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Intramolecular Electrophilic Cyclization of Functional Derivatives of Unsaturated Compounds: II.* Synthesis and Transformation of *N*-{(2*Z*)-5-[(Arylsulfanyl)methyl]dihydrofuran-2(3*H*)-ylidene}-*N*-alkyl(aryl)aminium Perchlorates

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Abstract—*N*-Alkyl(aryl)amides of allylacetic acid when reacting with arylsulfenyl chlorides in acetic acid in the presence of lithium perchlorate undergo a selective cyclization to form *N*-{(2*Z*)-5-[(arylsulfanyl)methyl] dihydrofuran-2(3*H*)-ylidene}-*N*-alkyl-(aryl)aminium perchlorates. Treating of the latter with sodium acetate leads to the formation of the corresponding 5-[(arylsulfanyl)methyl]lactones, and with sodium ethylate, to 5-[(arylsulfanyl) methyl]-2-iminolactones. In reaction with secondary cycloalkylamines in the presence of water a transamidation and tetrahydrofuran ring opening occurs to afford 5-arylsulfanyl-4-hydroxypentanoic acid amides.

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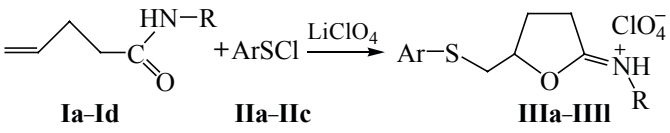
The electrophilic intramolecular cyclization (EIC) of unsaturated carboxylic acids at the treatment with sulfenyl chlorides is a convenient method of the synthesis of sulfanyl-substituted lactones [2, 3]. Yet the regularities of the cyclosulfenylation of the amides of unsaturated carboxylic acids are virtually unstudied [4, 5], although the process may take two routes involving two nucleophilic sites (N and O atoms), which may result in sulfanyl-containing lactams or lactones. The commonly adopted scheme of the formation of the lactone ring involving EIC postulates the participation of the corresponding iminium salts [6] that as a rule without isolation are subjected to hydrolysis into the target compounds. This kind intermediate compounds formerly practically were not objects of investigations in various chemical reactions except for [7] where the opening of the furanylideneiminium salt was described in the presence of collidine in an aprotic solvent. Therefore it seems reasonable to look for a model EIC reaction that would result in relatively stable iminium salts important both in the theoretical and synthetic aspects.

To this end we chose as cyclization objects N-substituted allylacetamides whose reaction with the oxidating system diphenyl disulfide–manganese(III) acetate had been successfully applied to the preparation of 5-(sulfanylmethyl)dihydro-2(3*H*)-furanones [8]. In the reaction of allylacetamides **Ia–Id** with arylsulfenyl chlorides **IIa–IIc** in acetic acid in the presence of the equimolar amount of $LiClO_4$ as "dopant" [9, 10] a region- and stereoselective intramolecular cyclization occurred at the oxygen atom of the amide group. As a result crystalline or oily *N*-alkyl(aryl)-*N*-{5-[(arylsulfanyl)methyl]dihydrofuran-2(3*H*)-ylidene}aminium perchlorates **IIIa–IIIl** formed in 67–84% yields (Scheme 1).

The structure of obtained salts **IIIa–IIIl** was confirmed by spectral data. In particular, the ^{1}H NMR spectra of these compounds contain a set of multiplets of protons of the dihydrofuran ring in the ranges 2.10–2.45, 2.40–2.70 (C^4H_2), 3.05–3.45 (C^3H_2), 5.20–5.60 (C^5H) ppm and of protons of exocyclic methylene group in the region

* For Communucation I, see [1].

Scheme 1.



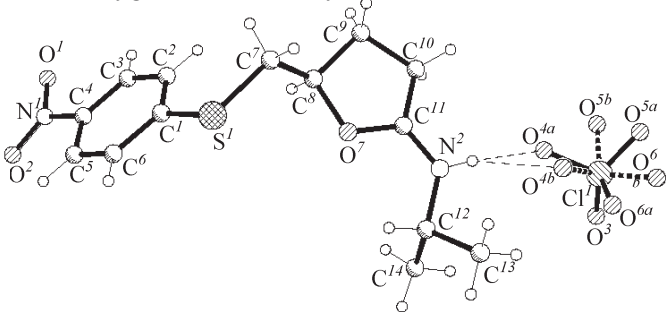
Ia–Id **IIa–IIc** **IIIa–IIIl**

I, R = Bu (**a**), *i*-Pr (**b**), Ph (**c**), 4-$MeOC_6H_4$ (**d**); **II**, Ar = C_6H_5 (**a**), 4-MeC_6H_4 (**b**), 4-$NO_2C_6H_4$ (**c**); **III**, R = Bu, Ar = C_6H_5 (**a**), 4-MeC_6H_4 (**b**), 4-$NO_2C_6H_4$ (**c**); R = *i*-Pr, Ar = C_6H_5 (**d**), 4-MeC_6H_4 (**e**), 4-$NO_2C_6H_4$ (**f**); R = Ph, Ar = C_6H_5 (**g**), 4-MeC_6H_4 (**h**), 4-$NO_2C_6H_4$ (**i**); R = 4-$MeOC_6H_4$, Ar = C_6H_5 (**j**), 4-MeC_6H_4 (**k**), 4-$NO_2C_6H_4$ (**l**).

3.35–3.70 ppm. In the ^{13}C NMR spectra the carbon atoms of the dihydrofuran ring give rise to signals in the region 26–27 (C^4), 30–31 (C^3), 90–93 (C^5), 178–180 (C^2) ppm, and of the methylene group of the fragment $ArSCH_2$, at 34–38 ppm. However these data are not sufficient for the evaluation of the spatial arrangements of compounds **III**, in particular, of the configuration of the exocyclic iminium bond. Therefore we carried out an XRD investigation of compound **IIIf**, which proved the *Z*-configuration of the synthesized iminium salts (see the figure).

The central five-membered heterocycle has the envelope configuration: The group of atoms $O^7C^9C^{10}C^{11}$ is planar within 0.058(2) Å and forms with the atoms $O^7C^8C^9$ an angle of 18.43(35)°.

The distribution of the bond distances and angles in the molecule of compound **IIIf** indicates the delocalization of the electron density on the atoms $O^7C^{11}N^2$. For instace, the bond O^7–C^{11} is notably shorter than the bond O^7–C^8, whereas the length of the bond N^2–C^{11} 1.28 Å is characteristic of a standard double bond C=N. The strong shortening of the O^7–C^{11} bond is apparently due to an efficient conjugation of the unshared electron pair of the oxygen with the π-system of the double C^{11}–N^2



Structure of *N*-[(2*Z*)-5-{[(4-nitrophenyl)sulfanyl]methyl} dihydrofuran-2(3*H*)-ylidene]propan-2-aminium perchlorate (**IIIf**) according to the XRD data.

bond. Therewith the sum of the bond angles at the atom N^2 is 360°. The lengths of the C–S bonds are not equal evidently because of dissimilar hybridization of the atoms C^1 and C^7, i.e., because of the conjugation of the unshared electron pair of the sulfur atom with the π-system of the phenyl substituent.

In the crystal of compound **IIIf** hydrogen bonds N^2–H^{2N}...O^{4A} and N^2–H^{2N}...O^{4B} were found [N^2–H^{2N} 0.79(3), N^2...O^{4A} 2.932(8), N^2...O^{4B} 2.837(8) Å, angles N^2–H^{2N}... O^{4A} 159(3), N^2–H^{2N}...O^{4B} 161(3)°].

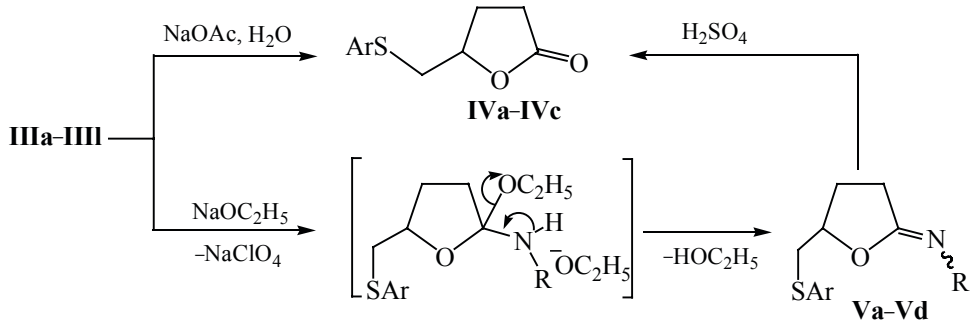
The hydrolytic stability of salts **IIIa–IIIl** depends on the character of the substituent at the iminium nitrogen atom. Compounds **IIIa–IIIf** with the alkyl substituents that decrease the electrophilicity of the iminium group are stable to the moisture in air and organic solvents. In contrast, compounds **IIIg–IIIl** containing aryl substituents according to the ^1H NMR spectra under these conditions are readily converted into the corresponding lactones **IV**. For the preparative synthesis of lactones **IVa–IVc** it is advisable to treat the iminium salts with sodium acetate in aqueous ethanol.

In the study of the reaction of salts **IIIa**, **IIIi**, **IIIk**, **IIIl** with sodium ethylate we found that maintaining the reagents for 15–20 min in anhydrous ethanol resulted in the formation of 5-[(arylsulfanyl)methyl]dihydro-2(3*H*)-furanylidenes **Va–Vd**, most probably along the scheme of addition-elimination of an ethoxy anion. The ^1H and ^{13}C NMR spectra of furan imino derivatives **Va–Vd** contain a double set of materially all proton and carbon signals showing that these compounds exist as mixtures of *Z*- and *E*-isomers.

Furanylideneamines **Va–Vd** were however unstable with respect to acid reagents, and at treating with sulfuric acid in ethanol they are cleanly converted into lactones **IVa–IVc** (Scheme 2).

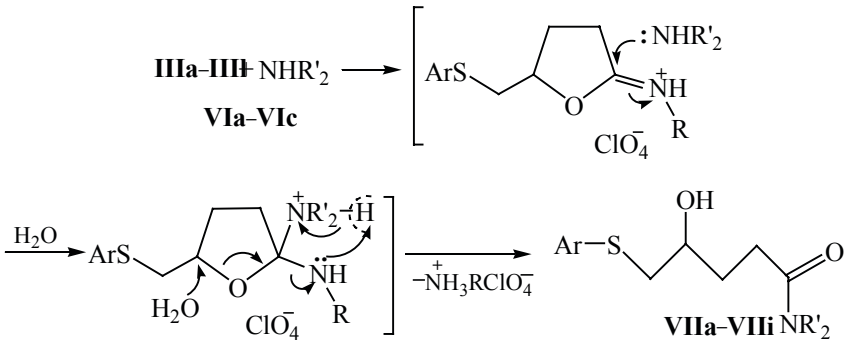
A promising preparative process consists in the reaction of iminium salts **IIIa–IIIi** with highly basic cyclic secondary amines **VIa–VIc** in the presence of water. The reaction readily occurs with excess amine at room temperature and furnishes 5-arylsulfanyl-4-hydroxypentanoic acids amides **VIIa–VIIi** in 69–73% yields (Scheme 3); some representatived of the latter compounds has been obtained formerly [11] by treating 5-sulfanylmethyllactones with primary amines. We believe that the process proceeds by the primary attack of the amine on the electrophilic atom C^2 of the furan ring with subsequent opening of the cyclic intermediate under the action of water. In the absence of water and in the reaction with

Scheme 2.



IV, Ar = C_6H_5 (**a**), 4-MeC_6H_4 (**b**), 4-$NO_2C_6H_4$ (**c**); **V**, R = Bu, Ar = C_6H_5 (**a**); R = Ph, Ar = 4-$NO_2C_6H_4$ (**b**); R = 4-$MeOC_6H_4$, Ar = 4-MeC_6H_4 (**c**); R = 4-$MeOC_6H_4$, Ar = 4-$NO_2C_6H_4$ (**d**).

Scheme 3.



VI, R'$_2$ = $(CH_2)_4$ (**a**), $(CH_2)_5$ (**b**), $(CH_2)_2O(CH_2)_2$ (**c**); **VII**, R'$_2$ = $(CH_2)_4$, Ar = C_6H_5 (**a**), 4-MeC_6H_4 (**b**), 4-$NO_2C_6H_4$ (**c**); R'$_2$ = $(CH_2)_5$, Ar = C_6H_5 (**d**), 4-MeC_6H_4 (**e**), 4-$NO_2C_6H_4$ (**f**); R'$_2$ = $(CH_2)_2O(CH_2)_2$, Ar = C_6H_5 (**g**), 4-MeC_6H_4 (**h**), 4-$NO_2C_6H_4$ (**i**).

primary aliphatic and aromatic amines forms an intractable mixture of products.

In the ^{1}H NMR spectra of amides **VIIa–VIIi** alongside the multiplets of the methylene groups protons the multiplets are present of the protons C^4H at 3.54–3.75 ppm and doublets (the multiplets) of OH protons at 4.93–5.16 ppm. The ^{13}C NMR spectra are characterized by the signals of atoms C^4 in the range 62–68 ppm. In the IR spectra of amides **VIIa–VIIi** the stretching vibrations band of C=O groups is observed in the range 1635–1610 cm^{-1}.

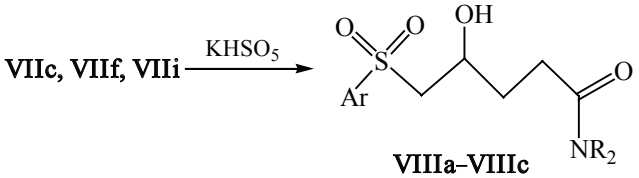
5-Arylsulfanyl-4-hydroxypentanoic acid amides **VIIa–VIIi** are easily converted into derivatives of 5-arylsulfonyl-4-acetoxypentanoic acids [12, 13]. Compounds **VIIc, VIIf, VIIi** at the oxidation with oxone are selectively transformed into sulfones **VIIIa–VIIIc** (Scheme 4).

EXPERIMENTAL

IR spectra were recorded on a spectrophotometer UR-20 from pellets with KBr. The ^{1}H and ^{13}C NMR spectra were registered on a spectrometer Bruker Advance DRX-500 (500.13, 125.75 MHz respectively), internal reference TMS. Mass spectra were measured on an instrument Agilent 110\DAD\HSD\VLG 119562.

Crystals of compound **IIIf** are monoclinic, space group $P2_1/C$, *a* 13.5116(14), *b* 11.3367(11), *c* 11.8852(12) Å, β 95.634(3)°, *V* 1811.7(3) Å^3, *Z* 4, d_c 1.447, μ 0.364 mm^{-1}, F(000) 824. XRD experiment

Scheme 4.



VIII, Ar = 4-$NO_2C_6H_4$, R_2 = $(CH_2)_4$ (**a**), $(CH_2)_5$ (**b**), $(CH_2)_2O(CH_2)_2$ (**c**).

was carried out on a single crystal of linear dimensions 0.08 × 0.40 × 0.43 mm at room temperature on a dffractometer Bruker Smart Apex II (λMoK_α-radiation, graphite monochromator, θ_{max} 26.45°, spheric segment $16 \le h \le 16$, $-13 \le k \le 14$, $-14 \le l \le 14$. Overall 17400 reflections were collected, among them 3735 independent (*R*-factor of averaging 0.0539). The correction for extinction was introduced by multiscanning method along SADABS program (ratio of minimal to maximal correction T_{min}/T_{max} 0.783550). The structure was solved by the direct method and refined by least-squares method in the anisotropic approximation for all nonhydrogen atoms applying software BRUKER SHELXTL [14]. Three oxygen atoms of the perchlorate anion are disordered by two positions with the occupancies 55.7 and 44.3%. All hydrogen atoms were placed geometrically, their positions and thermal parameters were refined with the positions and thermal parameters of the corresponding carbon atoms, only the hydrogen linked to the nitrogen atom involved in the hydrogen bonds was revealed objectively and refined isotropically. In the refinement 2366 reflections were involved with $I > 2\sigma(I)$; 260 refined parameters, 9.1 reflection per parameter, weight scheme $\omega = 1/[\sigma^2(Fo^2) + (0.0716P)^2 + 1.209P]$ was used where $P = (Fo^2 + 2Fc^2)/3$, the ratio of the maximum (average) shift to the error in the last cycle 0.001 (0.000). The final values of the divergence factors are $R_1(F)$ 0.0554, $wR_2(F^2)$ 0.1366 with respect to reflections with $I > 2\sigma(I)$ and $R_1(F)$ 0.0960, $wR_2(F^2)$ 0.1618, *GOF* 1.017 for all reflections. The residual electron density from the difference Fourier series after the last cycle was 0.52 and –0.33 e/Å^3.

Compounds IIIa–IIIl. General procedure. To a solution of 2 mmol of amide **Ia–Id** in 10 ml of acetic acid was added in succession at stirring solutions of 0.23 g (2.2 mmol) of lithium perchlorate in 5 ml of acetic acid and 2.2 mmol of arylsulfenyl chloride **IIa–IIc** in 3 ml of acetic acid. The reaction mixture was stirred at room temperature over 4 h. The precipitated crystals of compounds **IIIf, IIIi, IIIk, IIIl** were filtered off, washed on the filter with acetic acid and hexane. In the case of the other products the solvent was distilled off in a vacuum, the residue was treated with 10 ml of water. The organic phase was extracted with chloroform (2×10 ml), dried with $MgSO_4$, filtered, and evaporated. Compounds **IIIa, IIIb, IIId, IIIg** were obtained as oils, and **IIIc, IIIg, IIIj** were isolated as solids after treating the oily residues with hexane.

***N*-{(2*Z*)-5-[(Phenylsulfanyl)methyl]-dihydrofuran-2(3*H*)-ylidene}butan-1-aminium perchlorate (IIIa)**. Yield 84%, oily substance. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 0.86 t (3H, CH_3, J 7 Hz), 1.23–1.29 m (2H, CH_2), 1.39–1.45 m (2H, CH_2), 2.08–2.21 m (1H, CH), 2.39–2.51 m (1H, CH), 3.12–3.21 m (4H, $2CH_2$), 3.35–3.48 m (2H, CH_2), 5.24–5.28 m (1H, CH), 7.23–7.56 d ($5H_{arom}$), 11.88 s (1H, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 13.35 (CH_3), 19.76 (CH_2), 26.58 (C^3), 29.45 (C^4), 30.86 (CH_2), 37.61 (*CH_2S*), 44.43 (*NCH_2*), 91.56 (C^5), 127.09, 129.16, 130.42, 134.30 ($6C_{arom}$), 180.08 (C^2). Mass spectrum: *m/z* 365 $[M + 1]^+$. Found, %: C 49.39; H 6.01; N 3.72. $C_{15}H_{21}ClN_2O_7S$. Calculated, %: C 49.51; H 6.09; N 3.84. *M* 363.9.

***N*-[(2*Z*)-5-{[(4-Methylphenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]butan-1-aminium perchlorate (IIIb)**. Yield 81%, oily substance. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 0.86 t (3H, CH_3, J 7 Hz), 1.21–1.30 m (2H, CH_2), 1.36–1.44 m (2H, CH_2), 2.12–2.20 m (1H, CH), 2.26 C (3H, CH_3), 2.40–2.52 m (1H, CH), 3.10–3.19 m (4H, $2CH_2$), 3.39–3.46 m (2H, CH_2), 5.20–5.24 m (1H, CH), 7.18 d ($2H_{arom}$, *J* 10.5 Hz), 7.35 d ($2H_{arom}$, *J* 10.5 Hz), 11.87 s (1H, NH). Mass spectrum: *m/z* 379 $[M + 1]^+$. Found, %: C 50.74; H 6.35; N 3.59. $C_{16}H_{24}ClNO_5S$. Calculated, %: C 50.85; H 6.40; N 3.71. *M* 377.9.

***N*-[(2*Z*)-5-{[(4-Nitrophenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]butan-1-aminium perchlorate (IIIc)**. Yield 71%, mp 72°C. IR spectrum, ν, cm^{-1}: 1700 (C=N). ^{1}H NMR spectrum ($CDCl_3$), ppm: 0.84 t (3H, CH_3, J 7 Hz), 1.24–1.29 m (2H, CH_2), 1.40–1.52 m (2H, CH_2), 2.26–2.33 m (1H, CH), 2.55–2.61 m (1H, CH), 3.15–3.53 m (6H, $3CH_2$), 5.35–5.38 m (1H, CH), 7.47 d ($2H_{arom}$, *J* 10.5 Hz), 8.12 d ($2H_{arom}$, *J* 10.5 Hz), 10.52 s (1H, NH). ^{13}C NMR spectrum (DMSO-d_6), ppm: 12.83 (CH_3), 19.08 (CH_2), 26.56 (C^3), 29.10 (C^4), 30.22 (CH_2), 33.91 (*CH_2S*), 43.07 (*NCH_2*), 90.65 (C^5), 123.53, 126.84, 144.89, 145.76 ($6C_{arom}$), 179.58 (C^2). Mass spectrum: *m/z* 410 $[M + 1]^+$. Found, %: C 43.95; H 5.09; N 6.77. $C_{15}H_{21}ClN_2O_7S$. Calculated, %: C 44.06; H 5.18; N 6.85. *M* 408.9.

***N*-{(2*Z*)-5-[(Phenylsulfanyl)methyl]-dihydrofuran-2(3*H*)-ylidene}propan-2-aminium perchlorate (IIId)**. Yield 68%, oily substance. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.08 d (3H, CH_3, J 6.8 Hz), 1.17 d (3H, CH_3, J 6.8 Hz), 2.05–2.19 m (1H, CH), 2.37–2.46 m (1H, CH), 3.08–3.16 m (2H, CH_2), 3.42–3.57 m (2H, CH_2), 3.61–3.70 m (1H, CH), 5.24–5.28 m (1H, CH), 7.25–7.52 m ($5H_{arom}$), 11.74 s (1H, NH). Mass spectrum: *m/z* 351 [*M* +

1]$^+$. Found, %: C 47.96; H 5.70; N 3.91. $C_{14}H_{19}ClN_2O_7S$. Calculated, %: C 48.07; H 5.76; N 4.00. *M* 349.8.

***N*-[(2*Z*)-5-{[(4-Methylphenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]propan-2-aminium perchlorate (IIIe)**. Yield 73%, mp 55–56°C. IR spectrum, ν, cm^{-1}: 1705 (C=N). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.09 d (3H, CH_3, *J* 7 Hz), 1.16 d (3H, CH_3, *J* 7 Hz), 2.06–2.20 m (1H, CH), 2.40–2.48 m (1H, CH), 3.08–3.17 m (2H, CH_2), 3.30–3.47 m (2H, CH_2), 3.55–3.63 m (1H, CH), 5.21–5.24 m (1H, CH), 7.20 d (2H$_{arom}$, *J* 10.5 Hz), 7.37 d (2H$_{arom}$, *J* 11 Hz), 11.74 s (1H, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 20.39 (CH_3), 20.69 (CH_3), 20.80 (CH_3), 26.26 (C^3), 30.23 (C^4), 36.33 (CH_2S), 46.62 (NCH), 91.23 (C^5), 129.48, 129.82, 130.88, 136.23 (6C$_{arom}$), 178.33 (C^2). Mass spectrum: *m/z* 365 [*M* + 1]$^+$. Found, %: C 49.38; H 6.02; N 3.75. $C_{15}H_{22}ClNO_5S$. Calculated, %: C 49.51; H 6.09; N 3.84. *M* 363.9.

***N*-[(2*Z*)-5-{[(4-Nitrophenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]propan-2-aminium perchlorate (IIIf)**. Yield 74%, mp 140°C. IR spectrum, ν, cm^{-1}: 1705 (C=N). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.09 d (3H, CH_3, *J* 7 Hz), 1.16 d (3H, CH_3, *J* 7 Hz), 2.07–2.25 m (1H, CH), 2.40–2.55 m (1H, CH), 3.10–3.25 m (2H, CH_2), 3.60–3.80 m (3H, CH + CH_2), 5.34–5.37 m (1H, CH), 7.67 d (2H$_{arom}$, *J* 10.5 Hz), 8.17 d (2H$_{arom}$, *J* 11 Hz), 11.64 s (1H, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 20.73 (CH_3), 20.75 (CH_3), 26.40 (C^3), 30.30 (C^4), 34.01 (*CH$_2$S*), 46.75 (*NCH*), 90.47 (C^5), 123.46, 127.23, 144.94, 145.56 (6C$_{arom}$), 178.36 (C^2). Mass spectrum: *m/z* 396 [*M* + 1]$^+$. Found, %: C 42.51; H 4.72; N 7.03. $C_{14}H_{19}ClN_2O_7S$. Calculated, %: C 42.59; H 4.85; N 7.10. *M* 394.8.

***N*-{(2*Z*)-5-[(Phenylsulfanyl)methyl]-dihydrofuran-2(3*H*)-ylidene}phenylaminium perchlorate (IIIg)**. Yield 73%, oily substance. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 2.51–2.63 m (2H, CH_2), 3.34–3.40 m (2H, CH_2), 3.51–3.61 m (2H, CH_2), 5.41–5.48 m (1H, CH), 7.13–7.40 m (10H$_{arom}$), 10.96 s (1H, NH). Mass spectrum: *m/z* 385 [*M* + 1]$^+$. Found, %: C 53.09; H 4.65; N 3.54. $C_{17}H_{18}ClNO_5S$. Calculated, %: C 53.20; H 4.73; N 3.65. *M* 383.8.

***N*-[(2*Z*)-5-{[(4-Methylphenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]phenylaminium perchlorate (IIIh)**. Yield 74%, oily substance. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 2.20 s (3H, CH_3), 2.47–2.58 m (2H, CH_2), 3.24–3.41 m (2H, CH_2), 3.52–3.59 m (2H, CH_2), 5.41–5.49 m (1H, CH), 6.98 d (2H$_{arom}$, *J* 7.6 Hz), 7.19–7.36 m (7H$_{arom}$), 11.14 s (1H, NH). Mass spectrum: *m/z* 430 [*M* + 1]$^+$. Found, %: C 54.24; H 3.98; N 3.41. $C_{18}H_{20}ClNO_5S$. Calculated, %: C 54.33; H 5.07; N 3.52. *M* 428.8.

***N*-[(2*Z*)-5-{[(4-Nitrophenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]phenylaminium perchlorate (IIIi)**. Yield 79%, mp 135°C. IR spectrum, ν, cm^{-1}: 1680 (C=N). ^{1}H NMR spectrum (CD_3CN), δ, ppm: 2.32–2.44 m (1H, CH), 2.63–2.77 m (1H, CH), 3.38–3.53 m (2H, CH_2), 3.55–3.60 m (1H, CH), 5.59–5.62 m (1H, CH), 7.30 d (2H$_{arom}$, *J* 7 Hz), 7.39–7.41 m (3H $_{arom}$), 7.50 d (2H$_{arom}$, *J* 8.5 Hz), 7.98 d (2H$_{arom}$, *J* 8.5 Hz), 10.96 s (1H, NH). ^{13}C NMR spectrum (CD_3CN), δ, ppm: 25.89 (C^3), 32.35 (C^4), 34.88 (*CH$_2$S*), 94.45 (C^5), 122.31, 123.87, 127.64, 129.03, 129.64, 130.22, 133.17, 144.82 (12C$_{arom}$), 180.01 (C^2). Mass spectrum: *m/z* 430 [*M* + 1]$^+$. Found, %: C 47.68; H 3.87; N 6.43. $C_{17}H_{17}ClN_2O_7S$. Calculated, %: C 47.62; H 4.00; N 6.53. *M* 428.8.

***N*-{(2*Z*)-5-[(Phenylsulfanyl)methyl]-dihydrofuran-2(3*H*)-ylidene}-4-methoxyphenylaminium perchlorate (IIIj)**. Yield 67%, mp 94°C. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 2.23–2.30 m (1H, CH), 2.56–2.65 m (1H, CH), 3.35–3.56 m (4H, 2CH_2), 3.84 C (3H, CH_3), 5.39–5.47 m (1H, CH), 7.03 d (2H$_{arom}$, *J* 9 Hz), 7.24–7.38 (5H$_{arom}$), 7.45 d (2H$_{arom}$, *J* 8 Hz). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 27.42 (C^4), 33.35 (C^3), 38.13 (*CH$_2$S*), 56.58 (OCH_3), 94.95 (C^5), 115.98, 125.23, 127.92, 128.40, 130.61, 131.31, 135.79, 161.04 (12C$_{arom}$), 179.89 (C^2). Mass spectrum: *m/z* 415 [*M* + 1]$^+$. Found, %: C 52.11; H 4.78; N 3.29. $C_{18}H_{20}ClN_2O_6S$. Calculated, %: C 52.23; H 4.87; N 3.38. *M* 413.9.

***N*-[(2*Z*)-5-{[(4-Methylphenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]-4-methoxyphenylaminium perchlorate (IIIk)**. Yield 69%, mp 131–132°C. IR spectrum, ν, cm^{-1}: 1675 (C=N). ^{1}H NMR spectrum (CD_3CN), δ, ppm: 2.25 s (3H, CH_3), 2.26–2.39 m (1H, CH), 2.52–2.67 m (1H, CH), 3.25–3.43 m (2H, CH_2), 3.53–3.67 m (2H, CH_2), 3.80 C (3H, CH_3), 5.40–5.52 m (1H, CH), 6.80 d (2H$_{arom}$, *J* 9.5 Hz), 7.05 d (2H$_{arom}$, *J* 9.5 Hz), 7.20–7.34 m (4H$_{arom}$, *J* 9 Hz), 11.68 s (1H, NH). ^{13}C NMR spectrum (CD_3CN), δ, ppm: 20.93 (CH_3), 25.98 (C^3), 32.33 (C^4), 38.57 (*CH$_2$S*), 55.30 (*O<u>C</u>H$_3$*), 93.34 (C^5), 114.36, 123.80, 126.30, 129.98, 130.24, 131.40, 137.98, 159.57 (12C$_{arom}$), 177.69 (C^2). Mass spectrum: *m/z* 429 [*M* + 1]$^+$. Found, %: C 53.21; H 5.12; N 3.16. $C_{19}H_{22}ClNO_6S$. Calculated, %: C 53.33; H 5.18; N 3.27. M 427.9.

***N*-[(2*Z*)-5-{[(4-Nitrophenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]-4-methoxyphenylaminium**

perchlorate (**IIIl**). Yield 72%, mp 152°C. IR spectrum, ν, cm^{-1}: 1685 (C=N). ^{1}H NMR spectrum (CD_3CN), δ, ppm: 2.30–2.45 m (1H, CH), 2.57–2.71 m (1H, CH), 3.35–3.49 m (2H, CH_2), 3.52–3.57 m (1H, CH), 3.67–3.71 m (1H, CH), 3.80 s (3H, CH_3), 5.53–5.64 m (1H, CH), 6.88 d (2H$_{arom}$, *J* 9.5 Hz), 7.21 d (2H$_{arom}$, *J* 9.5 Hz), 7.49 d (2H$_{arom}$, *J* 9 Hz), 7.97 d (2H$_{arom}$, *J* 9 Hz). ^{13}C NMR spectrum (CD_3CN), δ, ppm: 25.92 (C^4), 32.04 (C^3), 34.96 (*CH_2S*), 55.10 (*OCH_3*), 93.69 (C^5), 114.62, 123.75, 123.81, 126.03, 127.65, 144.90, 145.76, 159.75 (12C$_{arom}$), 178.80 (C^2). Mass spectrum: *m/z* 460 [*M* + 1]$^+$. Found, %: C 47.02; H 4.12; N 6.03. $C_{18}H_{19}ClN_2O_8S$. Calculated, %: C 47.11; H 4.17; N 6.10. *M* 458.9.

Compounds IVa–IVc. *a.* To a solution of 1 mmol of iminium salt **IIIa–IIIl** in 3 ml of ethanol was added a solution of 1.5 mmol of sodium acetate in 2 ml of water, and the mixture was left standing over 12 h. Ethanol was evaporated, the organic phase insoluble in water was extracted with chloroform (2×5 ml), dried with $MgSO_4$, filtered, and evaporated. Compound **IVc** was crystallized from hexane.

b. Iminolactone **Va–Vd**, 1 mmol, and 0.1 mmol of sulfuric acid in 10 ml of ethanol were boiled at stirring for 1 h. The filtrate was evaporated in a vacuum, washed with water, extracted with 5 ml of chloroform (at the preparation of compound **IVc** from compounds **Vb, Vd** the reaction product was crystallized from water). The extract was dried with $MgSO_4$ and evaporated.

5-[(4-Phenylsulfanyl)methyl]dihydrofuran-2(3*H*)-2-one (**IVa**). Yield 71% (*a*), 76% (*b*), oily substance (mp 45°C [11, 15]).

5-[(4-Tolylsulfanyl)methyl]dihydrofuran-2(3*H*)-2-one (IVb). Yield 75% (*a*), 69% (*b*), oily substance [8, 11].

5-{[(4-Nitrophenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-2-one (**IVc**). Yield 65%, mp 83°C. IR spectrum, ν, cm^{-1}: 1760 (C=O). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.93–2.11 m (1H, CH), 2.31–2.43 m (1H, CH), 2.52–2.60 m (2H, CH_2), 3.53 d (2H, CH_2, J 6 Hz), 4.71–4.80 m (1H, CH), 7.61 d (2H$_{arom}$, *J* 8.7 Hz), 8.16 d (2H$_{arom}$, J 8.7 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 26.46 (C^4), 27.97 (C^3), 35.18 (CH_2S), 77.88 (C^5), 123.82, 126.68, 144.68, 146.39 (6C$_{arom}$), 176.53 (C^2). Found, %: C 51.99; H 4.30; N 5.43. $C_{11}H_{11}NO_4S$. Calculated, %: C 52.16; H 4.38; N 5.53.

Compounds Va–Vd. General procedure. To 1 mmol of iminium salt **IIIa–IIIl** in 3 ml of anhydrous alcohol was added at stirring 1 mmol of sodium ethylate obtained by dissolution of 0.023 g of sodium in 5 ml of ethanol. After 30 min the reaction mixture was evaporated (yellow crystals of compound **Vb** were filtered off), 5 ml of anhydrous chloroform was added, the precipitate of sodium perchlorate was filtered off, the filtrate was evaporated in a vacuum**.**

***N*-Butyl-*N*-{5-[(phenylsulfanyl)methyl]dihydrofuran-2(3*H*)-ylidene}amine (Va).** Yield 84%, oily substance. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 0.84–0.88 m (3H, CH_3), 1.23–1.34 m (2H, CH_2), 1.35–1.51 m (2H, CH_2), 1.65–1.75 m, 1.76–1.88 m (1H, CH), 2.13–2.22 m, 2.23–2.40 m (1H, CH), 2.46–2.57 m (2H, CH_2), 2.91–3.29 m (4H, 2CH_2), 4.32–4.39 m, 4.44–4.53 m (1H, CH), 7.15–7.47 m (5H$_{arom}$). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 13.74, 13.96 (CH_3), 20.07, 20.63, 26.90, 28.08, 28.42, 28.93, 31.59, 33.08, 38.62, 41.26, 46.91, 50.31 (CH_2), 77.49, 80.31 (CH), 126.10, 126.63, 128.93, 129.02, 129.74, 130.00, 135.47, 136.14 (C$_{arom}$), 161.81, 165.10 (C^2). Mass spectrum: *m/z* 329 [*M* + 1]$^+$. Found, %: C 68.31; H 7.98; N 5.21; S 12.11. $C_{15}H_{21}NOS$. Calculated, %: C 68.40; H 8.04; N 5.32; S 12.17. *M* 263.4.

N*-[5-{[(4-Nitrophenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]-*N*-phenylamine (Vb)**. Yield 94%, mp 127–128°C. IR spectrum, ν, cm^{-1}: 1685 (C=N***). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.89–2.05 m (1H, CH), 2.26–2.34 m, 2.48–2.53 m (1H, CH), 2.74–2.80 m (2H, CH_2), 3.46–3.56 m (2H, CH_2), 4.68–4.72, 4.74–4.77 m (1H, CH), 6.81–6.82, 6.84–6.65 d (2H$_{arom}$, *J* 9 Hz), 6.92–6.95, 7.01–7.04 m (1H$_{arom}$), 7.12–7.16, 7.26–7.30 (1H$_{arom}$), 7.54 d, 7.63 d (2H$_{arom}$, J 9 Hz), 8.03 d, 8.17 d (2H$_{arom}$, J 9 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 25.05, 26.97 (C^4), 27.76, 29.26 (C^3), 34.91, 35.00 (*CH_2S*), 78.49, 81.15 (C^5), 120.88, 122.35, 122,75, 123.61, 123.82, 126.68, 128.16, 128.84 144.51, 144.63, 146.51, 146.59, 146.91, 48.97(C$_{arom}$), 162.01, 167.68 (C^2). Mass spectrum: *m/z* 329 [*M* + 1]$^+$. Found, %: C 62.03; H 4.87; N 8.46; S 9.65. $C_{17}H_{16}N_2O_3S$. Calculated, %: C 62.18; H 4.91; N 8.53; S 9.76. *M* 328.4.

***N*-(4-Methoxyphenyl)-*N*-[5-{[(4-methylphenyl)sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]amine (Vc).** Yield 92%, mp 77–78°C. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 1.86–2.06 m (1H, CH), 2.33 C (3H, CH_3), 2.41–2.57 m (1H, CH), 2.73–2.86 m (2H, CH_2), 2.97–3.06 m (1H, CH), 3.24–3.44 m (1H, CH), 3.80 s (3H, CH_3), 4.54–4.66 m (1H, CH), 6.77–6.90 m (2H$_{arom}$), 7.06–7.18 m (4H$_{arom}$), 7.28–7.34 m (2H$_{arom}$). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.04 (CH_3), 25.45, 27.48

(C^4), 28.44, 30.24 (C^3), 38.87, 39.10 (CH_2S), 55.40, 55.49 (OCH_3), 79.28, 81.65 (C^5), 113.77, 114.30, 114.43, 122.11, 124,42, 125.75, 129.87, 129.91, 129.94, 130.67, 130.79, 130.97, 131.33, 137.10, 139.58 (C_{arom}), 156.08, 161.62 (C^2). Mass spectrum: *m/z* 328 $[M + 1]^+$. Found, %: C 69.54; H 6.37; N 4.21; S 9.65. $C_{19}H_{21}NO_2S$. Calculated, %: C 69.69; H 6.46; N 4.28; S 9.79. *M* 327.4.

***N*-(4-Methoxyphenyl)-*N*-[5-{[(4-nitrophenyl) sulfanyl]methyl}dihydrofuran-2(3*H*)-ylidene]amine (Vd).** Yield 92%, oily substance. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 1.94–2.12 m (1H, CH), 2.31–2.47 m (1H, CH), 2.52–2.66 m, 2.76–2.89 m (2H, CH_2), 3.24–3.53 m (3H, CH + CH_2), 3.79 C (3H, CH_3), 4.65–4.83 m (1H, CH), 6.76–6.80 m ($4H_{arom}$), 6.84 d, 6.99 d ($2H_{arom}$, J 9 Hz), 7.37 d, 7.45 d ($2H_{arom}$, J 9 Hz), 8.03 d, 8.16 d ($2H_{arom}$, J 9 Hz). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 25.43, 27.53 (C^4), 28.57, 30.18 (C^3), 36.38, 36.80 (CH_2S), 55.36, 55.50 (OCH_3), 78.33, 80.99 (C^5), 113.68, 114.37, 114.87, 116.42, 123.93, 124.14, 124.23, 127.11, 127.22, 127.38, 139.25, 145.66 (C_{arom}), 156.21, 160.76 (C^2). Mass spectrum: *m/z* 359 $[M + 1]^+$. Found, %: C 60.21; H 5.01; N 7.71; S 8.87. $C_{18}H_{18}N_2O_4S$. Calculated, %: C 60.32; H 5.06; N 7.82; S 8.95. *M* 358.4.

Compounds VIIa–VIIi. *General procedure.* To 1 ml of amine **VIa–VIc** containing 4–5 drops of water was added 1 mmol of iminium salt **IIIa–IIII**, the mixture was left standing for 12 h, then 10 ml of water was added. The formed oily product was extracted with chloroform (2×5 ml), the extract was dried with $MgSO_4$. The solvent was evaporated, compounds **VIIb, VIIc, VIIe–VIIi** were crystallized from hexane .

4-Hydroxy-5-(phenylsulfanyl)-1-(pyrrolidin-1-yl)pentan-1-one (VIIa). Yield 72%, oily substance. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.48–1.60 m (1H, CH), 1.70–1.92 m (5H, CH + + $2CH_2$), 2.25–2.39 m (2H, CH_2), 2.91 m (2H, CH_2), 3.18–2.45 m (4H, $4CH_2$), 3.56–3.62 m (1H, CH), 5.04 d (1H, OH), 7.10–7.37 m ($5H_{arom}$). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 23.87 (CH_2), 25.54 (CH_2), 30.11 (C^3), 31.02 (C^2), 39.33 (C^5), 45.16 (CH_2), 45.83 (CH_2), 68.27 (C^4), 125.07, 127.67, 128.67, 136.92 (C_{arom}), 170.39 (C^1). Mass spectrum: *m/z* 280 $[M + 1]^+$. Found, %: C 64.35; H 7.46; N 4.91; S 11.34. $C_{14}H_{21}NO_3S$. Calculated, %: C 64.48; H 7.58; N 5.01; S 11.48. *M* 279.4.

4-Hydroxy-5-[(4-methylphenyl)sulfanyl]-1-(pyrrolidin-1-yl)pentan-1-one (VIIb). Yield 69%, mp 68–69°C. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.52–1.62 m (1H, CH), 1.68–1.80 m (1H, CH), 1.84–1.92 m (3H, CH + CH_2), 2.24–2.38 m (5H, CH_3 + CH_2), 2.88 m (2H, CH_2), 3.23–3.28 m (2H, CH_2), 3.55–3.64 m (1H, CH), 4.93–5.03 m (1H, OH), 7.12 d ($2H_{arom}$, J 8.0 Hz), 7.25 d ($2H_{arom}$, J 7.5 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 20.16 (CH_3), 23.85 (CH_2), 25.51 (CH_2), 30.07 (C^3), 30.93 (C^2), 40.43 (C^5), 45.12 (CH_2), 45.78 (CH_2), 68.51 (C^4), 128.34, 129.38, 133.08, 134.90 (C_{arom}), 170.32 (C^1). Mass spectrum: *m/z* 294 $[M + 1]^+$. Found, %: C 65.33; H 7.79; N 4.71; S 10.81. $C_{16}H_{23}NO_2S$. Calculated, %: C 65.49; H 7.90; N 4.77; S 10.93. *M* 293.4.

4-Hydroxy-5-[(4-nitrophenyl)sulfanyl]-1-(pyrrolidin-1-yl)pentan-1-one (VIIc). Yield 83%, mp 125–126°C. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.54–1.93 m (6H, $3CH_2$), 2.26–2.40 m (2H, CH_2), 3.15–3.60 m (6H, $3CH_2$), 3.63–3.75 m (1H, CH), 5.10–5.27 m (1H, OH), 7.53 d ($2H_{arom}$, J 8.5 Hz), 8.11 d ($2H_{arom}$, *J* 7.0 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 23.86 (CH_2), 25.51 (CH_2), 30.02 (C^3), 31.22 (C^2), 38.47 (C^5), 45.14 (CH_2), 45.79 (CH_2), 67.64 (C^4), 123.45, 126.00, 144.15, 148.28 (C_{arom}), 170.22 (C^1). Mass spectrum: *m/z* 325 $[M + 1]^+$. Found, %: C 55.42; H 6.12; N 8.48; S 9.75. $C_{15}H_{20}N_2O_4S$. Calculated, %: C 55.54; H 6.21; N 8.64; S 9.88. *M* 324.4.

4-Hydroxy-5-(phenylsulfanyl)-1-(piperidin-1-yl)pentan-1-one (VIId). Yield 84%, oily substance. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.36–1.63 m (7H, $3CH_2$ + CH), 1.77–1.87 m (1H, CH), 2.28–2.45 m (2H, CH_2), 3.01 d (2H, CH_2), 3.37–3.45 m (4H, $2CH_2$), 3.57–3.68 m (1H, CH), 5.00 m (1H, OH), 7.13–7.19 m ($1H_{arom}$), 7.28–7.35 m ($4H_{arom}$). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 23.81 (CH_2), 25.03 (CH_2), 25.81 (CH_2), 28.53 (C^3), 31.35 (C^2), 39.40 (C^5), 41.62 (CH_2), 45.60 (CH_2), 68.33 (C^4), 124.86, 127.38, 128.38, 136.72 (C_{arom}), 169.99 (C^1). Mass spectrum: *m/z* 294 $[M + 1]^+$. Found, %: C 65.42; H 7.81; N 4.67; S 10.75. $C_{16}H_{23}NO_2S$. Calculated, %: C 65.49; H 7.90; N 4.77; S 10.93. *M* 293.4.

4-Hydroxy-5-[(4-methylphenyl)sulfanyl]-1-(piperidin-1-yl)pentan-1-one (VIIe). Yield 79%, mp 60°C. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.33–1.58 m (7H, $3CH_2$ + CH), 2.26 s (3H, CH_3), 2.30–2.44 m (2H, CH_2), 3.01 d (2H, CH_2), 3.35–3.42 m (4H, $2CH_2$), 3.54–3.63 m (1H, CH), 4.97 m (1H, OH), 7.12 d ($2H_{arom}$, J 8.0 Hz), 7.23 d ($2H_{arom}$, J 7.5 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 20.44 (CH_3), 23.99 (CH_2), 25.22 (CH_2), 26.00 (CH_2), 28.69 (C^3), 31.46 (C^2), 39.35 (C^5), 41.80 (CH_2), 45.79 (CH_2), 68.19 (C^4), 128.36, 129.43,

133.05, 134.92 (C_{arom}), 170.20 (C^1). Mass spectrum: *m/z* 308 $[M + 1]^+$. Found, %: C 66.33; H 8.12; N 4.44; S 10.29. $C_{17}H_{25}NO_2S$. Calculated, %: C 66.41; H 8.20; N 4.56; S 10.43. *M* 307.5.

4-Hydroxy-5-[(4-nitrophenyl)sulfanyl]-1-(piperidin-1-yl)pentan-1-one (VIIf). Yield 91%, mp 112°C. IR spectrum, ν, cm^{-1}: 1635 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm: 1.35–1.67 m (7H, $3CH_2$ + + CH), 1.76–1.88 m (1H, CH), 2.31–2.46 m (2H, CH_2), 3.06–3.13 m (1H, CH), 3.17–3.25 m (1H, CH), 3.34–3.43 m (4H, $2CH_2$), 3.66–3.75 m (1H, CH), 5.15 m (1H, OH), 7.13 d ($2H_{arom}$, *J* 8.5 Hz), 8.13 d ($2H_{arom}$, *J* 8.5 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 23.99 (CH_2), 25.23 (CH_2), 26.01 (CH_2), 28.63 (C^3), 31.78 (C^2), 38.37 (C^5), 41.83 (CH_2), 45.78 (CH_2), 67.89 (C^4), 123.49, 126.00, 144.17, 148.28 (C_{arom}), 170.10 (C^1). Mass spectrum: *m/z* 339 $[M + 1]^+$. Found, %: C 56.67; H 6.46; N 8.13; S 9.35. $C_{16}H_{22}N_2O_4S$. Calculated, %: C 56.78; H 6.55; N 8.28; S 9.48. *M* 338.4.

4-Hydroxy-5-(phenylsulfanyl)-1-(morpholin-1-yl) pentan-1-one (VIIg). Yield 91%, mp 49–50°C. IR spectrum, ν, cm^{-1}: 1610 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm: 1.50–1.64 m (1H, CH), 1.77–1.91 m (1H, CH), 2.32–2.50 m (2H, CH_2), 2.99 m (2H, CH_2), 3.37–3.70 m (9H, $4CH_2$ + CH), 5.01 m (1H, OH), 7.12–7.22 m ($1H_{arom}$), 7.27–7.38 m ($4H_{arom}$). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 28.34 (C^3), 31.30 (C^2), 39.20 (C^5), 41.34 (CH_2), 45.31 (CH_2), 65.99 ($2CH_2$), 67.98 (C^4), 125.06, 127.92, 128.58, 136.83 (C_{arom}), 170.77 (C^1). Mass spectrum: *m/z* 296 $[M + 1]^+$. Found, %: C 60.89; H 7.05; N 4.68; S 10.72. $C_{15}H_{21}NO_3S$. Calculated, %: C 60.99; H 7.17; N 4.74; S 10.86. *M* 295.4.

4-Hydroxy-5-[(4-methylphenyl)sulfanyl]-1-(morpholin-1-yl)pentan-1-one (VIIh). Yield 92%, mp 78–79°C. IR spectrum, ν, cm^{-1}: 1610 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm: 1.47–1.63 m (1H, CH), 1.76–1.90 m (1H, CH), 2.27 s (3H, CH_3), 2.31–2.46 m (2H, CH_2), 3.39–3.65 m (9H, CH + $4CH_2$), 5.00 d (1H, OH, J 5.1 Hz), 7.14 d ($2H_{arom}$, J 7.8 Hz), 7.24 d ($2H_{arom}$, *J* 7.8 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 20.12 (CH_3), 28.33 (C^3), 31.22 (C^2), 38.80 (C^5), 41.33 (CH_2), 45.29 (CH_2), 65.98 ($2CH_2$), 68.12 (C^4), 128.35, 129.34, 132.99, 134.89 (C_{arom}), 170.78 (C^1). Mass spectrum: *m/z* 310 $[M + 1]^+$. Found, %: C 62.02; H 7.38; N 4.46; S 10.23. $C_{16}H_{23}NO_3S$. Calculated, %: C 62.11; H 7.49; N 4.53; S 10.36. *M* 309.4.

4-Hydroxy-5-[(4-nitrophenyl)sulfanyl]-1-(morpholin-1-yl)pentan-1-one (VIIi). Yield 93%, mp 102–103°C. IR spectrum, ν, cm^{-1}: 1620 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm: 1.55–1.69 m (1H, CH), 1.76–1.90 m (1H, CH), 2.31–2.64 m (2H, CH_2), 3.07–3.25 m (2H, CH_2), 3.30–3.54 m (8H, $4CH_2$), 3.66–3.75 m (1H, CH), 5.16 d (1H, OH), 7.52 d ($2H_{arom}$, *J* 9.6 Hz), 8.12 d ($2H_{arom}$, *J* 9.0 Hz). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 28.29 (C^3), 31.52 (C^2), 38.35 (C^5), 41.36 (CH_2), 45.29 (CH_2), 66.00 ($2CH_2$), 67.77 (C^4), 123.48, 126.06, 144.17, 148.18 (C_{arom}), 170.69 (C^1). Mass spectrum: *m/z* 341 $[M + 1]^+$. Found, %: C 52.78; H 5.84; N 8.09; S 9.28. $C_{15}H_{20}N_2O_5S$. Calculated, %: C 52.93; H 5.92; N 8.23; S 9.42. *M* 340.4.

Compounds VIIIa–VIIIc. General procedure. To a solution of 1 mmol of amide **VIIc, VIIf, VIIi** in 5 ml of methanol was added at stirring 4 mmol of oxone dissolved in 5 ml of water. The reaction mixture was stirred for 5 h, the formed precipitate was filtered off, washed with 50% aqueous methanol, and dried.

4-Hydroxy-5-[(4-nitrophenyl)sulfonyl]-1-(pyrrolidin-1-yl)pentan-1-one (VIIIa). Yield 97%, mp 185°C. IR spectrum, ν, cm^{-1}: 1630 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm: 1.50–1.54 m (1H, CH), 1.70–1.89 m (3H, CH + CH_2), 1.80–1.89 m (2H, CH_2), 2.24–2.36 m (2H, CH_2), 3.21–3.27 m (2H, CH_2), 3.33–3.59 m (4H, $2CH_2$), 3.93–4.01 m (1H, OH), 8.17 d ($2H_{arom}$, J 8.8 Hz), 8.43 d ($2H_{arom}$, J 8.4 Hz). Mass spectrum: *m/z* 357 $[M + 1]^+$. Found, %: C 50.37; H 5.57; N 7.74; S 8.91. $C_{15}H_{20}N_2O_6S$. Calculated, %: C 50.55; H 5.66; N 7.86; S 9.00. *M* 356.4.

4-Hydroxy-5-[(4-nitrophenyl)sulfonyl]-1-(piperidin-1-yl)pentan-1-one (VIIIb). Yield 98%, mp 174°C. IR spectrum, ν, cm^{-1}: 1630 (C=O). ^1H NMR spectrum ($CDCl_3$), ppm: 1.50–1.65 m (7H, $3CH_2$ + CH), 1.86–1.93 m (2H, CH_2), 2.41–2.63 m (2H, CH_2), 3.24–3.28 m (1H, CH), 3.37–3.54 m (5H, $2CH_2$ + CH), 4.18–4.23 m (1H, CH), 4.82–4.92 m (1H, OH), 8.13 d ($2H_{arom}$, J 8.0 Hz), 8.37 d ($2H_{arom}$, J 8.0 Hz). Mass spectrum: *m/z* 371 $[M + 1]^+$. Found, %: C 51.76; H 5.91; N 7.45; S 8.54. $C_{16}H_{22}N_2O_6S$. Calculated, %: C 51.88; H 5.99; N 7.56; S 8.66. *M* 370.4.

4-Hydroxy-5-[(4-nitrophenyl)sulfonyl]-1-(morpholin-1-yl)pentan-1-one (VIIIc). Yield 95%, mp 161°C. IR spectrum, ν, cm^{-1}: 1610 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm: 1.55–1.56 m (1H, CH), 1.68–1.74 m (1H, CH), 2.28–2.37 m (2H, CH_2), 3.36–3.43 m (4H, $2CH_2$), 3.49–3.60 m (6H, $3CH_2$), 3.91–4.02 m (1H, CH), 4.96 d (1H, OH, J 6.0 Hz), 8.17 d ($2H_{arom}$, J 8.4 Hz), 8.43 d ($2H_{arom}$, J 8.4 Hz). Mass spec-

trum: *m/z* 373 $[M + 1]^+$. Found, %: C 48.30; H 5.32; N 7.44; S 8.53. $C_{15}H_{20}N_2O_7S$. Calculated, %: C 48.38; H 5.41; N 7.52; S 8.61. *M* 309.4.

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