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2-Halobenzoyl Chlorides in the Synthesis of [1,3,4]Thiadiazolo[3,2-*a*]quinazolin-5-one Derivatives[1]

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Abstract—New nitro and sulfonamide derivatives of [1,3,4]thiadiazolo[3,2-*a*]quinazolin-5-one have been synthesized by cyclocondensation of 1,3,4-thiadiazol-2-amines with 2-halobenzoyl chlorides containing electron-withdrawing substituents in positions *3*, *4*, and *5*. An improved procedure has been proposed for the preparation of intermediate 2-fluoro-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)benzamides containing a sulfamoyl group in the 5-position via selective acylation of 5-methyl-1,3,4-thiadiazol-2-amine with 5-chlorosulfonyl-2-fluorobenzoyl chloride, followed by sulfonylation of amines.

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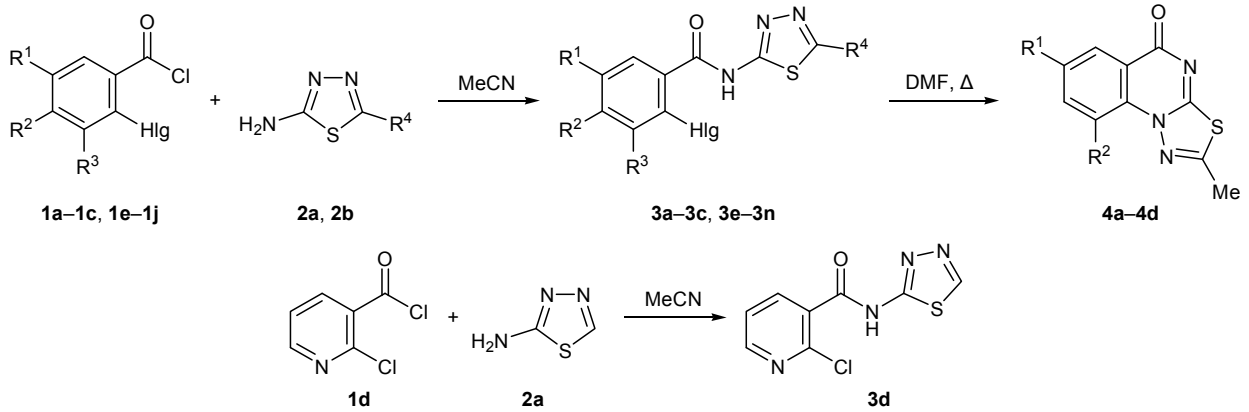
Cyclocondensation of benzoyl chlorides containing an activated halogen atom in the 2-position with heterocyclic *N*,*N*′-binucleophiles [1–6] provides a promising method for the synthesis of [*a*]- and [*b*]-fused quinazolines, as well as for indirect introduction of various functional groups into the quinazoline ring system. However, although a wide series of quinazoline derivatives have been obtained in this way, there are no published data on participation of 2-amino-1,3,4-thiadiazoles in analogous transformations.

The goal of the present work was to study how the halogen nature, as well as the nature and position of

Scheme 1.



1a–1c, **1e–1j** **2a**, **2b** **3a–3c**, **3e–3n** **4a–4d**

1d **2a** **3d**

1, Hlg = Cl: R^1 = $MpSO_2$ (Mp = morpholin-4-yl), R^3 = H, R^2 = H (**a**), Cl (**b**); R^1 = R^3 = H, R^2 = NO_2 (**c**); R^1 = NO_2, R^3 = H, R^2 = H (**e**), Cl (**f**); R^2 = R^3 = NO_2, R^1 = $MpSO_2$ (**g**), NO_2 (**h**); Hlg = F, R^2 = R^3 = H, R^1 = $MpSO_2$ (**i**), NO_2 (**j**); **2**, R^4 = H (**a**), Me (**b**); **3**, Hlg = Cl, R^4 = H: R^1 = $MpSO_2$, R^3 = H, R^2 = H (**a**), Cl (**b**); R^1 = R^3 = H, R^2 = NO_2 (**c**); R^1 = NO_2, R^3 = H, R^2 = H (**e**), Cl (**f**); R^1 = $MpSO_2$, R^2 = H, R^3 = NO_2 (**g**); R^1 = $MpSO_2$, R^2 = H, R^3 = NO_2, R^4 = Me (**h**); R^1 = R^3 = NO_2, R^2 = H, R^4 = H (**i**), Me (**j**); Hlg = F: R^1 = $MpSO_2$, R^2 = R^3 = H, R^4 = H (**k**), Me (**l**); R^1 = NO_2, R^2 = R^3 = H, R^4 = H (**m**), Me (**n**); **4**, R^1 = $MpSO_2$, R^2 = NO_2 (**a**); R^1 = R^2 = NO_2 (**b**); R^1 = $MpSO_2$, R^2 = H (**c**); R^1 = NO_2, R^2 = H (**d**).

[1] Supporting information is available at http://link.springer.com/.

other substituents, in aromatic acid chlorides **1a**–**1j** affects the possibility of their non-catalytic cyclocondensation with 1,3,4-thiadiazol-2-amines **2a** and **2b** to produce new [1,3,4]thiadiazolo[3,2-*a*]quinazolin-5-one derivatives **4** (Scheme 1). The substituents in compounds **1** were selected so that to ensure different degrees of activation of the halogen atom. The acylation of **2** with acid chlorides **1** was carried out in acetonitrile using 2 equiv of amine **2**, and the cyclocondensation of amides **3** thus obtained was accomplished by heating in boiling DMF for 3 h (see table).

The reactivity of the chlorine atom in intramolecular nucleophilic substitution was estimated in the series of amides **3a**–**3n**. In comparison to previously studied compounds structurally related to **3a** and **3b** but containing a thiazole ring in the amide moiety [7], the activation of chlorine by sulfamoyl group (morpholine-4-sulfonyl, $MpSO_2$) was no longer sufficient even if an additional electron-withdrawing substituent (chlorine atom) was present in the 4-position of the aromatic ring of **3b**. After heating for 3 h in DMF, only initial compounds **3a** and **3b** were isolated from the reaction mixtures (see table; run nos. 1, 2); they were identified by TLC and melting points.

Attempts to increase the reactivity of the chlorine atom by replacing the sulfamoyl group by endocyclic nitrogen atom (pyridine derivative **3d**) or nitro group in position *4* or *5* were also unsuccessful, and we failed to obtain cyclization products **4** from amides **3c**–**3e** (run nos. 3–5). In these cases, only the initial compounds were isolated from the reaction mixtures. The cyclocondensation was achieved only with compound **3f** possessing an additional electron-withdrawing substituent (chlorine atom) in the *meta* position to the 2-chlorine atom (run no. 6). In the ^{1}H NMR spectrum of the product mixture, the NH signal of the amide fragment had a low intensity, and the electron impact mass spectrum displayed a peak corresponding to cyclic molecular ion. Apart from initial amide **3f**, the reaction mixture contained other impurities which were difficult to identify.

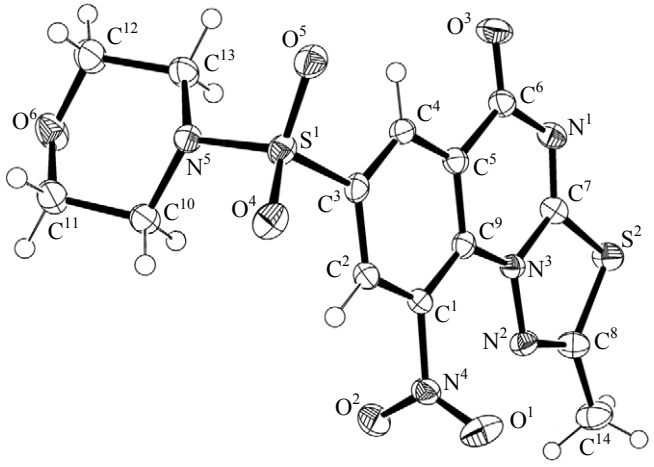
Amide **3h** containing a nitro group in the *ortho* position with respect to the 2-chlorine atom was selectively converted to cyclocondensation product **4a** in 70% yield (run no. 8). The reaction time was 40 min at 130°C. The structure of **4a** was determined by X-ray analysis (see figure). It crystallized as a 1:1 solvate with DMF.

After heating amide **3g** in boiling DMF (run no. 7), neither initial compound nor cyclization product was isolated or identified. Presumably, in this case, as well as in run no. 6, cyclic structure **4** undergoes side reactions.[2] Analogous results were obtained in run nos. 9 and 10. Quinazolinone **4b** was selectively obtained

Cyclocondensation of 5-substituted 2-halo-*N*-(1,3,4-thiadiazol-2-yl)benzamides **3a**–**3n** (Scheme 1)

Run no.	Initial amide	Product	Yield, %
1	**3a**	**3a**	–[a]
2	**3b**	**3b**	–[a]
3	**3c**	**3c**	–[a]
4	**3d**	**3d**	–[a]
5	**3e**	**3e**	–[a]
6	**3f**	–	–[b]
7	**3g**	–	–[c, d]
8	**3h**	**4a**	70[d]
9	**3i**	–	–[b, d]
10	**3j**	**4b**	74[e]
11	**3k**	–	–[b]
12	**3l**	**4c**	53
13	**3m**	–	–[b]
14	**3n**	**4d**	58

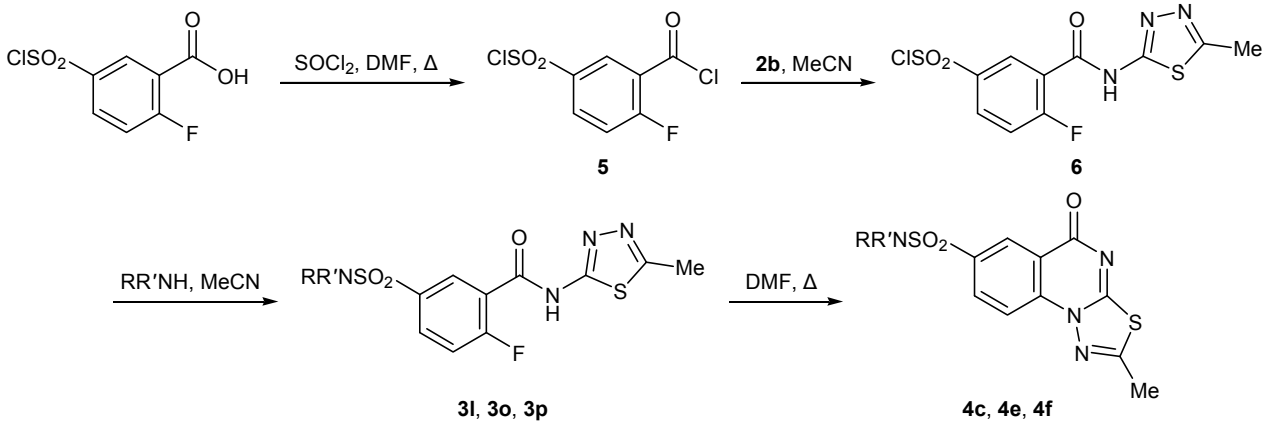
[a] Only the initial amide was isolated from the reaction mixture.
[b] In the ^{1}H NMR spectrum, the NH signal intensity decreased, indicating replacement of the halogen atom, but the product structure did not correspond to formula **4.**
[c] Neither product nor initial compound was isolated.
[d] Reaction time 40 min, temperature 130°C.
[e] Reaction time 15 min, temperature 130°C.



Structure of the molecule of 2-methyl-7-(morpholine-4-sulfonyl)-9-nitro-5*H*-[1,3,4]thiadiazolo[3,2-*a*]quinazolin-5-one (**4a**) according to the X-ray diffraction data.

[2] The nature of these side reactions is the subject of a separate study, and it is not discussed here.

Scheme 2.



3l, **4c**, RR′N = morpholin-4-yl; **3o**, **4e**, RR′N = piperidin-1-yl; **3p**, **4f**, R = R′ = H.

only from amide **3j**. The reaction with **3j** was shorter than with **3h** due to stronger activation of the chlorine atom in the former. As in the above cases, the structure of the product obtained from amide **3i** did not correspond to **4**. However, its ^{1}H NMR spectrum lacked amide NH signal, and no molecular ion of **3i** was observed in its electron impact spectrum, which indicated replacement of the chlorine atom. Thus, the presence of a methyl group in the 1,3,4-thiadiazole fragment prevents further transformations of cyclic structure **4** (R = Me).

The 2-fluorine atom in amides **3** was much more active in the examined nucleophilic substitution reactions (run nos. 11–14), and the presence of three electron-withdrawing groups in the aromatic ring is not necessary. We succeeded in obtaining target quinazolinones in run nos. 12 and 14. Unlike the chlorine atom in **3a** and **3e**, the activation of fluorine in amides **3l** and **3n** by both sulfamoyl and nitro group in the *para* position is sufficient to ensure cyclocondensation. In run nos. 11 and 13, the reactions were accompanied by further transformations of cyclization products **4**. In the ^{1}H NMR spectra of the products we observed no NH signals typical of initial amides **3k** and **3m**, and signals from the aromatic protons changed their shape due to disappearance of H–F coupling as a result of substitution of the fluorine atom.

Successful synthesis of quinazolinone **4c** from amide **3l** opens prospects of preparing a wide series of analogous sulfonamide derivatives. The procedure for the synthesis of initial compounds **3** [7] can be improved following the reaction sequence shown in Scheme 2. In this case, the yield of sulfonyl chloride **6** was 93%, and compounds **4e** and **4f** were isolated in 51 and 36% yield, respectively. The structure of **4e** and **4f** was confirmed by IR, ^{1}H NMR, and mass spectra.

The results of the present study are consistent with those discussed previously [7] for analogous cyclocondensations of 2-halobenzoyl chlorides with 2-aminothiazoles. In these nucleophilic substitution reactions, the fluorine atom is a better nucleofuge than chlorine. The yield of quinazolinones **4** increases with increase in the overall electron-withdrawing power of substituents in initial benzamides **3**. Selective formation of quinazolinones **4** is observed only in reactions of amides **3** derived from 5-methyl-1,3,4-thiadiazol-2-amine (**2b**). Presumably, this is the reason why no data have been reported on reactions of compounds like **1** with 1,3,4-thiadiazol-2-amine (**2a**).

EXPERIMENTAL

The ^{1}H NMR spectra were recorded on Bruker DRX-400 (400 MHz) and DRX-500 (500 MHz) spectrometers, and the ^{13}C NMR spectra were measured on a Bruker DRX-500 spectrometer (125 MHz); DMSO-d_6 was used as solvent, and tetramethylsilane, as internal standard. The IR spectra were recorded on a Perkin Elmer RX-1 spectrometer from samples dispersed in mineral oil. The mass spectra (electron impact, 70 eV) were obtained on a Finnigan MAT Incos 50 instrument with direct sample admission into the ion source (ion source temperature 100–220°C), as well as with a Shimadzu Prominence LCMS-2020 HPLC/MS system (Shim-pack XR-ODS II column; eluent acetonitrile–0.1% AcOH for compounds **3** or acetonitrile–DMSO for **4**; temperature 40°C).

X-Ray analysis of compound 4a. The X-ray diffraction data for compound **4a** were obtained at 120 K

on a Smart APEX2 CCD diffractometer (Mo K_α radiation, λ 0.71073 Å; graphite monochromator; ω-scanning, 2θ < 52°]. Monoclinic crystals, 1:1 solvate with DMF, $C_{14}H_{13}N_5O_6S_2 \cdot C_3H_7NO$; unit cell parameters: a = 15.3233(8), b = 12.5903(7), c = 22.5104(12) Å; β = 102.7170(10)°; V = 4236.3(4) Å^3; Z = 8; space group $C2/c$; μ = 0.305 mm^{-1}; d_{calc} = 1.519 g/cm^3. Intensities of 26206 reflections were measured and processed by SAINT and SADABS implemented in APEX2 [8]. The structure was solved by the direct method and was refined against F^2_{hkl} by the full-matrix least-squares method in anisotropic approximation for non-hydrogen atoms. Hydrogen atoms were placed in geometrically calculated positions and were refined according to the riding model. The refinement procedure involved 4146 independent reflections (R_{int} = 0.0340). Final divergence factors: wR_2 = 0.1009 (all independent reflections), R_1 = 0.0366 [3528 reflections with $I > 2\sigma(I)$]. All calculations were performed using SHELXTL [9] on an IBM PC AT. The X-ray diffraction data for compound **4a** were deposited to the Cambridge Crystallographic Data Centre (CCDC entry no. 1562900).

Initial compounds **1** and **5** were synthesized according to standard procedures for the preparation of acid chlorides [10], by treatment of the corresponding acids with thionyl chloride in the presence of a catalytic amount DMF, and were immediately subjected to further transformations.

2-Chloro-5-(morpholine-4-sulfonyl)-*N*-(1,3,4-thiadiazol-2-yl)benzamide (3a). Amine **2a**, 0.312 g (3.085 mmol), was added at room temperature to a solution of 0.5 g (1.542 mmol) of benzoyl chloride **1a** in 5 mL of acetonitrile. The mixture was stirred for 15 min and diluted with 40 mL of water, and the precipitate was filtered off and purified by recrystallization from DMF–water (1:2). Yield 0.407 g (68%), white crystals, mp 229–232.5°C. IR spectrum, ν, cm^{-1}: 3232, 3131 (N–H), 1689 (C=O), 1590 (C=C$_{arom}$), 1547 (δN–H), 1354, 1306, 1172 (SO_2), 1263, 1222, 1141, 1114, 1072, 1052, 1031, 949, 910, 840, 807, 778, 724, 691, 670, 642, 606. ^{1}H NMR spectrum (500 MHz), δ, ppm (J, Hz): 2.96 t [4H, N(CH$_2$)$_2$, ^3J = 4.7], 3.65 t [4H, O(CH$_2$)$_2$, ^3J = 4.7], 7.89 m (2H, 3-H, 4-H), 8.07 d (1H, 6-H, ^4J = 1.8), 9.29 s (1H, 5′-H), 13.29–13.43 br.s (1H, CONH). Mass spectrum, m/z (I_{rel}, %): 353 (15.3) [M – Cl]$^+$, 345 (7.0), 290 (7.9), 289 (5.4), 288 (20.0), 253 (5.0), 241 (38.2), 240 (14.4), 239 (100), 230 (7.0), 223 (8.7), 205 (8.8), 203 (24.1), 203 (14.6), 141 (7.2), 140 (13.2), 139 (23.7), 138 (33.4), 128 (6.4), 112 (11.8), 111 (7.9), 110 (31.0), 86 (59.9), 75 (21.22), 74 (6.0), 56 (58.2), 54 (5.0), 45 (12.8), 42 (6.3), 29 (9.0), 28 (20.8), 18 (7.2), 16 (15.5), 14 (25.1). Found, %: C 40.03; H 3.36; N 14.34. $C_{13}H_{13}ClN_4O_4S_2$. Calculated, %: C 40.15; H 3.37; N 14.41. M 388.85.

Compounds **3b**–**3n** were synthesized in a similar way.

2,4-Dichloro-5-(morpholine-4-sulfonyl)-*N*-(1,3,4-thiadiazol-2-yl)benzamide (3b). Yield 0.441 g (75%), white crystals, mp 138–142°C. IR spectrum, ν, cm^{-1}: 3164, 3098, 3081 (N–H), 3059 (C–H$_{arom}$), 1699, 1680, 1672 (C=O, C=N), 1564 (δNH), 1327, 1160 (SO_2), 1297, 1260, 1112, 1086, 1066, 1052, 952, 908, 842, 758, 714, 680, 589. ^{1}NMR spectrum (500 MHz), δ, ppm (J, Hz): 3.24 t [4H, N(CH$_2$)$_2$, ^3J = 4.7], 3.63 t [4H, O(CH$_2$)$_2$, ^3J = 4.7], 8.13 s (1H, 3-H), 8.28 s (1H, 6-H), 9.29 s (1H, 5′-H), 13.00–13.67 br.s (1H, CONH). Mass spectrum, m/z (I_{rel}, %): 389 (2.8) [M – Cl]$^+$, 387 (6.5), 324 (9.1), 323 (5.1), 322 (13.7), 287 (9.4), 277 (10.1), 276 (6.5), 275 (49.8), 273 (72.8), 264 (5.5), 245 (6.2), 239 (14.0), 237 (19.6), 203 (5.0), 189 (6.5), 175 (8.0), 174 (25.2), 173 (14.2), 172 (38.4), 147 (6.3), 146 (19.0), 145 (10.4), 144 (24.3), 138 (5.8), 137 (5.7), 128 (5.2), 111 (7.4), 110 (6.2), 109 (18.0), 87 (6.3), 86 (83.5), 84 (20.5), 75 (5.4), 74 (13.7), 57 (7.8), 56 (100), 54 (8.1), 45 (19.0), 42 (10.3), 41 (6.3), 32 (5.3), 30 (6.0), 29 (14.5), 28 (36.3), 27 (7.7), 16 (9.4), 14 (16.6). Found, %: C 37.03; H 2.83; N 13.18. $C_{13}H_{12}Cl_2N_4O_4S_2$. Calculated, %: C 36.89; H 2.86; N 13.24. M 423.29.

2-Chloro-4-nitro-*N*-(1,3,4-thiadiazol-2-yl)benzamide (3c). Yield 0.387 g (60%), white crystals, mp 263–266°C. IR spectrum, ν, cm^{-1}: 3137, 3098 (N–H), 1685 (C=O), 1540, 1517 (NO_2, δNH), 1364, 1320 (NO_2), 1258, 1228, 1134, 1115, 1053, 1034, 918, 894, 864, 830, 786, 767, 753, 733, 683, 667. ^{1}H NMR spectrum (500 MHz), δ, ppm (J, Hz): 8.00 d (1H, 6-H, ^3J = 8.4), 8.32 d.d (1H, 5-H, ^3J = 8.4, ^4J = 2.2), 8.44 d (1H, 3-H, ^4J = 2.2), 9.31 s (1H, 5′-H), 13.50 br.s (1H, CONH). Mass spectrum, m/z (I_{rel}, %): 286 (11.6) [M]$^+$, 285 (4.9), 284 (29.9) [M]$^+$, 256 (12.2), 251 (6.5), 250 (13.8), 249 (96.0), 222 (5.1), 221 (42.2), 186 (36.6), 185 (9.0), 184 (100), 154 (9.6), 140 (15.1), 138 (43.0), 128 (8.4), 126 (19.1), 112 (7.1), 110 (18.2), 75 (15.2), 74 (8.2), 45 (5.8). Found, %: C 38.06; H 1.78; N 19.76. $C_9H_5ClN_4O_3S$. Calculated, %: C 37.97; H 1.77; N 19.68. M 284.68.

2-Chloro-*N*-(1,3,4-thiadiazol-2-yl)pyridine-3-carboxamide (3d). Yield 0.320 g (47%), white crystals, mp 215–217°C. IR spectrum, ν, cm^{-1}: 3395, 3345, 3147, 3100 (N–H), 3070 (C–H$_{arom}$), 1680 (C=O), 1645

(C=N), 1616, 1581 (C–C_{arom}), 1562, 1536 (δNH), 1400, 1330, 1221, 1210, 1154, 1130, 1076, 1058, 1034, 986, 916, 890, 848, 814, 784, 758, 726, 699, 651, 613. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 7.59 d.d (1H, 5-H, $^3J_{5,6}$ = 4.9, $^3J_{5,4}$ = 7.8), 8.18 d.d (1H, 4-H, ^3J = 7.8, ^4J = 1.8), 8.58 d.d (1H, 6-H, ^3J = 4.9, ^4J = 1.8), 9.29 s (1H, 5′-H), 13.33 br.s (1H, CONH). Mass spectrum, *m*/*z* (I_{rel}, %): 243 (0.6) $[M + 2H]^+$, 242 (5.2) $[M + H]^+$, 240 (14.3) $[M]^+$, 206 (6.8), 205 (60.2), 177 (25.9), 142 (32.4), 140 (100), 114 (21.6), 112 (67.1), 85 (10.7), 77 (7.9), 76 (34.3), 51 (7.7), 50 (9.9), 45 (19.1). Found, %: C 40.08; H 2.10; N 23.20. $C_8H_5ClN_4OS$. Calculated, %: C 39.92; H 2.09; N 23.28. *M* 240.67.

2-Chloro-5-nitro-*N*-(1,3,4-thiadiazol-2-yl)benzamide (3e). Yield 0.413 g (64%), white crystals, mp 244–246°C. IR spectrum, ν, cm^{-1}: 3345, 3101 (N–H), 3070 (C–H_{arom}), 1685 (C=O), 1646 (C=N), 1608, 1574 (C=C_{arom}), 1543, 1521 (NO_2, δNH), 1314 (NO_2), 1269, 1258, 1235, 1218, 1146, 1134, 1114, 1058, 1030, 928, 907, 859, 850, 834, 807, 759, 738, 711, 661, 618, 579. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 7.91 d (1H, 3-H, ^3J = 8.8), 8.39 d.d (1H, 4-H, ^3J = 8.8, ^4J = 2.7), 8.64 d (1H, 6-H, ^4J = 2.7), 9.30 s (1H, 5′-H), 13.42 br.s (1H, CONH). Mass spectrum, *m*/*z* (I_{rel}, %): 286 (4.6) $[M]^+$, 284 (11.9) $[M]^+$, 256 (6.0), 250 (7.4), 249 (60.7), 221 (24.6), 186 (33.6), 185 (8.4), 184 (100), 140 (18.1), 138 (53.2), 128 (7.2), 126 (5.8), 112 (11.1), 110 (35.9), 109 (5.1), 75 (25.2), 74 (16.6), 45 (14.4). Found, %: C 37.85; H 1.76; N 19.78. $C_9H_5ClN_4O_3S$. Calculated, %: C 37.97; H 1.77; N 19.68. *M* 284.68.

2,4-Dichloro-5-nitro-*N*-(1,3,4-thiadiazol-2-yl)-benzamide (3f). Yield 0.398 g (63%), white crystals, mp 247–249°C. IR spectrum, ν, cm^{-1}: 3094 (N–H, C–H_{arom}), 1682 (C=O), 1642 (C=N), 1600 (C=C_{arom}), 1552, 1526 (NO_2, δNH), 1354, 1337, 1317 (NO_2), 1288, 1270, 1241, 1218, 1154, 1125, 1091, 1036, 958, 922, 878, 860, 833, 773, 746, 713, 625. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 8.24 s (1H, 3-H), 8.58 s (1H, 6-H), 9.30 s (1H, 5′- H), 13.47 br.s (1H, CONH). Mass spectrum, *m*/*z* (I_{rel}, %): 320 (12.8) $[M]^+$, 318 (18.5) $[M]^+$, 292 (9.4), 290 (13.5), 286 (7.7), 285 (60.9), 284 (21.4), 283 (98.5), 257 (19.2), 256 (6.8), 255 (51.8), 249 (9.2), 237 (14.1), 222 (26.1), 221 (15.3), 220 (99.2), 218 (99.7), 186 (6.2), 184 (18.1), 176 (18.0), 175 (9.8), 174 (100), 173 (16.7), 172 (98.1), 162 (8.6), 160 (14.7), 148 (8.1), 147 (5.3), 146 (53.5), 145 (11.1), 144 (93.1), 143 (8.2), 138 (10.3), 137 (8.6), 132 (6.4), 128 (27.8), 111 (17.1), 110 (15.8), 109 (55.8), 108 (15.7), 97 (10.5), 87 (5.1), 86 (7.9), 84 (11.3), 75 (8.1), 74 (38.8), 73 (15.6), 69 (5.1), 59 (7.3), 58 (6.0), 46 (12.6), 45 (55.3), 32 (7.4), 30 (21.6), 28 (10.8), 18 (5.0), 14 (5.8). Found, %: C 33.78; H 1.27; N 17.50. $C_9H_4Cl_2N_4O_3S$. Calculated, %: C 33.87; H 1.26; N 17.56. *M* 319.12.

2-Chloro-5-(morpholine-4-sulfonyl)-3-nitro-*N*-(1,3,4-thiadiazol-2-yl)benzamide (3g). Yield 0.495 g (84%), yellow crystals, mp 214–216°C. IR spectrum, ν, cm^{-1}: 3389, 3249, 3196 (N–H), 1690 (C=O), 1656, 1549 (NO_2, δNH), 1364, 1350, 1313 (SO_2, NO_2), 1263, 1219, 1177, 1162 (SO_2), 1129, 1103, 1075, 1060, 1036, 947, 902, 892, 845, 832, 811, 772, 754, 719, 692, 634, 602. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 3.05 t [4H, N(CH_2)$_2$, ^3J = 4.6], 3.66 t [4H, O(CH_2)$_2$, ^3J = 4.6], 8.44 d (1H, 6-H, ^4J = 1.9), 8.56 d (1H, 4-H, ^4J = 1.9), 9.31 s (1H, 5′-H), 13.46 br.s (1H, CONH). Mass spectrum (ESI): *m*/*z* 432 (100) $[M]^+$, 396 (26.7). Found, %: C 36.09; H 2.80; N 16.19. $C_{13}H_{12}ClN_5O_6S_2$. Calculated, %: C 35.99; H 2.79; N 16.14. *M* 433.85.

2-Chloro-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(morpholine-4-sulfonyl)-3-nitrobenzamide (3h). Yield 0.532 g (88%), yellow crystals, mp 233–235°C. IR spectrum, ν, cm^{-1}: 3373, 3271, 3161 (N–H), 3066 (C–H_{arom}), 1693 (C=O), 1656 (C=N), 1579 (C=C_{arom}), 1545 (NO_2, δNH), 1358, 1329 (SO_2, NO_2), 1294, 1262, 1207, 1176, 1166 (SO_2), 1124, 1111, 1074, 1056, 946, 902, 894, 853, 823, 784, 768, 726, 694, 648, 624, 593, 580. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.68 s (3H, CH_3), 3.05 t [4H, N(CH_2)$_2$, ^3J = 4.6], 3.66 t [4H, O(CH_2)$_2$, ^3J = 4.6], 8.41 d (1H, 6-H, ^4J = 2.1), 8.54 d (1H, 4-H, ^4J = 2.1), 13.29 br.s (1H, CONH). Mass spectrum, *m*/*z* (I_{rel}, %): 412 (1.4) $[M - Cl]^+$, 411 (1.7), 347 (6.5), 300 (21.4), 299 (8.7), 298 (54.6), 291 (20.2), 287 (9.3), 276 (10.1), 262 (15.6), 261 (15.1), 252 (14.0), 248 (7.6), 216 (13.3), 215 (18.1), 200 (5.3), 187 (7.3), 184 (8.0), 183 (10.5), 157 (9.2), 142 (30.2), 138 (6.3), 137 (6.8), 116 (6.3), 115 (64.5), 111 (11.1), 110 (5.6), 109 (25.9), 99 (5.2), 88 (5.7), 87 (8.4), 86 (100), 84 (6.1), 74 (33.8), 59 (13.7), 56 (36.6), 41 (8.4), 40 (5.8), 38 (6.0), 36 (17.0), 28 (12.2), 18 (15.6), 16 (10.4), 14 (20.4). Found, %: C 37.65; H 3.14; N 15.69. $C_{14}H_{14}ClN_5O_6S_2$. Calculated, %: C 37.54; H 3.15; N 15.64. *M* 447.87.

2-Chloro-3,5-dinitro-*N*-(1,3,4-thiadiazol-2-yl)-benzamide (3i). Yield 0.409 g (66%), white crystals, mp 202–205°C. IR spectrum, ν, cm^{-1}: 3355, 3168 (N–H), 3080 (C–H_{arom}), 1675 (C=O), 1608, 1551, 1538 (δNH, NO_2), 1377, 1355, 1325 (NO_2), 1224, 1176, 1062, 1045, 953, 932, 910, 885, 842, 813, 790, 777,

756, 720. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 8.96 d (1H, 6-H, ^4J = 2.6), 9.10 d (1H, 4-H, ^4J = 2.6), 9.32 s (1H, 5′-H), 13.54 br.s (1H, CONH). Mass spectrum, *m*/*z* (I_{rel}, %): 331 (5.8) $[M]^+$, 329 (14.5) $[M]^+$, 295 (9.6), 294 (74.2), 267 (7.0), 266 (63.1), 248 (8.0), 231 (25.2), 230 (7.1), 229 (77.7), 185 (26.4), 184 (8.7), 183 (80.7), 139 (10.4), 137 (35.9), 128 (81.3), 111 (32.9), 110 (10.4), 109 (100), 101 (5.4), 99 (5.8), 97 (12.3), 88 (8.0), 87 (5.4), 86 (12.7), 85 (5.5), 75 (9.6), 74 (69.4), 69 (10.1), 62 (7.6), 61 (5.8), 59 (7.1), 46 (18.4), 45 (46.4), 32 (5.9), 30 (34.9), 16 (5.0), 14 (9.7). Found, %: C 32.91; H 1.23; N 21.14. $C_9H_4ClN_5O_5S$. Calculated, %: C 32.79; H 1.22; N 21.24. *M* 329.68.

2-Chloro-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-3,5-dinitrobenzamide (3j). Yield 0.465 g (72%), light yellow crystals; it was impossible to determine its melting point because of fast cyclization. IR spectrum, ν, cm^{-1}: 3148 (N–H), 3076 (C–H_{arom}), 1690 (C=O), 1612, 1589, 1537 (δNH, NO_2), 1348 (NO_2), 1328, 1316, 1263, 1202, 1164, 1088, 1059, 948, 930, 845, 771, 754, 734, 720, 693. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.67 s (3H, CH_3), 8.93 d (1H, 6-H, ^4J = 2.5), 9.09 d (1H, 4-H, ^4J = 2.5), 13.45 br.s (1H, CONH). Mass spectrum (ESI), *m*/*z* (I_{rel}, %): 344 (47.3) $[M]^+$, 343 (16.7), 342 (100) $[M]^+$, 306 (24.9), 113 (7.9). Found, %: C 34.81; H 1.75; N 20.48. $C_{10}H_6ClN_5O_5S$. Calculated, %: C 34.94; H 1.76; N 20.38. *M* 343.70.

2-Fluoro-5-(morpholine-4-sulfonyl)-*N*-(1,3,4-thiadiazol-2-yl)benzamide (3k). Yield 0.484 g (80%), white crystals, mp 208–209.5°C. IR spectrum, ν, cm^{-1}: 3232, 3167, 3085 (N–H), 1689 (C=O), 1608, 1491 (C=C_{arom}), 1547 (δNH), 1352, 1322, 1171 (SO_2), 1297, 1274, 1261, 1230, 1113, 1080, 1070, 1043, 979, 945, 908, 853, 836, 744, 714, 689, 654, 625, 610, 564, 552. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.95 t [4H, N(CH_2)$_2$, ^3J = 4.6], 3.65 t [4H, O(CH_2)$_2$, ^3J = 4.6], 7.69 pseudo-triplet (1H, 3-H, $^3J_{HH}$ = $^3J_{HF}$ = 9.2), 8.00 d.d.d (1H, 4-H, $^3J_{HH}$ = 9.2, $^4J_{HF}$ = 4.7, $^4J_{HH}$ = 2.4), 8.14 d.d (1H, 6-H, $^4J_{HF}$ = 6.3, $^4J_{HH}$ = 2.4), 9.28 s (1H, 5′-H), 12.76 br.s (1H, CONH). Mass spectrum, *m*/*z* (I_{rel}, %): 372 (0.8) $[M]^+$, 329 (8.2), 287 (10.4), 272 (11.81), 237 (5.3), 225 (5.0), 224 (13.5), 223 (100), 214 (8.3), 187 (16.7), 123 (9.1), 122 (16.0), 94 (14.2), 86 (15.7), 56 (10.6). Found, %: C 42.09; H 3.53; N 14.98. $C_{13}H_{13}FN_4O_4S_2$. Calculated, %: C 41.93; H 3.52; N 15.04. *M* 372.40.

2-Fluoro-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(morpholine-4-sulfonyl)benzamide (3l). Yield 0.406 g (65%), 0.333 g (58%; as described below for the synthesis of **3o**); white crystals, mp 227–229°C. IR spectrum, ν, cm^{-1}: 3350, 3328 (N–H), 1674 (C=O), 1610, 1578 (C=C_{arom}), 1539 (δNH), 1349, 1332, 1309, 1171 (SO_2), 1263, 1233, 1136, 1125, 1110, 1073, 944, 918, 836, 796, 771, 737, 716, 696, 670, 648, 622, 564. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.66 s (3H, CH_3), 2.95 t [4H, N(CH_2)$_2$, ^3J = 4.6], 3.65 t [4H, O(CH_2)$_2$, ^3J = 4.6], 7.67 pseudo-triplet (1H, 3-H, $^3J_{HH}$ = $^3J_{HF}$ = 9.2), 7.99 d.d.d (1H, 4-H, $^3J_{HH}$ = 9.2, $^4J_{HF}$ = 4.7, $^4J_{HH}$ = 2.4), 8.13 d.d (1H, 6-H, $^4J_{HF}$ = 6.3, $^4J_{HH}$ = 2.4), 13.22 br.s (1H, CONH). Mass spectrum (ESI): *m*/*z* 387 (I_{rel} 100%) $[M]^+$. Found, %: C 43.40; H 3.89; N 14.55. $C_{14}H_{15}FN_4O_4S_2$. Calculated, %: C 43.51; H 3.91; N 14.50. *M* 386.42.

2-Fluoro-5-nitro-*N*-(1,3,4-thiadiazol-2-yl)benzamide (3m). Yield 0.480 g (73%), white crystals, mp 235–237°C. IR spectrum, ν, cm^{-1}: 3129, 3107 (N–H), 3078 (C–H_{arom}), 1689 (C=O), 1628 (C=N), 1586, 1489 (C=C_{arom}), 1542, 1526 (NO_2, δNH), 1412, 1355, 1328 (NO_2), 1313, 1270, 1256, 1244, 1222, 1137, 1120, 1080, 1033, 937, 920, 864, 844, 824, 778, 758, 744, 724, 672, 636. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 7.70 pseudo-triplet (1H, 3-H, $^3J_{HH}$ = $^3J_{HF}$ = 9.2), 8.51 d.d.d (1H, 4-H, $^3J_{HH}$ = 9.2, $^4J_{HF}$ = 4.4, $^4J_{HH}$ = 2.8), 8.69 d.d (1H, 6-H, $^4J_{HF}$ = 6.2, $^4J_{HH}$ = 2.8), 9.28 s (1H, 5′-H), 13.43 br.s (1H, CONH). Mass spectrum, *m*/*z* (I_{rel}, %): 268 (19.3) $[M]^+$, 249 (23.5), 240 (28.2), 221 (11.7), 169 (7.6), 168 (100), 123 (6.3), 122 (86.2), 110 (5.5), 94 (65.3), 74 (6.1), 68 (6.2), 45 (6.8). Found, %: C 40.44; H 1.89; N 20.79. $C_9H_5FN_4O_3S$. Calculated, %: C 40.30; H 1.88; N 20.89. *M* 268.22.

2-Fluoro-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-5-nitrobenzamide (3n). Yield 0.538 g (78%), white crystals, mp 194–196°C. IR spectrum, ν, cm^{-1}: 3160, 3120 (N–H), 3081 (C–H_{arom}), 1683, 1674 (C=O), 1630 (C=N), 1586 (C=C_{arom}), 1565, 1526 (NO_2, δNH), 1352, 1319 (NO_2), 1261, 1205, 1122, 1092, 1072, 934, 913, 865, 847, 837, 746, 724, 673, 649, 634, 621. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.66 s (3H, CH_3), 7.68 pseudo-triplet (1H, 3-H, $^3J_{HH}$ = $^3J_{HF}$ = 9.2), 8.50 d.d.d (1H, 4-H, $^3J_{HH}$ = 9.2, $^4J_{HF}$ = 4.4, $^4J_{HH}$ = 2.9), 8.69 d.d (1H, 6-H, $^4J_{HF}$ = 6.2, $^4J_{HH}$ = 2.9), 13.33 br.s (1H, CONH). Mass spectrum (ESI), *m*/*z* (I_{rel}, %): 283 (47.9) $[M]^+$, 243 (53.6), 143 (100). Found, %: C 42.64; H 2.51; N 19.77. $C_{10}H_7FN_4O_3S$. Calculated, %: C 42.55; H 2.50; N 19.85. *M* 282.25.

2-Fluoro-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(piperidine-1-sulfonyl)benzamide (3o). Piperidine,

0.29 mL (2.978 mmol), was added to a mixture of 0.5 g (1.489 mmol) of sulfonyl chloride **6** and 3 mL of an ice–water mixture. The mixture was stirred for 10 min, diluted with 10 mL of water, and acidified to pH 2–3 by adding several drops of concentrated aqueous HCl. The precipitate was filtered off and purified by recrystallization from DMF–water (1:2). Yield 0.362 g (63%), white crystals, mp 199–201°C. IR spectrum, ν, cm^{-1}: 3417, 3333, 3238, 3182 (N–H), 1676 (C=O), 1609, 1549 (δNH), 1345, 1315, 1170 (SO_2), 1280, 1262, 1209, 1131, 1108, 1086, 1053, 1027, 964, 933, 918, 835, 795, 766, 732, 671, 654, 618, 584, 574, 555. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 1.35–1.42 m (2H, 4″-H), 1.51–1.60 m (4H, 3″-H, 5″-H), 2.66 s (3H, CH_3), 2.95 t (4H, 2″-H, 6″-H, ^3J = 5.0), 7.65 pseudo-triplet (1H, 3-H, $^3J_{HH}$ = $^3J_{HF}$ = 9.2), 7.98 d.d.d (1H, 4-H, $^3J_{HH}$ = 9.2, $^4J_{HF}$ = 4.7, $^4J_{HH}$ = 2.4), 8.11 d.d (1H, 6-H, $^4J_{HF}$ = 6.2, $^4J_{HH}$ = 2.4), 13.17 br.s (1H, CONH). Mass spectrum (ESI): *m*/*z* 385 (I_{rel} 100%) $[M]^+$. Found, %: C 46.97; H 4.44; N 14.65. $C_{15}H_{17}FN_4O_3S_2$. Calculated, %: C 46.86; H 4.46; N 14.57. *M* 384.45.

2-Fluoro-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-5-sulfamoylbenzamide (3p) was synthesized in a similar way. Yield 0.442 g (94%), white crystals, mp 220–222°C. IR spectrum, ν, cm^{-1}: 3410, 3370, 3267 (N–H), 1670 (C=O), 1610, 1557 (δNH), 1322, 1157 (SO_2), 1266, 1246, 1222, 1206, 1109, 1090, 1074, 938, 852, 795, 766, 722, 696, 685, 659, 648, 598, 581, 569. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.66 m (3H, CH_3), 7.52 br.s (2H, SO_2NH_2), 7.60 pseudo-triplet (1H, 3-H, $^3J_{HH}$ = $^3J_{HF}$ = 8.9), 8.05 d.d.d (1H, 4-H, $^3J_{HH}$ = 8.9, $^4J_{HF}$ = 4.7, $^4J_{HH}$ = 2.5), 8.20 d.d (1H, 6-H, $^4J_{HF}$ = 6.5, $^4J_{HH}$ = 2.5), 13.21 br.s (1H, CONH). Mass spectrum (ESI), *m*/*z* (I_{rel}, %): 317 (100) $[M]^+$, 260 (21.7), 143 (45.0). Found, %: C 37.83; H 2.88; N 17.78. $C_{10}H_9FN_4O_3S_2$. Calculated, %: C 37.97; H 2.87; N 17.71. *M* 316.33.

2-Methyl-7-(morpholine-4-sulfonyl)-9-nitro-5*H*-[1,3,4]thiadiazolo[3,2-*a*]quinazolin-5-one (4a). A solution of 0.3 g (0.670 mmol) of compound **3h** in 3 mL of DMF was heated for 40 min at 130°C. The mixture was cooled, 2 mL of acetonitrile and 1 mL of water were added, and the precipitate was filtered off and dried. Yield 0.194 g (70%), light yellow crystals, mp 298–300°C. IR spectrum, ν, cm^{-1}: 3074 (C–H_{arom}), 1680, 1658 (C=O), 1611, 1601 (C=C_{arom}), 1559, 1548, 1533 (NO_2), 1515, 1410, 1352 (SO_2, NO_2), 1301, 1264, 1204, 1188, 1161 (SO_2), 1134, 1114, 1080, 1016, 955, 926, 908, 896, 876, 854, 799, 786, 752, 742, 722, 668, 657, 640, 612, 579. ^{1}H NMR spectrum (500 MHz), δ, ppm (*J*, Hz): 2.65 s (3H, CH_3), 3.01 t [4H, $N(CH_2)_2$, ^3J = 4.5], 3.65 t [4H, $O(CH_2)_2$, ^3J = 4.5], 8.49 d (1H, 6-H, ^4J = 1.9), 8.78 d (1H, 8-H, ^4J = 1.9). ^{13}C NMR spectrum (125 MHz), δ_C, ppm: 45.91 (2C), 65.28 (2C), 120.26, 126.57, 129.81, 130.40, 133.09, 139.31, 157.74, 162.35, 162.68, 167.92. Mass spectrum, *m*/*z* (I_{rel}, %): 411 (10.9) $[M]^+$, 368 (5.6), 354 (33.3), 348 (5.4), 347 (29.4), 327 (7.5), 325 (22.0), 293 (5.2), 292 (12.3), 291 (85.9), 277 (10.9), 276 (40.8), 263 (8.6), 262 (48.5), 261 (49.4), 216 (21.2), 215 (44.4), 187 (11.6), 116 (6.0), 95 (5.0), 88 (11.5), 87 (7.8), 86 (100), 57 (5.2), 56 (64.4). $C_{14}H_{13}N_5O_6S_2$. Calculated: *M* 411.41.

2-Methyl-7,9-dinitro-5*H*-[1,3,4]thiadiazolo-[3,2-*a*]quinazolin-5-one (4b) was synthesized in a similar way; reaction time 15 min. Yield 0.197 g (74%), light brown crystals, mp 276–278°C. IR spectrum, ν, cm^{-1}: 1658 (C=O), 1616, 1601 (C=C_{arom}), 1561, 1534, 1348 (NO_2), 1262, 1208, 1187, 1152, 1127, 1082, 1022, 954, 944, 928, 887, 830, 792, 780, 760, 738, 726, 676, 660, 616. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.65 s (3H, CH_3), 8.92 d (1H, 6-H, ^4J = 2.6), 9.29 d (1H, 8-H, ^4J = 2.6). Mass spectrum (ESI), *m*/*z* 308 (I_{rel} 100%) $[M]^+$. Found, %: C 39.24; H 1.63; N 22.72. $C_{10}H_5N_5O_5S$. Calculated, %: C 39.09; H 1.64; N 22.79. *M* 307.24.

Compounds **4c**–**3f** were synthesized in a similar way; the reaction mixtures were heated for 3 h under reflux.

2-Methyl-7-(morpholine-4-sulfonyl)-5*H*-[1,3,4]-thiadiazolo[3,2-*a*]quinazolin-5-one (4c). Yield 0.150 g (53%), white crystals, mp 283–285°C. IR spectrum, ν, cm^{-1}: 3080 (C–H_{arom}), 1658, 1649 (C=O), 1604, 1591, 1509 (C=C_{arom}), 1435, 1350, 1157 (SO_2), 1328, 1300, 1262, 1244, 1218, 1190, 1126, 1117, 1102, 1070, 1032, 1009, 951, 927, 906, 883, 853, 833, 786, 734, 699, 637, 622, 606, 579, 558. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.74 s (3H, CH_3), 2.93 t [4H, $N(CH_2)_2$, ^3J = 4.5], 3.64 t [4H, $O(CH_2)_2$, ^3J = 4.6], 8.18 d.d (1H, 8-H, ^3J = 8.7, ^4J = 1.9), 8.23 d (1H, 9-H, ^3J = 8.7), 8.36 d (1H, 6-H, ^4J = 1.9). Mass spectrum (ESI): *m*/*z* 365 (I_{rel} 100%) $[M]^+$. Found, %: C 46.05; H 3.87; N 15.24. $C_{14}H_{14}N_4O_4S_2$. Calculated, %: C 45.89; H 3.85; N 15.29. *M* 366.42.

2-Methyl-7-nitro-5*H*-[1,3,4]thiadiazolo[3,2-*a*]-quinazolin-5-one (4d). Yield 0.161 g (58%), light brown crystals, mp 249–251°C. IR spectrum, ν, cm^{-1}: 3080 (C–H_{arom}), 1656 (C=O), 1616, 1600 (C=C_{arom}), 1565, 1519, 1341 (NO_2), 1256, 1189, 1158, 1126, 1106, 1062, 1007, 921, 899, 854, 836, 786, 768, 749,

684, 662, 635. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.74 s (3H, CH_3), 8.17 d (1H, 9-H, ^3J = 9.1), 8.63 d.d (1H, 8-H, ^3J = 9.1, ^4J = 2.5), 8.76 d (1H, 6-H, ^4J = 2.5). Mass spectrum (ESI): *m*/*z* 263 (I_{rel} 100%) $[M]^+$. Found, %: C 45.65; H 2.31; N 21.46. $C_{10}H_6N_4O_3S_2$. Calculated, %: C 45.80; H 2.31; N 21.36. *M* 262.24.

2-Methyl-7-(piperidine-1-sulfonyl)-5*H*-[1,3,4]-thiadiazolo[3,2-*a*]quinazolin-5-one (4e). Yield 0.145 g (51%), white crystals, mp 240–242°C. IR spectrum, ν, cm^{-1}: 3073 (C–H_{arom}), 1661, 1651 (C=O), 1604, 1590, 1509 (C=C_{arom}), 1436, 1424, 1342, 1162, 1150 (SO_2), 1329, 1315, 1281, 1244, 1211, 1190, 1098, 1053, 1029, 1008, 928, 905, 884, 862, 834, 787, 732, 702, 638, 611, 593, 578. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 1.32–1.39 (2H, 4′-H), 1.52–1.59 (4H, 3′-H, 5′-H), 2.74 s (3H, CH_3), 2.95 t (4H, 2′-H, 6′-H, ^3J = 5.1), 8.18 d.d (1H, 8-H, ^3J = 8.7, ^4J = 1.8), 8.22 d (1H, 9-H, ^3J = 8.7), 8.35 d (1H, 6-H, ^4J = 1.8). Mass spectrum (ESI): *m*/*z* 365 (I_{rel} 100%) $[M]^+$. Found, %: C 49.25; H 4.44; N 15.42. $C_{15}H_{16}N_4O_3S_2$. Calculated, %: C 49.43; H 4.43; N 15.37. *M* 364.44.

2-Methyl-7-sulfamoyl-5*H*-[1,3,4]thiadiazolo-[3,2-*a*]quinazolin-5-one (4f). Yield 0.102 g (36%), white crystals, mp 305–308°C. IR spectrum, ν, cm^{-1}: 3227 (NH_2), 1643 (C=O), 1603, 1590 (C–C_{arom}), 1510, 1429, 1357, 1328, 1166 (SO_2), 1254, 1191, 1120, 1105, 1061, 917, 903, 838, 795, 787, 766, 669, 640, 619, 586, 566. ^{1}H NMR spectrum (400 MHz), δ, ppm (*J*, Hz): 2.73 s (3H, CH_3), 7.61 s (NH_2), 8.18 d (1H, 9-H, ^3J = 8.6), 8.26 d.d (1H, 8-H, ^3J = 8.6, ^4J = 2.0), 8.56 d (1H, 6-H, ^4J = 2.0). Mass spectrum (ESI): *m*/*z* 297 (I_{rel} 100%) $[M]^+$. Found, %: C 40.42; H 2.71; N 18.82. $C_{10}H_8N_4O_3S_2$. Calculated, %: C 40.53; H 2.72; N 18.91. *M* 296.33.

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