





ACTUAL PROBLEMS OF NATURAL SCIENCES

UDC 547.79: 547.431.2: 547.539.2

BIOLOGICAL ACTIVITY OF 5-EXCHANGED AMINO-1,3,4-THIADIAZOL-2-TIONS AND THEIR DERIVATES

Polvonov Khudaybergan Kuzyeyevich
Associated professor in Chemistry
Department, Natural science faculty,
Urgench State university
polvonov-1973@mail.ru

Ataniyazov Otaniyoz Nurullayevich
Teacher of the Department of
Chemistry, Natural science faculty,
Urgench State university
oti_kimyo_89@inbox.ru

Sobirov Qodir
Associated professor in Chemistry
Department, Natural science faculty,
Urgench State university
sobirov_qodir1947@mail.ru

Yuldashev Shokir Urinovich
Senior researcher (PhD), in Institute chemistry
of plant substances Academy of Sciences
of the Republic of Uzbekistan
www.yyy.2014@mail.ru

Annotatsiya: Maqolada 5-morfolino (fenilamino-, p-toluidino-)-1,3,4-tiadiazolin-2-tionlarni ba'zi alkilgalogenidlar bilan (allilbromid, benzilxlorid) hamda fenoksimetiloksiranlar bilan alkilash reaksiya mahsulotlarini va ushbu tionlarning kaliyli tuzlari orasidan yangi biologik faol moddalarni izlash hamda sintez qilingan birikmalar asosida tayyorlangan preparatlarning o'simliklarni o'sishini boshqaruvchi, gerbitsidlik, defoliantlik xossalarini o'rganish natijalari keltirilgan. Ushbu alkilash reaksiyalari mahsulotlari orasidan gerbitsidlik xususiyatini namoyon qiladigan preparatlar mavjudligi aniqlandi va kelgusida ulardan begona o'tlarga qarshi kurashda foydalanish mumkin.

Kalit so'zlar: Biologik faollik, fungitsid, insektitsid, gerbitsid, mahsulot, begona o'tlar.

Аннотация: В статье описан поиск новых биологически активных веществ в среды продуктах алкилирования 5-морфолино (фениламино-, п-толуидино-)-1,3,4-тиадиазолин-2-тионов некоторыми алкилгалогенидами (аллилбромид, бензилхлорид), феноксиметилоксиранов и калийных солей этих соединений. Приведены результаты изучения контролирующего рост растений, гербицидных, дефолиантных свойств препаратов, приготовленных на основе полученных соединений. Среди продуктов этих реакций алкилирования было обнаружено,



что есть препараты, проявляющие гербицидные свойства, и в будущем их можно будет использовать в борьбе с сорняками.

Ключевые слова: Биологическая активность, фунгицид, инсектицид, гербицид, продукт, сорняки.

Annotation: The article deals with the search for new products of alkylation of 5-morpholino (phenylamino-, p-toluidino-) 1,3,4-thiadiazoline-2-tions with some alkyl halides (allyl bromide, benzyl chloride) and phenoxymethoxyranes and their potassium salts. The results of the study of plant growth control, herbicide, defoliant properties of drugs prepared based on synthesized compounds are presented. Among the products of these alkylation reactions, it was found that there are drugs that exhibit herbicidal properties and can be used in the future to control weeds.

Key words: Biological activity, fungicide, insecticide, herbicide, product, weeds.

Introduction. An analysis of the literature shows that the reactions of 5-exchange amino-1,3,4-thiadiazoline-2-tions with different alkylating agents often lead to the sulfur atom, and in some reactions, depending on the conditions, a mixture of S-, N-isomers is formed. Due to the presence of a thioamide (-NH-CO-) ambifunctional group in the molecule of 5-substituted amino-1,3,4-thiadiazoline-2-tions, it is theoretically interesting that it can react bilaterally depending on the conditions. The presence of active substances, including fungicides [1-4], herbicides, presence of substances with properties requires further study of these types of compounds.

In addition, the presence of protective substances against radiation [14,15] indicates that the properties of the products of these heterocyclic compounds are unique.

Literature review. Since most derivatives of 5-substituted amino-1,3,4-thiadiazoline-2-tions have biological activity, many studies have not aimed to determine the ambivalent properties of 5-substituted amino-1,3,4-thiadiazoline-2-tions. It is made only for the synthesis of new biologically active substances.

As a result, scientists have carried out many syntheses based on 1,3,4-thiadiazoline-2-tions and found that several new biologically active substances are among the synthesized compounds.

In particular, substances with insecticidal [7,8,9] and bactericidal [10-13] properties were found among the derivatives of 5-exchanged amino-1,3,4-thiadiazole-2-tions. In addition, the presence of substances that protect against radiation [14] indicates that the properties of the products of these heterocyclic compounds are unique.

According to the preliminary results of our study of the biological activity of different alkylation reaction products of 5-exchange amino-1,3,4-thiadiazole-2-tions, it was found that among them there are substances that exhibit different biological activities [15].

In short, the synthesis of different derivatives of 5-exchange amino-1,3,4-thiadiazole-2-tions is interesting not only in terms of determining the direction of the reaction but also in terms of identifying different biologically active substances among the synthesized chemical compounds. Therefore, we continue our research by showing that the products of alkylation of 5-morpholino (phenylamino-, p-toluidino-) 1,3,4-thiadiazoline-2-tions with some alkyl halides (allyl bromide, benzyl chloride) and phenoxymethoxyranes and potassium salts of these tions we set ourselves the goal of finding new biologically active substances among them. Accordingly, in order to



search for new biologically active substances among the alkylated agents and derivatives of 5-substituted amino-1,3,4-thiadiazole-2-tions, as well as to study the growth-regulating, herbicide, defoliant properties of drugs based on synthesized compounds. In the laboratory of phytotoxicology of the Institute of Plant Chemistry of the Academy of Sciences of the Republic of Uzbekistan together with specialists conducted relevant experiments.

Some of the derivatives of 5-exchange amino-1,3,4-thiadiazole-2-tions whose biological activity was tested showed that there are many compounds that control plant growth and herbicide properties among these selected substances that exhibit herbicide properties.

Research Methodology. The experiments were carried out on seeds of wheat varieties "Bezostaya" and mung bean "Yulduzcha". Seedlings of wheat and mung bean plants are grown to 2-4 mm in root length were kept for 120 minutes in $1 \cdot 10^{-3}$, $1 \cdot 10^{-60}$ concentrated solutions of the synthesized drugs. As a standard, permethrin herbicide was used at the same concentrations.

The plants in the control variant were kept in distilled water. After 120 minutes, the roots of the treated seedlings were washed with water, each variant seedling was wrapped in 20 pieces of 10x75 cm filter paper and placed in 50 ml beakers and grown in a thermostat at 28°C for 3 days. The length of the stems and roots of the seedlings was then measured. The herbicidal effect of the studied substances, the change in the ratio of rod and root lengths of seedlings in the variants to the standard were determined according to the following formula [16].

$$A_G = G/E$$

Here is the effect of herbicide (%) of A_G ; The length of the stem and root in G-substances (mm); Stem lengths and root lengths, (standard, mm) in E-ethalon.

Analysis and results. The test results for the herbicidal activity of potassium salts of 5-substituted amino-1,3,4-thiadiazoline-2-tions and related S- and N-alkyl derivatives with allyl bromide, benzyl chloride, as well as phenoxyethoxyranes are given in Table 1.

According to the results of the study, drugs based on the results of the tested 5-exchange amino-1,3,4-thiadiazoline-2-tions slow down plant root development compared to the control solution. This indicates that these drugs have herbicidal activity. This indicates that these drugs have herbicidal activity. It can be seen from the table that the relatively high herbicide activity in the seeds of the experimental wheat variety "Bezostaya" was 5-p-toluidino-1,3,4-thiadiazole among the salts of 5-exchange amino-1,3,4-thiadiazoline-2-tions. The potassium salt of 2-tion is 45,2% at the rate of 60 mg/l, and among the products of tion allelization is 3-allyl-5-morpholino-1,3,4-thiadiazoline-2-tion at the rate of 33,1% at the rate of 30 mg/l relative to the control solution.

Table 1



Herbicide activity in 5-substituted amino-1,3,4-thiadiazoline-2-tions and some of their derivatives in wheat biotest

№	Variant (compound)	Compound concentration, %	growth, %	Root length		Stem length	
				mm	%	mm	%
1	2-Benzylthio-5-morpholino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	68,2	79,2	88,1	79,3	80,70
		$1 \cdot 10^{-6}$	70,1	78,4	87,2	87,0	88,5
2	2-Allitio-5- morpholino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	59,3	77,5	86,2	90,4	92,0
		$1 \cdot 10^{-6}$	60,1	74,1	82,2	92,1	93,7
3	2- (3-phenoxy-2-hydroxypropyl) thio-5-morpholino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	70,2	77,1	85,8	94,1	95,7
		$1 \cdot 10^{-6}$	75,7	67,0	74,5	91,1	92,7
4	2-Allitio-5- p-toluidino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	90,1	69,4	77,2	82,3	83,7
		$1 \cdot 10^{-6}$	92,4	75,1	83,5	70,4	71,6
5	2-(3-phenoxy-2-hydroxy-propyl) thio-5-phenylamino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	74,7	80,3	89,3	73,5	74,8
		$1 \cdot 10^{-6}$	74,4	80,2	89,2	97,6	99,3
6	2- (3-phenoxy-2-hydroxy-propyl) thio-5-p-toluidino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	80,3	69,5	77,31	92,0	93,6
		$1 \cdot 10^{-6}$	73,5	79,4	88,3	96,1	97,8
7	2-Allitio-5-p-toluidino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	80,1	70,7	78,6	85,5	87
		$1 \cdot 10^{-6}$	89,2	68,8	76,5	90,0	91,6
8	3-Allil-5-p-toluidino-1,3,4-thiadiazoline-2-tion	$1 \cdot 10^{-3}$	63,2	87,2	97,2	92,3	93,9
		$1 \cdot 10^{-6}$	68,2	81,2	90,3	99,4	101,4
9	2-Benzylthio-5-p-toluidino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	20,2	90,4	100,6	90,7	92,3
		$1 \cdot 10^{-6}$	94,4	90,5	100,7	70,9	72,1
10	2-Potassium-p-toluidino-1,3,4-thiadiazole salt	$1 \cdot 10^{-3}$	74,2	49,3	54,8	72,4	73,7
		$1 \cdot 10^{-6}$	78,3	68,3	76,0	79,5	80,9
11	2-Potassium-5-p-toluidino-1,3,4-thiadiazole salt	$1 \cdot 10^{-3}$	90,4	70,4	78,3	71,6	72,8
		$1 \cdot 10^{-6}$	100,0	67,4	75,0	92,4	94,0
12	2-Potassium-5-morpholino-1,3,4-thiadiazole salt	$1 \cdot 10^{-3}$	89,1	82,2	91,4	72,2	73,5
		$1 \cdot 10^{-6}$	95,2	71,2	79,1	80,1	81,5
13	3-Allil-5-morpholino-1,3,4-thiadiazoline-2-tion	$1 \cdot 10^{-3}$	78,3	78,3	87,1	68,0	69,1
		$1 \cdot 10^{-6}$	90,1	61,0	67,9	75,4	76,7
14	Prometrine	$1 \cdot 10^{-3}$	79,1	75,4	83,9	73,0	74,3
		$1 \cdot 10^{-6}$	87,2	52,2	58,1	84,4	85,9
15	Control	H ₂ O	100,0	89,9	100	98,3	100

Similar tests (at a dose of 30 mg/l) were performed on mung bean's "Yulduzcha" variety 2-allitio-5-morpholino-1,3,4-thiadiazole, 2-allitio-5-p-toluidino-1,3,4-thiadiazole, and 2-(3-phenoxy-2-hydroxypropyl) thio-5-phenylamino-1,3,4-thiadiazole was found to have a herbicide activity of 42,6%, 42,2%, and 40,3%,



respectively, relative to the control solution (Table 2).

Table 2
Herbicide activity of 5-substituted amino-1,3,4-thiadiazole-2-tions and some of their derivatives in the biotest of mung bean

№	Variant (compound)	Compound concentration, %	growth %	Root length		Stem length	
				mm	%	mm	%
1	2-Benzylthio-5-morpholino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	75,2	32,3	61,6	70,3	70,5
		$1 \cdot 10^{-6}$	80,4	36,4	69,5	69,7	69,9
2	2-Allitio-5- morpholino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	82,3	38,1	72,7	59,2	59,4
		$1 \cdot 10^{-6}$	78,0	30,1	57,4	68,1	68,3
3	2-(3-phenoxy-2-hydroxypropyl) thio-5-morpholino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	40,0	41,2	78,6	72,1	72,3
		$1 \cdot 10^{-6}$	100,0	45,2	86,3	70,6	70,8
4	2-Allitio-5- p-toluidino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	100,0	36,4	69,5	78,7	78,9
		$1 \cdot 10^{-6}$	100,0	34,4	65,7	86,1	86,3
5	2-(3-phenoxy-2-hydroxy-propyl) thio-5-phenylamino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	100,0	92,1	175,8	71,2	71,5
		$1 \cdot 10^{-6}$	80,4	31,3	59,7	75,3	75,5
6	2- (3-phenoxy-2-hydroxy-propyl) thio-5-p-toluidino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	80,5	32,2	61,5	90,4	90,6
		$1 \cdot 10^{-6}$	80,7	37,2	71,0	77,1	77,3
7	2-Allitio-5-p-toluidino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	80,7	37,4	71,4	81,1	81,3
		$1 \cdot 10^{-6}$	40,3	30,3	57,8	88,3	88,5
8	3-Allil-5-p-toluidino- 1,3,4-thiadiazoline-2-tion	$1 \cdot 10^{-3}$	100,0	39,1	74,6	79,7	79,9
		$1 \cdot 10^{-6}$	70,2	40,1	76,5	82,1	82,3
9	2-Benzyltio-5-p-toluidino-1,3,4-thiadiazole	$1 \cdot 10^{-3}$	80,4	38,5	73,5	73,2	73,4
		$1 \cdot 10^{-6}$	72,5	44,4	84,7	96,5	96,7
10	2-Potassium-p-toluidino-1,3,4-thiadiazole salt	$1 \cdot 10^{-3}$	74,0	54,1	103,2	62,7	62,9
		$1 \cdot 10^{-6}$	70,4	60,2	114,9	71,6	71,8
11	2-Potassium-5-p-toluidino-1,3,4-thiadiazole salt	$1 \cdot 10^{-3}$	69,5	48,4	92,4	69,4	69,6
		$1 \cdot 10^{-6}$	74,6	42,5	81,1	68,2	68,4
12	2-Potassium-5- morpholino - 1,3,4-thiadiazole salt	$1 \cdot 10^{-3}$	70,2	39,6	75,6	90,1	90,3
		$1 \cdot 10^{-6}$	71,3	40,7	77,7	93,3	93,5
13	3-Allil-5-morpholino-1,3,4-thiadiazoline-2-tion	$1 \cdot 10^{-3}$	70,3	44,8	85,5	82,4	82,6
		$1 \cdot 10^{-6}$	64,2	50,4	96,2	89,5	89,7
14	Prometrine	$1 \cdot 10^{-3}$	69,1	58,5	111,6	80,2	80,4
		$1 \cdot 10^{-6}$	68,1	52,6	100,4	96,1	96,3
15	Control	H ₂ O	100,0	52,4	100	99,7	100

Conclusion. Based on the results obtained from the initial experiments, we came to the



following conclusions.

1. According to the results obtained, a solution of potassium salt of 5-p-toluidino-1,3,4-thiadiazole-2-tion with a concentration of $1 \cdot 10^{-6}\%$ of the herbicide activity increased by 45,2%, 3-allyl-5-morpholino-1,3,4-thiadiazoline-2-tion at a concentration of $1 \cdot 10^{-3}\%$ was found to be 33,1%.
2. Also, in the seeds of the "Yulduzcha" variety of mosh, a $1 \cdot 10^{-6}\%$ solution of 2-allitio-5-morpholino-1,3,4-thiadiazole with a concentration of 42,6%, 2-allitio-5-p-toluidino-1,3,4-thiadiazole was compared with the control solution was found to have 42,2% and 2-(3-phenoxy-2-hydroxypropyl) thio-5-phenylamino-1,3,4-thiadiazole had 40,3% higher herbicidal activity.
3. Potassium salt of 5-p-toluidino-1,3,4-thiadiazole-2-tion, 3-allyl-5-morpholino-1,3,4-thiadiazoline-2-tion, 2-allitio-5-morpholino-1,3,4-thiadiazole, Further study of the herbicidal properties of samples based on 2-allitio-5-p-toluidino-1,3,4-thiadiazole and 2-(3-phenoxy-2-hydroxypropyl) thio-5-phenylamino-1,3,4-thiadiazoles allows the identification of samples.

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