'Click Synthesis' of 1*H*-1,2,3-Triazolyl-Based Oxiconazole (=(1*Z*)-1-(2,4-Dichlorophenyl)-2-(1*H*-imidazol-1-yl)ethanone *O*-[(2,4-Dichlorophenyl)methyl]oxime) Analogs

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The 'click synthesis' of some oxiconazole analogs **5a**–**5v** having 1*H*-1,2,3-triazolyl residues by *Huisgen* cycloaddition was achieved in four steps (*Scheme 1*). Oximation of phenacyl chloride (**1**) followed by azidation of 2-chloro-1-phenylethanone oxime (**2**) provided azido ketoxime **3**. The CuI-catalyzed *Huisgen* cycloaddition of **3** with terminal alkynes gave the 4-substituted (at the triazole) 2-(1*H*-1,2,3-triazol-1-yl)-1-phenylethanone oximes **4a**–**4i**. The *O*-alkylation of **4a**–**4i** with various alkyl halides resulted in the formation of the target molecules **5a**–**5v** in good yields.

Introduction. – The incidence of infections caused by pathogenic fungi has increased significantly over the years [1]. Nowadays, numerous antifungal drugs with various structures and scaffolds are known and available [2]. However, their clinical uses have been limited by the emergence of drug resistance, high risk of toxicity, insufficiencies in their antifungal activity and undesirable side effects [3]. Hence, there is still a need to develop and extend the class of safe and efficient chemotherapeutic agents with potent antifungal activities [3].

One of the most common classes of antifungal compounds are the 1-(arylethyl)-1*H*-imidazoles. Miconazole (=1-{2-(2,4-dichlorophenyl)-2-[(2,4-dichlorophenyl)methoxy]-ethyl}-1*H*-imidazole) and oxiconazole (=(1*Z*)-1-(2,4-dichlorophenyl)-2-(1*H*-imidazol-1-yl)ethanone *O*-[(2,4-dichlorophenyl)methyl]oxime) analogs (*Fig. 1*) are well-known drugs belonging to this family. The principal structure outlined to miconazole analogs was first attained by SAR (structure–activity relationships) studies [4]. These studies revealed the presence of a pharmacophoric part in all of these molecules characterized by an aryl substituent linked by an ethyl chain to the N-atom of an azole ring. Therefore, any change in the pharmacophore of the drug leads to new compounds with different antifungal or other pharmaceutical properties. One way to have rational alterations in the oxiconazole scaffold is a variation in the azole moiety and in the oxime *O*-substituent [5].

In general, replacing the 1*H*-imidazole core in 1-(arylethyl)-1*H*-imidazole (miconazole-like analog) with those of 1*H*-1,2,4-triazole cores can remarkably enhance the antifungal activity. This fact was previously demonstrated by a change in the structure

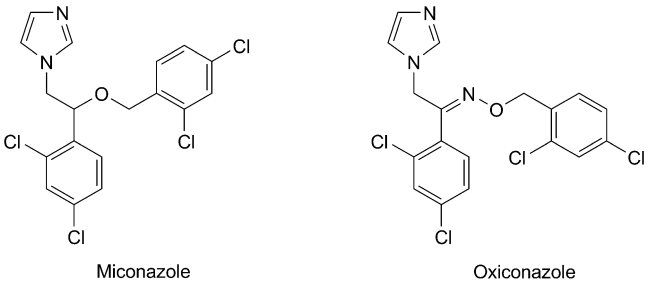


Fig. 1. *Antifungal 1-(arylethyl)-1*H-*imidazoles: miconazole and oxiconazole*

of ketoconazole into itraconazole [6]. Additionally, further investigations on (arylethyl)azoles bearing 1*H*-1,2,4-triazole cores have led to the incorporation of fluconazole and voriconazole into the series of potent antifungal agents [3]. The azole pharmacophoric site in (arylethyl)azoles plays a critical role by the inhibition of lanosterol-14-α-demethylase (CYP51), a key enzyme in the biosynthesis of ergosterol. The ergosterol is the main component of fungal cell membranes. This enzyme catalyzes the oxidative removal of a specific Me group from lanosterol through a cytochrome-P450-dependent mechanism. The azoles act through coordination to the heme group. This coordination prevents binding of the required O-atom to initiate oxidation. Fortunately, other azole derivatives are capable to coordinate with the heme group, and thus they can be considered as a proper alternative for 1*H*-imidazole in established 1-(arylethyl)-1*H*-imidazoles.

Huisgen's Cu^{I}-catalyzed azide–alkyne cycloaddition has emerged as a powerful tool for introducing the 1*H*-1,2,3-triazolyl residue into a molecular structure [7]. The high tolerance of other functionalities and the almost quantitative transformation under mild conditions make this reaction an ideal prototype to demonstrate the concept of 'click chemistry' [8]. The incorporation of the 1*H*-1,2,3-triazolyl group into a molecular structure is important since the 1*H*-1,2,3-triazolyl group encompasses the remarkable biological activities as well as the recognition by enzymes and receptors in the cell [8].

Having been inspired by the oxiconazole scaffold and also in continuation of our ongoing research to find effective chemotherapeutic agents against pathogenic fungals [5][9], we used the 'click synthesis' of 1*H*-1,2,3-triazolyl-based oxiconazole analogs. The general structure of these analogues is shown in *Fig. 2.*

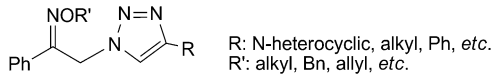
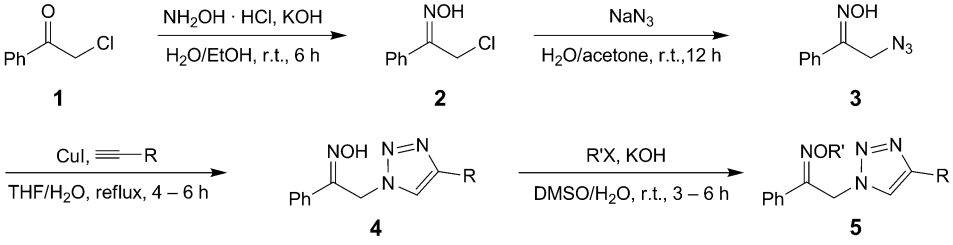


Fig. 2. *General structures of the synthesized 1*H-*1,2,3-triazolyl-based oxiconazole analogues*

Results and Discussion. – The synthesis of **5a**–**5v** is shown in *Scheme 1.* The 2-chloro-1-phenylethanone oxime (**2**) was obtained in excellent yield (90%) by oximation of phenacyl chloride (**1**) *via* an established procedure [10]. The 2-azido-1-

Scheme 1. *Synthesis of the 1*H*-1,2,3-Triazolyl-Based Oxiconazole Analogues* **5a**–**5v**



	R
4a	4-Cl-$C_6H_4OCH_2$
4b	(4-phenylpiperazin-1-yl)CH_2
4c	(phthalimid-*N*-yl)CH_2
4d	(theophyllin-7-yl)CH_2
4e	$HOCMe_2$
4f	Ph
4g	(benzimidazol-1-yl)CH_2
4h	(uracil-1-yl)CH_2
4i	$HOCH_2$

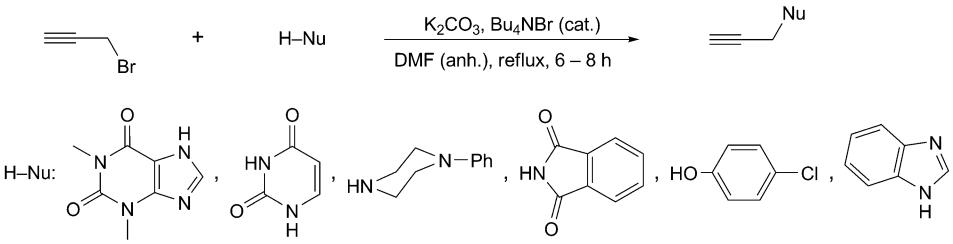
	R	R'
5a	4-Cl-$C_6H_4OCH_2$	Bu
5b	4-Cl-$C_6H_4OCH_2$	MeCHCOOEt
5c	(4-phenylpiperazin-1-yl)CH_2	Bu
5d	(4-phenylpiperazin-1-yl)CH_2	MeCHCOOEt
5e	(4-phenylpiperazin-1-yl)CH_2	Bn
5f	(phthalimid-*N*-yl)CH_2	$BnCH_2$
5g	(theophyllin-7-yl)CH_2	Bu
5h	(theophyllin-7-yl)CH_2	MeCHCOOEt
5i	(theophyllin-7-yl)CH_2	CH_2=$CHCH_2$
5j	$HOCMe_2$	cyclopentyl
5k	$HOCMe_2$	Bn

	R	R'
5l	$HOCMe_2$	MeCHCOOEt
5m	Ph	cyclopentyl
5n	Ph	CH_2=$CHCH_2$
5o	(benzimidazol-1-yl)CH_2	Bu
5p	(uracil-1-yl)CH_2	Bn
5q	$HOCH_2$	Bu
5r	$HOCH_2$	$NC(CH_2)_3$
5s	$HOCH_2$	CH_2=$CHCH_2$
5t	$HOCH_2$	MeCHCOOEt
5u	$HOCH_2$	Bn
5v	$HOCH_2$	$PhOCH_2CH_2$

phenylethanone oxime (**3**) is the critical precursor for the envisaged *Huisgen* cycloaddition. It was prepared from **2** in 95% yield by treatment with NaN_3 in acetone/H_2O 1:1 at room temperature for 12 h.

A few terminal alkynes were commercially available (propargyl alcohol (= prop-2-yn-1-ol), 2-methylbut-3-yn-2-ol, and phenylethyne); however, most alkynes used for the azide–alkyne cycloaddition were synthesized from propargyl bromide and various

Scheme 2. *Terminal Alkynes from Propargyl Bromide and Nucleophiles*



nucleophiles (*Scheme 2*). The different nucleophiles including theophylline (= 3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione), uracil = pyrimidine-2,4(1*H*,3*H*)-dione, 1-phenylpiperazine, phthalimide, 1*H*-benzimidazole, and 4-chlorophenol were treated with propargyl bromide and K_2CO_3 in refluxing DMF in the presence of a catalytic amount of tetrabutylammonium bromide (Bu_4NBr) to give the corresponding terminal alkynes in yields of 74–96%.

Huisgen's Cu^I-catalyzed azide–alkyne cycloaddition was then employed for the regioselective synthesis of 1-phenyl-2-(4-R-1*H*-1,2,3-triazol-1-yl)ethanone oximes **4a**–**4i** from **3** and the terminal alkynes. The CuI mediated 1,3-dipolar cycloaddition of **3** and terminal alkynes in refluxing THF/H_2O 1:1 afforded **4a**–**4i** exclusively as 1,4-substituted isomers. Oximes **4a**–**4i** can react with diverse alkyl halides or other C-electrophiles. Oximes are known to be ambident nucleophiles [11][12], *i.e.*, the alkylation of oximes can take place at the O-atom to afford the *O*-alkyl derivatives or at the N-atom to generate nitrones [13]. Likewise, the site of alkylation of an oxime anion is affected by factors such as base and solvent type, the nature of the alkylating agent, the cation type, the geometry of the substrate, the functionality, the degree of dissociation of the oxime salt, *etc.* [13][14]. Recently, we have reported the selective *O*-alkylation of oximes with various electrophiles in KOH solution in DMSO/H_2O 1:1 at room temperature [5][11]. Employing this method with **4a**–**4i**, various 1*H*-1,2,3-triazolyl-based oxiconazole analogs **5a**–**5v** were obtained in good to excellent yields (64–86%), and no nitrone was found, even in trace amounts (*Table 1*). The residues in **5a**–**5v** were chosen to enhance the potential biological activities, *i.e.*, 4-chlorophenol, theophylline, phthalimide, 1-phenylpipirazine, 1*H*-benzimidazole, and uracil were used in the synthesis of **5a**–**5v** because these molecules are important pharmacophores in the structure of many drugs having antiseptic, vascular, antihistaminic, antibacterial, antifungal, anticancer, and antiviral properties [2]. For instance, uracil is incorporated in **5p** since the presence of this residue may provide the potential interference and interaction with DNA or RNA of a pathogenic agent and may behave similarly to a well-known class of therapeutic compounds, the so-called carboacyclic nucleosides [9][16].

Dissymmetric ketoximes are usually present as a mixture of (*E*)- and (*Z*)-isomers. In the same way, azido ketoxime **3** is expected to exist as (*E*)- and (*Z*)-isomers. It is well demonstrated that tautomeric interconversions of (*E*)- and (*Z*)-oximes readily occur *via* a 1,3-H shift [17]. The semiempirical quantum-mechanical calculation with the parameterized model 3 (PM3) run on MOPAC in CS Chem 3D Ultra 9 (2005, *Cambridge Soft*) and Hyperchem (*Hypercube Inc.*, version 7) indicated the simultaneous existence of both stereoisomers because of the marginal differences in energy of the two isomers (*i.e.*, heat of formation) (*Table 2*) [18]. The calculated values $\Delta E = E_{(Z)} - E_{(E)}$ (kcal/mol) for all products **3**, **4a**–**4i**, and **5a**–**5v** were either negative or positive, the negative values referring to a preference of the (*Z*)-isomer and the positive values to a preference of the (*E*)-isomer. Thus, oximes **4a**–**4i** were calculated to be (*Z*)-isomers. An explanation is the possibility of intramolecular H-atom bonding between OH and N(2) of the 1*H*-1,2,3-triazole core in **4a**–**4i**, a situation that cannot be considered in **5a**–**5v**. While compounds **5c**–**5e**, **5g**–**5i**, **5o**, and **5p** preferred the (*Z*)-oxime, the other compounds **3** and **5** showed a preference for the (*E*)-isomer. Analysis of the ^{1}H- and ^{13}C-NMR and HPLC data confirmed the close conformity of calculated

Table 1. *Synthesized* 1*H-1,2,3-Triazolyl-Based Oxiconazole Analogs*

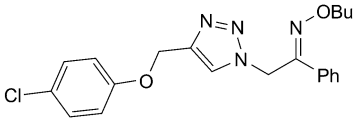
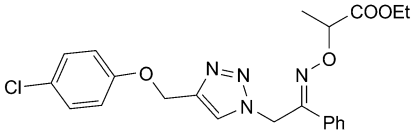
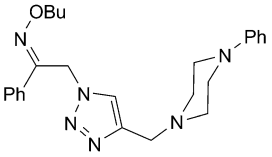
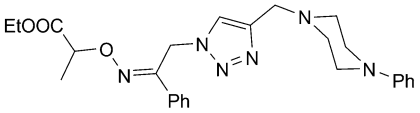
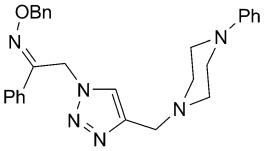
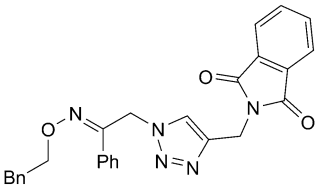
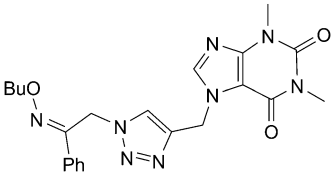
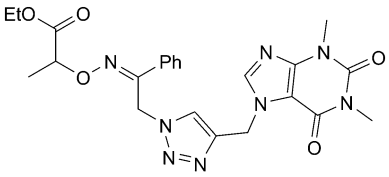
Structure[a])	M.p. [°]	Yield [%][b])
	62	81
	–	78
	57	77
	–	79
	108	75
	186	84
	201	73
	–	81

Table 1 (cont.)

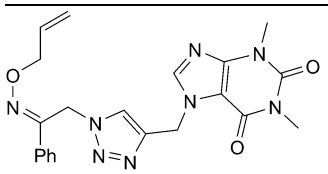
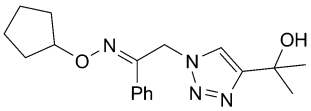
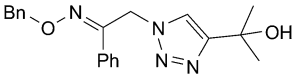
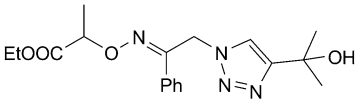
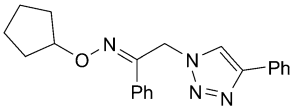
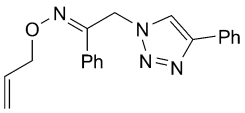
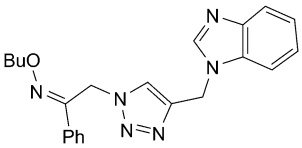
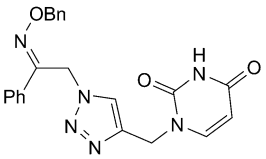
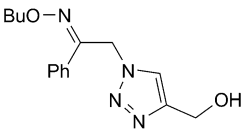
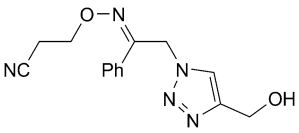
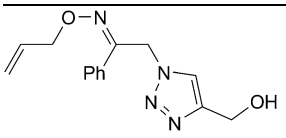
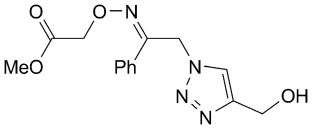
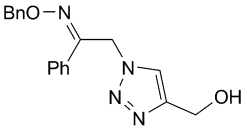
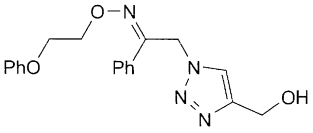
Structure[a])	M.p. [°]	Yield [%][b])
	217	67
	90	84
	93	85
	–	77
	124	72
	109	67
	–	65
	163	64
	–	76
	–	75

Table 1 (cont.)

Structure[a])	M.p. [°]	Yield [%][b])
	87	75
	136	74
	146	73
	127	71

[a]) All products were characterized by ^{1}H- and ^{13}C-NMR, IR, CHN, and MS analysis. [b]) Yield of isolated product.

and experimental data, establishing the formation of the major (*Z*)- and/or (*E*)-isomers in 85–97% in comparison with the related minor isomer.

Conclusions. – We described a four-steps synthesis of 1*H*-1,2,3-triazolyl-based oxiconazole analogs **5a**–**5v** starting with the oximation of phenacyl chloride (**1**) to 2-chloro-1-phenylethanone oxime (**2**). The subsequent azidation of **2** led to 2-azido-1-phenylethanone oxime (**3**) in almost quantitative yield. The azido oxime **3** was employed in *Huisgen*'s Cu^I-catalyzed azide–alkyne cycloaddition with synthesized terminal alkynes which led to the regioselective formation of 2-(4-R-1*H*-1,2,3-triazol-1-yl)-1-phenylethanone oximes **4a**–**4i**. The *O*-alkylation of **4a**–**4i** with various alkyl halides resulted in the formation of the target molecules **5a**–**5v** in good yields.

We thank the Shiraz University of Technology and Shiraz University Research Councils for partial support of this work.

Experimental Part

General. All chemicals, except for some terminal alkynes, were purchased from *Fluka*, *Merck*, and/or *Sigma–Aldrich*. Solvents were purified and dried by standard procedures and stored over 3-Å molecular sieves. TLC: *SILG/UV 254* silica gel (SiO_2) plates. Column chromatography (CC): SiO_2 *60* (0.063–0.200 mm, 70–230 mesh ASTM). M.p.: *Büchi-510* apparatus in open capillaries; uncorrected. IR Spectra: *Shimadzu-FT-IR-8300* spectrophotometer; $\tilde{\nu}$ in cm^{-1}. ^{1}H- and ^{13}C-NMR Spectra: *Bruker Avance-DPX-250* spectrometer; at 250 and 62.5 MHz, resp.; δ in ppm, *J* in Hz. GC/MS: *Shimadzu-GC/MS-QP-1000-EX* apparatus; *m/z* (rel. %). Elemental analyses: *Perkin–Elmer-240-B* micro-analyzer.

Table 2. *Calculated Heat of Formation of Synthesized Compounds by Means of PM3*

	$E_{(E)}$[a])	$E_{(Z)}$[b])	ΔE[c])
3	110.15	109.35	– 0.80
4a	148.99	85.69	– 63.30
4b	272.71	133.87	– 138.84
4c	63.05	62.79	– 0.25
4d	84.76	75.15	– 9.61
4e	45.43	44.86	– 0.57
4f	128.45	128.00	– 0.45
4g	155.43	152.38	– 3.04
4h	38.58	37.22	– 1.35
4i	57.22	57.08	– 0.14
5a	81.51	87.00	5.48
5b	5.89	9.32	3.43
5c	144.98	128.58	– 16.39
5d	54.65	53.68	– 0.96
5e	173.75	172.45	– 1.29
5f	95.88	99.54	3.66
5g	70.51	57.51	– 12.99
5h	– 15.31	– 16.61	– 1.29
5i	94.21	93.33	– 0.88
5j	51.76	61.06	9.30
5k	85.91	86.78	0.86
5l	– 30.83	– 29.26	1.57
5m	129.26	129.57	0.30
5n	159.69	161.47	1.77
5o	152.08	151.11	– 0.96
5p	82.16	79.81	– 2.35
5q	52.32	53.68	1.35
5r	101.04	102.95	1.91
5s	88.94	90.25	1.30
5t	– 8.85	– 6.70	2.14
5u	98.43	99.15	0.71
5v	64.01	65.737	1.71

[a]) Heat of formation of the (*E*)-isomer in kcal/mol. [b]) Heat of formation of the (*Z*)-isomer in kcal/mol. [c]) $\Delta E = E_{(Z)} - E_{(E)}$ [kcal/mol].

Terminal Alkynes: General Procedure. Propargyl bromide (2.61 g, 0.022 mol) was added portionwise to a soln. of uracil (or another nucleophile; 0.02 mol), K_2CO_3 (2.76 g, 0.02 mol), and a cat. amount of Bu_4NBr (0.1 g) in anh. DMF (45 ml). The soln. was refluxed until TLC monitoring indicated no further progress of the reaction (6–8 h). After cooling and solvent evaporation, the resulting foam was suspended in $CHCl_3$ (150 ml) and washed with H_2O (2 × 200 ml). The org. layer was dried (Na_2SO_4) and concentrated and the crude product purified by CC.

2-Chloro-1-phenylethanone Oxime (**2**). To a soln. of 2-chloro-1-phenylethanone (15.5 g, 0.1 mol) in EtOH (200 ml), a soln. of $NH_2OH \cdot HCl$ (10.4 g, 0.15 mol) and KOH (8.4 g, 0.15 mol) in H_2O (30 ml) was added. The resulting soln. was stirred at r.t. for 6 h. Then, the mixture was poured in cooled H_2O (500 ml), whereby **2** immediately separated from the soln. as a pale yellow solid which was filtered and stored in a refrigerator for the subsequent step (15.3 g, 90%).

2-Azido-1-phenylethanone Oxime (**3**). A soln. of **2** (8.5 g, 0.05 mol) and NaN_3 (3.3 g, 0.05 mol) in acetone/H_2O 1:1 (100 ml) was stirred at r.t. for 12 h. After completion of the reaction (TLC monitoring),

acetone was evaporated and the residual mixture dissolved in $CHCl_3$ (150 ml) and washed with H_2O (2 × 200 ml). The org. layer was dried (Na_2SO_4, 10 g) and evaporated to result in almost pure **3** as a red oil (7.0 g, 80%).

*(1Z)-2-(4-R-1*H*-1,2,3-Triazol-1-yl)-1-phenylethanone Oximes* **4a** – **4i**. A mixture of **3** (5.3 g, 0.03 mol), the terminal alkyne (0.03 mol), and a cat. amount of CuI (0.05 g) in THF/H_2O 1:1 (40 ml) was refluxed until TLC monitoring indicated no further progress of the reaction (4 – 6 h). The solvent was evaporated, the remaining oil dissolved in $CHCl_3$ (100 ml), and the soln. washed with H_2O (3 × 100 ml), dried (Na_2SO_4, 10 g), and concentrated. The crude product was purified by CC (AcOEt): **4a** – **4i** in 65 – 70% yield.

*2-(4-R-1*H*-1,2,3-Triazol-1-yl)-1-phenylethanone* O*-Alkyloximes* **5a** – **5v**. To a soln. of **4** (0.01 mol) and KOH (0.56 g, 0.01 mol) in DMSO/H_2O 1:1 (40 ml) was added the appropriate alkyl halide (0.01 mol) and stirred until TLC monitoring indicated no further progress of the reaction (3 – 6 h). The mixture was diluted with H_2O (200 ml) and extracted with $CHCl_3$ (5 × 50 ml), the org. layer dried (Na_2SO_4, 5 g) and concentrated and the residue purified by CC.

*(1*E*)-2-{4-[(4-Chlorophenoxy)methyl]-1*H*-1,2,3-triazol-1-yl}-1-phenylethanone* O*-Butyloxime* (**5a**): CC (AcOEt) gave a pale-yellow solid (3.23 g, 81%). M.p. 62°. R_f (AcOEt/hexane 1:1) 0.93. IR (KBr): 3155, 3043, 2879, 1500, 1240, 1056. ^{1}H-NMR ($CDCl_3$): 0.83 (*t*, *J* = 7.5, Me); 1.29 – 1.35 (*m*, MeCH_2); 1.57 – 1.68 (*m*, CH_2CH$_2$O); 4.17 (*t*, *J* = 5.0, CH$_2$CH_2O); 5.00 (*s*, NCH$_2$C=N); 5.51 (*s*, ArOCH_2); 6.74 (*d*, *J* = 8.3, 2 arom. H); 7.08 (*d*, *J* = 8.3, 2 arom. H); 7.23 – 7.26 (*m*, 3 arom. H); 7.56 (*s*, H–C(5), triazole); 7.61 – 7.65 (*m*, 2 arom. H). ^{13}C-NMR ($CDCl_3$): 13.88; 19.14; 31.17; 44.90; 62.20; 75.17; 116.90; 123.50; 126.10; 126.23; 128.76; 129.36; 129.90; 133.24; 143.92; 150.13; 156.74. EI-MS: 398 (3.3, M^+). Anal. calc. for $C_{21}H_{23}ClN_4O_2$: C 63.23, H 5.81, Cl 8.89, N 14.05; found: C 63.19, H 5.93, Cl 8.78, N 14.17.

*Ethyl 2-{{{(1*E*)-2-{4-[(4-Chlorophenoxy)methyl]-1*H*-1,2,3-triazol-1-yl}-1-phenylethylidene}amino}oxy}propanoate* (**5b**): CC (AcOEt) afforded a brown oil (3.5 g, 78%). R_f (AcOEt/hexane 1:1) 0.83. IR (film): 3145, 3023, 2839, 1741, 1512, 1238, 1053. ^{1}H-NMR ((D$_6$)DMSO): 1.13 (*t*, *J* = 7.5, MeCH_2), 1.43 (*d*, *J* = 5.0, *Me*CH), 4.09 (*q*, *J* = 7.5, MeCH_2), 4.92 (*q*, *J* = 5.0, MeC*H*), 5.10 (*s*, NCH$_2$C=N), 5.77 (*s*, ArOCH_2), 7.00 (*d*, *J* = 7.5, 2 arom. H), 7.28 (*d*, *J* = 7.5, 2 arom. H), 7.36 – 7.39 (*m*, 3 arom. H), 7.67 – 7.69 (*m*, 2 arom. H), 8.23 (*s*, H–C(5), triazole). ^{13}C-NMR ((D$_6$)DMSO): 13.93; 16.44; 43.54; 60.60; 61.18; 77.73; 116.42; 124.53; 125.38; 126.54; 128.56; 129.14; 130.01; 132.56; 142.56; 152.63; 156.70; 171.48. EI-MS: 442 (1.2, M^+). Anal. calc. for $C_{22}H_{23}ClN_4O_4$: C 59.66, H 5.23, Cl 8.00, N 12.65; found: C 59.73, H 5.17, Cl 8.12, N 12.73.

*(1Z)-1-Phenyl-2-{4-[(4-phenylpiperazin-1-yl)methyl]-1*H*-1,2,3-triazol-1-yl}ethanone* O*-Butyloxime* (**5c**): CC (AcOEt) afforded bright crystals (3.4 g, 78%). M.p. 57°. R_f (AcOEt) 0.67. IR (KBr): 3129, 2988, 2857, 1495, 1233, 1023. ^{1}H-NMR ($CDCl_3$): 0.85 (*t*, *J* = 7.5, Me); 1.29 – 1.38 (*m*, MeCH_2); 1.60 – 1.68 (*m*, CH_2CH$_2$O); 2.48 – 2.52 (*m*, 2 CH$_2$N); 3.04 – 3.07 (*m*, 2 CH$_2$N); 3.58 (*s*, CH$_2$N); 4.19 (*t*, *J* = 7.5, CH$_2$CH_2O); 5.53 (*s*, NCH$_2$C=N); 6.77 – 6.81 (*m*, 3 arom. H); 7.11 – 7.25 (complex, 5 arom. H); 7.45 (*s*, H–C(5), triazole); 7.59 – 7.62 (*m*, 2 arom. H). ^{13}C-NMR ($CDCl_3$): 13.95; 19.17; 31.28; 44.15; 48.97; 52.82; 53.27; 75.11; 116.01; 119.66; 123.36; 126.33; 128.71; 129.08; 129.79; 133.30; 144.49; 150.46; 151.23. EI-MS: 432.2 (8.6, M^+). Anal. calc. for $C_{25}H_{32}N_6O$: C 69.42, H 7.46, N 19.43; found: C 69.57, H 7.34, N 19.37.

*Ethyl 2-{{{(1Z)-1-Phenyl-2-{4-[(4-phenylpiperazin-1-yl)methyl]-1*H*-1,2,3-triazol-1-yl}ethylidene}amino}oxy}propanoate* (**5d**): CC (AcOEt/MeOH 10:1) afforded a brown oil (3.8 g, 79%). R_f (AcOEt) 0.73. IR (film): 3055, 2942, 1742, 1599, 1446, 1210, 1046. ^{1}H-NMR ($CDCl_3$): 1.18 (*t*, *J* = 7.5, MeCH_2); 1.49 (*d*, *J* = 7.5, *Me*CH); 2.52 – 3.06 (*m*, 4 CH$_2$N); 3.61 (*s*, CH$_2$N); 4.12 (*s*, NCH$_2$C=N); 4.83 (*q*, *J* = 7.5, MeCH_2); 5.52 (*q*, *J* = 7.5, MeC*H*); 6.70 – 7.60 (*m*, 10 arom. H); 7.83 (*s*, H–C(5), triazole). ^{13}C-NMR ($CDCl_3$): 14.24; 17.02; 44.08; 48.94; 52.77; 53.24; 61.18; 78.45; 115.99; 119.62; 123.87; 126.53; 128.43; 129.06; 130.17; 132.69; 144.54; 151.26; 152.14; 172.10. EI-MS: 476 (6.2, M^+). Anal. calc. for $C_{26}H_{32}N_6O_3$: C 65.53, H 6.77, N 17.63; found: C 65.69, H 6.81, N 17.79.

*(1Z)-1-Phenyl-2-{4-[(4-phenylpiperazin-1-yl)methyl]-1*H*-1,2,3-triazol-1-yl}ethanone O-(Phenylmethyl)oxime* (**5e**): CC (AcOEt/MeOH 10:1) afforded a brown solid (3.50 g, 75%). M.p. 108°. R_f (AcOEt) 0.49. IR (KBr): 3029, 2918, 2823, 1497, 1223, 1013.^{1}H-NMR ((D$_6$)DMSO): 2.41 – 2.47 (*m*, 2 CH$_2$N); 3.03 – 3.10 (*m*, 2 CH$_2$N); 3.52 (*s*, CH$_2$N); 5.27 (*s*, CH$_2$O), 5.72 (*s*, NCH$_2$C=N); 6.71 – 6.89 (*m*, 3 arom. H); 7.15 – 7.36 (*m*, 10 arom. H); 7.62 – 7.64 (*m*, 2 arom. H); 7.87 (*s*, H–C(5), triazole). ^{13}C-NMR ((D$_6$)DMSO): 43.85; 48.03; 52.07; 52.10; 76.08; 115.28; 118.71; 126.16; 126.56; 127.86; 127.96; 128.42; 128.43; 128.83;

129.05; 129.62; 132.99; 137.26; 150.93; 152.31. EI-MS: 466.0 (4.2, M^+). Anal. calc. for $C_{28}H_{30}N_6O$: C 72.08, H 6.48, N 18.01; found: C 72.15, H 6.35, N 18.20.

*2-{{1-{(2*E*)-2-[(2-(Phenylethoxy)imino]-2-phenylethyl}-1*H*-1,2,3-triazol-4-yl}methyl}-1*H*-isoindole-1,3(2*H*)-dione* (**5f**): CC (AcOEt) afforded a pale-yellow solid (3.91 g, 84%). M.p. 186°. R_f (AcOEt) 0.45. IR (KBr): 3126, 2854, 1705, 1660, 1549, 1225, 1029. ^{1}H-NMR ((D$_6$)DMSO): 3.15 (*t*, *J* = 5.0, CH_2CH$_2$O); 3.33 (*t*, *J* = 5.0, CH$_2$CH_2O); 5.47 (*s*, CH$_2$N); 5.65 (*s*, NCH$_2$C=N); 7.13–7.42 (*m*, 10 arom. H); 7.59 (*s*, H–C(5), triazole); 7.94–8.10 (*m*, 4 arom. H). ^{13}C-NMR ((D$_6$)DMSO): 27.48; 29.34; 42.97; 65.15; 124.65; 126.02; 126.44; 127.52; 127.83; 128.11; 128.31; 128.87; 129.01; 132.21; 133.88; 142.31; 150.55; 161.25; 165.3. EI-MS: 465.1 (15.6, M^+). Anal. calc. for $C_{27}H_{23}N_5O_3$: C 69.66, H 4.98, N 15.04; found: C 69.61, H 4.85, N 15.16.

*(7-{{1-[(2*Z*)-2-(Butoxyimino)-2-phenylethyl]-1*H*-1,2,3-triazol-4-yl}methyl}-3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione* (**5g**): CC (AcOEt) afforded a yellow solid (3.33 g, 74%). M.p. 201°. R_f (AcOEt) 0.50. IR (KBr): 3155, 2967, 1716, 1705, 1495, 1234, 1057. ^{1}H-NMR (CDCl$_3$): 0.86 (*t*, *J* = 7.5, MeCH_2); 1.32–1.35 (*m*, MeCH_2); 1.65–1.68 (*m*, CH_2CH$_2$O); 3.32 (*s*, Me–N(3)); 3.50 (*s*, Me–N(1)); 4.20 (*t*, *J* = 5.0, CH$_2$CH_2O); 5.46 (*s*, CH$_2$N); 5.53 (*s*, NCH$_2$C=N); 7.19–7.61 (*m*, 5 arom. H); 7.69 (*s*, H–C(5), triazole); 7.80 (*s*, H–C(8), theophylline). ^{13}C-NMR (CDCl$_3$): 13.86; 19.11; 27.89; 29.76; 31.10; 41.40; 44.33; 75.19; 76.52; 77.02; 77.53; 124.50; 126.23; 128.65; 129.78; 141.20; 148.28; 151.53; 154.23; 176.83. EI-MS: 450 (8.5, M^+). Anal. calc. for $C_{22}H_{26}N_8O_3$: C 58.65, H 5.82, N 24.87; found: C 58.51, H 5.94, N 24.73.

*Ethyl 2-{{{(1*Z*)-1-Phenyl-2-{4-[(2,3,6,7-tetrahydro-1,3-dimethyl-2,6-dioxo-1*H*-purin-7-yl)methyl]-1*H*-1,2,3-triazol-1-yl}ethylidene}amino}oxy}propanoate* (**5h**): CC (AcOEt) afforded a yellow oil (4.00 g, 81%). R_f (AcOEt) 0.44. IR (film): 3155, 2980, 1719, 1707, 1490, 1214, 1047. ^{1}H-NMR ((D$_6$)DMSO): 1.06 (*t*, *J* = 7.5, MeCH_2); 1.40 (*d*, *J* = 5.0, *Me*CH); 2.57 (*s*, Me–N(3)); 3.59 (*s*, Me–N(1)); 3.96 (*q*, *J* = 7.5, MeCH_2); 4.78 (*s*, CH$_2$N); 4.79 (*q*, *J* = 5.0, MeC*H*); 5.69 (*s*, NCH$_2$C=N); 7.60 (*s*, H–C(5), triazole); 7.61–7.86 (*m*, 5 arom. H); 8.10 (*s*, H–C(8), theophylline). ^{13}C-NMR ((D$_6$)DMSO): 13.89; 16.38; 32.76; 38.76; 42.80; 43.65; 60.45; 77.64; 123.06; 124.25; 126.49; 128.50; 129.93; 131.48; 132.61; 134.41; 142.25; 152.61; 167.18; 171.41. EI-MS: 494.2 (15.9, M^+). Anal. calc. for $C_{23}H_{26}N_8O_5$: C 55.86, H 5.30, N 22.66; found: C 55.75, H 5.25, N 22.73.

*2,3,6,7-Tetrahydro-1,3-dimethyl-7-{{1-{(2*Z*)-2-phenyl-2-[(prop-2-en-1-yloxy)imino]ethyl}-1*H*-1,2,3-triazol-4-yl}methyl}-1*H*-purine-2,6-dione* (**5i**): CC (AcOEt) afforded a bright brown solid (2.91 g, 67%). M.p. 217°. R_f (AcOEt) 0.47. IR (KBr): 3126, 3057, 1720, 1709, 1426, 1398, 1102. ^{1}H-NMR ((D$_6$)DMSO): 3.18 (*s*, Me–N(3)); 3.37 (*s*, Me–N(1)); 4.67 (*d*, *J* = 5.6, CH$_2$O); 4.96–4.99 (*m*, CH$_2$); 5.48 (*s*, CH$_2$N); 5.69 (*s*, NCH$_2$C=N); 5.88–5.95 (*m*, CH); 7.32 (*s*, H–C(5), triazole); 7.58–8.11 (*m*, 5 arom. H); 8.27 (*s*, H–C(8), theophylline). ^{13}C-NMR ((D$_6$)DMSO): 27.41; 29.30; 43.78; 59.68; 74.97; 105.70; 117.52; 124.91; 126.41; 129.53; 132.43; 130.55; 133.97; 142.28; 148.26; 151.80; 154.23;164.28; 193.70. EI-MS: 434.0 (8.5, M^+). Anal. calc. for $C_{21}H_{22}N_8O_3$: C 58.06, H 5.10, N 25.79; found: C 58.16, H 5.24, N 25.63.

*(1*E*)-2-[4-(1-Hydroxy-1-methylethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethanone* O-*Cyclopentyloxime* (**5j**): CC (AcOEt/hexane 1:1) afforded a pale yellow solid (2.8 g, 85%). M.p. 90°. R_f (AcOEt/hexane 1:1) 0.30. IR (KBr): 3330, 3050, 2936, 1445, 1335, 995.6. ^{1}H-NMR (CDCl$_3$): 1.56 (*s*, Me$_2$C); 1.58–1.89 (*m*, 4 CH$_2$); 2.98 (*s*, OH, exchangeable with D$_2$O); 4.87–4.93 (*m*, CHO); 5.52 (*s*, NCH$_2$C=N); 7.30–7.35 (*m*, 3 arom. H); 7.48 (*s*, H–C(5), triazole); 7.71–8.03 (*m*, 2 arom. H). ^{13}C-NMR (CDCl$_3$): 23.74; 30.32; 32.45; 44.09; 68.31; 86.79; 119.83; 126.24; 128.68; 129.72; 133.64; 155.72; 173.65. EI-MS: 328 (17.4, M^+). Anal. calc. for $C_{18}H_{24}N_4O_2$: C 65.83, H 7.37, N 17.06; found: C 65.71, H 7.42, N 17.18.

*(1*E*)-2-[4-(1-Hydroxy-1-methylethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethanone* O-*(Phenylmethyl)oxime* (**5k**): CC (AcOEt/hexane 1:1) afforded a pale-yellow solid (3.0 g, 85%). M.p. 93°. R_f (AcOEt/hexane 1:1) 0.15. IR (KBr): 3336, 3034, 2913, 1494, 1228, 1015. ^{1}H-NMR (CDCl$_3$): 1.47 (*s*, Me$_2$C); 3.14 (*s*, OH, exchangeable with D$_2$O); 5.19 (*s*, CH$_2$O); 5.41 (*s*, NCH$_2$C=N); 7.19–7.63 (*m*, 10 arom. H); 7.20 (*s*, H–C(5), triazole). ^{13}C-NMR (CDCl$_3$): 30.49; 44.02; 68.42; 77.25; 120.14; 126.76; 127.39; 128.46; 128.73; 128.82; 129.99; 134.33; 136.77; 151.14; 155.81. EI-MS: 350 (12.8, M^+). Anal. calc. for $C_{20}H_{22}N_4O_2$: C 68.55, H 6.33, N 15.99; found: C 68.71, H 6.25, N 15.85.

*Ethyl 2-{{{(1*E*)-2-[4-(1-hydroxy-1-methylethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethylidene}amino}oxy}propanoate* (**5l**): CC (AcOEt/hexane 1:1) afforded a yellow oil (2.8 g, 77%). R_f (AcOEt/hexane 1:1) 0.30. IR (film): 3335, 3151, 2980, 1716, 1497, 1224, 1049. ^{1}H-NMR (CDCl$_3$): 1.19 (*t*, *J* = 5.0, MeCH_2); 1.51–1.52 (*m*, Me$_2$C, *Me*CH); 3.52 (*s*, OH, exchangeable with D$_2$O); 4.14 (*q*, *J* = 5.0, MeCH_2); 4.88 (*q*,

$J = 5.0$, MeC*H*); 5.58 (*s*, CH_2N); 7.25–7.27 (*m*, 3 arom. H); 7.63–7.90 (*m*, 2 arom. H), 7.90 (*s*, H–C(5), triazole). ^{13}C-NMR ($CDCl_3$): 14.14; 16.92; 30.24; 43.89; 61.14; 68.16; 78.41; 120.68; 126.44; 128.37; 130.10; 132.75; 151.95; 156.01; 172.13. EI-MS: 360 (1.4, M^+). Anal. calc. for $C_{18}H_{24}N_4O_4$: C 59.99, H 6.71, N 15.55; found: C 59.83, H 6.79, N 15.59.

*(1*E*)-1-Phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanone* O-*Cyclopentyloxime* (**5m**): CC (AcOEt/hexane 1:5) afforded a white solid (2.50 g, 72%). M.p. 124°. R_f (AcOEt/hexane 1:1) 0.72. IR (KBr): 3116, 2941, 2854, 1440, 1339, 994. ^{1}H-NMR (250 MHz, $CDCl_3$): 1.68–1.74 (*m*, 2 CH_2); 1.81–1.93 (*m*, 2 CH_2); 4.95–4.98 (*m*, CHO); 5.63 (*s*, $NCH_2C{=}N$); 7.26–7.82 (*m*, 6 arom. H, H–C(5), triazole); 7.78–7.82 (*m*, 5 arom. H). ^{13}C-NMR ($CDCl_3$): 23.84; 32.27; 44.17; 86.88; 119.54; 125.56; 126.05; 128.35; 128.45; 128.76; 129.82; 130.57; 133.61; 147.86; 150.25. EI-MS: 346 (14.6, M^+). Anal. calc. for $C_{21}H_{22}N_4O$: C 72.81, H 6.40, N 16.17; found: C 72.74, H 6.51, N 16.31.

*(1*E*)-1-Phenyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)ethanone* O-*(Prop-2-en-1-yl)oxime* (**5n**): CC (AcOEt/hexane 1:5) afforded a white solid (2.2 g, 68%). M.p. 109°. R_f (AcOEt/hexane 1:1) 0.54. IR (KBr): 3077, 2912, 1686, 1435, 1219, 1012. ^{1}H-NMR ($CDCl_3$): 4.67 (*d*, $J = 5.0$, CH_2O); 5.27 (*m*, CH_2); 5.45 (*s*, $NCH_2C{=}N$); 5.93–6.09 (*m*, CH); 7.34–7.53 (*m*, 8 arom. H); 7.77–7.79 (*m*, 2 arom. H); 8.05 (*s*, H–C(5), triazole). ^{13}C-NMR ($CDCl_3$): 53.61; 62.99; 118.01; 119.57; 120.01; 125.69; 126.39; 128.19; 128.31; 128.79; 129.17; 130.06; 133.73; 134.54; 150.58. EI-MS: 318.0 (17.8, M^+). Anal. calc. for $C_{19}H_{18}N_4O$: C 71.68, H 5.70, N 17.60; found: C 71.75, H 5.67, N 17.67.

*(1*Z*)-2-[4-((1*H*-Benzoimidazol-1-ylmethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethanone* O-*Butyloxime* (**5o**): CC (AcOEt/hexane 8:1) afforded a yellow foam (3.0 g, 77%). R_f (AcOEt) 0.64. IR (film): 3136, 2961, 2854, 1488, 1041. ^{1}H-NMR ($CDCl_3$): 0.77 (*t*, $J = 7.5$, Me); 1.18–1.21 (*m*, MeCH_2); 1.46–1.52 (*m*, CH_2CH$_2$O); 4.06 (*t*, $J = 6.7$, CH_2CH_2O); 5.28 (*s*, CH_2N); 5.43 (*s*, $NCH_2C{=}N$); 7.13–7.57 (*m*, 9 arom. H); 7.35 (*s*, H–C(5), triazole); 7.58 (*s*, H–C(2), benzimidazole). ^{13}C-NMR ($CDCl_3$): 13.76; 18.95; 30.93; 40.37; 44.17; 75.00; 109.88; 120.20; 122.26; 123.00; 126.17; 128.04; 128.30; 128.64; 129.09; 132.54; 133.03; 142.83; 143.66; 150.02. EI-MS: 388 (8.6, M^+). Anal. calc. for $C_{22}H_{24}N_6O$: C 68.02, H 6.23, N 21.63; found: C 68.13, H 6.11, N 21.59.

*1-{[1-{(2*Z*)-2-Phenyl-2-[(Phenylmethoxy)imino]ethyl}-1*H*-1,2,3-triazol-4-yl]methyl}pyrimidine-2,4(1*H*,3*H*)-dione* (**5p**): CC (AcOEt/hexane 8:1) afforded a pale-yellow solid (2.7 g, 64%). M.p. 163°. R_f (AcOEt) 0.64. IR (KBr): 3236, 3038, 1725, 1710, 1450, 1233, 1041. ^{1}H-NMR ((D$_6$)DMSO): 4.87 (*s*, CH_2N); 5.25 (*s*, CH_2O); 5.54 (*d*, $J = 7.5$, H–C(5), uracil); 5.71 (*s*, $NCH_2C{=}N$); 7.27–7.37 (*m*, 10 arom. H); 7.63 (*d*, $J = 7.5$, H–C(6), uracil), 8.00 (*s*, H–C(5), triazole); 11.32 (*s*, H–N(3), exchangeable with D_2O). ^{13}C-NMR ((D$_6$)DMSO): 42.14; 43.73; 76.10; 101.19; 124.38; 126.47; 127.96; 128.12; 128.48; 129.00; 130.25; 132.96; 137.20; 142.14; 145.27; 150.66; 151.94; 163.63. EI-MS: 416.0 (14.9, M^+). Anal. calc. for $C_{22}H_{20}N_6O_3$: C 63.45, H 4.84, N 20.18; found: C 63.49, H 4.79, N 20.25.

*(1*E*)-2-[4-(Hydroxymethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethanone* O-*Butyloxime* (**5q**): CC (AcOEt) afforded a brown oil (2.19 g, 76%). R_f (AcOEt) 0.70. IR (film): 3358.8, 3035, 2932, 1445, 1228. ^{1}H-NMR ((D$_6$)DMSO): 0.86 (*t*, $J = 7.5$, Me); 1.34–1.39 (*m*, MeCH_2); 1.62–1.65 (*m*, CH_2CH$_2$O); 4.16 (*t*, $J = 7.5$, CH_2CH_2O); 5.41 (*s*, CH_2OH); 5.51 (*s*, $NCH_2C{=}N$); 7.23–7.66 (*m*, 5 arom. H); 7.64 (*s*, H–C(5), triazole); 11.75 (*s*, OH, exchangeable with D_2O). ^{13}C-NMR ((D$_6$)DMSO): 13.73; 18.59; 30.80; 54.74; 66.14; 73.78; 122.91; 126.39; 128.31; 129.14; 129.50; 133.75; 154.31. EI-MS: 288.0 (11.1, M^+). Anal. calc. for $C_{15}H_{20}N_4O_2$: C 62.48, H 6.99, N 19.43; found: C 62.53, H 6.83, N 19.57.

*3-{{{(1*E*)-2-[4-(Hydroxymethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethylidene}amino}oxy}propanenitrile* (**5r**): CC (AcOEt) afforded a brown oil (2.14 g, 75%). R_f (AcOEt) 0.79. IR (film): 3358, 3050, 2932, 1445, 1228. ^{1}H-NMR ($CDCl_3$): 2.45 (*t*, $J = 5.0$, CH_2CH$_2$O); 3.52 (*t*, $J = 5.0$, CH_2CH_2O); 4.47 (*s*, CH_2OH); 5.29 (*s*, OH, exchangeable with D_2O); 5.42 (*s*, $NCH_2C{=}N$); 7.15 (*s*, H–C(5), triazole); 7.18–7.64 (*m*, 5 arom. H). ^{13}C-NMR ($CDCl_3$): 18.85; 43.77; 64.35; 66.61; 123.79; 126.42; 126.97; 128.46; 128.76; 129.43; 130.20; 164.97. EI-MS: 285.1 (12.4, M^+). Anal. calc. for $C_{14}H_{15}N_5O_2$: C 58.94, H 5.30, N 24.55; found: C 58.85, H 5.42, N 24.67.

*(1*E*)-2-[4-(Hydroxymethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethanone* O-*(Prop-2-en-1-yl)oxime* (**5s**): CC (AcOEt) afforded a pale-yellow solid (2.0 g, 75%). M.p. 87°. R_f (AcOEt) 0.44. IR (KBr): 3339, 3043, 2931, 1445, 1228. ^{1}H-NMR ($CDCl_3$): 3.87 (*s*, OH, exchangeable with D_2O); 4.66 (*s*, CH_2OH); 4.77 (*d*, $J =$ 5.0, CH_2O); 5.25 (*dd*, $J = 1.3$, 10.5, 1 H, CH_2); 5.37 (*dd*, $J = 1.3$, 18.2, 1 H, CH_2); 5.58 (*s*, $NCH_2C{=}N$); 5.96–6.12 (*m*, CH); 7.31–7.33 (*m*, 3 arom. H); 7.60 (*s*, H–C(5), triazole); 7.68–7.72 (*m*, 2 arom. H).

^{13}C-NMR ($CDCl_3$): 43.94; 55.97; 79.06; 118.82; 122.62; 126.32; 128.27; 130.00; 133.02; 133.32; 148.02; 150.83. EI-MS: 272.0 (16.7, M^+). Anal. calc. for $C_{14}H_{16}N_4O_2$: C 61.75, H 5.92, N 20.58; found: C 61.67, H 5.98, N 20.63.

*Methyl 2-{{{(1*E*)-2-[4-(Hydroxymethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethylidene}amino}oxy}acetate* (**5t**): CC (AcOEt) afforded a pale-yellow solid (2.3 g, 75%). M.p. 136°. R_f (AcOEt) 0.71. IR (KBr): 3379, 3019, 2864, 1445, 1234, 1011. ^{1}H-NMR ((D_6)DMSO): 3.57 (*s*, MeO); 4.39 (*s*, CH_2O); 5.46 (*s*, CH_2OH); 5.53 (*s*, $NCH_2C{=}N$); 7.17–7.69 (*m*, 5 arom. H); 7.52 (*s*, H–C(5), triazole); 11.69 (*s*, OH, exchangeable with D_2O). ^{13}C-NMR ((D_6)DMSO): 42.96; 54.73; 65.77; 74.43; 126.54; 128.15; 128.44; 129.87; 132.60; 134.63; 152.18; 153.47. EI-MS: 304.1 (10.1, M^+). Anal. calc. for $C_{14}H_{16}N_4O_4$: C 55.26, H 5.30, N 18.41; found: C 55.29, H 5.37, N 18.43.

*(1*E*)-2-[4-(Hydroxymethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethanone* O-*(Phenylmethyl)oxime* (**5u**): CC (AcOEt) afforded a pale-yellow solid (2.35 g, 73%). M.p. 146°. R_f (AcOEt) 0.66. IR (KBr): 3358, 3029, 2854, 1445, 1011. ^{1}H-NMR ((D_6)DMSO): 4.81 (*s*, CH_2O); 5.87 (*s*, CH_2OH); 5.94 (*s*, $NCH_2C{=}N$); 7.69–8.11 (*m*, 10 arom. H); 7.92 (*s*, H–C(5), triazole); 12.18 (*s*, OH, exchangeable with D_2O). ^{13}C-NMR ((D_6)DMSO): 42.94; 54.72; 65.76; 123.15; 126.54; 126.69; 128.27; 128.45; 128.52; 129.14; 132.59; 134.62; 152.17; 153.48. EI-MS: 322.0 (21.3, M^+). Anal. calc. for $C_{18}H_{18}N_4O_2$: C 67.07, H 5.63, N 17.38; found: C 67.03, H 5.72, N 17.22.

*(1*E*)-2-[4-(Hydroxymethyl)-1*H*-1,2,3-triazol-1-yl]-1-phenylethanone* O-*(2-Phenoxyethyl)oxime* (**5v**): CC (AcOEt) afforded a pale-yellow solid (2.50 g, 71%). M.p. 127°. R_f (AcOEt) 0.75. IR (KBr): 3349, 3038, 2854, 1445, 1222, 1011. ^{1}H-NMR ((D_6)DMSO): 4.36 (*t*, $J = 5.0$, $PhOCH_2$); 5.11 (*t*, $J = 5.0$, $NOCH_2$); 5.45 (*s*, CH_2OH); 5.52 (*s*, $NCH_2C{=}N$); 7.26–7.68 (*m*, 10 arom. H); 7.49 (*s*, H–C(5), triazole); 11.75 (*s*, OH, exchangeable with D_2O). ^{13}C-NMR ((D_6)DMSO): 42.91; 54.70; 65.76; 75.54; 123.03; 126.41; 126.54; 127.98; 128.26; 128.51; 129.87; 132.60; 134.63; 152.19; 153.47. EI-MS: 352.1 (24.4, M^+). Anal. calc. for $C_{19}H_{20}N_4O_3$: C 64.76, H 5.72, N 15.90; found: C 64.72, H 5.84, N 15.81.

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