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Acylation of 2-Aryl-5-imino-2,5-dihydro-1,2,3-thiadiazoles

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Abstract—A large series of new 5-acyl- and 5-sulfonylthiadiazolimines was prepared by acylation of 2-aryl-5-imino-2,5-dihydro-1,2,3-thiadiazoles. Compounds obtained are more stable than the initial substances and do not transform into 1,2,4-thiadiazoles.

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Compounds containing a 1,2,3-thiadiazole ring are known to possess a versatile biological activity [1]. The 1,2,3-thiadiazole ring appears in the structure of a number of antibiotics, fungicides, and antiviral preparations [2–4]. Among the thiadiazole derivatives some reagents exhibited a high cytoregulatory activity in plant cultivation and fruit growing [5, 6]. Therefore the development of synthetic procedures for new compounds of this class is interesting from the viewpoint of looking for novel biologically active agents.

We formerly demonstrated that an intramolecular oxidative cyclization of 2-arylhydrazonothioacetamides was a suitable preparative synthetic method for a series of 2-aryl-5-imino-2,5-dihydro-1,2,3-thiadiazoles [7]. These compounds are however unstable and easily transform at heating into 1,2,4-thiadiazoles by the mechanism previously described [7]. This study was aimed at the investigation of 2-aryl-5-imino-2,5-dihydro-1,2,3-thiadiazoles acylation in order to obtain more stable derivatives of this series.

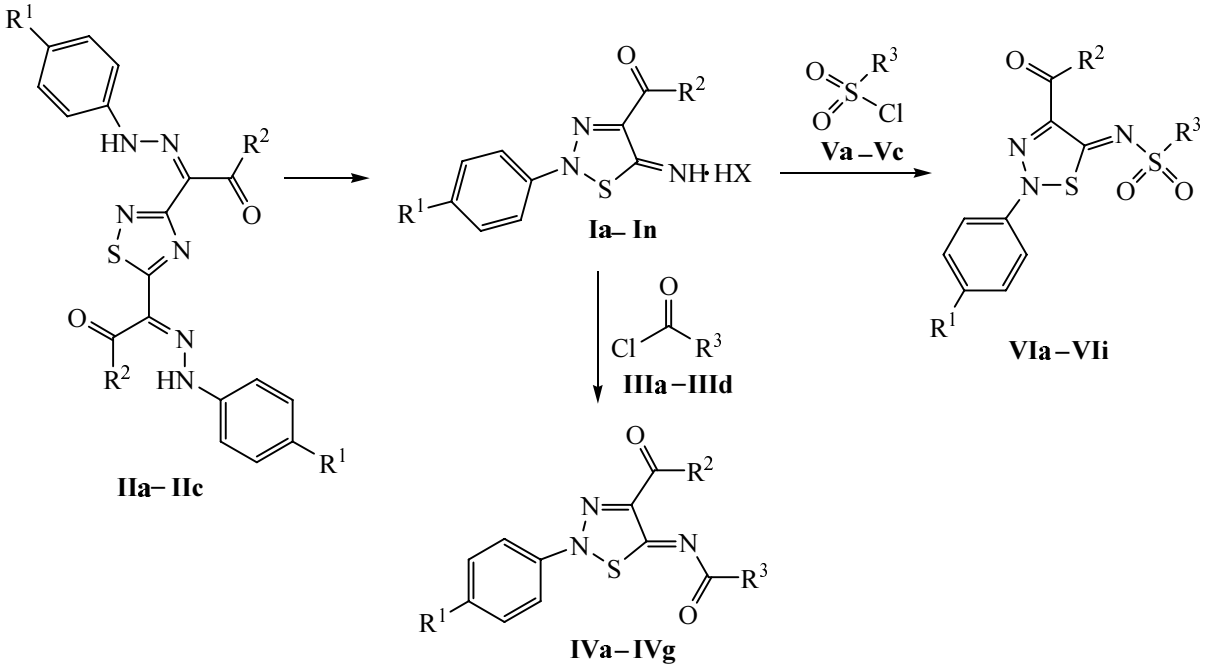
First attempts at 2-aryl-1,2,3-thiadiazol-5-imines acylation were reported in [8, 9]. Gervald et al. succeeded in preparation of several new N-acetyl and N-formyl derivatives by a short heating of thiadiazoliminium salts in acetic anhydride or in acetic (formic) acid in the presence of pyridine. However the authors failed to extend the series of acyl derivatives and to make them more versatile by the use of a wider range of acylating agents.

We carried out the acylation under various conditions taking into consideration the solubility of initial thiadiazolimines **I** and their stability in different media. For instance, under conditions of Schotten–Baumann reaction in a suspension in a water solution of NaOH the reaction usually led to the formation of 1,2,4-thiadiazoles **II**. Apparently 1,2,3-thiadiazolimines **I** as free bases transform too fast into 1,2,4-thiadiazoles **II** for the acylation to occur. The use of a large excess of acyl chloride **III** or the replacement of the water solution of NaOH by milder bases ($NaHCO_3$ and AcONa) did not turn the reaction to the acylation pathway. At the use of pyridine as solvent already at a slight excess of acyl chloride we obtained at room temperature the desired N-acylimino derivatives **IV** in 50–70% yields. The process took from 2 to 10 days. The raising of the temperature to 110°C reduced the time required for the completion of the reaction to several hours, and the yield increased to 60–97%. It should be remarked that no side products, neither 1,2,4-thiadiazoles, were formed.

Employing sulfonyl chlorides **V** under the same conditions we prepared a sufficiently wide series of previously inaccessible 5-sulfonylimines **VI** (see the scheme).

The structure of all compounds synthesized was confirmed by spectral methods, and their composition, by elemental analysis. In the mass spectra of 1,2,3-thiadiazoles the molecular peaks were observed with intensity from 1.1 to 100%, and also peaks corresponding to the cleavage of substituents in the side chain and of the acyl group. In contrast to initial thiadiazolimines **I** the mass spectra of their acyl derivatives **IV** contained a lesser number of fragment ions arising by the decomposition of the thiadiazole ring. No peak was observed corresponding to sulfur ejection from the 1,2,3-thiadiazole ring $[M–S]^+$ that was present in the mass spectra of all initial thiadiazoles **I** [7]. It should be stressed that the process is

Scheme.

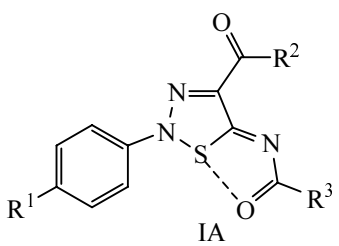


I, R^1 = OMe, R^2=NH_2 (**a**), NHMe (**b**), NHCy (**c**), NHPh (**d**), pyrrolidin-1-yl (**e**), morpholino (**f**), piperidino (**g**); R^1 = Cl, R^2 = NHMe (**h**), NHCy (**i**), NHBn (**j**), NHPh (**k**), pyrrolidin-1-yl (**l**), morpholino (**m**), piperidino (**n**); **II**, R^1=OMe, R^2=NH_2 (**a**), pyrrolidin-1-yl (**b**); R^1 = Cl, R^2=pyrrolidin-1-yl (**c**); **III**, R^3 = C_6H_4-OMe-4 (**a**), C_6H_4-Cl-4 (**b**), furyl (**c**), thienyl (**d**); **IV**, R^1 = OMe, R^2 = NH_2, R^3 = furyl (**a**), thien yl (**b**), R^2 = NHMe, R^3 = C_6H_4-OMe-4 (**c**), R^2 = NHCy, R^3=C_6H_4-OMe-4 (**d**), R^2 = NHPh, R^3 = C_6H_4-OMe-4 (**e**), C_6H_4-Cl-4 (**f**), R^2 = pyrrolidin-1-yl, R^3 = C_6H_4-OMe-4 (**g**), C_6H_4-Cl-4 (**h**), furyl (**i**), thienyl (**j**), R^2 = morpholino, R^3 = C_6H_4-OMe-4 (k), furyl (**l**), thienyl (**m**), R^2 = piperidino, R^3 = C_6H_4-OMe-4 (**n**), C_6H_4-Cl-4 (**o**), furyl (**p**), thienyl (**q**); R^1 = Cl, R^2 = NHMe, R^3 = C_6H_4-OMe-4 (**r**), R^2 = NHCy, R^3 = C_6H_4-OMe-4 (**s**), R^2 = NHBn, R^3 = C_6H_4-OMe-4 (**t**), R^2 = NHPh, R^3 = C_6H_4-OMe-4 (**u**), C_6H_4-Cl-4 (**v**), furyl (**w**), R^2 = pyrrolidin-1-yl, R^3 = C_6H_4-OMe-4 (**x**), C_6H_4-Cl-4 (**y**), furyl (**z**), thienyl (**aa**), R^2 = morpholino, R^3 = C_6H_4-OMe-4 (**ab**), C_6H_4-Cl-4 (**ac**), furyl (**ad**), thienyl (**ae**), R^2 = piperidino, R^3 = C_6H_4-OMe-4 (**af**), C_6H_4-Cl-4 (**ag**), furyl (**ah**), thienyl (**ai**); **V**, R^3 = C_6H_4Me-4 (**a**), C_6H_4OMe-4 (**b**), C_6H_4F-4 (**c**); **VI**, R^1 = OMe, R^2=pyrrolidin-1-yl, R^3 = C_6H_4-Me-4 (**a**), C_6H_4-OMe-4 (**b**), C_6H_4-F-4 (**c**), R^2 = piperidino, R^3 = C_6H_4-F-4 (**d**); R^1 = Cl, R^2 = pyrrolidin-1-yl, R^3 = C_6H_4-Me-4 (**e**), C_6H_4-F-4 (**f**), R^2 = morpholino, R^3 = C_6H_4-F-4 (**g**), R^2 = piperidino, R^3 = C_6H_4-Me-4 (**h**), C_6H_4-F-4 (**i**).

usually the key stage in the transformation of 1,2,3-thiadiazoles **I** into 1,2,4-thiadiazoles **II** at heating or treating with a base.

We compared the stability of initial 1,2,3-thiadiazoles **I** and their acylated products **IV** by boiling in pyridine compounds **Ia, Ie**, and **Il** and compounds **IVh** and **IVz**. It turned out that whereas the former completely transformed into 1,2,4-thiadiazoles **IIa–IIc** in 10 min, the reagents **IVh** and **IVz** remained intact even within 24 h.

The greater stability of acylated derivatives of 1,2,3-thiadiazolimines **IV** may originate from additional intramolecular interactions of the 1,5-S–O type characteristic of various sulfur-containing heterocycles [10, 11] suggesting that they may be regarded as structural analogs of fused heterocyclic derivatives. Besides the following fact is especially interesting: The formation of structures of (IA) type may affect not only the chemical properties and planar conformation of the thiadiazoles, but also the mechanism of operation of certain biological effects [10].



IA

Thus our study resulted in developing convenient acylation conditions for 2-aryl-5-imino-2,5-dihydro-1,2,3-thiadiazoles that permitted preparation of a wide series of new potential biologically active derivatives of this class

compounds, more stable against transformation into 1,2,4-thiadiazoles.

EXPERIMENTAL

^{1}H NMR spectra were registered on a spectrometer Bruker WM-250 (250.13 and 100.00 MHz) in DMSO-d_6, internal reference TMS. The reaction progress was monitored and the homogeneity of compounds obtained was checked by TLC on Sorbfil UV-254 plates, eluent ethanol–chloroform, 1:2. Mass spectra were measured on Varian MATT 311A instrument, accelerating voltage 3 kV, ionizing electrons energy 70 eV. Melting points are reported without correction.

The stability study of 2-aryl-5-imino-2,5-dihydro-1,2,3-thiadiazoles Ia, Ie, and Il and of their N-acyl derivatives IVi and IVz. A solution of 1 mmol of compound **I** in 4 ml of pyridine was boiled, then poured into ice with water. The precipitate was filtered off.

3,5-Bis{carbamoyl[2-(4-methoxyphenylhydrazono)]methyl}-1,2,4-thiadiazole (IIa). Yield 60%, mp 179°C. ^{1}H NMR spectrum, δ, ppm: 3.78 s (3H, OMe), 3.81 s (3H, OMe), 6.89–6.92 m (4H, CH), 6.95 br.s (1H, NH), 7.36–7.56 m (4H, CH), 7.79–782 m (3H, NH), 9.00, 13.22, 14.35, 14.48, 14.98 C (2H, NH). Found, %: N 23.46; S 6.58. M^+ 468. $C_{20}H_{20}N_8O_4S$. Calculated, %: N 23.92; S 6.84. *M* 468.49

3,5-Bis[2-(4-methoxyphenylhydrazono)(pyrrolidin-1-ylamino)methyl]-1,2,4-thiadiazole (IIb). Yield 45%, mp 142°C. ^{1}H NMR spectrum, δ, ppm:1.85–2.00 m (8H, CH), 3.56–3.65 m (6H, CH), 3.75 s (3H, OMe), 3.79 s (3H, OMe), 3.98–4.12 m (2H, CH), 6.95 and 7.34 *AA'BB'* (4H, CH, *J* 9.0 Hz), 7.09 and 7.47 *AA'BB'* (4H, CH, *J* 9.0 Hz), 12.63 s (1H, NH), 14.54 s (1H, NH). Found, %: N 19.61; S 5.32. M^+ 576. $C_{28}H_{32}N_8O_4S$. Calculated, %: N 19.43; S 5.56. *M* 576.37

3,5-Bis{pyrrolidin-1-ylamino[2-(4-chlorophenylhydrazono)]methyl}-1,2,4-thiadiazole (IIc). Yield 73%, mp 265°C. ^{1}H NMR spectrum, δ, ppm: 1.82–2.03 m (8H, CH), 3.59-3.65 m (6H, CH), 3.95–4.10 m (2H, CH), 7.39–7.52 m (8H, CH), 10.47, 12.59, 14.41 s (2H, NH). Found, %: N 19.26; S 5.51. M^+ 584. $C_{26}H_{26}Cl_2N_8O_2S$. Calculated, %: N 19.18; S 5.48. *M* 585.51

Acylation of 2-aryl-5-imino-2,5-dihydro-1,2,3-thiadiazoles salts Ia–In. *a.* To a solution of 1 mmol of reagent **I** in 4 ml of pyridine was added 1.5 mmol of acyl chloride **III**. The reaction mixture was kept at room temperature (in preparation of compounds **IVa** and **IVb**) or at reflux (in preparation of compounds **IVc–IVv**, **IVx–IVab**, **IVac–IVai**, **VIa–IVi**), then it was poured into water with ice. The precipitate was filtered off.

b. To a suspension of 1 mmol of reagent **I** in 4 ml of water was added 5 mmol of sodium acetate and 1.5 mmol of acyl chloride **III**. The reaction mixture was stirred at room temperature, then the precipitate was filtered off and recrystallized from an appropriate solvent.

2-(4-Methoxyphenyl)-5-(2-furylcarbonylimino)-2,5-dihydro-1,2,3-thiadiazole-4-carboxamide (IVa). Yield 57%, mp 283°C. ^{1}H NMR spectrum, δ, ppm: 3.87 s (3H, OMe), 6.70 d.d (1H, CH, *J* 3.4, *J* 1.8 Hz), 7.11 *J* 3.3 Hz), 7.93 d (1H, CH, *J* 1.8 Hz), 8.01 c (1H, $CONH_2$), 8.87 s (1H, $CONH_2$). Mass spectrum, *m*/*z* (I_{rel}, %): 344 M^+ (32.3), 121 (4.6), 153 (7.6). Found, %: N 16.12; S 9.22. $C_{15}H_{12}N_4O_4S$. Calculated, %: N 16.28; S 9.30. *M* 344.34.

2-(4-Methoxyphenyl)-5-(2-thienylcarbonylimino)-2,5-dihydro-1,2,3-thiadiazole-4-carboxamide (IVb). Yield 60%, mp 280°C. ^{1}H NMR spectrum, δ, ppm: 3.87 s (3H, OMe), 7.10 and 7.81 *AA'BB'* (4H, CH, *J* 9.2 Hz), 7.23 d.d (1H, CH, *J* 5.2 Hz, *J* 4.9 Hz), 7.86 d.d (1H, CH, *J* 5.2 Hz, *J* 3.6 Hz), 8.01 d.d (1H, CH, *J* 3.6, *J* 4.9 Hz), 8.07 s (1H, $CONH_2$), 8.75 s (1H, $CONH_2$). Mass spectrum, *m*/*z* (I_{rel}, %): 360 M^+ (28.6), 153 (2.8). Found, %: N 15.42; S 17.73. $C_{15}H_{12}N_4O_3S_2$. Calculated, %: N 15.56; S 17.78. *M* 360.41

***N*-Methyl-5-(4-methoxybenzoylimino)-2-(4-methoxyphenyl)-2,5-dihydro-1,2,3-thiadiazole-4-carboxamide (IVc).** Yield 55%, mp 215°C. ^{1}H NMR spectrum, δ, ppm: 3.05 d (3H, Me, *J* 4.3 Hz), 3.85 s (3H, OMe), 3.87 s (3H, OMe), 7.12 t (4H, CH, *J* 9.5 Hz), 7.81 and 8.27 *AA'BB'* (4H, CH, *J* 8.6 Hz), 9.26 d (1H, NH, *J* 5.2 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 398 M^+ (20.2), 153 (1.6). Found, %: N 14.28; S 8.38. $C_{19}H_{18}N_4O_4S$. Calculated, %: N 14.06; S 8.04. *M* 398.44.

5-(4-Methoxybenzoylimino)-2-(4-methoxyphenyl)-*N*-cyclohexyl-2,5-dihydro-1,2,3-thiadiazole-4-carboxamide (IVd). Yield 58%, mp 232°C. ^{1}H NMR spectrum, δ, ppm: 1.41–2.05 m (10H, CH), 3.82 c (3H, OMe), 7.05 t (4H, CH, *J* 8.9 Hz), 3.89-4.00 m (1H, CH), 3.85 c (3H, OMe), 7.78 and 8.13 *AA'BB'* (4H, CH, *J* 8.9 Hz), 9.45 d (1H, NH, *J* 7.3 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 466 M^+ (22.5), 153 (1.8). Found, %: N 18.38; S 10.73. $C_{24}H_{26}N_4O_4S$. Calculated, %: N 18.60; S 10.63. *M* 466.55. *M* 460.51.

5-(4-Methoxybenzoylimino)-2-(4-methoxyphenyl)-*N*-phenyl-2,5-dihydro-1,2,3-thiadiazole-4-

carboxamide (IVe). Yield 61%, mp 221°C. ^{1}H NMR spectrum, δ, ppm: 3.85 s (3H, OMe), 3.87 s (3H, OMe), 7.05–7.19 m (5H, CH), 7.39–7.46 m (2H, CH), 7.72–7.83 m (4H, CH), 8.15–8.21 m (5H, CH), 11.46 s (1H, NH). Mass spectrum, *m*/*z* (I_{rel}, %): 460 M^+ (23.4), 121 (0), 153 (1.9). Found, %: N 12.04; S 6.61. $C_{24}H_{20}N_4O_4S$. Calculated, %: N 12.17; S 6.96. *M* 460.51.

2-(4-Methoxyphenyl)-*N*-phenyl-5-(4-chlorobenzoylimino)-2,5-dihydro-1,2,3-thiadiazole-4-carboxamide (IVf). Yield 61%, mp 251°C. ^{1}H NMR spectrum, δ, ppm: 3.85 s (3H, OMe), 7.09–7.85 m (11H, CH), 8.22–8.24 m (2H, CH), 11.31 s (1H, NH). Mass spectrum, *m*/*z* (I_{rel}, %): 464 M^+ (37.5), 121 (2.8), 153 (5.0). Found, %: N 12.33; S 6.55. $C_{23}H_{17}ClN_4O_3S$. Calculated, %: N 12.07; S 6.89. *M* 464.93.

4-Methoxy-*N*-[2-(4-methoxyphenyl)-4-(pyrrolidin-1-yl-carbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]benzamide (IVg). Yield 83%, mp 180°C. ^{1}H NMR spectrum, δ, ppm: 1.87–1.98 (4H, CH), 3.54–3.65 m (4H, CH), 3.84 s (3H, OMe), 3.86 s (3H, OMe), 7.11 and 7.16 *AA'BB'* (4H, CH, *J* 9.2 Hz), 7.79 and 8.22 *AA'BB'* (4H, CH, *J* 8.9 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 438 M^+ (4.1), 121 (1.4), 153 (1.4). Found, %: N 12.63; S 7.45. $C_{22}H_{22}N_4O_4S$. Calculated, %: N 12.79; S 7.31. *M* 438.50.

***N*-[2-(4-Methoxyphenyl)-4-(pyrrolidin-1-yl-carbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-chlorobenzamide (IVh).** Yield 78%, mp 275°C. ^{1}H NMR spectrum, δ, ppm: 1.87–1.98 (4H, CH), 3.54–3.65 m (4H, CH), 3.85 s (3H, OMε), 7.17 and 7.85 *AA'BB'* (4H, CH, *J* 9.2 Hz), 7.67 and 8.27 *AA'BB'* (4H, CH, *J* 8.7 Hz). Mass spectrum *m*/*z*, (I_{rel}, %): 442 M^+ (4.9), 121 (8.3), 153 (3.6). Found, %: N 12.59; S 7.35. $C_{21}H_{19}ClN_4O_3S$. Calculated, %: N 12.67; S 7.24. *M* 442.92.

4-(Pyrrolidin-1-ylcarbonyl)-[2-(4-methoxyphenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]furan-2-carboxamide (IVi). Yield 86%, mp 156°C. ^{1}H NMR spectrum, δ, ppm: 1.86–1.97 m (4H, CH), 3.51–3.63 m (4H, CH), 3.84 s (3H, OMe), 6.75–6.77 m (1H, CH), 7.14 and 7.79 *AA'BB'* (4H, CH, *J* 9.0 Hz), 7.43 d (1H, CH, *J* 3.1 Hz), 8.04 s (1H, CH). Mass spectrum, *m*/*z* (I_{rel}, %): 398 M^+ (8.1), 121 (20.2), 153 (7.0). Found, %: N 14.19; S 8.12. $C_{19}H_{18}N_4O_4S$. Calculated, %: N 14.07; S 8.04. *M* 398.44.

2-(4-Methoxyphenyl)-[4-(pyrrolidin-1-yl-carbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-thiophene-2-carboxamide (IVj). Yield 89%, mp 182°C. ^{1}H NMR spectrum, δ, ppm: 1.87–1.98 m (4H, CH), 3.55–3.64 m (4H, CH), 3.84 s (3H, OMe), 7.14 and 7.79 *AA'BB'* (4H, CH, *J* 9.1 Hz), 7.27–7.29 m (1H, CH), 7.96–7.98 m (2H, CH). Mass spectrum, *m*/*z* (I_{rel}, %): 414 M^+ (4.8), 121 (4.9), 153 (3.1). Found, %: N 13.23; S 15.54. $C_{19}H_{18}N_4O_3S_2$. Calculated, %: N 13.73; S 15.69. *M* 414.50.

4-Methoxy-*N*-[2-(4-methoxyphenyl)-4-(morpholinocarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-benzamide (IVk). Yield 51%, mp 217°C. ^{1}H NMR spectrum, δ, ppm: 3.45–3.47 (2H, CH), 3.63–3.65 m (2H, CH), 3.79 s (4H, CH), 3.84 s (3H, OMe), 3.87 s (3H, OMe), 7.13–7.16 m (4H, CH), 7.79 and 8.23 *AA'BB'* (4H, CH, *J* 8.9 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 454 M^+ (2.0), 121 (1.2), 153 (1.7). Found, %: N 12.41; S 7.32. $C_{22}H_{22}N_4O_5S$. Calculated, %: N 12.33; S 7.05. *M* 454.50.

[2-(4-Methoxyphenyl)-4-(morpholinocarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]furan-2-carbox-amide (IVl). Yield 43%, mp 196°C. ^{1}H NMR spectrum, δ, ppm: 3.42–3.45 m (2H, CH), 3.65–3.67 m (2H, CH), 3.77 s (4H, CH), 3.84 s (3H, OMe), 6.77–6.78 m (1H, CH), 7.14 and 7.79 *AA'BB'* (4H, CH, *J* 9.1 Hz), 7.48–7.49 m (1H, CH), 8.05 s (1H, CH). Mass spectrum, *m*/*z* (I_{rel}, %): 414 M^+ (4.0), 121 (13.4), 153 (5.2). Found, %: N 13.28; S 7.61. $C_{19}H_{18}N_4O_5S$. Calculated, %: N 13.52; S 7.74. *M* 414.44.

[2-(4-Methoxyphenyl)-4-(morpholinocarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]thiophene-2-carboxamide (IVm). Yield 58%, mp 204°C. ^{1}H NMR spectrum, δ, ppm: 3.44–3.46 m (2H, CH), 3.68–3.70 m (2H, CH), 3.78 s (4H, CH), 3.85 s (3H, OMe), 7.15 and 7.79 *AA'BB'* (4H, CH, *J* 9.0 Hz), 7.28–7.30 m (1H, CH), 7.98–7.99 m (2H, CH). Mass spectrum, *m*/*z* (I_{rel}, %): 430 M^+ (2.8), 121 (3.6), 153 (2.6). Found, %: N 13.15; S 14.83. $C_{19}H_{18}N_4O_4S_2$. Calculated, %: N 13.01; S 14.90. *M* 430.50.

4-Methoxy-*N*-[2-(4-methoxyphenyl)-4-(piperidinocarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]benzamide (IVn). Yield 64%, mp 182°C. ^{1}H NMR spectrum, δ, ppm: 1.62–1.74 m (6H, CH), 3.35–3.39 m (2H, CH), 3.76–3.82 m (2H, CH), 3.85 s (3H, OMe), 3.87 s (3H, OMe), 7.00–7.09 m (4H, CH), 7.73 and 8.22 *AA'BB'* (4H, CH, *J* 8.9 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 452 M^+ (2.5), 121 (2.5), 153 (2.8). Found, %: N 12.21; S 7.12. $C_{23}H_{24}N_4O_4S$. Calculated, %: N 12.38; S 7. 09. *M* 452.53.

4-Chloro-*N*-[2-(4-methoxyphenyl)-4-(piperidinocarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-chlorobenzamide (IVo). Yield 88%, mp 231°C.

^{1}H NMR spectrum, δ, ppm: 1.60–1.74 m (6H, CH), 3.35–3.39 m (2H, CH), 3.76–3.82 m (2H, CH), 3.85 s (3H, OMe), 7.08 and 8.25 *AA'BB'* (4H, CH, *J* 8.7 Hz), 7.54 and 7.75 *AA'BB'* (4H, CH, *J* 9.1 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 456 M^+ (2.2), 121 (7.9), 153 (3.7). Found, %: N 12.01; S 6.95. $C_{22}H_{21}ClN_4O_3S$. Calculated, %: N 12.26; S 7. 02. *M* 456.95.

[2-(4-Methoxyphenyl)-4-(piperidinocarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]furan-2-carboxamide (IVp). Yield 88%, mp 168°C. ^{1}H NMR spectrum, δ, ppm: 1.60–1.73 m (6H, CH), 3.74–3.75 m (2H, CH), 3.76–3.82 m (2H, CH), 3.85 s (3H, OMe), 6.65–6.67 m (1H, CH), 7.07 and 7.73 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.37 d (1H, CH, *J* 3.5 Hz), 7.87 s (1H, CH). Mass spectrum, *m*/*z* (I_{rel}, %): 412 M^+ (2.6), 121 (15.1), 153 (5.3). Found, %: N 13.61; S 7.41. $C_{20}H_{20}N_4O_4S$. Calculated, %: N 13.58; S 7. 77. *M* 412.46.

[2-(4-Methoxyphenyl)-4-(piperidinocarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]thiophene-2-carboxamide (IVq). Yield 77%, mp 197°C. ^{1}H NMR spectrum, δ, ppm: 1.54–1.68 m (6H, CH), 3.34–3.38 m (2H, CH), 3.73–3.77 m (2H, CH), 3.84 s (3H, OMe), 7.15 and 7.79 *AA'BB'* (4H, CH, *J* 9.1 Hz), 7.27–7.29 m (1H, CH), 7.95–7.97 m (2H, CH). Mass spectrum, *m*/*z* (I_{rel}, %): 428 M^+ (1.5), 121 (4.5), 153 (2.8). Found, %: N 13.13; S 14.88. $C_{20}H_{20}N_4O_3S_2$. Calculated, %: N 13.07; S 14. 96. *M* 428.52.

***N*-Methyl-5-(4-methoxybenzoylimino)-2-(4-chlorophenyl)-2,5-dihydro-1,2,3-thiadiazol-4-carboxamide (IVr).** Yield 44%, mp 205°C. ^{1}H NMR spectrum, δ, ppm: 3.08 d (3H, Mε, *J* 4.6 Hz), 3.88 s (3H, OMe), 7.05 and 7.91 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.57 and 8.23 *AA'BB'* (4H, CH, *J* 8.9 Hz), 9.23 d (1H, NH, *J* 4.9 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 402 M^+ (10.1). Found, %: N 13.23; S 7.64. $C_{18}H_{15}ClN_4O_3S$. Calculated, %: N 13.93; S 7. 96. *M* 402.86.

5-(4-Methoxybenzoylimino)-2-(4-chlorophenyl)-*N*-cyclohexyl-2,5-dihydro-1,2,3-thiadiazol-4-carboxamide (IVs). Yield 55%, mp 215°C. ^{1}H NMR spectrum, δ, ppm: 1.45-2.05 m (10H, CH), 3.88 s (3H, OMe), 3.90–4.00 m (1H, CH), 7.09 and 7.90 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.61 and 8.14 *AA'BB'* (4H, CH, *J* 8.9 Hz), 9.42 d (1H, NH, *J* 7.9 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 470 M^+ (3.7). Found, %: N 11.98; S 6.75. $C_{23}H_{23}ClN_4O_3S$. Calculated, %: N 11.91; S 6.81. *M* 470.97.

5-(4-Methoxybenzoylimino)-*N*-benzyl-2-(4-chlorophenyl)-2,5-dihydro-1,2,3-thiadiazol-4-carboxamide (IVt). Yield 67%, mp 230°C. ^{1}H NMR spectrum, δ, ppm: 3.85 s (3H, OMe), 4.70 d (2H, CH, *J* 5.2 Hz), 6.93 d (2H, CH, *J* 8.9 Hz), 7.35–7.52 m (5H, CH), 7.60 d (2H, CH, *J* 8.9 Hz), 7.89–8.00 m (4H, CH), 9.75 t (1H, NH, *J* 5.8 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 478 M^+ (10.1). Found, %: N 11.35; S 6.55. $C_{24}H_{19}ClN_4O_3S$. Calculated, %: N 11.72; S 6.69. *M* 478.95.

5-(4-Methoxybenzoylimino)-*N*-phenyl-2-(4-chlorophenyl)-2,5-dihydro-1,2,3-thiadiazol-4-carboxamide (IVu). Yield 64%, mp 244°C. ^{1}H NMR spectrum, δ, ppm: 3.89 s (3H, OMe), 7.11–7.21 m (3H, CH), 7.44 t (2H, CH, *J* 8.4 Hz), 7.62 d (2H, CH, *J* 9.1 Hz), 7.79 d (2H, CH, *J* 8.7 Hz), 7.93 d (2H, CH, *J* 8.3 Hz), 8.23 d (2H, CH, *J* 8.6 Hz), 11.35 s (1H, NH). Mass spectrum, *m*/*z* (I_{rel}, %): 464 M^+ (16.9). Found, %: N 12.01; S 6.75. $C_{23}H_{17}ClN_4O_3S$. Calculated, %: N 12.07; S 6.89. *M* 464.93.

***N*-Phenyl-2,5-bis(4-chlorophenyl)-2,5-dihydro-1,2,3-thiadiazol-4-carboxamide (IVv).** Yield 69%, mp 271°C. ^{1}H NMR spectrum, δ, ppm: 7.24 t (1H, CH, *J* 8.3 Hz), 7.44 t (2H, CH, *J* 8.3 Hz), 7.64–7.70 m (4H, CH), 7.81 d (2H, CH, *J* 7.4 Hz), 7.98 d (2H, CH, *J* 9.3 Hz), 8.30 t (2H, CH, *J* 8.4 Hz), 11.22 s (1H, NH). Mass spectrum, *m*/*z* (I_{rel}, %): 468 M^+ (27.1), 125 (1.1), 157 (1.9). Found, %: N 11.76; S 6.52. $C_{22}H_{14}Cl_2N_4O_2S$. Calculated, %: N 11.97; S 6.84. *M* 469.34.

***N*-Phenyl-5-(2-furylcarbonylimino)-2-(4-chlorophenyl)-2,5-dihydro-1,2,3-thiadiazol-4- carboxamide (IVw)** was prepared by procedure *b*. Yield 57%, mp 260°C. ^{1}H NMR spectrum, δ, ppm: 6.86 d.d (1H, CH, *J* 3.5, *J* 1.5 Hz), 7.22 t (1H, CH, *J* 7.4 Hz), 7.48 t (2H, CH, *J* 7.7 Hz), 7.63 d (1H, CH, *J* 3.5 Hz), 7.71 and 8.01 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.84 d (2H, CH, *J* 7.6 Hz), 8.18 d (1H, CH, *J* 1.5 Hz), 11.57 s (1H, NH). Mass spectrum, *m*/*z* (I_{rel}, %): 424 M^+ (16.3), 125 (1.6), 157 (1.7). Found, %: N 13.24; S 7.81. $C_{20}H_{14}ClN_4O_3S$. Calculated, %: N 13.18; S 7.53. *M* 425.87.

***N*-[4-(Pyrrolidin-1-ylcarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-methoxybenzamide (IVx).** Yield 91%, mp 205°C. ^{1}H NMR spectrum, δ, ppm: 1.93–2.06 m (4H, CH), 3.54–3.71 m (4H, CH), 3.85 s (3H, OMe), 7.03 and 7.85 *AA'BB'* (4H, CH, *J* 9.1 Hz), 7.55 and 8.22 *AA'BB'* (4H, CH, *J* 8.8 Hz). Mass spectrum, *m*/*z* (I_{rel}, %): 442 M^+ (2.8). Found, %: N 12.32; S 7.24. $C_{21}H_{19}ClN_4O_3S$. Calculated, %: N 12.65; S 7.81. *M* 442.92.

***N*-[4-(Pyrrolidin-1-ylcarbonyl)-4-chloro-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene] benzamide (IVy).** Yield 82%, mp 235°C. ^{1}H NMR

spectrum, δ, ppm: 1.96–2.09 m (4H, CH). 3.55–3.68 m (4H, CH). 7.53–7.59 m (4H, CH), 7.89 and 8.27 *AA'BB'* (4H, CH, *J* 8.5 Hz). Mass spectrum, *m/z* (I_{rel}, %): 446 M^+ (1.7), 125 (1.1), 157 (1.4). Found, %: N 12.43; S 7.21. $C_{20}H_{16}Cl_2N_4O_2S$. Calculated, %: N 12.52; S 7.17. *M* 447.34.

[4-(Pyrrolidin-1-ylcarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]furan-2-carboxamide (IVz). Yield 60%, mp 218°C. ^{1}H NMR spectrum, δ, ppm: 1.84–1.99 m (4H, CH), 3.51–3.63 m (4H, CH), 6.77–6.78 m (1H, CH), 7.47–7.49 m (1H, CH), 7.65 and 7.91 *AA'BB'* (4H, CH, *J* 9.0 Hz), 8.06 s (1H, CH). Mass spectrum, *m/z* (I_{rel}, %): 402 M^+ (3.6), 125 (2.1), 157 (1.9). Found, %: N 13.63; S 7.72. $C_{18}H_{15}ClN_4O_3S$. Calculated, %: N 13.91; S 7.96. *M* 402.86.

[4-(Pyrrolidin-1-ylcarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]thiophene-2-carboxamide (IVaa). Yield 77%, mp 216°C. ^{1}H NMR spectrum, δ, ppm: 1.85–1.99 m (4H, CH), 3.54–3.64 m (4H, CH), 7.28–7.30 m (1H, CH), 7.65 and 7.91 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.99–8.01 m (2H, CH). Mass spectrum, *m/z* (I_{rel}, %): 418 M^+ (3.5), 157 (1.1). Found, %: N 13.52; S 15.27. $C_{18}H_{15}ClN_4O_2S_2$. Calculated, %: N 13.37; S 15.31. *M* 418.92.

N-[4-(Morpholinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-methoxybenzamide (IVab). Yield 72%, mp 213°C. ^{1}H NMR spectrum, δ, ppm: 3.52–3.65 m (6H, CH), 3.80–3.84 m (2H, CH), 3.88 s (3H, OMε), 7.04 and 7.85 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.56 and 8.25 *AA'BB'* (4H, CH, *J* 8.9 Hz). Mass spectrum, *m/z* (I_{rel}, %): 458 M^+ (70.1). Found, %: N 12.08; S 6.77. $C_{21}H_{19}ClN_4O_4S$. Calculated, %: N 12.21; S 6.99. *M* 458.92.

***N*-[4-(Morpholinocarbonyl)-4-chloro-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-benzamide (IVac).** Yield 77%, mp 254°C. ^{1}H NMR spectrum, δ, ppm: 3.38–3.63 m (4H, CH), 3.77–3.81 m (4H, CH), 7.65–7.69 m (4H, CH), 7.92 and 8.28 *AA'BB'* (4H, CH, *J* 8.6 Hz). Mass spectrum, *m/z* (I_{rel}, %): 462 M^+ (1.0). Found, %: N 12.04; S 6.85. $C_{20}H_{16}Cl_2N_4O_3S$. Calculated, %: N 12.09; S 6.92. *M* 463.34.

[4-(Morpholinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]furan-2-carboxamide (IVad). Yield 87%, mp 188°C. ^{1}H NMR spectrum, δ, ppm: 3.39-3.78 m (8H, CH), 6.79 s (1H, CH), 7.52–7.54 m (1H, CH), 7.67 and 7.91 *AA'BB'* (4H, CH, *J* 8.9 Hz), 8.08 s (1H, CH). Mass spectrum, *m/z* (I_{rel}, %): 418 M^+ (1.8), 125 (1.6), 157 (1.4). Found, %: N 13.14; S 7.52. $C_{18}H_{15}ClN_4O_4S$. Calculated, %: N 13.38; S 7.66. *M* 418.85.

[4-(Morpholinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]thiophene-2-carboxamide (IVae). Yield 81%, mp 226°C. ^{1}H NMR spectrum, δ, ppm: 3.44-3.46 m (2H, CH), 3.67–3.69 m (2H, CH), 3.79 s (4H, CH), 7.29–7.31 m (1H, CH), 7.88 and 7.91 *AA'BB'* (4H, m, *J* 8.9 Hz), 8.01–8.02 m (2H, CH). Mass spectrum, *m/z* (I_{rel}, %): 434 M^+ (1.1). Found, %: N 12.72; S 14.57. $C_{18}H_{15}ClN_4O_3S_2$. Calculated, %: N 12.88; S 14.74. *M* 434.92.

***N*-[4-(Piperidinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-methoxybenzamide (IVaf).** Yield 88%, mp 176°C. ^{1}H NMR spectrum, δ, ppm: 1.62–1.75 m (6H, CH), 3.35–3.39 m (2H, CH), 3.77–3.81 m (2H, CH), 3.88 s (3H, OMe), 7.02 and 8.22 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.54 and 7.85 *AA'BB'* (4H, CH, *J* 9.2 Hz). Mass spectrum, *m/z* (I_{rel}, %): 456 M^+ (2.2). Found, %: N 12.35; S 6.89. $C_{22}H_{21}ClN_4O_3S$. Calculated, %: N 12.26; S 7.02. *M* 456.95

***N*-[4-(Piperidinocarbonyl)-4-chloro-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]benzamide (IVag).** Yield 97%, mp 235°C. ^{1}H NMR spectrum, δ, ppm: 1.73-2.07 m (6H, CH), 3.53–3.57 m (2H, CH), 3.95–4.00 m (2H, CH), 7.75 and 8.06 *AA'BB'* (4H, CH, *J* 9.1 Hz), 8.44 and 8.72 *AA'BB'* (4H, CH, *J* 8.6 Hz). Mass spectrum, *m/z* (I_{rel}, %): 460 M^+ (3.8), 157 (1.3). Found, %: N 12.23; S 7.00. $C_{21}H_{18}Cl_2N_4O_2S$. Calculated, %: N 12.14; S 6.95. *M* 461.37.

[4-(Piperidinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]furan-2-carboxamide (IVah). Yield 83%, mp 187°C. ^{1}H NMR spectrum, δ, ppm: 1.63–1.73 m (6H, CH), 3.34 br.s (2H, CH), 3.76 br.s (2H, CH), 6.67–6.69 m (1H, CH), 7.41 d (1H, CH, *J* 3.1 Hz), 7.56 and 7.85 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.91 s (1H, CH). Mass spectrum, *m/z* (I_{rel}, %): 416 M^+ (1.4), 125 (2.4), 157 (1.7). Found, %: N 13.52; S 7.47. $C_{19}H_{17}ClN_4O_3S$. Calculated, %: N 13.44; S 7.69. *M* 416.88.

[4-(Piperidinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]thiophene-2-carboxamide (IVai). Yield 79%, mp 197°C. ^{1}H NMR spectrum, δ, ppm: 1.66–1.74 m (6H, CH), 3.33–3.37 m (2H, CH), 3.74–3.79 m (2H, CH), 7.20–7.24 d.d (1H, CH, *J* 3.67, *J* 1.2 Hz), 7.56 d (2H, CH, *J* 8.9 Hz), 7.84–7.87 m (3H, CH), 7.95–7.97 d.d (1H, CH, *J* 3.7, *J* 1.2 Hz). Mass spectrum, *m/z* (I_{rel}, %): 432 M^+ (1.8), 125 (2.1), 157 (2.7). Found, %: N 12.78; S 14.95. $C_{19}H_{17}ClN_4O_2S_2$. Calculated, %: N 12.94; S 14.81. *M* 432.94.

***N*-[2-(4-Methoxyphenyl)-4-(pyrrolidin-1-ylcarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-methyltoluenesulfonamide (VIa).** Yield 59%, mp 189°C. ^{1}H NMR spectrum, δ, ppm: 1.83–1.91 m (4H, CH), 2.42 s (3H, OMe), 3.37–3.50 m (4H, CH), 3.84 s (3H, OMe), 7.05 and 7.63 *AA'BB'* (4H, CH, *J* 9.2 Hz), 7.35 and 7.69 *AA'BB'* (4H, CH, *J* 8.1 Hz). Mass spectrum, *m/z* (I_{rel}, %): 458 M^+ (1.8), 121 (42.8), 153 (50.0). Found, %: N 12.36; S 14.02. $C_{21}H_{22}N_4O_4S_2$. Calculated, %: N 12.22; S 13.98. *M* 458.55.

4-Methoxy-*N*-[2-(4-methoxyphenyl)-4-(pyrrolidine-1-carbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-benzenesulfonamide (VIb). Yield 86%, mp 149°C. ^{1}H NMR spectrum, δ, ppm: 1.84–1.92 m (4H, CH), 3.35–3.53 m (4H, CH), 3.84 s (3H, OMe), 3.85 s (3H, OMe), 7.03–7.08 m (4H, CH), 7.64 and 7.77 *AA'BB'* (4H, CH, *J* 8.9 Hz). Mass spectrum, *m/z* (I_{rel}, %): 474 M^+ (1.9), 121 (29.9), 153 (60.2). Found, %: N 11.59; S 13.63. $C_{21}H_{22}N_4O_5S_2$. Calculated, %: N 11.81; S 13.51. *M* 474.55.

***N*-[2-(4-Methoxyphenyl)-4-(pyrrolidine-1-carbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-fluorobenzenesulfonamide (VIc).** Yield 82%, mp 145°C. ^{1}H NMR spectrum, δ, ppm: 1.82–1.95 m (4H, CH), 3.36–3.54 m (4H, CH), 3.85 s (3H, OMe), 7.07 and 7.66 *AA'BB'* (4H, CH, *J* 9.2 Hz), 7.29–7.36 m (2H, CH), 7.88–7.94 m (2H, CH). Mass spectrum, *m/z* (I_{rel}, %): 462 M^+ (5.2), 121 (40.4), 153 (39.9). Found, %: N 12.34; S 13.91. $C_{20}H_{19}FN_4O_4S_2$. Calculated, %: N 12.11; S 13.86. M 462.51.

***N*-[2-(4-Methoxyphenyl)-4-(piperidinocarbonyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-fluorobenzenesulfonamide (VId).** Yield 82%, mp 106°C. ^{1}H NMR spectrum, δ, ppm: 1.41–1.61 m (6H, CH), 3.21–3.25 m (2H, CH), 3.59–3.64 m (2H, CH), 3.85 s (3H, OMe), 7.05 and 7.63*AA'BB'* (4H, CH, *J* 8.9 Hz), 7.27–7.34 m (2H, CH), 7.88–7.93 m (2H, CH). Mass spectrum, *m/z* (I_{rel}, %): 476 M^+ (4.2), 121 (39.9), 153 (41.3). Found, %: N 11.51; S 13.29. $C_{21}H_{21}FN_4O_4S_2$. Calculated, %: N 11.76; S 13.61. *M* 476.54.

***N*-[4-(Pyrrolidin-1-ylcarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-toluenesulfonamide (VIe).** Yield 95%, mp 189°C. ^{1}H NMR spectrum, δ, ppm: 1.80–1.97 m (4H, CH), 3.07 s (3H, OMε), 3.37–3.51 m (4H, CH), 7.38 and 7.54 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.71–7.77 m (4H, CH). Mass spectrum, *m/z* (I_{rel}, %): 463 M^+ (11.2), 125 (8.1), 157 (21.6). Found, %: N 12.21; S 13.61. $C_{20}H_{19}ClN_4O_3S_2$. Calculated, %: N 12.09; S 13.82. *M* 462.97.

***N*-[4-(Pyrrolidin-1-ylcarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-fluorobenzenesulfonamide (VIf).** Yield 86%, mp 212°C. ^{1}H NMR spectrum, δ, ppm: 1.85–1.92 m (4H, CH), 3.51–3.36 m (4H, CH), 7.31–7.38 m (2H, CH), 7.55 and 7.77 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.89–7.95 m (2H, CH). Mass spectrum, *m/z* (I_{rel}, %): 466 M^+ (26.7), 125 (10.9), 157 (21.6). Found, %: N 12.07; S 13.56. $C_{19}H_{16}ClFN_4O_3S_2$. Calculated, %: N 12.02; S 13.73. *M* 466.93.

***N*-[4-(Morpholinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-fluorobenzenesulfonamide (VIg).** Yield 82%, mp 183°C. ^{1}H NMR spectrum, δ, ppm: 3.25–3.41 m (4H, CH), 3.61–3.65 m (4H, CH), 7.32–7.38 m (2H, CH), 7.55 and 7.77 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.89–7.96 m (2H, CH). Mass spectrum, *m/z* (I_{rel}, %): 482 M^+ (38.9), 125 (16.1), 157 (43.4). Found, %: N 11.45; S 13.18. $C_{19}H_{16}ClFN_4O_4S_2$. Calculated, %: N 11.59; S 13.25. *M* 482.93.

***N*-[4-(Piperidinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-toluenesulfonamide (VIh).** Yield 98%, mp 179°C. ^{1}H NMR spectrum, δ, ppm: 1.39 br.s (2H, CH), 1.58–1.62 m (4H, CH), 2.42 s (3H, OMe), 3.19–3.24 m (2H, CH), 3.59–3.63 m (2H, CH), 7.35 d (2H, CH, *J* 7.9 Hz), 7.54 d (2H, CH, *J* 9.1 Hz), 7.71-7.76 m (4H, CH). Mass spectrum, *m/z* (I_{rel}, %): 476 M^+ (45.5), 125 (5.6), 157 (18.6). Found, %: N 11.82; S 13.51. $C_{21}H_{21}ClN_4O_3S_2$. Calculated, %: N 11.76; S 13.45. M 477.00.

***N*-[4-(Piperidinocarbonyl)-2-(4-chlorophenyl)-2*H*-1,2,3-thiadiazol-5-ylidene]-4-fluorobenzenesulfonamide (VIi).** Yield 78%, mp 207°C. ^{1}H NMR spectrum, δ, ppm: 1.38–1.68 m (6H, CH), 3.20–3.25 m (2H, CH), 3.58–3.64 m (2H, CH), 7.29–7.37 m (2H, CH), 7.55 and 7.75 *AA'BB'* (4H, CH, *J* 8.9 Hz), 7.89–7.94 m (2H, CH). Mass spectrum, *m/z* (I_{rel}, %): 480 M^+ (1.4), 125 (8.7), 157 (18.3). Found, %: N 11.53; S 13.29. $C_{20}H_{18}ClFN_4O_3S_2$. Calculated, %: N 11.67; S 13.33. *M* 480.96.

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