ЕҢБЕК ҚЫЗЫЛ ТУ ОРДЕНДІ
«Ә. Б. БЕКТҰРОВ АТЫНДАҒЫ
ХИМИЯ ҒЫЛЫМДАРЫ ИНСТИТУТЫ»
АКЦИОНЕРЛІК ҚОҒАМЫ

Қазақстанның Химия Журналы

Химический Журнал Казахстана

Chemical journal of Kazakhstan

АКЦИОНЕРНОЕ ОБЩЕСТВО
ОРДЕНА ТРУДОВОГО КРАСНОГО ЗНАМЕНИ
«ИНСТИТУТ ХИМИЧЕСКИХ НАУК
им. А. Б. БЕКТУРОВА»

4 (64)

ОКТЯБРЬ – ДЕКАБРЬ 2018 г.

ИЗДАЕТСЯ С ОКТЯБРЯ 2003 ГОДА

ВЫХОДИТ 4 РАЗА В ГОД

АЛМАТЫ
2018

UDC 547.447

L. A. KAYUKOVA, K. D. PRALIYEV, A. B. MURZABEK

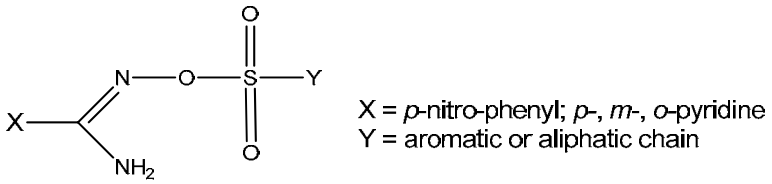
JSC «A. B. Bekturov Institute of Chemical Sciences», Almaty, Kazakhstan

SYNTHESIS AND STRUCTURE OF O-ARYLSULFONYL-β-(MORPHOLIN-1-YL)PROPIOAMIDOXIMES

Abstract. Stable aromatic and heteroaromatic sulfonilamidoximes are known. But there are reports that under certain conditions this class of compounds is able to undergo rearrangements: Boulton-Katritsky under the influence of ethereal HCl to form chlorides of 2-amino-4,5-dihydrospyropyrazolylammoniums, Tiemann upon exposure to bases to form N-substituted cyanamides and ureas. Also, when UV radiation is applied to O-arylsulfonyl aromatic and heteroaromatic amidoximes, sulfonyl and imine radicals are formed. They can serve as new potential photo-splitters of amino acids. With double-stranded DNA splitting damage is difficult to repair. This can provoke self-programmed cell death and makes such an approach as effective tool for cancer treatment. Sulfonilamidoximes possess anti-oxidant and antiparasitic activity, anti-leishmanial, including. The reaction of β-(morpholin-1-yl) propiomidoximes with aromatic sulfochlorides ($XC_6H_4SO_2Cl$, X = p-CH_3O, p-CH_3, H, p-Br, p-Cl, p-NO_2) was carried out in chloroform at room temperature for 2–6 days in the presence of triethylamine. We synthesized previously unknown O-arylsulfonyl-β-(morpholin-1-yl)propioamidoximes. An evidence of their structure is fulfilled with the help of physicochemical and spectral data [IR and NMR spectroscopy (^{1}H and ^{13}C)]. The products are isolated with the yields: 37–53%.

Keywords: O-sulfonilamidoximes, the Boulton-Katritzky and Tieman rearrangements, UV splitting of O-sulfonilamidoximes, O-arylsulfonil-β-(morpholin-1-yl)propioamidoximes, IR and NMR (^{1}H and ^{13}C) spectroscopy.

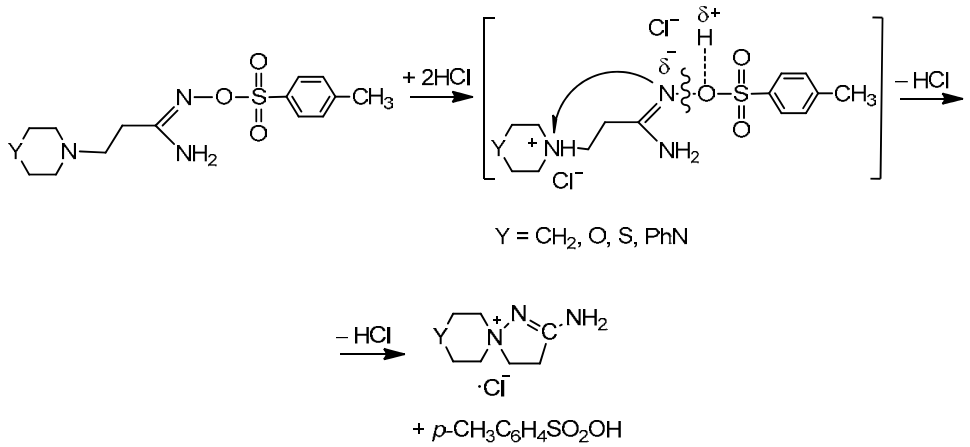
Stable aromatic and heteroaromatic sulfonilamidoximes are known. They are the reaction products of substituted bezamidoximes and amidoximes of pyridine carboxylic acids with aromatic and aliphatic sulfochlorides (scheme 1) [1].



Scheme 1

It is also known that this class of compounds under certain conditions is able to undergo regrouping. The Boulton-Katritsky rearrangement is carried out by the action of ethereal HCl and water on solutions of O-tosylates-β-aminopropioamidoximes bases in ethanol. The tosylate anion is a good leaving group. Stabilization of the intermediate state during the detachment of *para*-toluenesulfonic acid occurs when an oxyme nitrogen atom attacks the ammonium nitrogen of the

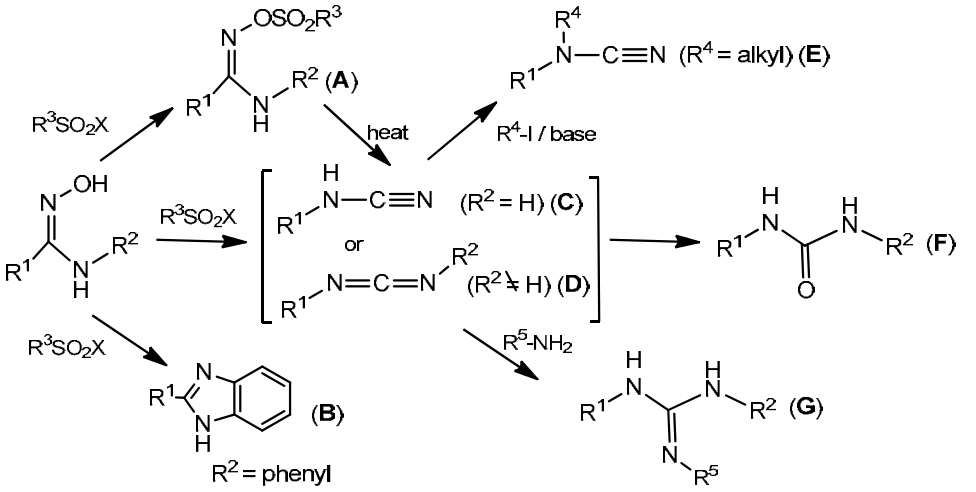
β-amino group. The loss of the HCl molecule from the transition state completes the formation of chlorides of 2-amino-4,5-dihydrospyropyrazolylammoniums (scheme 2).



Scheme 2

The rearrangement products of O-tosylates of β-aminopropioamidoximes to the chlorides of 2-amino-4,5-dihydrospyropyrazolylammoniums were obtained for β-piperidine, β-morpholine, β-thiomorpholine, β-phenylpiperazine derivatives [2].

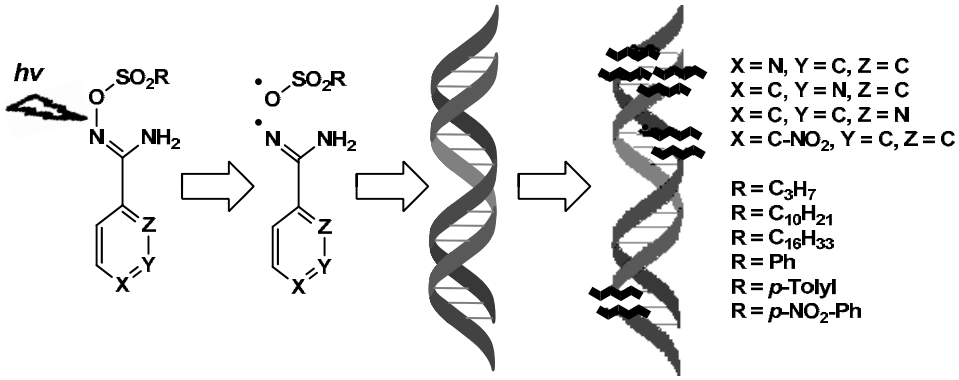
The rearrangement of Tiemann occurs when the bases act on O-alkyl and O-aryl sulfonylaromatic and heteroaromatic amidoximes (scheme 3) [3].



Scheme 3

The rearrangement leads to divergent results – to the formation of N-substituted cyanamides and ureas.

Several stable *O*-alkyl and aryl sulfonyl conjugated *p*-nitro-Ph and *o*-, *m*-, *p*-pyridine *N′*-hydroxy imidamides, were subjected to UV irradiation at 312 nm with supercoiled circular plasmid DNA pBluescript KS II. The generated amidinyl and sulfonyloxyl radicals led to effective DNA photo-cleavage. Both alkyl and aryl sulfonyl derivatives were active and the order *p*-pyridine > *p*-nitro-Ph > *o*-pyridine > *m*-pyridine was schematized for the *N′*-hydroxy imidamides moiety. Calf thymus-DNA affinity studies which comprised UV interactions, viscosity experiments and competitive studies with ethidium bromide showed good to excellent affinity of the compounds. These properties revealed sulfonyl amidoximes as novel effective DNA-photo-cleavers and may serve in the discovery of new leads for "on demand" biotechnological and medical applications (scheme 4) [4].



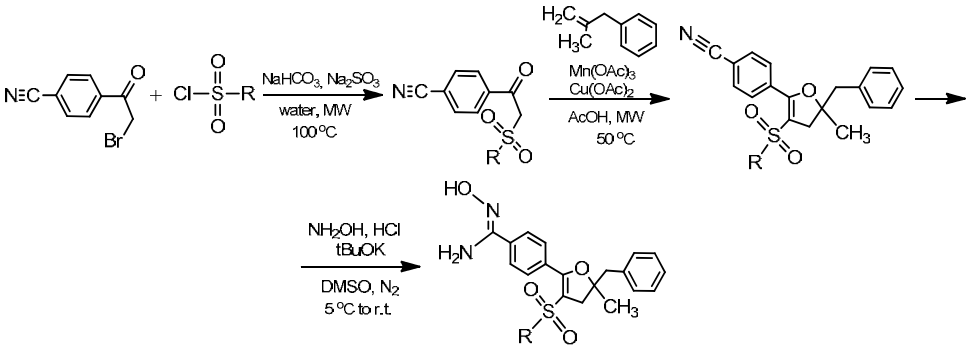
Scheme 4

Assessment of the biological activity of aromatic and heteroaromatic sulfonamidoximes showed that most of the compounds possess by antioxidant and antiparasitic activity, anti-leishmanial, including [1, 3-5].

With the use of manganese (III) acetate, Wittig reaction and Suzuki Miyaura crosslinking reactions, a series of dihydrofuran-containing mono- and di-arylamidoximes was synthesized (scheme 5).

Modulation in the substituents R^1, R^2 or R^3 in the structure of the dihydrofuran-containing amidoxime has been shown to affect on the *in vitro* antiparasitic activity: a mono-substituted phenyl group in R^1 resulted in activity against *Promastigotes Leishmania donovani* (IC_{50} = 9,16 μM) (*Ld)*, whereas the poly-substituted group resulted in activity against *Plasmodium falciparum* (IC_{50} = = 2,76 μM) (*Pf*).

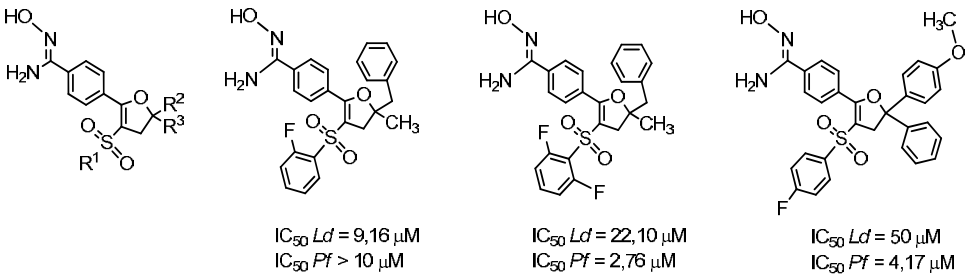
Modulation in the substituents R^1, R^2 or R^3 in the structure of the dihydrofuran-containing amidoxime has been shown to affect on the *in vitro* antiparasitic activity: a monosubstituted phenyl group in R^1 resulted in activity



R = *p*-$CF_3C_6H_4$, *p*-FC_6H_4, *p*-ClC_6H_4, *p*-BrC_6H_4, *p*-IC_6H_4, *p*-$CH_3OC_6H_4$, *p*-$NO_2C_6H_4$, *n*-C_4H_9

Scheme 5

against *Promastigotes Leishmania donovani* (IC_{50} = 9,16 μM) (*Ld)*, whereas the polysubstituted group resulted in activity against *Plasmodium falciparum* (IC_{50} = 2,76 μM) (*Pf*). The modulation of the R^2 and R^3 substituents affected only on the *in vitro* antiplasmodial activity. This suggests that the amidoxime fragment has properties that can make it a promising new antiparasitic pharmacophore [6, 7]. Below are the structures with the most pronounced antiparasitic activity (scheme 6).



IC_{50} *Ld* = 9,16 μM
IC_{50} *Pf* > 10 μM

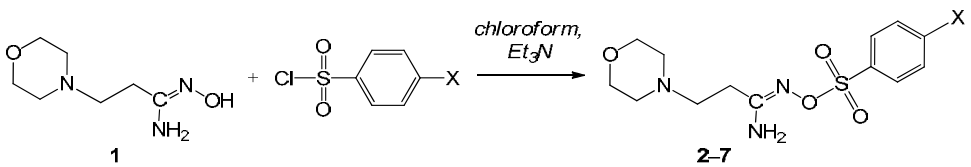
IC_{50} *Ld* = 22,10 μM
IC_{50} *Pf* = 2,76 μM

IC_{50} *Ld* = 50 μM
IC_{50} *Pf* = 4,17 μM

Ld = Leishmania donovani
Pf = Plasmodium falciparum

Scheme 6

We obtained a series of previously unknown products of the electrophilic substitution of the hydrogen atom of oxime group of amidoximes – O-arylsulfonyl-β-(morpholin-1-yl)propioamideoximes (**2–7**) (scheme 7).



1 **2–7**

Y = *p*-CH_3O (**2**), *p*-CH_3 (**3**), H (**4**), *p*-Br (**5**), *p*-Cl (**6**), *p*-NO_2 (**7**)

Scheme 7

The reaction of acylation of a multifunctional amidoxime group with arylsulfonyl chloride proceeds via the oxygen atom of the oxime group. O-Arylsulfonyl-β-(morpholin-1-yl)propioamidoximes **2–7** precipitate as white sediments. The reaction products **2–7** after recrystallization from 2-PrOH are isolated with yields of 37,0–53,2%. A qualitative sign of the presence of halogens in compounds **5** and **6** is the Belshtein test – the coloration of the flame of an alcohol lamp in a blue-green color when halogen-containing compounds are burned on a copper wire [8]. The proof of the structure of the products was carried out with the help of physicochemical and spectral data (IR spectroscopy and ^{1}H and ^{13}C NMR spectroscopy) (tables 1–4).

Table 1 – Physicochemical characteristics
of O-arylsulfonyl-β-(morpholin-1-yl) propioamidoximes **2–7**

Compound	X	Output, %	Reaction time, days	Mp, °C	R_f	M.W.	Found, % / Calculated, %		Gross formula
							C	H	
2	*p*-CH_3O	41,4	2	225	0,16	343,40	48,75 / 48,97	5,93 / 6,16	$C_{14}H_{21}N_3O_5S$
3	*p*-CH_3	47,8	2	220	0,14	327,40	51,81 / 51,36	6,59 / 6,47	$C_{14}H_{21}N_3O_4S$
4	H	42,5	4	192	0,16	313,37	49,29 / 49,83	5,97 / 6,11	$C_{13}H_{19}N_3O_4S$
5	*p*-Br	53,2	4	230	0,20	392,27	40,25 / 39,80	4,85 / 4,63	$C_{13}H_{18}BrN_3O_4S$
6	*p*-Cl	37	6	160	0,19	347,82	44,68 / 44,89	5,47 / 5,22	$C_{13}H_{18}ClN_3O_4S$
7	*p*-NO_2	48,5	3	192	0,17	358,37	43,96 / 43,57	5,29 / 5,06	$C_{13}H_{18}N_4O_6S$

In the IR spectra of compounds **2–7**, there are two characteristic absorption bands in the region ν 1119–1191 cm^{-1} and 1195–1220 cm^{-1}, corresponding to symmetric and asymmetric valence vibrations of the SO_2 group.

This give evidence that in the reaction of β-(morpholin-1-yl) propioamidoxime (**1**) with *para*-substituted benzenesulfochlorides O-*para*-substituted benzenesulfonylamidoximes (**2–7**) are formed. In addition, the presence of the bands of stretching vibrations of the C=C double bonds of compounds **2–7** in the range of ν 1596–1609 cm^{-1} and the bands of valence vibrations of the C_{sp2-H} bond in the region of > 3000 cm^{-1} is a sign of the passage of the sulfochlorination reaction.

Other characteristic absorption bands inherent to the structure of O-*para*-substituted benzenesulfonyl β-(morpholin-1-yl)propioamidoximes (**2–7**), are the absorption bands of the stretching vibrations of the $\nu_{C=N}$ bonds at 1646–1664 cm^{-1}; symmetric and asymmetric valence vibrations of NH_2 group bonds in the region of 3176–3460 cm^{-1}; valence vibrations of the ν_{Csp3-H} bonds in the range 2870–2985 cm^{-1} (table 2).

Table 2 – IR spectra of O-arylsulfonyl-β-(morpholin-1-yl)propioamideoximes **2–7**

Compound	X	Valence vibrations of bonds, ν, cm^{-1}						
		$\nu_{C=N}$	$\nu_{C=C}$	ν_{SO2}		ν_{NH2}	ν_{Csp3-H}	ν_{Csp2-H}
				sym.	asym.			
2	*p*-CH_3O	1650	1597	1119	1205	3312 сим.; 3377 асс.	2950, 2985	3150, 3193
3	*p*-CH_3	1654	1601	1120	1195	3367 сим.; 3422 асс.	2870, 2930	3050, 3153
4	H	1656	1604	1181	1220	3176 сим.; 3326 асс.	2880, 2920	3000, 3040
5	*p*-Br	1646	1609	1191	1225	3336 сим.; 3392 асс.	2930, 2960	3197
6	*p*-Cl	1647	1596	1191	1220	3306 сим.; 3405 асс.	2791, 2932	3166, 3190
7	*p*-NO_2	1664	1601	1120	1204	3312 сим.; 3460 асс.	2880, 2940	3080, 3146

In the ^{1}H-NMR spectra of O-*para*-benzenesulfonyl-β-aminopropioamideoximes (**2–7**), the presence of doublet signals of protons of a *para*-substituted phenyl group in the region δ 6,84–8,19 ppm, as well as the signal of *para*-methoxy and a *para*-methyl substituent at δ 3,75 and 3,36 ppm in the compounds **2** and **3**, respectively, are signs of the passage of the sulfochlorination reaction (table 3).

Table 3 – ^{1}H NMR spectra of O-arylsulfonyl-β-(morpholin-1-yl)propioamidoximes **2–7**

Compounds	X	Chemical shifts, δ, ppm (J, Hz)					
		α-CH_2	β-CH_2	$O(CH_2)_2$	$N(CH_2)_2$ [ax (2H); eq (2H)]	NH_2 (2H)	$C_{(sp2)}H$; *p*-CH_3O (**2**); *p*-CH_3 (**3**)
2	*p*-CH_3O	3,14t (7,0; 2H)	3,93m (6H)*	3,93m (6H)*	3,41m (2H); 3,64m (2H)	7,30	3,75s (3H, *p*-CH_3O); 6,84d (7,0; 2H); 7,53d (7,0; 2H)
3	*p*-CH_3	3,14t (7,0; 2H)	3,93m (6H)*	3,93m (6H)*	3,40m (2H); 3,65m (2H)	7,35	3,36s (3H, *p*-CH_3); 7,11d (7,0; 2H); 7,48d (7,0; 2H)
4	H	3,13t (7,0; 2H)	3,92m (6H)*	3,92 m (6H)*	3,40m (2H); 3,64m (2H)	7,48	7,31–7,61m (5H)
5	*p*-Br	3,16t (7,0; 2H)	3,87–3,98m (6H)*	3,87–3,98m (6H)*	3,40m (2H); 3,67m (2H)	7,39	7,50d (7,0; 2H); 7,54d (7,0; 2H)
6	*p*-Cl	3,17t (7,0; 2H)	3,88–3,98m (6H)*	3,88–3,98m (6H)*	3,40m (2H); 3,68m (2H)	7,44	7,37d (7,0); 7,61d (7,0)
7	*p*-NO_2	3,14t (7,0; 2H)	3,88–3,99m (6H)*	3,88–3,99m (6H)*	3,41m (2H); 3,64m (2H)	7,29	7,85d (7,0); 8,19d (7,0)
* Signals are superimposed.							

The signal of the amino group NH_2 of compounds **2–7** is observed in the region δ 7,30–7,48 ppm. The interacting groups of α- and β-methylene protons give two signals in the regions δ 3,13–3,17 ppm and 3,88–3,99 ppm. The first signal has a triplet structure; the second – is superimposed on the signal of the protons of the methylene groups standing at the oxygen atom of the morpholine ring. This signal has a total intensity of 6 protons.

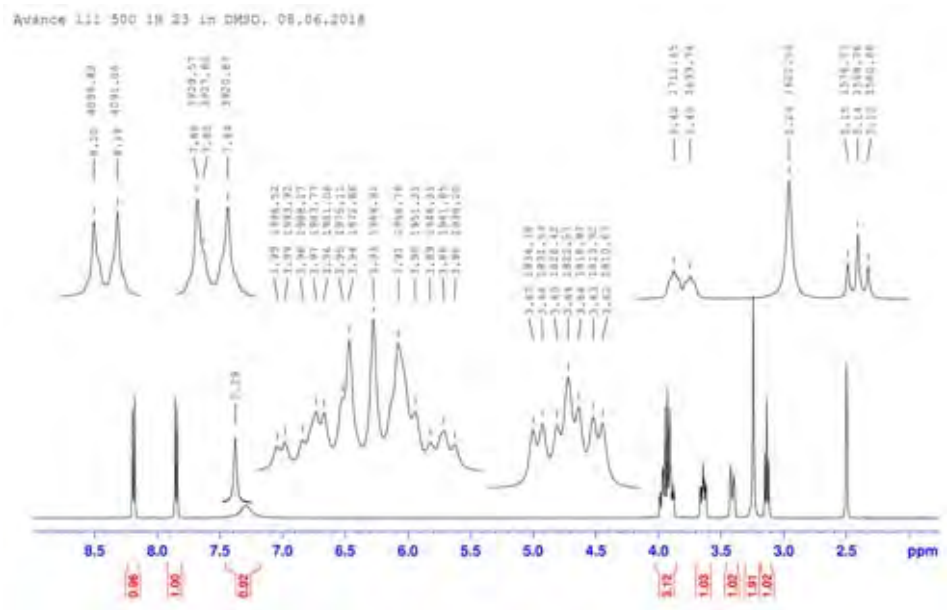
Interestingly, the protons of the methylene groups standing at the nitrogen atom of the six-membered heterocycle of compounds **2–7** give two multiplet signals at δ 3,40–3,41 ppm and 3,64–3,68 ppm with intensity in two protons, which can be attributed to the signals of axial and equatorial protons, respectively. The effect of slow inversion of six-membered heterocycles with the predominance of an armchair conformer with fixation of axial and equatorial protons in the ^{1}H NMR spectra is known and reflected in the reference data [7, 8].

The signal of the amino group NH_2 of the compounds **2–7** is observed in the region of δ 7,30–7,48 ppm. The interacting protons groups of α- and β-methylene groups give two signals in the regions δ 3,13–3,17 ppm and 3,88–3,99 ppm. The first signal has a triplet structure; the second is superimposed on the signal of the the methylene groups protons standing at the oxygen atom of the morpholine ring. This signal has a total intensity of six protons.

Interestingly, the protons of the methylene groups standing at the nitrogen atom of the six-membered heterocycle of compounds **2–7** give two multiplet signals at δ 3,40–3,41 ppm and 3,64–3,68 ppm with intensity in two protons, which can be attributed to the signals of axial and equatorial protons, respectively. The effect of slow inversion of six-membered heterocycles with the predominance of an armchair conformer and with fixation of axial and equatorial protons in the ^{1}H NMR spectra is known and reflected in the reference data [9, 10]. For example, figure 1 shows the ^{1}H NMR spectrum of compound **7**.

All signals of carbon atoms in the ^{13}C NMR spectra corresponding to the structure of O-arylsulfonyl-β-(morpholin-1-yl)propioamidoximes (**2–7**) are present in the characteristic regions. Thus, the signs of the formation of products **2–7** are the presence of signals of carbon atoms belonging to the *para*-$CH_3OC_6H_4SO_2$ group at δ 55,64 ppm and the *para*-$CH_3C_6H_4SO_2$ group at δ 21,25 ppm, as well as the signals of the C_{sp2} hybridized carbon atoms of the phenyl substituent in the region of δ 113,20–159,65 ppm.

The signals of carbon atoms of the C=N bond of products **2–7** are observed in the region δ 169,09–169,11 ppm; signals of α- and β-methylene groups of the ethylene chain are located in the regions δ 31,43–31,49 and δ 62,02–62,13 ppm, respectively; the signals of the carbon atoms of the methylene groups $N(CH_2)_2$ standing at the nitrogen atom of the morpholine cycle of the compounds **2–7** are observed in the range δ 62,08–82,46 ppm; the signals of the methylene groups standing at the oxygen atom of the morpholinone heterocycle are at δ 63,23–63,35 ppm (table 4).



Spectrum of ^{1}H NMR O-*para*-nitrophenylsulfonyl-β-(morpholin-1-yl)propioamidoxime (**7**)

Table 4 – ^{13}C NMR spectra O-*para*-substituted phenylsulfonyl-β-(morpholin-1-yl) propioamidoximes (**2–7**)

Com-pounds	X	Chemical shifts, δ, ppm					
		α-CH_2	β-CH_2	N(CH_2)$_2$	O(CH_2)$_2$	*p*-CH_3O (**2**); *p*-CH_3 (**3**); C_{sp2}	C=N
2	*p*-CH_3O	31,47	62,02	62,42	63,35	55,64(1C); 113,20(2C); 127,51(2C) 141,89(1C); 159,65(1C)	169,10
3	*p*-CH_3	31,43	62,02	62,42	63,23	21,25 (1C); 125,95(2C); 128,55(2C) 138,13(1C); 146,16(1C)	169,10
4	H	31,43	62,08	62,08	63,23	125,93(2C); 128,10(2C) 128,84(1C); 148,87(1C)	169,10
5	*p*-Br	31,48	62,07	62,46	63,34	122,00(1C); 128,23(2C) 131,04(2C);148,33(1C)	169,11
6	*p*-Cl	31,49	62,04	62,46	63,33	127,93(2H); 128,11(2H); 133,38(1H); 147,89(1H)	169,11
7	*p*-NO_2	31,47	62,13	62,44	63,35	123,71(2H); 127,39(2H); 147,79(1H); 155,00(1H)	169,09

Thus, we developed the conditions for the synthesis of new potentially biologically active O-arylsulfonyl-β-(morpholin-1-yl)propioamidoximes. It has been proved that arylsulfochlorination proceeds on the oxygen atom of the oxime

group of the starting β-(morpholin-1-yl)propioamidoxime. Under conditions of isolation, purification, storage in the absence of air, obtaining of IR spectra and ^{1}H and ^{13}C NMR spectra of O-arylsulfonyl-β-(morpholin-1-yl)propioamidoximes are stable compounds.

EXPERIMENTAL PART

IR spectra were obtained on a Thermo Scientific Nicolet 5700 FTIR instrument in KBr pellets. ^{1}H and ^{13}C NMR spectra were acquired on a Bruker Avance III 500 MHz NMR spectrometer (500 and 126 MHz, respectively). The signals of DMSO-d_6 were used as internal reference for ^{1}H NMR (2,50 ppm) and ^{13}C NMR (39,5 ppm) spectra. Melting points were determined in glass capillaries on a PTP(M) apparatus (Khimlabpribor, Russia). The reaction progress and purity of the obtained products were controlled using Sorbfil (Sorbpolymer, Russia) TLC plates coated with CTX-1A silica gel, grain size 5–17 μm, containing UV-254 indicator. The eluent for TLC analysis was mixture benzene–EtOH, 1:3. The reagents were purchased from different chemical suppliers and were purified before use. The solvents for synthesis, recrystallization, and TLC analysis (ethanol, 2-PrOH, benzene, DMF, acetone, diethyl ether) were purified according to the standard techniques.

Synthesis of the starting β-(morpholin-1-yl)propioamidoxime (**1**) is described in [11].

The reaction of β-(morpholin-1-yl)propioamidoxime (1) and para-toluenesulfonyl chloride. To a solution of 0,5 g (0,0028 mol) of β-(morpholin-1-yl)propioamidoxime (**1**) in 10 ml of chloroform was added 0,28 g (0,0028 mol) of triethylamine. The reaction mixture was cooled to 0 °C and 0,55 g (0,0028 mol) of a solution of *para*-toluenesulfonyl chloride in chloroform (2 ml) was added dropwise with stirring. The reaction mixture was then allowed to reach room temperature and stirred for 2 days until the reaction was complete. The reaction was monitored by TLC. The yield of product **3** after recrystallization from 2-PrOH was 0,45 g (47,8%); m.p. 220 °C, R_f 0,14.

The synthesis of the remaining O-arylsulfonyl-β-(morpholin-1-yl) propioamidoximes (**4**–**7**) is similar; the products are obtained with yields of 37–53%. Their physicochemical and spectral data are given in the tables 1–4.

REFERENCES

[1] Doulou I., Kontogiorgis C., Koumbis A.E., Evgenidou E., Hadjipavlou-Litina D., Fylaktakidou K.C. Synthesis of stable aromatic and heteroaromatic sulfonyl-amidoximes and evaluation of their antioxidant and lipid peroxidation activity // Eur. J. Med. Chem. 2014. Vol. 80. P. 145-53.

[2] Kayukova L.A., Baitursynova G.P., Geronikaki A., Praliev K.D., Akatan K., Shaymordan E., Kainarbaeva Zh.N. Tosylates of β-aminopropioamidoximes under the conditions of cleavage of the leaving group // Chemical Journal of Kazakhstan. 2016. N 4. P. 328-335.

[3] Chia-Chi Lin, Tsung-Han Hsieh, Pen-Yuan Liao, Zhen-Yuan Liao, Chih-Wei Chang, Yu-Chiao Shih, Wen-Hsiung Yeh, and Tun-Cheng Chien. Practical Synthesis of N-Substituted Cyanamides via Tiemann Rearrangement of Amidoximes // *Org. Lett.* 2014. Vol. 16, N 3. P. 892-895.

[4] Papastergiou A., Perontsis S., Gritzapis P., Koumbis A.E., Koffa M., Psomas G., Fylaktakidou K.C. Evaluation of O-alkyl and arylsulfonyl aromatic and heteroaromatic amidoximes as novel potent DNA photo-cleavers // Photochem. Photobiol. Sci. 2016. Vol. 15, N 3. P. 351-360.

[5] Bouhlel A., Curti C., Vanelle P. Synthesis of original amidoximes as antileishmanial agents // GIFC 2010. CP-17.

[6] Bouhlel A., Curti C., Dumètre A., Laget M., Crozet M.D., Azas N., Vanelle P. Synthesis and evaluation of original amidoximes as antileishmanial agents // Bioorg. Med. Chem. 2010. Vol. 18, N 20. P. 7310-7320.

[7] Tabélé C., Cohen A., Curti Ch., Bouhlel A., Hutter S., Remusat V., Primas N., Terme T., Azas N., Vanelle P. New series of monoamidoxime derivatives displaying versatile antiparasitic activity // Eur. J. Med. Chem. 2014. Vol. 87. P. 440-453.

[8] Viter V.N. Beylstein trial (Beylstein's reaction) // Chemistry and Chemists. 2011. N 5. P. 2011.

[9] Claride Timothy D.W. High-Resolution NMR Techniques in Organic Chemistry // Tetrahedron Org. Chem. Series. 2009. Vol. 27. P. 383.

[10] Karataeva F.H., Klochkov V.V. Spektroskopija JaMR v organicheskoj himii. Chast' I // Kazan': Kazan'skij federal'nyj universitet. 2012. P. 96.

[11] Kayukova L.A., Poplavskaya I.A., Zamuraeva N.G., Dosanov K.Sh., Dermicheva S.G., Kozhakhmetova K.D. Synthesis of amidoximes of β-aminopropionic acids and their antibacterial activity // Izv. NAS RK. Ser. Chem. 1994. N 6. P. 49-53.

Резюме

Л. А. Каюкова, К. Д. Пралиев, А. Б. Мырзабек

О-АРИЛСУЛЬФОНИЛ-β-(МОРФОЛИН-1-ИЛ)ПРОПИОАМИДОКСИМОДЕРДІҢ СИНТЕЗІ ЖӘНЕ ҚҰРЫЛЫСЫ

β-(Морфолин-1-ил)пропиоамидоксимдердің ароматикалық сульфохлоридтермен ($XC_6H_4SO_2Cl$; X = *p*-CH_3O, *p*-CH_3, H, *p*-Br, *p*-Cl, *p*-NO_2) әрекеттесуі хлороформда, бөлме температурасында **2–7** тәулік бойы триэтиламин қатысында бізге белгісіз О-арилсульфонил-β-(морфолин-1-ил)пропиоамидоксимдер синтезделіп алынды. Олардың құрылысы физико-химиялық және спектральді ИҚ және ЯМР спектрлері арқылы дәлелденді. Алынған заттың шығымы 37–53%.

Түйін сөздер: О-сульфониламидоксимдер, Боултон-Катрицки және Тиманның қайта топтасуы, О-сульфониламидоксимдердің ультракүлгін сәуле әсерінен ыдырауы, О-арилсульфонил-β-(морфолин-1-ил)пропиоамид-оксимдер, ИҚ және ЯМР (^1H и ^{13}C) спектроскопиясы.

Резюме

Л. А. Каюкова, К. Д. Пралиев, А. Б. Мырзабек

СИНТЕЗ И СТРОЕНИЕ О-АРИЛСУЛЬФОНИЛ-β-(МОРФОЛИН-1-ИЛ)ПРОПИОАМИДОКСИМОВ

Взаимодействием β-(морфолин-1-ил)пропиоамидоксима с ароматическими сульфохлоридами ($XC_6H_4SO_2Cl$; X = *p*-CH_3O, *p*-CH_3, H, *p*-Br, *p*-Cl, *p*-NO_2) в хлороформе при комнатной температуре в течение 2–6 сут в присутствии триэтиламина нами синтезированы ранее неизвестные О-арилсульфонил-β-(морфолин-1-ил)пропиоамидоксимы. Доказательство их строения выполнено с помощью физико-химических и спектральных данных – ИК- и ЯМР-спектроскопии (^1H и ^{13}C). Продукты выделены с выходами: 37–53%.

Ключевые слова: О-сульфониламидоксимы, перегруппировки Боултона-Катрицкого и Тимана, УФ-расщепление О-сульфониламидоксимов, О-арилсульфонил-β-(морфолин-1-ил)пропиоамидоксимы, ИК- и ЯМР (^1H и ^{13}C)-спектроскопия.