, 1 H, 8-H), 8.32 (d, J_{trans} = 13.1 Hz, 1 H, =CH-N), 8.57 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 12.19 (CH_3), 13.26 (CH_3), 42.15 (CH_2-CH_3), 50.04 (CH_2-CH_3), 46.83 (CH_2-Ph), 89.64 (=CH-pur), 127.31 (C-5), 127.64 (CH-*o*-Ph), 128.24 (CH-*p*-Ph), 128.98 (CH-*m*-Ph), 135.84 (C-*i*-Ph), 140.71 (CH-8), 147.86 (=CH-N), 149.81 (C-4), 152.70 (CH-2), 157.76 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 307 (70) $[M]^+$, 292 (10), 278 (40), 264 (7), 237 (18), 224 (81), 173 (7), 145 (7), 91 (100). HRMS: calcd. for $C_{18}H_{21}N_5$ 307.1797; found 307.1788. IR ($CHCl_3$): $\tilde{\nu}$ = 2980, 1622, 1584, 1568, 1429, 1360, 1326, 1263, 1116, 996, 814, 725, 646 cm^{-1}.

(*E*)-9-Benzyl-6-[2-(dimethylamino)vinyl]purine (9e): Reaction time 4 h, yield 94%, colourless crystal, m.p. 127–129 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 3.05 (br. s, 6 H, CH_3), 5.37 (s, 2 H, CH_2-Ph), 5.70 (d, J_{trans} = 13.0 Hz, 1 H, =CH-pur), 7.25–7.36 (m, 5 H, Ph), 7.81 (s, 1 H, 8-H), 8.29 (d, J_{trans} = 13.0 Hz, 1 H, =CH-N), 8.59 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 41.55 (CH_3), 46.81 (CH_2-Ph), 90.48 (=CH-pur), 127.46 (C-5), 127.63 (CH-*o*-Ph), 128.22 (CH-*p*-Ph), 128.96 (CH-*m*-Ph), 135.76 (C-*i*-Ph), 140.81 (CH-8), 149.62 (=CH-N), 149.90 (C-4), 152.71 (CH-2), 157.49 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 279 (85) $[M]^+$, 264 (15), 237 (10), 205 (20), 147 (20), 91 (100). HRMS: calcd. for $C_{16}H_{17}N_5$ 279.1483; found 279.1480. IR ($CHCl_3$): $\tilde{\nu}$ = 2978, 1632, 1586, 1453, 1412, 1392, 1325, 1275, 1104, 993, 814, 726, 647 cm^{-1}. $C_{18}H_{17}N_5$ (279.34): calcd. C 68.79, H 6.13, N 25.07; found C 68.43, H 5.88, N 24.70.

(*Z*)- and (*E*)-9-Benzyl-6-[2-(cyclohexylamino)vinyl]purine (11f): Reaction time 2 d, yield 83%, red oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.20–1.50 [4×m, 10 H, CH_2-chex-(*Z*)+(*E*)], 1.63 [4×m, 10 H, CH_2-chex-(*Z*)+(*E*)], 1.80 [4×m, 10 H, CH_2-chex-(*Z*)+(*E*)], 2.01 [4×m, 10 H, CH_2-chex-(*Z*)+(*E*)], 3.17 [m, 1 H, CH_2NH-(*Z*)], 3.38 [m, 1 H, CH_2NH-(*E*)], 4.62 [br. m, 1 H, NH-(*E*)], 5.39 [s, 4 H, CH_2-Ph-(*Z*)+(*E*)], 5.64 [d, J_{cis} = 8.1 Hz, 1 H, =CH-pur-(*Z*)], 5.88 [d, J_{trans} = 13.4 Hz, 1 H, =CH-pur-(*E*)], 6.93 [dd, $J_{CH,NH}$ = 12.9 Hz, J_{cis} = 8.1 Hz, 1 H, =CH-N-(*Z*)], 7.22–7.38 [m, 10 H, Ph-(*Z*)+(*E*)], 7.81 [s, 1 H, 8-H-(*Z*)+(*E*)], 8.38 [dd, J_{trans} = 13.4 Hz, $J_{CH,NH}$ = 4.2 Hz, 1 H, =CH-N-(*E*)], 8.60 [s, 1 H, 2-H-(*E*)], 8.65 [s, 1 H, 2-H-(*Z*)], 9.67 [br. m, 1 H, NH-(*Z*)] ppm. ^{13}C NMR [100.6 MHz, $CDCl_3$, (*Z*) isomer only]: δ = 24.66 (CH_2-chex), 25.44 (CH_2-chex), 34.40 (CH_2-chex), 46.85 (CH_2-Ph), 57.01 (CH_2NH), 85.51 (=CH-pur), 127.38 (C-5), 127.57 (CH-*o*-Ph), 128.23 (CH-*p*-Ph), 128.97 (CH-*m*-Ph), 135.84 (C-*i*-Ph), 140.61 (CH-8), 146.81 (=CH-N), 148.78 (C-4), 152.03 (CH-2), 158.28 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 333 (92) $[M]^+$, 301 (22), 290 (30), 251 (15), 242 (35), 237 (32), 217 (35), 174 (20), 160 (38), 135 (100), 91 (75). HRMS: calcd. for $C_{20}H_{23}N_5$ 333.1953; found 333.1950. IR ($CHCl_3$): $\tilde{\nu}$ = 2936, 2858, 1630, 1584, 1498, 1452, 1325, 1296, 1241, 1199, 1150, 989, 811, 726, 699, 649 cm^{-1}.

(*Z*)- and (*E*)-9-Benzyl-6-[2-(benzylamino)vinyl]purine (11g): Reaction time 2 d, yield 81%, yellow solid, m.p. 130–134 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 4.43 [d, $J_{CH2,NH}$ = 5.5 Hz, 2 H, CH_2NH-(*E*)], 4.52 [d, $J_{CH2,NH}$ = 6.1 Hz, 2 H, CH_2NH-(*Z*)], 4.93 [br. m, 1 H, NH-(*E*)], 5.39 [s, 4 H, CH_2-Ph-(*Z*)+(*E*)], 5.75 [d, J_{cis} = 8.2 Hz, 1 H, =CH-pur-(*Z*)], 5.98 [d, J_{trans} = 13.4 Hz, 1 H, =CH-pur-(*E*)], 6.91 [dd, $J_{CH,NH}$ = 12.5 Hz, J_{cis} = 8.2 Hz, 1 H, =CH-N-(*Z*)], 7.24–7.39 [m, 20 H, 2×Ph-(*Z*)+(*E*)], 7.83 [s, 1 H, 8-H-(*E*)], 7.84 [s, 1 H, 8-H-(*Z*)], 8.52 [dd, J_{trans} = 13.4 Hz, $J_{CH,NH}$ = 8.0 Hz, 1 H, =CH-N-(*E*)], 8.63 [s, 1 H, 2-H-(*E*)], 8.65 [s, 1 H, 2-H-(*Z*)], 9.93 [br. m, 1 H, NH-(*Z*)] ppm. ^{13}C NMR [125.8 MHz, $CDCl_3$, (*Z*) isomer only]: δ = 46.89 (CH_2-Ph), 52.53 (CH_2NH), 86.81 (=CH-pur), 126.96 (CH-Ph), 127.40 (CH-Ph), 127.61 (CH-Ph), 128.27 (CH-Ph), 128.46 (C-5), 128.70 (CH-Ph), 128.98 (CH-Ph), 135.70 (C-*i*-Ph), 139.23 (C-*i*-Ph), 140.96 (CH-8), 148.62 (=CH-N), 149.03 (C-4), 151.94 (CH-2), 158.15 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 341 (100) $[M]^+$, 313 (7), 250 (70), 237 (15), 147 (14), 91 (96), 65 (12). HRMS: calcd. for $C_{21}H_{19}N_5$ 341.1640; found 341.1648. IR ($CHCl_3$): $\tilde{\nu}$ = 3020, 1632, 1585, 1453, 1325, 1237, 1171, 988, 699 cm^{-1}. $C_{21}H_{19}N_5$ (341.41): calcd. C 73.88, H 5.61, N 20.51; found C 73.66, H 5.58, N 20.28.

(*Z*)- and (*E*)-9-Benzyl-6-[2-(methylamino)vinyl]purine (11h): Reaction time 2 h, yield 76%, red oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 2.95 [d, $J_{CH3,NH}$ = 5.1 Hz, 3 H, CH_3-(*E*)], 3.11 [d, $J_{CH3,NH}$ = 4.7 Hz, 3 H, CH_3-(*Z*)], 4.74 [br. m, 1 H, NH-(*E*)], 5.39 [s, 4 H, CH_2Ph-(*Z*)+(*E*)], 5.66 [d, J_{cis} = 8.1 Hz, 1 H, =CH-pur-(*Z*)], 5.86 [d, J_{trans} = 13.3 Hz, 1 H, =CH-pur-(*E*)], 6.82 [dd, $J_{CH,NH}$ = 12.6 Hz, J_{cis} = 8.1 Hz, 1 H, =CH-N-(*Z*)], 7.24–7.37 (m, 10 H, H-Ph), 7.82 [s, 1 H, 8-H-(*Z*)], 7.83 [s, 1 H, 8-H-(*E*)], 8.46 [dd, J_{trans} = 13.3 Hz, $J_{CH,NH}$ = 7.4 Hz, 1 H, =CH-N-(*E*)], 8.62 [s, 1 H, 2-H-(*E*)], 8.64 [s, 1 H, 2-H-(*Z*)], 9.40 [br. m, 1 H, NH-(*Z*)]. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 30.50 [CH_3-(*E*)], 35.26 [CH_3-(*Z*)], 46.88 [CH_2Ph-(*Z*)+(*E*)], 85.85 [=CH-pur-(*Z*)], 91.86 [=CH-pur-(*E*)], 127.34 [C-5-(*Z*)], 127.63 [CH-*o*-Ph-(*Z*)], 127.68 [CH-*o*-Ph-(*E*)], 127.76 [C-5-(*E*)], 128.27 [CH-*p*-Ph-(*Z*)], 128.30 [CH-*p*-Ph-(*E*)], 128.99 [CH-*m*-Ph-(*Z*)], 129.01 [CH-*m*-Ph-(*Z*)], 135.74 [C-*i*-Ph-(*Z*)+(*E*)], 140.76 [CH-8-(*Z*)], 141.20 [CH-8-(*E*)], 147.23 [=CH-N-(*E*)], 148.84 [C-4-(*Z*)], 149.91 [=CH-N-(*Z*)], 150.03 [C-4-(*E*)], 151.91 [CH-2-(*Z*)], 152.65 [CH-2-(*E*)], 157.54 [C-6-(*E*)], 158.28 [C-6-(*Z*)] ppm. EI-MS: *m*/*z* (rel.%) 265 (14) $[M]^+$, 252 (24), 235 (8), 224 (32), 161 (10), 147 (7), 91 (100), 65 (15). HRMS: calcd. for $C_{15}H_{15}N_5$ 265.1327; found 265.1339. IR ($CHCl_3$): $\tilde{\nu}$ = 3469, 3431, 3299, 3092, 3068, 3036, 2986, 2823, 1585, 1573, 1562, 1510, 1498, 1454, 1429, 1407, 1325, 1151, 811, 648 cm^{-1}.

(*E*)-6-[2-(Piperidine-1-yl)vinyl]-9-(tetrahydropyran-2-yl)purine (10a): Reaction time 2 d, yield 59%, brown solid, m.p. 135–147 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.61–1.86 (m, 9 H, CH_2-pip and CH_2-THP), 2.00–2.14 (m, 3 H, CH_2-THP), 3.38 (m, 4 H, CH_2N-pip), 3.79 (td, *J* = 11.6, 2.5 Hz, 1 H, bCH_2O-THP), 4.17 (ddt, *J* = 11.6, 4.1, 2.2 Hz, 1 H, aCH_2O-THP), 5.73 (dd, *J* = 9.9, 2.9 Hz, 1 H, CHO-THP), 5.82 (d, J_{trans} = 13.1 Hz, 1 H, =CH-pur), 8.04 (s, 1 H, 8-H), 8.20 (br. d, J_{trans} = 13.1 Hz, 1 H, =CH-N), 8.54 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.96 (CH_2-THP), 24.27 (CH_2-pip), 24.98 (CH_2-THP), 25.64 (CH_2-pip), 31.87 (CH_2-THP), 49.75 (CH_2N-pip), 68.82 (CH_2O-THP), 81.57 (CHO-THP), 89.64 (=CH-pur), 127.61 (C-5), 138.59 (CH-8), 148.59 (=CH-N), 149.00 (C-4), 152.58 (CH-2), 157.90 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 313 (67) $[M]^+$, 228 (100), 213 (17), 173 (12), 160 (20), 147 (52),

134 (35), 119 (18), 96 (25), 83 (25). HRMS: calcd. for $C_{17}H_{23}N_5O$ 313.1902; found 313.1891. IR ($CHCl_3$): $\tilde{\nu}$ = 2947, 1623, 1586, 1497, 1441, 1399, 1362, 1246, 1058, 1045, 979, 915, 816, 648 cm^{-1}.

(*E*)-6-[2-(Morpholine-4-yl)vinyl]-9-(tetrahydropyran-2-yl)purine (10b): Reaction time 2 d, yield 45%, yellow crystal, m.p. 171–173 °C. ^1H NMR (500 MHz, $CDCl_3$): δ = 1.61–1.84 (2×m, 6 H, CH_2-THP), 2.01–2.15 (2×m, 6 H, CH_2-THP), 3.40 (m, 4 H, CH_2-N), 3.77 (m, 4 H, CH_2-O), 3.79 (td, J = 11.7, 2.5 Hz, 1 H, bCH_2O-THP), 4.18 (ddt, J = 11.7, 4.4, 1.9 Hz, 1 H, aCH_2O-THP), 5.74 (dd, J = 10.2, 2.6 Hz, 1 H, CHO-THP), 5.88 (d, J_{trans} = 13.3 Hz, 1 H, =CH-pur), 8.08 (s, 1 H, 8-H), 8.17 (d, J_{trans} = 13.3 Hz, 1 H, =CH-N), 8.59 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 22.87 (CH_2-THP), 24.90 (CH_2-THP), 31.80 (CH_2-THP), 48.74 (CH_2-N), 66.31 (CH_2-O), 68.79 (CH_2O-THP), 81.59 (CHO-THP), 91.68 (=CH-pur), 128.00 (C-5), 139.13 (CH-8), 148.21 (=CH-N), 149.28 (C-4), 152.48 (CH-2), 157.13 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 315 (60) $[M]^+$, 230 (100), 201 (45), 186 (17), 174 (28), 147 (45), 134 (25), 119 (13), 85 (20). HRMS: calcd. for $C_{16}H_{21}N_5O_2$ 315.1695; found 315.1689. IR ($CHCl_3$): $\tilde{\nu}$ = 2974, 2862, 1626, 1588, 1572, 1429, 1170, 1116, 1085, 1045, 980, 914, 867, 821, 648 cm^{-1}.

(*E*)-6-[2-(Dibutylamino)vinyl]-9-(tetrahydropyran-2-yl)purine (10c): Reaction time 2 d, yield 81%, brown oil. ^1H NMR (400 MHz, $CDCl_3$): δ = 0.95 (t, J_{vic} = 7.3 Hz, 6 H, C$H_3$$CH_2CH_2CH_2$), 1.36 (m, 4 H, $CH_3CH_2CH_2CH_2$), 1.58–1.87 (m, 7 H, $CH_3CH_2CH_2CH_2$ and CH_2-THP), 1.99–2.14 (m, 3 H, CH_2-THP), 3.28 (m, 4 H, $CH_3CH_2CH_2CH_2$), 3.79 (td, J = 11.7, 2.5 Hz, 1 H, bCH_2O-THP), 4.17 (ddt, J = 11.7, 4.3, 1.4 Hz, 1 H, aCH_2O-THP), 5.71 (d, J_{trans} = 13.1 Hz, 1 H, =CH-pur), 5.73 (dd, J = 9.8, 2.8 Hz, 1 H, CHO-THP), 8.04 (s, 1 H, 8-H), 8.30 (br. d, J_{trans} = 13.1 Hz, 1 H, =CH-N), 8.53 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 13.84 ($CH_3CH_2CH_2CH_2$), 20.13 ($CH_3CH_2CH_2CH_2$), 22.90 (CH_2-THP), 24.93 (CH_2-THP), 28.80 ($CH_3CH_2CH_2CH_2$), 31.59 ($CH_3CH_2CH_2CH_2$), 31.86 (CH_2-THP), 47.94 ($CH_3CH_2CH_2CH_2$), 56.03 ($CH_3CH_2CH_2CH_2$), 68.76 (CH_2O-THP), 81.49 (CHO-THP), 89.64 (=CH-pur), 127.34 (C-5), 138.41 (CH-8), 148.73 (=CH-N), 148.91 (C-4), 152.57 (CH-2), 157.87 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 357 (96) $[M]^+$, 314 (10), 273 (100), 256 (18), 244 (65), 244 (65), 230 (70), 216 (65), 174 (26), 160 (22), 149 (47), 134 (45), 85 (20). HRMS: calcd. for $C_{20}H_{31}N_5O$ 357.2529; found 357.2524. IR ($CHCl_3$): $\tilde{\nu}$ = 2961, 2934, 1622, 1584, 1429, 1369, 1085, 1045, 980, 914, 814, 648 cm^{-1}.

(*E*)-6-[2-(Diethylamino)vinyl]-9-(tetrahydropyran-2-yl)purine (10d): Reaction time 1 d, yield 81%, red oil. ^1H NMR (500 MHz, $CDCl_3$): δ = 1.25 (t, J_{vic} = 7.2 Hz, 6 H, CH_3), 1.61–1.84 (2×m, 6 H, CH_2-THP), 2.00–2.14 (2×m, 6 H, CH_2-THP), 3.37 (q, J_{vic} = 7.2 Hz, 4 H, CH_2-N), 3.79 (td, J = 11.7, 2.4 Hz, 1 H, bCH_2O-THP), 4.17 (ddt, J = 11.7, 4.2, 1.9 Hz, 1 H, aCH_2O-THP), 5.73 (dd, J = 10.5, 2.6 Hz, 1 H, CHO-THP), 5.75 (d, J_{trans} = 13.1 Hz, 1 H, =CH-pur), 8.05 (s, 1 H, 8-H), 8.28 (d, J_{trans} = 13.1 Hz, 1 H, =CH-N), 8.54 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 12.09 (CH_3), 14.33 (CH_3), 22.90 (CH_2-THP), 24.93 (CH_2-THP), 31.85 (CH_2-THP), 42.27 (CH_2-N), 50.00 (CH_2-N), 68.77 (CH_2O-THP), 81.51 (CHO-THP), 89.38 (=CH-pur), 127.35 (C-5), 138.48 (CH-8), 147.75 (=CH-N), 148.90 (C-4), 152.50 (CH-2), 157.76 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 301 (42) $[M]^+$, 217 (70), 202 (12), 188 (100), 174 (18), 160 (24), 147 (40), 134 (38), 119 (12), 84 (12). HRMS: calcd. for $C_{16}H_{23}N_5O$ 301.1902; found 301.1889. IR ($CHCl_3$): $\tilde{\nu}$ = 2978, 2868, 1623, 1569, 1430, 1360, 1259, 1084, 1054, 981, 814, 648 cm^{-1}.

(*E*)-6-[2-(Dimethylamino)vinyl]-9-(tetrahydropyran-2-yl)purine (10e): Reaction time 4 d, yield 64%, white solid, m.p. 167–168 °C. ^1H NMR (400 MHz, $CDCl_3$): δ = 1.60–1.85 (2×m, 6 H, CH_2-THP), 2.00–2.15 (2×m, 6 H, CH_2-THP), 3.05 (br. s, 6 H, CH_3), 3.79 (2×m, 2 H, CH_2O-THP), 4.17 (2×m, 2 H, CH_2O-THP), 5.69 (d, J_{trans} = 13.0 Hz, 1 H, =CH-pur), 5.73 (dd, J = 9.8, 3.0 Hz, 1 H, CHO-THP), 8.06 (s, 1 H, 8-H), 8.26 (d, J_{trans} = 13.0 Hz, 1 H, =CH-N), 8.55 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.4 MHz, $CDCl_3$): δ = 22.88 (CH_2-THP), 24.90 (CH_2-THP), 31.79 (CH_2-THP), 42.44 (CH_3), 68.75 (CH_2O-THP), 81.51 (CHO-THP), 90.38 (=CH-pur), 127.54 (C-5), 138.61 (CH-8), 149.03 (C-4), 149.56 (=CH-N), 152.53 (CH-2), 157.50 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 273 (48) $[M]^+$, 189 (100), 174 (50), 162 (32), 147 (40), 134 (30), 119 (18), 96 (25). HRMS: calcd. for $C_{14}H_{19}N_5O$ 273.1589; found 273.1583. IR ($CHCl_3$): $\tilde{\nu}$ = 2952, 1633, 1587, 1413, 1389, 1230, 1085, 1045, 980, 915, 665, 648 cm^{-1}.

(*Z*)- and (*E*)-6-[2-(Cyclohexylamino)vinyl]-9-(tetrahydropyran-2-yl)purine (12f): Reaction time 2 d, yield 73%, red oil. ^1H NMR (400 MHz, $CDCl_3$): δ = 1.20–1.49 [3×m, 32 H, CH_2-chex-(*Z*)+(*E*) and CH_2-THP-(*Z*)+(*E*)], 1.58–1.86 [3×m, 32 H, CH_2-chex-(*Z*)+(*E*) and CH_2-THP-(*Z*)+(*E*)], 1.97–2.16 [3×m, 32 H, CH_2-chex-(*Z*)+(*E*) and CH_2-THP-(*Z*)+(*E*)], 3.15 [m, 1 H, CHNH-(*Z*)], 3.38 [m, 1 H, CHNH-(*E*)], 3.79 [td, J = 11.7, 2.5 Hz, 2 H, bCH_2O-THP-(*Z*)+(*E*)], 4.17 [ddt, J = 11.7, 4.5, 1.8 Hz, 2 H, aCH_2O-THP-(*Z*)+(*E*)], 4.65 [br. m, 1 H, NH-(*E*)], 5.63 [d, J_{cis} = 8.1 Hz, 1 H, =CH-pur-(*Z*)], 5.73 [dd, J = 9.8, 2.9 Hz, 2 H, CHO-THP-(*Z*)+(*E*)], 5.88 [d, J_{trans} = 13.4 Hz, 1 H, =CH-pur-(*E*)], 6.92 [dd, $J_{CH,NH}$ = 12.9 Hz, J_{cis} = 8.1 Hz, 1 H, =CH-N-(*Z*)], 8.06 [s, 1 H, 8-H-(*Z*)+(*E*)], 8.35 [dd, J_{trans} = 13.4 Hz, $J_{CH,NH}$ = 8.8 Hz, 1 H, =CH-N-(*E*)], 8.57 [s, 1 H, 2-H-(*E*)], 8.62 [s, 1 H, 2-H-(*Z*)], 9.62 [br. m, 1 H, NH-(*Z*)] ppm. ^{13}C NMR [100.6 MHz, $CDCl_3$, (*Z*) isomer only]: δ = 22.88 (CH2-THP), 24.65 (CH_2-chex), 24.91 (CH2-THP), 235.50 (CH2-chex), 31.88 (CH2-THP), 34.37 (CH2-chex), 56.97 (CHNH), 68.74 (CH_2O-THP), 81.50 (CHO-THP), 85.54 (=CH-pur), 127.39 (C-5), 138.38 (CH-8), 146.78 (=CH-N), 147.85 (C-4), 151.83 (CH-2), 158.27 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 327 (30) $[M]^+$, 243 (100), 214 (8), 200 (30), 186 (18), 173 (12), 160 (34), 147 (30), 134 (28), 119 (18), 97 (20), 83 (16). HRMS: calcd. for $C_{18}H_{25}N_5O$ 327.2059; found 327.2047. IR ($CHCl_3$): $\tilde{\nu}$ = 2936, 2858, 1632, 1585, 1450, 1327, 1085, 1045, 975, 913, 876, 811, 667 cm^{-1}.

(*Z*)- and (*E*)-6-[2-(Benzylamino)vinyl]-9-(tetrahydropyran-2-yl)purine (12g): Reaction time 1 d, yield 85%, brown oil. ^1H NMR (400 MHz, $CDCl_3$): δ = 1.57–1.86 [2 ×m, 12 H, CH2-THP-(*Z*)+(*E*)], 1.99–2.14 [2 ×m, 12 H, CH2-THP-(*Z*)+(*E*)], 3.78 [2×m, 2×2 H, CH_2O-THP-(*Z*)+(*E*)], 4.43 [d, $J_{CH2,NH}$ = 5.4 Hz, 2 H, CH_2NH-(*E*)], 4.51 [d, $J_{CH2,NH}$ = 6.0 Hz, 2 H, CH_2NH-(*Z*)],4.96 [br. m, 1 H, NH-(*E*)], 5.72–5.76 [m, 3 H, =CH-pur-(*Z*) and CHO-THP-(*Z*)+(*E*)], 5.97 [d, J_{trans} = 13.4 Hz, 1 H, =CH-pur-(*E*)], 6.91 [dd, $J_{CH,NH}$ = 12.5 Hz, J_{cis} = 8.2 Hz, 1 H, =CH-N-(*Z*)], 7.26–7.39 [m, 10 H, Ph-(*Z*)+(*E*)], 8.09 [s, 1 H, 8-H-(*Z*)+(*E*)], 8.49 [dd, J_{trans} = 13.3 Hz, $J_{CH,NH}$ = 8.0 Hz, 1 H, =CH-N-(*E*)], 8.60 [s, 1 H, 2-H-(*E*)], 8.62 [s, 1 H, 2-H-(*Z*)], 9.88 [br. m, 1 H, NH-(*Z*)] ppm. ^{13}C NMR [100.6 MHz, $CDCl_3$, (*Z*) isomer only]: δ = 22.87 (CH_2-THP), 24.90 (CH_2-THP), 31.84 (CH_2-THP), 52.53 (CH_2NH), 68.75 (CH_2O-THP), 81.57 (CHO-THP), 86.88 (=CH-pur), 126.99 (CH-*o*-Ph), 127.41 (CH-*p*-Ph), 127.65 (C-5), 128.71 (CH-*m*-Ph), 138.79 (CH-8), 139.22 (C-*i*-Ph), 148.17 (C-4), 148.60 (=CH-N), 151.78 (CH-2), 158.17 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 335 (28) $[M]^+$, 251 (100), 234 (7), 195 (35), 160 (27), 147 (20), 135 (17), 117 (7), 106 (35), 91 (65), 85 (8). HRMS: calcd. for $C_{19}H_{21}N_5O$ 335.1746; found 335.1739. IR ($CHCl_3$): $\tilde{\nu}$ = 2952, 1635, 1587, 1447, 1327, 1261, 1171, 1085, 1045, 977, 913, 876, 812, 699, 648 cm^{-1}.

(*Z*)- and (*E*)-6-[2-(Methylamino)vinyl]-9-(tetrahydropyran-2-yl)purine (12h): Reaction time 2 h, yield 94%, brown oil. ^1H NMR (500 MHz, $CDCl_3$): δ = 1.58–1.84 [2×m, 12 H, CH_2-THP-(*Z*)+(*E*)], 2.00–2.15 [2×m, 12 H, CH_2-THP-(*Z*)+(*E*)], 2.96 [d, $J_{CH3,NH}$ = 5.2 Hz, 3 H, CH_3-(*E*)], 3.11 [d, $J_{CH3,NH}$ = 4.8 Hz, 3 H, CH_3-(*Z*)], 3.79 [m, 2 H,

bCH$_2$O-THP-(*Z*)+(*E*)], 4.17 [m, 2 H, aCH$_2$O-THP-(*Z*)+(*E*)], 4.74 [br. m, 1 H, NH-(*E*)], 5.65 [d, J_{cis} = 8.1 Hz, 1 H, =CH-pur-(*Z*)], 5.74 [dd, *J* = 9.9, 3.0 Hz, 1 H, CHO-THP-(*Z*)], 5.75 [dd, *J* = 10.3, 2.6 Hz, 1 H, CHO-THP-(*E*)], 5.85 [d, J_{trans} = 13.3 Hz, 1 H, =CH-pur-(*E*)], 6.81 [dd, $J_{CH,NH}$ = 12.6 Hz, J_{cis} = 8.1 Hz, 1 H, =CH-N-(*Z*)], 8.07 [s, 1 H, 8-H-(*Z*)], 8.08 [s, 1 H, 8-H-(*E*)], 8.43 [dd, J_{trans} = 13.3 Hz, $J_{CH,NH}$ = 7.4 Hz, 1 H, =CH-N-(*E*)], 8.59 [s, 1 H, 2-H-(*E*)], 8.62 [s, 1 H, 2-H-(*Z*)], 9.35 [br. m, 1 H, NH-(*Z*)] ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 22.89 [CH$_2$-THP-(*Z*)+(*E*)], 24.92 [CH$_2$-THP-(*Z*)+(*E*)], 30.50 [CH$_3$-(*E*)], 31.82 (CH$_2$-THP- (*E*)), 31.84 (CH$_2$-THP-(*Z*)), 35.22 (CH$_3$-(*Z*)), 68.74 (CH$_2$O-THP-(*E*)), 68.77 [CH$_2$-THP-(*Z*)], 81.57 [CHO-THP-(*Z*)+(*E*)], 85.93 [=CH-pur-(*Z*)], 91.90 [=CH-pur-(*E*)], 127.47 [C-5-(*Z*)], 127.85 [C-5-(*E*)], 138.58 [CH-8-(*Z*)], 138.98 [CH-8-(*E*)], 147.02 [=CH-N-(*E*)], 148.03 [C-4-(*Z*)], 149.36 [C-4-(*E*)], 149.88 [=CH-N-(*Z*)], 151.74 [CH-2-(*Z*)], 152.56 [CH-2-(*E*)], 157.61 [C-6-(*E*)], 158.31 [C-6-(*E*)] ppm. EI-MS: *m*/*z* (rel.%) = 259 (50) [M]$^+$, 235 (12), 175 (100), 160 (26), 148 (30), 134 (10), 119 (12), 85 (22). HRMS: calcd. for $C_{13}H_{17}N_5O$ 259.1433; found 259.1428. IR ($CHCl_3$): $\tilde{\nu}$ = 3469, 2952, 1634, 1587, 1509, 1450, 1409, 1327, 1281, 1232, 1085, 1045, 972, 914, 876, 812, 666, 648 cm^{-1}.

General Method for the Nucleophilic Additions of Alcoholates and Thiolates

6-Ethynylpurine **7** or **8** (2.13 mmol) or 6-vinylpurine **1** or **2** (2.13 mmol) was stirred with the particular alcoholate or thiolate in a solvent at room temperature. After completion, the reaction mixture was diluted with H_2O (50 mL), washed with ethyl acetate (3 × 50 mL) and brine. The organic layer was dried with anhydrous $MgSO_4$, filtered and concentrated under reduced pressure. The residue was purified by column chromatography (silica gel, ethyl acetate).

9-Benzyl-6-[2-(methoxy)ethyl]purine (5a):[14] The reaction was performed in MeOH (5 mL) with the addition of 1 M methanolic NaOMe (0.5 mL, 0.5 mmol), reaction time 2 d, yield 55%, colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.37 (s, 3 H, OCH$_3$), 3.49 (t, J_{vic} = 6.6 Hz, 2 H, CH$_2$-pur), 4.00 (t, J_{vic} = 6.6 Hz, 2 H, CH$_2$-O), 5.44 (s, 2 H, CH$_2$-Ph), 7.29–7.40 (m, 5 H, Ph), 8.01 (s, 1 H, 8-H), 8.94 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 33.47 (CH$_2$-pur), 47.26 (CH$_2$-Ph), 58.68 (OCH$_3$), 70.47 (CH$_2$-O), 127.90 (CH-*o*-Ph), 128.60 (CH-*p*-Ph), 129.13 (CH-*m*-Ph), 133.03 (C-5), 135.11 (C-*i*-Ph), 143.71 (CH-8), 150.86 (C-4), 152.60 (CH-2), 159.88 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 268 (7) [M]$^+$, 253 (60), 238 (8), 225 (5), 147 (10), 91 (100), 65 (12), 45 (14). HRMS: calcd. for $C_{15}H_{16}N_4O$ 268.1324; found 268.1331. IR ($CHCl_3$): $\tilde{\nu}$ = 2992, 1597, 1500, 1456, 1407, 1382, 1332, 1231, 1194, 1160, 1112, 950, 879, 822, 752, 699, 648 cm^{-1}. $C_{15}H_{16}N_4O$ (368.31): calcd. C 67.15, H 6.01, N 20.88; found C 66.51, H 5.91, N 21.54.

9-Benzyl-6-[2-(ethoxy)ethyl]purine (5b): The reaction was performed in THF (5 mL) and EtOH (2 mL) with the addition of NaH (30 mg), reaction time 1 d, yield 50%, white crystals, m.p. 57–59.5 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.15 (t, J_{vic} = 7.0 Hz, 3 H, CH$_3$), 3.52 (t, J_{vic} = 6.9 Hz, 2 H, CH$_2$-pur), 3.55 (q, J_{vic} = 7.0 Hz, 2 H, CH$_2$-O), 4.02 (t, J_{vic} = 6.9 Hz, 2 H, CH$_2$-O), 5.44 (s, 2 H, CH$_2$-Ph), 7.29–7.40 (m, 5 H, Ph), 8.01 (s, 1 H, 8-H), 8.93 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 15.10 (CH$_3$), 33.67 (CH$_2$-pur), 47.30 (CH$_2$-Ph), 66.13 (CH$_2$-O),d 68.30 (CH$_2$-O), 127.91 (CH-*o*-Ph), 128.63 (CH-*p*-Ph), 129.17 (CH-*m*-Ph), 132.51 (C-5), 135.14 (C-*i*-Ph), 143.72 (CH-8), 152.56 (CH-2), 152.94 (C-4), 159.95 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 283 (100) [M + H]$^+$ (cation), 237 (8), 193 (8), 147 (10), 91 (82). HRMS: calcd. for $C_{16}H_{19}N_4O$ [M + H]$^+$ 283.1558; found 283.1559. IR ($CHCl_3$): $\tilde{\nu}$ = 3036, 2980, 2872, 1596, 1500, 1456, 1407, 1332, 1232, 1195, 1107, 726, 699, 666, 648 cm^{-1}. $C_{16}H_{18}N_4O$ (284.38): calcd. C 68.06, H 6.43, N 19.84; found C 68.03, H 6.28, N 19.56.

9-Benzyl-6-[2-(benzyloxy)ethyl]purine (5c): The reaction was performed in THF (5 mL) and benzyl alcohol (1 mL) with the addition of NaH (30 mg), reaction time 2 d, yield 61%, yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.54 (t, J_{vic} = 6.7 Hz, 2 H, CH$_2$-pur), 4.08 (t, J_{vic} = 6.7 Hz, 2 H, CH$_2$-O), 4.56 (s, 2 H, O-CH$_2$-Ph), 5.44 (s, 2 H, N-CH$_2$-Ph), 7.19–7.40 (m, 10 H, 2 × Ph), 8.00 (s, 1 H, 8-H), 8.93 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 33.63 (CH$_2$-pur), 47.25 (N-CH$_2$-Ph), 68.01 (CH$_2$-O), 72.73 (O-CH$_2$-Ph), 127.43 (CH-Ph), 127.59 (CH-Ph), 127.86 (CH-Ph), 128.23 (CH-Ph), 128.59 (CH-Ph), 129.14 (CH-Ph), 133.03 (C-5), 135.14 (C-*i*-Ph), 138.27 (C-*i*-Ph), 143.68 (CH-8), 150.85 (C-4), 152.56 (CH-2), 159.87 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 345 (30) [M + H]$^+$ (cation), 237 (8), 147 (8), 91 (100). HRMS: calcd. for $C_{21}H_{21}N_4O$ [M + H]$^+$ 345.1715; found 345.1730. IR ($CHCl_3$): $\tilde{\nu}$ = 3010, 1597, 1499, 1456, 1407, 1332, 1233, 1195, 1097, 1029, 970, 879, 699, 649 cm^{-1}.

9-Benzyl-6-[2-(methylsulfanyl)ethyl]purine (5d): The reaction was performed in a mixture of H_2O/EtOH (1:1, 10 mL) with the addition of NaSMe (304 mg, 4.3 mmol), reaction time 1 h, yield 81%, yellow crystals. M.p. 85–88.5 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 3.19 (s, 3 H, CH$_3$), 3.11 (m, 2 H, CH$_2$-S), 3.52 (m, 2 H, CH$_2$-pur), 5.44 (s, 2 H, CH$_2$-Ph), 7.30–7.40 (m, 5 H, Ph), 8.01 (s, 1 H, 8-H), 8.94 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 15.50 (CH$_3$), 32.11 (CH$_2$-S), 32.79 (CH$_2$-pur), 47.31 (CH$_2$-Ph), 127.91 (CH-*o*-Ph), 128.62 (CH-*p*-Ph), 129.16 (CH-*m*-Ph), 132.74 (C-5), 135.08 (C-*i*-Ph), 143.75 (CH-8), 150.97 (C-4), 152.62 (CH-2), 160.49 (C-6). FAB-MS: *m*/*z* (rel.%) = 285 (95) [M + H]$^+$ (cation), 237 (17), 147 (10), 91 (100). HRMS: calcd. for $C_{15}H_{17}N_4S$ [M + H]$^+$ 285.1173; found 285.1181. IR ($CHCl_3$): $\tilde{\nu}$ = 3092, 3036, 2991, 2921, 1596, 1499, 1456, 1438, 1406, 1332, 1234, 1196, 725, 700, 648 cm^{-1}. $C_{15}H_{16}N_4S$ (284.38): calcd. C 63.35, H 5.67, N 19.7, found C 63.56, H 5.62, N 19.52.

9-Benzyl-6-[2-(benzylsulfanyl)ethyl]purine (5e): The reaction was performed in THF (10 mL) and benzylthiol (0.3 mL, 2.6 mmol) with the addition of NaH (30 mg), reaction time 4 h, yield 96%, yellow crystals, m.p. 69–70.5 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 3.04 (t, J_{vic} = 7.3 Hz, 2 H, CH$_2$-S), 3.50 (t, J_{vic} = 7.3 Hz, 2 H, CH$_2$-pur), 3.79 (s, 2 H, SCH$_2$-Ph), 5.43 (s, 2 H, NCH$_2$-Ph), 7.21 (2 × m, 10 H, 2 × Ph), 7.25–7.40 (2 × m, 10 H, 2 × Ph), 8.00 (s, 1 H, 8-H), 8.92 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 29.27 (CH$_2$-S), 32.78 (CH$_2$-pur), 36.14 (SCH$_2$-Ph), 47.32 (NCH$_2$-Ph), 126.90 (CH-Ph), 127.92 (CH-Ph), 128.45 (CH-Ph), 128.64 (CH-Ph), 128.91 (CH-Ph), 129.17 (CH-Ph), 132.77 (C-5), 135.11 (C-*i*-Ph), 138.24 (C-*i*-Ph), 141.73 (CH-8), 150.96 (C-4), 152.59 (CH-2), 160.42 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 361 (45) [M + H]$^+$ (cation), 269 (15), 137 (15), 147 (12), 91 (100). HRMS: calcd. for $C_{21}H_{21}N_4S$ [M + H]$^+$ 361.1486; found 361.1500. IR ($CHCl_3$): $\tilde{\nu}$ = 3034, 2993, 1596, 1498, 1455, 1406, 1332, 1235, 1196, 701, 667, 648 cm^{-1}. $C_{18}H_{20}N_4S$ (360.48): calcd. C 67.97, H 5.59, N 15.54; found C 69.78, H 5.39, N 15.26.

9-Benzyl-6-(2-sulfanylethyl)purine (5f): The reaction was performed in a mixture of H_2O/EtOH (1:1, 8 mL) with the addition of NaSH (168 mg, 3 mmol), reaction time 1 d, yield 95%, yellow solid, m.p. 114–117 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 3.22 (t, *J* = 7.9 Hz, 2 H, CH$_2$-SH), 3.54 (t, *J* = 7.9 Hz, 2 H, CH$_2$-pur), 5.44 (s, 2 H, CH$_2$-Ph), 7.29–7.39 (m, 5 H, Ph), 7.99 (s, 1 H, 8-H), 8.92 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 29.82 (CH$_2$-NH), 33.08 (CH$_2$-pur), 47.28 (CH$_2$-Ph), 127.88 (CH-*o*-Ph), 128.60 (CH-*p*-Ph), 129.15 (CH-*m*-Ph), 132.66 (C-5), 135.05 (C-*i*-Ph), 143.77 (CH-8), 150.91 (C-4), 152.59 (CH-2), 160.40 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 271 (15) [M + H]$^+$ (cation), 237 (30), 147 (10), 91 (100). HRMS: calcd. for $C_{14}H_{15}N_4S$ [M + H]$^+$ 271.1017; found 271.1008. IR ($CHCl_3$): $\tilde{\nu}$ = 3069, 2992, 1596, 1499, 1456, 1406, 1332, 1234, 1196, 700, 666, 648 cm^{-1}.

6-[2-(Methoxy)ethyl]-9-(tetrahydropyran-2-yl)purine (6a): The reaction was performed in MeOH (5 mL) with the addition of 1 M methanolic

NaOMe (0.5 mL, 0.5 mmol), reaction time 2 d, yield 81%, yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.63–1.88 (2×m, 6 H, CH_2-THP), 2.02–2.19 (2×m, 6 H, CH_2-THP), 3.36 (s, 3 H, CH_3O), 3.48 and 3.49 (dt, J_{gem} = 14.1 Hz, J_{vic} = 6.6 Hz, 2 H, CH_2-pur), 3.80 (td, J = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 3.98 (t, J_{vic} = 6.6 Hz, 2 H, CH_2-O), 4.19 (ddt, J = 11.6, 4.2, 1.9 Hz, 1 H, aCH_2O-THP), 5.80 (dd, J = 10.0, 2.8 Hz, 1 H, CHO-THP), 8.25 (s, 1 H, 8-H), 8.91 (s, 1 H, 2-H) ppm.^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.82 (CH_2-THP), 24.89 (CH_2-THP), 31.84 (CH_2-THP), 33.57 (CH_2-pur), 58.71 (CH_3O), 68.88 (CH_2O-THP), 70.53 (CH_2-O), 81.96 (CHO-THP), 133.24 (C-5), 141.76 (CH-8), 150.08 (C-4), 152.44 (CH-2), 159.98 (C-6). EI-MS: *m*/*z* (rel.%) = 262 (28) $[M]^+$, 247 (70), 232 (10), 178 (68), 163 (100), 147 (50), 135 (42), 85 (60), 45 (24). HRMS: calcd. for $C_{13}H_{18}N_4O_2$ 262.1430; found 262.1439. IR ($CHCl_3$): $\tilde{\nu}$ = 2989, 2866, 1599, 1497, 1442, 1410, 1334, 1250, 1112, 1086, 1045, 992, 912, 648 cm^{-1}.

6-[2-(Ethoxy)ethyl]-9-(tetrahydropyran-2-yl)purine (6b): The reaction was performed in THF (5 mL) and EtOH (2 mL) with the addition of NaH (30 mg), reaction time 1 d, yield 67%, yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 1.15 (t, J_{vic} = 7.0 Hz, 3 H, C$H_3$$CH_2O$), 1.63–1.87 (2×m, 6 H, CH_2-THP), 2.03–2.18 (2×m, 6 H, CH_2-THP), 3.55 (t, J_{vic} = 6.9 Hz, 2 H, CH_2-pur), 3.54 (q, J_{vic} = 7.0 Hz, 2 H, CH_3CH_2O), 3.80 (td, J = 11.7, 2.6 Hz, 1 H, bCH_2O-THP), 4.01 (t, J_{vic} = 6.9 Hz, 2 H, CH_2-O), 4.18 (ddt, J = 11.7, 4.3, 2.0 Hz, 1 H, aCH_2O-THP), 5.80 (dd, J = 10.2, 2.8 Hz, 1 H, CHO-THP), 8.24 (s, 1 H, 8-H), 8.89 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 15.08 (**C**$H_3$$CH_2O$), 22.80 ($CH_2$-THP), 24.88 ($CH_2$-THP), 31.81 ($CH_2$-THP), 33.76 ($CH_2$-pur), 66.09 ($CH_3$**C**$H_2O$), 68.29 ($CH_2$-O), 68.84 ($CH_2O$-THP), 81.95 (CHO-THP), 133.21 (C-5), 141.62 (CH-8), 150.00 (C-4), 152.39 (CH-2), 160.03 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 277 (50) $[M+H]^+$ (cation), 193 (100), 147 (25). HRMS: calcd. for $C_{14}H_{21}N_4O_2$ $[M+H]^+$ 277.1664; found 277.1659. IR ($CHCl_3$): $\tilde{\nu}$ = 2980, 2868, 1598, 1496, 1409, 1334, 1106, 1045, 913, 648 cm^{-1}.

6-[2-(Benzyloxy)ethyl]-9-(tetrahydropyran-2-yl)purine (6c): The reaction was performed in THF (5 mL) and benzyl alcohol (1 mL) with the addition of NaH (30 mg), reaction time 2 d, yield 49%, yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.63–1.88 (2×m, 6 H, CH_2-THP), 2.02–2.19 (2×m, 6 H, CH_2-THP), 3.53 (dt, J_{gem} = 14.1 Hz, J_{vic} = 6.8 Hz, 2 H, CH_2-pur), 3.54 (dt, J_{gem} = 14.1 Hz, J_{vic} = 6.8 Hz, 2 H, CH_2-pur), 3.80 (td, J = 11.8, 2.6 Hz, 1 H, bCH_2O-THP), 4.07 (t, J_{vic} = 6.8 Hz, 2 H, CH_2-O), 4.19 (ddt, J = 11.8, 4.2, 1.9 Hz, 1 H, aCH_2O-THP), 4.55 (s, 2 H, CH_2-Ph), 5.80 (dd, J = 10.0, 2.9 Hz, 1 H, CHO-THP), 7.21–7.32 (m, 5 H, Ph), 8.25 (s, 1 H, 8-H), 8.90 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.78 (CH_2-THP), 24.85 (CH_2-THP), 31.80 (CH_2-THP), 33.67 (CH_2-pur), 68.00 (CH_2-O), 68.84 (CH_2O-THP), 72.75 (CH_2-Ph), 81.92 (CHO-THP), 127.44 (CH-*p*-Ph), 127.61 (CH-*o*-Ph), 128.25 (CH-*m*-Ph), 133.19 (C-5), 138.25 (C-*i*-Ph), 141.68 (CH-8), 150.02 (C-4), 152.35 (CH-2), 159.90 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 339 (40) $[M+H]^+$ (cation), 255 (95), 147 (40), 91 (100). HRMS: calcd. for $C_{19}H_{23}N_4O_2$ $[M+H]^+$ 339.1821; found 339.1830. IR ($CHCl_3$): $\tilde{\nu}$ = 2984, 2953, 2865, 1599, 1497, 1455, 1410, 1363, 1334, 1254, 1207, 1087, 1045, 992, 912, 875, 698, 648, 542 cm^{-1}.

6-[2-(Methylsulfanyl)ethyl]-9-(tetrahydropyran-2-yl)purine (6d): The reaction was performed in a mixture of H_2O/EtOH (1:1, 10 mL) with the addition of NaSMe (304 mg, 4.3 mmol), reaction time 2 d, yield 90%, yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 1.64–1.87 (2×m, 6 H, CH_2-THP), 2.03–2.20 (2×m, 6 H, CH_2-THP), 2.18 (s, 3 H, CH_3), 3.10 (m, 2 H, CH_2-S), 3.52 (m, 2 H, CH_2-pur), 3.80 (td, J = 11.7, 2.6 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, J = 11.7, 4.3, 1.9 Hz, 1 H, aCH_2O-THP), 5.80 (dd, J = 10.1, 2.6 Hz, 1 H, CHO-THP), 8.25 (s, 1 H, 8-H), 8.90 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 15.48 (CH_3), 22.77 (CH_2-THP), 24.86 (CH_2-THP), 31.78 (CH_2-THP), 32.09 (CH_2-S), 32.82 (CH_2-pur), 68.83 (CH_2O-THP), 81.98 (CHO-THP), 132.91 (C-5), 141.74 (CH-8), 150.13 (C-4), 152.43 (CH-2), 160.52 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 279 (60) $[M+H]^+$ (cation), 231 (10), 147 (100), 134 (10), 85 (60). HRMS: calcd. for $C_{13}H_{19}N_4OS$ $[M+H]^+$ 279.1279; found 279.1273. IR ($CHCl_3$): $\tilde{\nu}$ = 2986, 2860, 1597, 1497, 1442, 1409, 1333, 1255, 1086, 1045, 977, 913, 876, 647 cm^{-1}.

6-[2-(Benzylsulfanyl)ethyl]-9-(tetrahydropyran-2-yl)purine (6e): The reaction was performed in THF (10 mL) and benzylthiol (0.3 mL, 2.6 mmol) with the addition of NaH (30 mg), reaction time 4 h, yield 98%, colourless oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.63–1.88 (2×m, 6 H, CH_2-THP), 2.02–2.20 (2×m, 6 H, CH_2-THP), 3.03 (t, J_{vic} = 7.7 Hz, 2 H, CH_2-S), 3.49 (t, J_{vic} = 7.7 Hz, 2 H, CH_2-pur), 3.79 (s, 2 H, CH_2-Ph), 3.80 (td, J = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, J = 11.6, 4.2, 1.6 Hz, 1 H, aCH_2O-THP), 5.80 (dd, J = 10.1, 2.9 Hz, 1 H, CHO-THP), 7.21 (m, 1 H, H-*p*-Ph), 7.29 (m, 2 H, H-*m*-Ph), 7.33 (m, 2 H, H-*o*-Ph), 8.25 (s, 1 H, 8-H), 8.89 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.77 (CH_2-THP), 24.85 (CH_2-THP), 29.21 (CH_2-S), 31.78 (CH_2-THP), 32.76 (CH_2-pur), 36.07 (CH_2-Ph), 68.84 (CH_2O-THP), 81.95 (CHO-THP), 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) ppm. FAB-MS: *m*/*z* (rel.%) = 355 (25) $[M+H]^+$ (cation), 178 (50), 147 (65), 134 (10), 91 (100). HRMS: calcd. for $C_{19}H_{23}N_4OS$ $[M+H]^+$ 355.1592; found 355.1586. IR ($CHCl_3$): $\tilde{\nu}$ = 2988, 2861, 1598, 1495, 1454, 1409, 1333, 1240, 1086, 1045, 913, 714, 647 cm^{-1}.

6-(2-Sulfanylethyl)-9-(tetrahydropyran-2-yl)purine (6f): The reaction was performed in a mixture of H_2O/EtOH (1:1, 8 mL) with the addition of NaSH (168 mg, 3 mmol), reaction time 1 h, yield 94%, yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.60 (t, J = 8.2 Hz, 1 H, SH), 1.63–1.89 (2×m, 6 H, CH_2-THP), 2.03–2.22 (2×m, 6 H, CH_2-THP), 3.14 (dt, J = 8.2, 7.2 Hz, 2 H, CH_2-S), 3.54 (t, J = 7.2 Hz, 2 H, CH_2-pur), 3.80 (td, J = 11.6, 2.6 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, J = 11.6, 4.2, 1.7 Hz, 1 H, aCH_2O-THP), 5.81 (dd, J = 10.1, 2.9 Hz, 1 H, CHO-THP), 8.27 (s, 1 H, 8-H), 8.91 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 22.57 (CH_2SH), 22.77 (CH_2-THP), 24.84 (CH_2-THP), 31.78 (CH_2-THP), 37.15 (CH_2-pur), 68.86 (CH_2O-THP), 81.97 (CHO-THP), 132.96 (C-5), 141.87 (CH-8), 150.18 (C-4), 152.44 (CH-2), 159.88 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 265 (20) $[M+H]^+$ (cation), 147 (100), 134 (25). HRMS: calcd. for $C_{12}H_{17}N_4OS$ $[M+H]^+$ 265.1123; found 265.1115. IR ($CHCl_3$): $\tilde{\nu}$ = 2985, 2862, 1598, 1497, 1442, 1409, 1333, 1253, 1086, 1045, 913, 876, 647 cm^{-1}.

9-Benzyl-6-[2,2-(dimethoxy)ethyl]purine (13a): The reaction was performed in MeOH (5 mL) with the addition of 1 M methanolic NaOMe (0.5 mL, 0.5 mmol), reaction time 1 h, yield 86%, yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.38 (s, 6 H, CH_3O), 3.55 (d, J_{vic} = 6.0 Hz, 2 H, CH_2-pur), 5.25 (t, J_{vic} = 6.0 Hz, 1 H, O-CH-O), 5.44 (s, 2 H, CH_2-Ph), 7.30–7.40 (m, 5 H, Ph), 8.02 (s, 1 H, 8-H), 8.94 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, $CDCl_3$): δ = 36.83 (CH_2-pur), 47.28 (CH_2-Ph), 52.76 (CH_3O), 102.48 (O-CH-O), 127.93 (CH-*o*-Ph), 128.61 (CH-*p*-Ph), 129.14 (CH-*m*-Ph), 133.12 (C-5), 135.06 (C-*i*-Ph), 143.84 (CH-8), 151.01 (C-4), 152.53 (CH-2), 157.83 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 298 (10) $[M]^+$, 283 (30), 267 (80), 238 (30), 223 (14), 149 (18), 91 (40), 75 (100). HRMS: calcd. for $C_{16}H_{18}N_4O_2$ $[M]^+$ 298.1429; found 298.1419. IR ($CHCl_3$): $\tilde{\nu}$ = 2992, 2837, 1599, 1497, 1455, 1409, 1335, 1118, 1086, 1046, 988, 914, 876, 647 cm^{-1}.

6-[2,2-(Dimethoxy)ethyl]-9-(tetrahydropyran-2-yl)purine (14a): The reaction was performed in MeOH (5 mL) with the addition of 1 M methanolic NaOMe (0.5 mL, 0.5 mmol), reaction time 4 h, yield 91%, yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 1.62–1.86 (2×m,

6 H, CH_2-THP), 2.02–2.15 (2×m, 6 H, CH_2-THP), 3.37 (2×s, 2×3 H, 2×CH_3O), 3.38 (2×s, 2×3 H, 2×CH_3O), 3.54 (d, J_{vic} = 6.0 Hz, 2 H, CH_2-pur), 3.80 (td, J = 11.7, 2.6 Hz, 1 H, bCH_2O-THP), 4.18 (ddt, J = 11.7, 4.3, 1.8 Hz, 1 H, aCH_2O-THP), 5.23 (t, J_{vic} = 6.0 Hz, 1 H, O-CH-O), 5.80 (dd, J = 10.2, 2.8 Hz, 1 H, CHO-THP), 8.25 (s, 1 H, 8-H), 8.90 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 22.79 (CH_2-THP), 24.87 (CH_2-THP), 31.80 (CH_2-THP), 36.92 (CH_2-pur), 52.70 (CH_3O), 52.88 (CH_3O), 68.85 (CH_2O-THP), 81.97 (CHO-THP), 102.55 (O-CH-O), 133.32 (C-5), 141.83 (CH-8), 150.22 (C-4), 152.37 (CH-2), 157.91 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 293 (95) $[M+H]^+$ (cation), 261 (40), 209 (80), 162 (20), 134 (20), 85 (60), 75 (100). HRMS: calcd. for $C_{14}H_{21}N_4O_3$ $[M+H]^+$ 293.1613; found 293.1603. IR ($CHCl_3$): $\tilde{\nu}$ = 2992, 2837, 1599, 1497, 1455, 1409, 1335, 1118, 1086, 1046, 988, 914, 876, 647 cm^{-1}.

9-Benzyl-6-[(1,3-dithiolan-2-yl)methyl]purine (13b): The reaction was performed in THF (15 mL) and 1,2-ethanedithiol (1 mL, 11.9 mmol) with the addition of NaH (30 mg), reaction time 16 h, yield 85%, yellow solid, m.p. 77–79 °C. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 3.26 (2×m, 2×2 H, CH_2-S), 3.36 (2×m, 2×2 H, CH_2-S), 3.75 (d, J_{vic} = 7.5 Hz, 2 H, CH_2-pur), 5.36 (t, J_{vic} = 7.5 Hz, 1 H, S-CH-S), 5.44 (s, 2 H, CH_2-Ph), 7.30–7.40 (m, 5 H, Ph), 8.02 (s, 1 H, 8-H), 8.96 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 38.65 (CH_2-S), 43.22 (CH_2-pur), 47.32 (CH_2-Ph), 50.86 (S-CH-S), 127.93 (CH-Ph), 128.64 (CH-Ph), 129.16 (CH-Ph), 132.60 (C-5), 135.00 (C-*i*-Ph), 143.99 (CH-8), 151.14 (C-4), 152.58 (CH-2), 158.83 (C-6) ppm. EI-MS: *m*/*z* (rel.%) = 328 (27) $[M]^+$, 300 (14), 224 (100), 105 (45), 91 (88), 65 (17). HRMS: calcd. for $C_{16}H_{16}N_4S_2$ $[M]^+$ 328.0816; found 328.0810. IR ($CHCl_3$): $\tilde{\nu}$ = 2995, 1595, 1499, 1456, 1406, 1333, 1209, 708, 666, 649 cm^{-1}.

6-[(1,3-Dithiolan-2-yl)methyl]-9-(tetrahydropyran-2-yl)purine (14b): The reaction was performed in THF (15 mL) and 1,2-ethanedithiol (1 mL, 11.9 mmol) with the addition of NaH (30 mg), reaction time 8 h, yield 83%, light yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$): δ = 1.64–1.87 (2×m, 6 H, CH_2-THP), 2.03–2.20 (2×m, 6 H, CH_2-THP), 3.26 (2×m, 2×2 H, CH_2-S), 3.36 (2×m, 2×2 H, CH_2-S), 3.74 (d, J_{vic} = 7.4 Hz, 2 H, CH_2-pur), 3.80 (td, J = 11.7, 2.6 Hz, 1 H, bCH_2O-THP), 4.19 (ddt, J = 11.7, 4.3, 2.0 Hz, 1 H, aCH_2O-THP), 5.35 (t, J_{vic} = 7.4 Hz, 1 H, S-CH-S), 5.80 (dd, J = 10.3, 2.7 Hz, 1 H, CHO-THP), 8.26 (s, 1 H, 8-H), 8.92 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, $CDCl_3$): δ = 22.76 (CH_2-THP), 24.86 (CH_2-THP), 31.80 (CH_2-THP), 38.65 (CH_2-S), 43.25 (CH_2-pur), 50.90 (S-CH-S), 68.84 (CH_2O-THP), 82.01 (CHO-THP), 132.80 (C-5), 142.00 (CH-8), 150.34 (C-4), 152.38 (CH-2), 158.86 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 323 (40) $[M+H]^+$ (cation), 179 (30), 147 (20), 134 (30), 105 (100), 85 (60). HRMS: calcd. for $C_{14}H_{19}N_4OS_2$ $[M+H]^+$ 323.1000; found 323.0999. IR ($CHCl_3$): $\tilde{\nu}$ = 2991, 2862, 1597, 1583, 1495, 1409, 1334, 1251, 1154, 1086, 1045, 984, 876, 713, 646, 538 cm^{-1}.

General Method for the Cleavage of the THP Protective Group

The THP-protected compound (0.793 mmol) was dissolved in a solution of HCl in MeOH (1 M, 12 mL). After being stirred at ambient temperature for 6 h, H_2O (2 mL) was added. The solution was neutralized with K_2CO_3 and, after being stirred for another 30 min, the mixture was filtered, and the solvents were evaporated. The residue was purified by silica gel column chromatography (chloroform/MeOH).

6-[2-(Piperidin-1-yl)ethyl]-9*H*-purine (17a): Yield 90%, white crystals, m.p. 109–115 °C. ^{1}H NMR (400 MHz, [D$_6$]DMSO): δ = 1.35 (m, 2 H, CH_2-pip), 1.45 (p, J = 4.9 Hz, 4 H, CH_2-pip), 2.55 (br. t, J = 4.9 Hz, 4 H, CH_2N-pip), 2.78 (m, 2 H, CH_2-N), 3.21 (m, 2 H, CH_2-pur), 8.51 (s, 1 H, 8-H), 8.76 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 24.19 (CH_2-pip), 25.70 (CH_2-pip), 30.67 (CH_2-pur), 53.88 (CH_2N-pip), 57.04 (CH_2-N), 129.34 (C-5), 144.98 (CH-8), 151.81 (CH-2), 154.32 (C-4), 157.59 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 232 (30) $[M+H]^+$ (cation), 147 (10), 98 (100). HRMS: calcd. for $C_{12}H_{18}N_5$ $[M+H]^+$ 232.1562; found 232.1554. IR (KBr): $\tilde{\nu}$ = 3278, 3100, 3069, 2936, 2852, 2802, 2765, 2737, 1610, 1597, 1567, 1409, 1377, 1352, 1326, 1308, 1233, 1221, 1111, 1041, 995, 791, 644 cm^{-1}.

6-[2-(Morpholine-4-yl)ethyl]-9*H*-purine (17b): Yield 84%, white solid, decomposed at 160 °C. ^{1}H NMR (500 MHz, [D$_6$]DMSO): δ = 2.44 (br. t, J = 4.6 Hz, 4 H, CH_2N-morph), 2.82 (m, 2 H, CH_2-N), 3.24 (m, 2 H, CH_2-pur), 3.52 (br. t, J = 4.6 Hz, 4 H, CH_2O-morph), 8.50 (s, 1 H, 8-H), 8.77 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, [D$_6$]DMSO): δ = 30.39 (CH_2-pur), 53.24 (CH_2N-morph), 56.65 (CH_2-N), 66.32 (CH_2O-morph), 129.41 (C-5), 144.93 (CH-8), 151.81 (CH-2), 154.14 (C-4), 157.75 (C-6). FAB-MS: *m*/*z* (rel.%) = 234 (50) $[M+H]^+$ (cation), 147 (20), 134 (25), 100 (100). HRMS: calcd. for $C_{11}H_{16}N_5O$ $[M+H]^+$ 234.1354; found 234.1347. IR (KBr): $\tilde{\nu}$ = 3437, 1598, 1423, 1327, 1119, 923, 873, 644, 617 cm^{-1}.

6-[2-(Dibutylamino)ethyl]-9*H*-purine (17c): Yield 70%, colourless oil. ^{1}H NMR (400 MHz, [D$_6$]DMSO): δ = 0.80 (t, J_{vic} = 7.3 Hz, 6 H, C*H*$_3$CH$_2$CH$_2$CH$_2$), 1.16 (2×m, 2×4 H, CH$_3$C*H*$_2$C*H*$_2$CH$_2$), 1.32 (2×m, 2×4 H, CH$_3$C*H*$_2$C*H*$_2$CH$_2$), 2.44 (t, J_{vic} = 7.2 Hz, 4 H, CH$_3$CH$_2$CH$_2$C*H*$_2$), 2.97 (t, J_{vic} = 7.3 Hz, 2 H, CH_2-N), 3.18 (m, J_{vic} = 7.3 Hz, 2 H, CH_2-pur), 8.51 (s, 1 H, 8-H), 8.76 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 14.05 (**C**H$_3$CH$_2$CH$_2$CH$_2$), 20.07 (CH$_3$**C**H$_2$**C**H$_2$CH$_2$), 28.87 (CH$_3$**C**H$_2$**C**H$_2$CH$_2$), 30.18 (CH_2-pur), 51.74 (CH_2-N), 52.95 (CH$_3$CH$_2$CH$_2$**C**H$_2$), 129.55 (C-5), 144.70 (CH-8), 151.80 (CH-2), 153.88 (C-4), 158.20 (C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 276 (35) $[M+H]^+$ (cation), 232 (10), 183 (10), 147 (35), 142 (70), 130 (100). HRMS: calcd. for $C_{15}H_{26}N_5$ $[M+H]^+$ 276.2188; found 276.2195. IR (KBr): $\tilde{\nu}$ = 3436, 1596, 1560, 1491, 1405, 1389, 1330, 1225, 809, 645 cm^{-1}.

6-[2-(Diethylamino)ethyl]-9*H*-purine (17d): Yield 69%, white solid, m.p. 212 °C (decomposed). ^{1}H NMR (400 MHz, [D$_6$]DMSO, hydrochloride salt): δ = 1.26 (t, J_{vic} = 7.3 Hz, 6 H, C*H*$_3$CH$_2$), 3.23 (q, J_{vic} = 7.3 Hz, 4 H, C*H*$_2$CH$_3$), 3.62–3.68 (br. m, 4 H, purCH$_2$CH$_2$N), 8.82 (s, 1 H, 8-H), 8.95 (s, 1 H, 2-H), 10.55 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO, hydrochloride salt): δ = 8.71 (*C*H$_3$CH$_2$), 26.61 (CH_2-pur), 46.62 (*C*H$_2$CH$_3$), 48.07 (CH_2-N), 129.18 (C-5), 146.10 (CH-8), 151.23 (CH-2), 153.96 (C-4 and C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 220 (25) $[M+H]^+$ (cation), 232 (10), 201 (10), 149 (32), 86 (100). HRMS: calcd. for $C_{11}H_{18}N_5$ $[M+H]^+$ 220.1562; found 220.1553. IR (KBr): $\tilde{\nu}$ = 3258, 2968 2813, 2705, 2540, 1618, 1595, 1329, 1226, 1202, 1028, 1000, 920, 644 cm^{-1}.

6-[2-(Dimethylamino)ethyl]-9*H*-purine (17e): Yield 77%, light yellow oil. ^{1}H NMR (400 MHz, [D$_6$]DMSO, hydrochloride salt): δ = 2.85 (br. s, 6 H, CH_3), 3.66 (br. s, 4 H, purCH$_2$CH$_2$N), 8.82 (s, 1 H, 8-H), 8.94 (s, 1 H, 2-H), 10.66 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO, hydrochloride salt): δ = 27.24 (CH_2-pur), 42.41 (CH_3), 54.05 (CH_2-N), 128.94 (C-5), 145.94 (CH-8), 151.31 (CH-2), 153.92 (C-4 and C-6) ppm. FAB-MS: *m*/*z* (rel.%) = 192 (100) $[M+H]^+$ (cation), 147 (35), 85 (30). HRMS: calcd. for $C_9H_{14}N_5$ $[M+H]^+$ 192.1249; found 192.1246. IR (KBr): $\tilde{\nu}$ = 3255, 3100, 3067, 2941, 2820, 2778, 2540, 1890, 1619, 1595, 1561, 1544, 1500, 1442, 1389, 1372, 1329, 1297, 1226, 809, 645 cm^{-1}.

6-[2-(Cyclohexylamino)ethyl]-9*H*-purine (17f): Yield 54%, light yellow solid, m.p. 51–55 °C. ^{1}H NMR (400 MHz, [D$_6$]DMSO): δ = 0.95–1.26 (4×m, 10 H, CH_2-cyclohex), 1.53 (4×m, 10 H, CH_2-cyclohex), 1.64 (4×m, 10 H, CH_2-cyclohex), 1.81 (4×m, 10 H, CH_2-cyclohex), 2.49 (m, 1 H, CHN-cyclohex, overlapped with DMSO signal), 3.07 (t, J = 6.6 Hz, 2 H, CH_2-NH), 3.19 (t, J = 6.6 Hz, 2 H, CH_2-pur), 8.44 (s, 1 H, 8-H), 8.72 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 24.49 (CH_2-cyclohex), 25.92 (CH_2-cyclohex), 32.61

(CH_2-cyclohex), 33.78 (CH_2-pur), 44.59 (CH_2-NH), 55.86 (CHN-cyclohex), 129.96 (C-5), 146.33 (CH-8), 151.30 (CH-2), 155.42 (C-4), 157.06 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 246 (90) $[M+H]^+$ (cation), 147 (45), 135 (100), 113 (90). HRMS: calcd. for $C_{13}H_{20}N_5$ $[M+H]^+$ 246.1718; found 264.1714. IR (KBr): $\tilde{\nu}$ = 3436, 2930, 2854, 2663, 2536, 2406, 1594, 1445, 1372, 1324, 1229, 814, 646 cm^{-1}.

6-[2-(Benzylamino)ethyl]-9*H*-purine (17g): Yield 39%, light brown oil. ^1H NMR (400 MHz, [D$_6$]DMSO): δ = 3.25 (t, J_{vic} = 7.4 Hz, 2 H, CH_2-N), 3.44 (t, J_{vic} = 7.4 Hz, 2 H, CH_2-pur), 4.01 (s, 2 H, CH_2-Ph), 7.32 (m, 1 H, H-*p*-Ph), 7.36 (m, 2 H, H-*m*-Ph), 7.46 (m, 2 H, H-*o*-Ph), 8.55 (s, 1 H, 8-H), 8.79 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 31.01 (CH_2-pur), 45.46 (CH_2-N), 51.34 (CH_2-Ph), 127.98 (CH-*p*-Ph), 128.57 (CH-*m*-Ph), 129.27 (CH-*o*-Ph), 129.51 (C-5), 136.17 (C-*i*-Ph), 145.11 (CH-8), 151.83 (CH-2), 154.06 (C-4), 156.13 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 254 (50) $[M+H]^+$ (cation), 147 (20), 135 (100), 12 (15), 91 (80). HRMS: calcd. for $C_{14}H_{16}N_5$ $[M+H]^+$ 254.1405; found 254.1396. IR (KBr): $\tilde{\nu}$ = 3060, 3030, 2955, 2797, 2615, 2402, 1660, 1597, 1567, 1480, 1424, 1394, 1376, 1325, 1299, 1227, 811, 642 cm^{-1}.

6-[2-(Methylamino)ethyl]-9*H*-purine (17h): Yield 69%, white solid, m.p. 173–175 °C. ^1H NMR (400 MHz, [D$_6$]DMSO): δ = 2.61 (s, 3 H, CH_3), 3.45 (t, J_{vic} = 6.7 Hz, 2 H, CH_2-N), 3.53 (t, J_{vic} = 6.7 Hz, 2 H, CH_2-pur), 8.59 (s, 1 H, 8-H), 8.82 (s, 1 H, 2-H), 10.65 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 28.89 (CH_2-pur), 32.66 (CH_3), 45.85 (CH_2-N), 129.51 (C-5), 145.22 (CH-8), 151.83 (CH-2), 153.82 (C-4), 154.73 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 178 (100) $[M+H]^+$ (cation), 147 (25), 135 (80). HRMS: calcd. for $C_8H_{12}N_5$ $[M+H]^+$ 178.1093; found 178.1100. IR (KBr): $\tilde{\nu}$ = 3435, 3260, 2797, 2413, 1595, 1564, 1546, 1442, 1372, 1324, 1296, 1192, 813, 645 cm^{-1}.

6-(2-Aminoethyl)-9*H*-purine (17i): [37] Yield 61%, light yellow crystals. ^1H NMR (400 MHz, [D$_6$]DMSO): δ = 3.07 (t, *J* = 6.5 Hz, 2 H, CH_2-N), 3.17 (t, *J* = 6.5 Hz, 2 H, CH_2-pur), 8.30 (s, 1 H, 8-H), 8.62 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 33.81 (CH_2-pur), 47.76 (CH_2-NH), 130.86 (C-5), 148.67 (CH-8), 150.88 (CH-2), 156.37 (C-6), 157.55 (C-4) ppm. FAB-MS: *m/z* (rel.%) = 164 (40) $[M+H]^+$ (cation), 147 (100), 135 (80). HRMS: calcd. for $C_7H_{10}N_5$ $[M+H]^+$ 164.0936; found 164.0933. IR (KBr): $\tilde{\nu}$ = 3435, 1625, 1596, 1561, 1543, 1490, 1397, 1324, 1229, 812, 645 cm^{-1}.

6-[2-(Methoxy)ethyl]-9*H*-purine (18a): Yield 76%, white solid, m.p. 83–86 °C. ^1H NMR (400 MHz, [D$_6$]DMSO): δ = 3.22 (s, 3 H, CH_3), 3.30 (t, J_{vic} = 6.7 Hz, 2 H, CH_2-pur), 3.86 (t, J_{vic} = 6.7 Hz, 2 H, CH_2-O), 8.53 (s, 1 H, 8-H), 8.79 (s, 1 H, 2-H), 13.40 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 33.30 (CH_2-pur), 57.99 (CH_3), 69.99 (CH_2-O), 129.54 (C-5), 145.03 (CH-8), 151.87 (CH-2), 154.29 (C-4), 156.34 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 179 (100) $[M+H]^+$ (cation), 147 (20). HRMS: calcd. for $C_8H_{11}N_4O$ $[M+H]^+$ 179.0933; found 179.0927. IR (KBr): $\tilde{\nu}$ = 3105, 3074, 2986, 2899, 2962, 2198, 2811, 2701, 2612, 2554, 1597, 1489, 1471, 1460, 1445, 1426, 1392, 1382, 1327, 1227, 811, 644 cm^{-1}.

6-[2-(Ethoxy)ethyl]-9*H*-purine (18b): Yield 94%, colourless oil. ^1H NMR (400 MHz, [D$_6$]DMSO): δ = 1.03 (t, J_{vic} = 7.0 Hz, 3 H, C*H*$_3$CH$_2$), 3.30 (t, J_{vic} = 6.8 Hz, 2 H, CH_2-pur), 3.43 (q, J_{vic} = 7.0 Hz, 2 H, CH$_2$CH$_3$), 3.89 (t, J_{vic} = 6.8 Hz, 2 H, CH_2-O), 8.51 (s, 1 H, 8-H), 8.77 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 15.21 (**C**H$_3$CH$_2$), 33.62 (CH_2-pur), 65.38 (**C**H$_2$CH$_3$), 67.86 (CH_2-O), 129.76 (C-5), 145.44 (CH-8), 151.72 (CH-2), 154.97 (C-4), 156.18 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 193 (100) $[M+H]^+$ (cation), 147 (25), 131 (50). HRMS: calcd. for $C_9H_{13}N_4O$ $[M+H]^+$ 193.1089; found 193.1093. IR (KBr): $\tilde{\nu}$ = 3260, 3112, 3080, 2976, 2948, 2875, 2829, 2720, 2572, 1621, 1602, 1567, 1527, 1477, 1426, 1397, 1327, 1231, 813, 642 cm^{-1}.

6-[2-(Benzyloxy)ethyl]-9*H*-purine (18c): Yield 71%, yellow oil. ^1H NMR (400 MHz, [D$_6$]DMSO): δ = 3.36 (t, J_{vic} = 6.7 Hz, 2 H, CH_2-pur), 3.97 (t, J_{vic} = 6.7 Hz, 2 H, CH_2-O), 4.48 (s, 2 H, CH_2-Ph), 7.17–7.32 (m, 5 H, Ph), 8.54 (s, 1 H, 8-H), 8.79 (s, 1 H, 2-H), 13.41 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 33.49 (CH_2-pur), 67.76 (CH_2-O), 71.87 (CH_2-Ph), 127.51 (CH-*p*-Ph), 127.54 (CH-*o*-Ph), 128.33 (CH-*m*-Ph), 138.53 (C-*i*-Ph), 145.02 (CH-8), 151.86 (CH-2), 156.34 (C-6) ppm. C-5 and C-4 were not observed. FAB-MS: *m/z* (rel.%) = 255 (100) $[M+H]^+$ (cation), 147 (20), 91 (50). HRMS: calcd. for $C_{14}H_{15}N_4O$ $[M+H]^+$ 255.1245; found 255.1242. IR (KBr): $\tilde{\nu}$ = 3438, 3206, 2994, 2866, 2711, 2549, 1599, 1568, 1483, 1427, 1396, 1328, 644 cm^{-1}.

6-[2-(Methylsulfanyl)ethyl]-9*H*-purine (18d): Yield 82%, white crystals, m.p. >300 °C. ^1H NMR (400 MHz, [D$_6$]DMSO): δ = 2.08 (s, 3 H, CH_3), 3.00 (t, J_{vic} = 7.2 Hz, 2 H, CH_2-S), 3.52 (t, J_{vic} = 7.2 Hz, 2 H, CH_2-pur), 8.52 (s, 1 H, 8-H), 8.78 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 14.77 (CH_3), 31.35 (CH_2-S), 32.67 (CH_2-pur), 129.52 (C-5), 145.48 (CH-8), 151.76 (CH-2), 154.63 (C-4), 156.97 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 195 (90) $[M+H]^+$ (cation), 147 (100), 131 (25). HRMS: calcd. for $C_8H_{11}N_4S$ $[M+H]^+$ 195.0704; found 195.0697. IR (KBr): $\tilde{\nu}$ = 3435, 3107, 2970, 2915, 2811, 1598, 1422, 1403, 1328, 1234, 793, 722, 642 cm^{-1}.

6-[2-(Benzylsulfanyl)ethyl]-9*H*-purine (18e): Yield 86%, white crystals, m.p. 140–142 °C. ^1H NMR (500 MHz, [D$_6$]DMSO): δ = 2.94 (t, J_{vic} = 7.6 Hz, 2 H, CH_2-S), 3.35 (t, J_{vic} = 7.6 Hz, 2 H, CH_2-pur), 3.78 (s, 2 H, SCH_2-Ph), 7.23 (m, 1 H, H-*p*-Ph), 7.27–7.32 (m, 4 H, H-*o,m*-Ph), 8.54 (s, 1 H, 8-H), 8.79 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, [D$_6$]DMSO): δ = 28.77 (CH_2-S), 32.65 (CH_2-pur), 35.05 (SCH_2-Ph), 126.94 (CH-*p*-Ph), 128.52 (CH-*m*-Ph), 128.97 (CH-*o*-Ph), 129.74 (C-5), 138.63 (C-*i*-Ph), 145.00 (CH-8), 151.90 (CH-2), 153.95 (C-4), 157.11 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 271 (75) $[M+H]^+$ (cation), 179 (20), 91 (100). HRMS: calcd. for $C_{14}H_{14}N_4S$ $[M+H]^+$ 271.1017; found 271.1023. IR (KBr): $\tilde{\nu}$ = 3443, 3083, 3063, 2761, 2578, 1616, 1576, 1505, 1324, 1228, 1028, 805, 775, 698, 639, 623 cm^{-1}. $C_{14}H_{15}N_4S$ (270.35): calcd. C 62.20, H 5.22, N 20.72 S 11.86; found C 61.85, H 5.08, N 20.46 S 11.69.

6-(2-Sulfanylethyl)-9*H*-purine (18f): Yield 82%, white solid, m.p. >300 °C ^1H NMR (400 MHz, [D$_6$]DMSO): δ = 3.30 (t, J_{vic} = 6.9 Hz, 2 H, CH_2-S), 3.44 (t, J_{vic} = 6.9 Hz, 2 H, CH_2-pur), 8.48 (s, 1 H, 8-H), 8.76 (s, 1 H, 2-H) ppm. ^{13}C NMR (100.6 MHz, [D$_6$]DMSO): δ = 32.59 (CH_2-pur), 35.66 (CH_2-S), 129.66 (C-5), 145.79 (CH-8), 151.61 (CH-2), 154.80 (C-4), 156.14 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 181 (15) $[M+H]^+$ (cation), 147 (15), 131 (25), 115 (100). HRMS: calcd. for $C_7H_9N_4S$ $[M+H]^+$ 181.0547; found 181.0539. IR (KBr): $\tilde{\nu}$ = 3250, 3107, 3070, 2824, 2705, 2570, 1620, 1600, 1561, 1527, 1474, 1421, 1396, 1379, 1229, 803, 643 cm^{-1}.

6-[2,2-(Dimethoxy)ethyl]-9*H*-purine (19a): Yield 89%, light brown crystals, m.p. 121–129 °C. ^1H NMR (500 MHz, [D$_6$]DMSO): δ = 3.25 (s, 6 H, 2×CH_3O), 3.36 (d, J_{vic} = 6.0 Hz, 2 H, CH_2), 5.12 (t, J_{vic} = 6.0 Hz, 1 H, O-CH-O), 8.53 (s, 1 H, 8-H), 8.80 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, [D$_6$]DMSO): δ = 37.01 (CH_2-pur), 52.80 (CH_3O), 102.71 (O-CH-O), 129.95 (C-5), 145.21 (CH-8), 151.77 (CH-2), 154.23 (C-4), 154.58 (C-6) ppm. FAB-MS: *m/z* (rel.%) = 209 (35) $[M+H]^+$ (cation), 191 (15), 177 (100), 163 (12), 147 (10), 134 (15). HRMS: calcd. for $C_9H_{13}N_4O_2$ $[M+H]^+$ 209.1038; found 209.1043. IR (KBr): $\tilde{\nu}$ = 3432, 2830, 2599, 1615, 1565, 1475, 1448, 1374, 1321, 1222, 1164, 1117, 1075, 1050, 947, 925, 885, 840, 742 cm^{-1}.

6-[(1,3-Dithiolan-2-yl)methyl]-9*H*-purine (19b): Yield 81%, white crystals, m.p. 241–243 °C. ^1H NMR (500 MHz, [D$_6$]DMSO): δ = 3.23 (2×m, 2×2 H, CH_2-S), 3.32 (2×m, 2×2 H, CH_2-S), 3.60 (d, J_{vic} = 7.6 Hz, 2 H, CH_2-pur), 5.27 (t, J_{vic} = 7.6 Hz, 1 H, S-CH-S), 8.55 (s, 1 H, 8-H), 8.82 (s, 1 H, 2-H) ppm. ^{13}C NMR (125.8 MHz, [D$_6$]-

DMSO): δ = 38.14 (CH_2-S), 42.91 (CH_2-pur), 50.53 (S-CH-S), 128.95 (C-5), 145.37 (CH-8), 151.81 (CH-2), 154.55 (C-4), 155.67 (C-6). FAB-MS: *m/z* (rel.%) = 239 (25) $[M+H]^+$ (cation), 181 (10), 110 (20), 93 (100). HRMS: calcd. for $C_9H_{11}N_4S_2$ $[M+H]^+$ 239.0425; found 239.0436. IR (KBr): $\tilde{\nu}$ = 3435, 3009, 2808, 2588, 1620, 1566, 1494, 1418, 1410, 1379, 1319, 1302, 1222, 1163, 952, 878, 607 cm^{-1}.

(*E*)-6-[2-(Piperidine-1-yl)vinyl]-9*H*-purine (20a): Yield 82%, yellow solid, m.p. >300 °C. ^1H NMR (400 MHz, [D_6]DMSO): δ = 1.50–1.70 (m, 6 H, CH_2-piperidine), 3.33 (m, 4 H, CH_2N-piperidine), 5.65 (d, J_{trans} = 13.1 Hz, 1 H, =CH-pur), 8.12–8.32 (br. m, 2 H, 8-H and = CH-N), 8.37 (s, 1 H, 2-H), 12.94 (br. s, 1 H, NH) ppm. FAB-MS: *m/z* (rel.%) = 230 (100) $[M+H]^+$ (cation), 147 (15), 135 (8), 120 (8). HRMS: calcd. for $C_{12}H_{16}N_5$ $[M+H]^+$ 230.1405; found 230.1402. IR (KBr): $\tilde{\nu}$ = 3103, 2953, 2856, 2560, 1655, 1621, 1579, 1518, 1453, 1444, 1437, 1382, 1353, 1287, 1024, 851, 650 cm^{-1}.

(*E*)-6-[2-(Morpholine-4-yl)vinyl]-9*H*-purine (20b): Yield 80%, white solid, m.p. 160 °C (decomposed). ^1H NMR (500 MHz, [D_6]DMSO): δ = 3.35 (br. t, J_{vic} = 5.0 Hz, 4 H, CH_2-N), 3.67 (br. t, J_{vic} = 5.0 Hz, 4 H, CH_2-O), 5.73 (br. d, J_{trans} = 13.4 Hz, 1 H, =CH-pur), 8.16–8.30 (br. m, 2 H, 8-H and = CH-N), 8.41 (br. s, 1 H, 2-H) ppm. FAB-MS: *m/z* (rel.%) = 232 (100) $[M+H]^+$ (cation), 147 (10), 131 (10). HRMS: calcd. for $C_{11}H_{14}N_5O$ $[M+H]^+$ 232.1198; found 232.1202. IR (KBr): $\tilde{\nu}$ = 3178, 3097, 2958, 2918, 2856, 2828, 2711, 2690, 2575, 1599, 1567, 1443, 1431, 1395, 1225, 811, 643 cm^{-1}.

(*E*)-6-[2-(Dibutylamino)vinyl]-9*H*-purine (20c): Yield 84%, orange solid, m.p. 110–114 °C. ^1H NMR (400 MHz, [D_6]DMSO): δ = 0.92 (t, J_{vic} = 7.3 Hz, 6 H, CH_3-Bu), 1.32 (2×m, 2×4 H, CH_2-Bu), 1.56 (2×m, 2×4 H, CH_2-Bu), 3.26 (t, J_{vic} = 7.3 Hz, 4 H, CH_2N-Bu), 5.51 (d, J_{trans} = 13.1 Hz, 1 H, =CH-pur), 8.17 (br. s, 1 H, 8-H), 8.20 (b, 1 H, =CH-N), 8.34 (br. s, 1 H, 2-H), 12.92 (br. s, 1 H, NH) ppm. FAB-MS: *m/z* (rel.%) = 274 (100) $[M+H]^+$ (cation), 261 (15), 244 (15), 130 (15), 218 (15), 147 (55), 135 (55). 120 (30). HRMS: calcd. for $C_{15}H_{24}N_5$ $[M+H]^+$ 274,2031; found 274.2035. IR (KBr): $\tilde{\nu}$ = 3265, 3059, 2959, 2933, 2872, 2810, 2555, 1626, 1590, 1578, 1560, 1467, 1444, 1424, 1397, 1373, 1323, 1217, 813, 645 cm^{-1}.

(*E*)-6-[2-(Diethylamino)vinyl]-9*H*-purine (20d): Yield 79%, orange solid, m.p. 141–162 °C. ^1H NMR (400 MHz, [D_6]DMSO): δ = 1.16 (t, J_{vic} = 7.1 Hz, 6 H, CH_3CH$_2$), 3.33 (q, J_{vic} = 7.1 Hz, 4 H, CH$_2$CH$_3$), 5.55 (d, J_{trans} = 15.0 Hz, 1 H, =CH-pur), 8.19 (br. s, 1 H, 8-H), 8.29 (br. d, J_{trans} = 15.0 Hz, 1 H, =CH-N), 8.36 (br. s, 1 H, 2-H), 13.00 (br. s, 1 H, NH) ppm. FAB-MS: *m/z* (rel.%) = 218 (100) $[M+H]^+$ (cation), 185 (10). HRMS: calcd. for $C_{11}H_{16}N_5$ $[M+H]^+$ 218.1405; found 218.1401. IR (KBr): $\tilde{\nu}$ = 3437, 3056, 2966, 2866, 1626, 1580, 1542, 1491, 1394, 1326, 1210, 813, 646 cm^{-1}.

(*E*)-6-[2-(Dimethylamino)vinyl]-9*H*-purine (20e): Yield 88%, light yellow solid, m.p. 218–221 °C. ^1H NMR (400 MHz, [D_6]DMSO): δ = 2.99 (br. s, 6 H, CH_3), 5.49 (d, J_{trans} = 13.0 Hz, 1 H, =CH-pur), 8.14–8.33 (br. m, 2 H, 8-H and =CH-N), 8.37 (s, 1 H, 2-H), 12.98 (br. s, 1 H, NH) ppm. FAB-MS: *m/z* (rel.%) = 190 (100) $[M+H]^+$ (cation), 147 (15). HRMS: calcd. for $C_9H_{12}N_5$ $[M+H]^+$ 190.1092; found 190.1083. IR (KBr): $\tilde{\nu}$ = 3437, 2806, 1635, 1578, 1434, 1416, 1405, 1323, 1219, 812, 645 cm^{-1}.

(*Z*)- and (*E*)-6-[2-(Cyclohexylamino)vinyl]-9*H*-purine (21f): Yield 72%, yellow solid. ^1H NMR (400 MHz, [D_6]DMSO): δ = 1.12–1.41 [4×m, 20 H, CH_2-cyclohex-(*Z*)+(*E*)], 1.50–1.62 [4×m, 20 H, CH_2-cyclohex-(*Z*)+(*E*)], 1.66–1.78 [4×m, 20 H, CH_2-cyclohex-(*Z*)+(*E*)], 1.86–1.97 [4×m, 20 H, CH_2-cyclohex-(*Z*)+(*E*)], 3.17–3.32 [m, 2 H, CHNH-(*Z*)+(*E*)], 5.43 [br., 1 H, =CH-pur-(*Z*)], 5.61 [br. d, J_{trans} = 13.0 Hz, 1 H, =CH-pur-(*E*)], 7.05 [br. m, 1 H, =CH-N-(*Z*)], 7.15 [br. m, 1 H, NH-(*E*)], 8.12–8.37 [br. m, 5 H, 8-H-(*Z*)+(*E*), 2-H-(*E*) and =CH-N-(*E*)], 8.53 [br. s, 1 H, 2-H-(*Z*)], 9.52 [br. m, 1 H, NH-(*Z*)], 13.00 [br. s, 2 H, NH-9-(*Z*)+(*E*)] ppm. FAB-MS: *m/z* (rel.%) = 244 (100) $[M+H]^+$ (cation), 147 (25), 135 (40), 120 (15). HRMS: calcd. for $C_{13}H_{18}N_5$ $[M+H]^+$ 244.1562; found 244.1562. IR (KBr): $\tilde{\nu}$ = 3435, 3039, 2930, 2853, 1605, 1583, 1543, 1318, 810, 645 cm^{-1}.

(*Z*)- and (*E*)-6-[2-(Benzylamino)vinyl]-9*H*-purine (21g): Yield 35%, orange solid. ^1H NMR (500 MHz, [D_6]DMSO): δ = 4.36 [d, $J_{CH2,NH}$ = 5.8 Hz, 2 H, CH_2NH-(*Z*)], 4.51 [d, $J_{CH2,NH}$ = 6.3 Hz, 2 H, CH_2NH-(*E*)], 5.53 [br. d, J_{cis} = 7.8 Hz, 1 H, =CH-pur-(*Z*)], 5.62 [br., 1 H, =CH-pur-(*E*)], 7.08 [br. m, 1 H, =CH-N-(*Z*)], 7.24–7.30 [2×m, 10 H, Ph-(*Z*)+(*E*)], 7.32–7.40 [2×m, 10 H, Ph-(*Z*)+(*E*)], 7.58 [br. m, 1 H, NH-(*E*)], 8.18 [br. s, 1 H, 8-H-(*E*)], 8.22 [br. s, 1 H, 8-H-(*Z*)], 8.36 [br. s, 2 H, 2-H-(*Z*)+(*E*)], 8.44 [br. m, 1 H, =CH-N-(*E*)], 9.69 [br. m, 1 H, NH-(*Z*)], 12.95 [br. s, 1 H, NH-9-(*E*)], 13.00 [br. s, 1 H, NH-9-(*Z*)] ppm. FAB-MS: *m/z* (rel.%) = 252 (80) $[M+H]^+$ (cation), 149 (10), 91 (100). HRMS: calcd. for $C_{14}H_{14}N_5$ $[M+H]^+$ 252.1249; found 252.1252. IR (KBr): $\tilde{\nu}$ = 3429, 3060, 3028, 2805, 1633, 1604, 1581, 1565, 1542, 1390, 1318, 985, 810, 643 cm^{-1}.

(*Z*)- and (*E*)-6-[2-(Methylamino)vinyl]-9*H*-purine (21h): Yield 82%, red solid. ^1H NMR (400 MHz, [D_6]DMSO): δ = 2.78 [d, $J_{CH3,NH}$ = 4.9 Hz, 3 H, CH_3-(*E*)], 3.03 [d, $J_{CH3,NH}$ = 5.0 Hz, 3 H, CH_3-(*Z*)], 5.38 [b, 1 H, =CH-pur-(*Z*)], 5.53 [br. d, J_{trans} = 13.2 Hz, 1 H, =CH-pur-(*E*)], 6.92 [dd, $J_{CH,NH}$ = 12.9 Hz, J_{cis} = 8.1 Hz, 1 H, =CH-N-(*Z*)], 7.06 [br. p, $J_{NH,CH3} \approx J_{NH,CH}$ = 4.9 Hz, 1 H, NH-(*E*)], 8.21 [br. s, 2 H, 8-H-(*Z*)+(*E*)], 8.38 [br. m, 3 H, 2-H-(*Z*)+(*E*) and =CH-N-(*E*)], 9.19 [br. m, 1 H, NH-(*Z*)], 13.00 [br. s, 2 H, NH-9-(*Z*)+(*E*)] ppm. FAB-MS: *m/z* (rel.%) = 175 (100) $[M+H]^+$ (cation), 147 (50). HRMS: calcd. for $C_8H_{10}N_5$ $[M+H]^+$ 175.0857; found 175.0849. IR (KBr): $\tilde{\nu}$ = 3428, 3265, 2817, 1626, 1581, 1560, 1418, 1319, 1241, 1210, 808, 643 cm^{-1}.

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