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INHIBITORY AND REGULATORY ACTIVITY OF SOME DERIVATIVES OF 5- EXCHANGED AMINO-1,3,4-THIADIAZOLINE-2-TIONS

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Annotatsiya: Maqolada 5-almashgan amino-1,3,4-tiadiazolin-2-tionlar asosida sintez qilingan ayrim kimyoviy birikmalarning ingibitorlik va o'simliklar o'sishini boshqarish xususiyatlari bo'yicha tadqiqot natijalari keltirilgan bo'lib, ular orasidan yorqin ingibitorlik va regulyatorlik xususiyatlarini namoyon qiladigan faol preparatlar sifatida ishlatish mumkin bo'lgan birikmalar aniqlandi.

Kalit so'zlar: Biologik faollik, hosila, o'simlik zararkunandalari, o'sishni tartibga soluvchi, fotosintez, ingibitor, fungisid, gerbisid, begona o'tlar.

Аннотация: В статье представлены результаты исследования ингибирующая и регуляторная активность некоторых химических соединений, синтезированных на основе 5-замещенных амино-1,3,4-тиадиазолин-2-тионов, среди которых есть препараты, которые могут быть использованы в качестве как ярко выраженной ингибиторной и регуляторной активных препаратов.



Ключевые слова: Биологический активность, производный, вредители растение, рост регулятор, фотосинтез, ингибитор, фунгицид, гербицид, сорняк.

Abstract: The article presents the results of research on the inhibitory and plant growth control properties of some chemical compounds synthesized based on 5-exchanged amino-1,3,4-thiadiazoline-2-tions, among which have inhibitory and regulatory properties (can be used as active compounds) compounds were identified.

Keywords: Biological activity, yield, plant pests, growth regulator, photosynthesis, inhibitor, fungicide, herbicide, weed.

Introduction: Along with the creation of intensive varieties and the introduction of new technologies for the cultivation of agricultural crops and their high yields, it is important to develop an integrated system of pest control of these plants.

There is a need for comprehensive chemicalization of agriculture and expansion of the range of chemical means for effective, inexpensive chemical protection of plants.

This, in turn, means that research on the synthesis of new biologically active substances and their testing of drug forms should be further optimized. Of course, to solve these problems it will be necessary to synthesize new compounds based on various heterocyclic compounds and to search for biologically active substances among them. Such heterocyclic compounds include 5- exchanged amino-1,3,4-thiadiazoline-2-tions with three heteroatoms in a five-membered ring.

Due to the presence of an ambifunctional group of thioamide ($-NH-C(=S)-$) in the molecule of these heterocyclic compounds, they can undergo a two-way reaction depending on the conditions, such properties of which have been widely studied by scientists. It was also found that many new biologically active substances were found among the synthesized compounds, including substances with fungicidal properties [1-4] and herbicide properties [5,6].

This makes it possible to carry out the synthesis of new biologically active substances by further studying the properties of this type of heterocyclic compounds.

Literature review: As noted above, since 5-exchanged amino-1,3,4-thiadiazoline-2-tions and most of their derivatives are different types of biologically active substances, almost all of the research conducted by scientists has focused on the detection of new biologically active substances using synthesized compounds. As a result, many new biologically active compounds have been synthesized from among the synthesized compounds. For example, some 5- exchanged amino-1,3,4-thiadiazole-2-tions and their derivatives have been found to have substances with high insecticidal properties [7,8,9] and bactericidal properties [10-13]. Also, the fact that among the synthesized compounds were found substances with protective properties against various radioactive rays [14], indicates that the specific properties of these types of heterocyclic compounds and their derivatives are of great practical importance.

We reported on our research to find new biologically active compounds among the products of alkylation reactions of 5- exchanged amino-1,3,4-thiadiazoline-2-tions with methyl- and propyl iodides, ethyl-, butyl-, allylbromide, benzylchloride [15]. According to the results of preliminary tests, among the synthesized compounds were found substances that can be used in the preparation of drugs with herbicidal, insecticidal, inhibitory and plant growth-regulating properties, which required further research in this area.



Research methods: Taking into account the above, in the laboratory of phytotoxicology of the Institute of Plant Chemistry of the Academy of Sciences of the Republic of Uzbekistan conducted primary and secondary biotest tests to determine the biological activity of alkyl derivatives synthesized on the basis of 5-exchanged amino-1,3,4-thiadiazoline-2-tions. *Gossypium hirsutum* (cotton stalk) and *Xanthium strumarium* L (cocklebur) plant seed pods were used as biotest objects. The experimental method is based on the fact that the leaves of the seed float in an aqueous nutrient medium, in light, floating on the account of O_2 formed as a result of the process of photosynthesis, and sink in the dark (as a result of the cessation of photosynthesis). In this case, the presence of photosynthesis inhibitors in the incubation environment affects the process of photosynthesis in the leaves of the seed, reducing the formation of oxygen (O_2), and as a result, the seed leaves fall off.

The inhibitory activity of the photosynthetic process of newly synthesized drugs (ED_{50}) is expressed by the molar concentration, which is determined by the deposition of 50% of the discs of seed pods during incubation.

This method allows the detection of inhibitors of photosynthesis even at lower concentrations (10^{-7} M, 10^{-8} M) [16, 17].

In our previous studies, the inhibitory activity of drugs regulating photosynthesis, respiration, inhibition, and plant growth was tested in biotests *Phaseolus aureus* (Star variety) and *Triticum aestivum* (Bezostaya). Biotest was performed in a solution of drugs with a concentration of 10^{-5} M, water was used as a control solution.

Discussion of the results: For this purpose, some alkylation, allylation and benzoylation reaction products of 5- exchanged amino-1,3,4-thiadiazole-2-tions and 2-potassium-p-toluidino-1,3,4-thiadiazole salt of cotton and katanak (weed) the effect of the process of photosynthesis on the germination of plant seeds was studied. The test results of the effect of these tions on the photosynthesis process of the corresponding S-, N-alkyl and S-, N-benzoyl derivatives are given in Table 1.

Table 1.
The effect of 5-exchanged amino-1,3,4-thiadiazole-2-tions and their derivatives on cotton and catanac photosynthesis

№	Variant	Conc. (M)	Biotests	The initial number of seed discs (per).	the number of sunken disks (%)					
					1 hour	2 hour	3 hour	4 hour	5 hour	6 hour
1	Control	H_2O	Cotton	50,0	-	-	-	-	-	-
			Katanak	50,0	-	-	-	-	-	2
2	2-Benzothio-5-phenylamino-1,3,4-thiadiazole	10^{-5} M	Cotton	50,0	6	6	8	10	14	18
			Katanak	50,0	8	10	14	14	18	18
3	2-Benzothio-5-morpholino-1,3,4-thiadiazole	10^{-5} M	Cotton	50,0	4	4	14	14	17	18
			Katanak	50,0	6	6	10	12	16	20
4	2-Propylthio-5-phenylamino-1,3,4-thiadiazole	10^{-5} M	Cotton	50,0	6	10	12	18	19	20
			Katanak	50,0	8	10	16	20	20	22
5	2-(3-Phenoxy-2-hydroxy-propyl)thio-5-p-toluidino-1,3,4-thiadiazole	10^{-5} M	Cotton	50,0	4	14	18	24	30	34
			Katanak	50,0	6	12	20	22	34	36
6	2-Methylthio-5-morpholino-1,3,4-thiadiazole	10^{-5} M	Cotton	50,0	6	18	22	45	44	58
			Katanak	50,0	8	22	24	44	58	62



7	2-Allitio-5- <i>p</i> -toluidino-1,3,4-thiadiazol	10^{-5} M	Cotton	50,0	4	14	14	20	24	28
			Katanak	50,0	10	15	16	22	26	30
8	2- <i>p</i> -Butitio-5-morpholino-1,3,4-thiadiazole	10^{-5} M	Cotton	50,0	16	24	28	38	40	58
			Katanak	50,0	18	26	39	40	46	62
9	2-Propylthio-5-morpholino-1,3,4-thiadiazole	10^{-5} M	Cotton	50,0	4	8	8	24	30	34
			Katanak	50,0	6	12	20	22	34	40
10	3-Allitio-5-morpholino-1,3,4-thiadiazolin-2-tion	10^{-5} M	Cotton	50,0	6	14	28	38	46	54
			Katanak	50,0	8	20	36	40	40	52
11	2-Potassium- <i>p</i> -toluidino-1,3,4-thiadiazole salt	10^{-5} M	Cotton	50,0	4	8	8	14	16	24
			Katanak	50,0	6	10	10	12	14	20
12	2-Benzotitio-5- <i>p</i> -toluidino-1,3,4-thiadiazole	10^{-5} M	Cotton	50,0	7	8	12	16	21	28
			Katanak	50,0	6	8	14	17	24	29

Biostat results showed that the inhibition of drugs prepared from 2-methylthio-5-morpholino-1,3,4-thiadiazole, 2-*n*-butylthio-5-morpholino-1,3,4-thiadiazole and 3-allitio-5-morpholino-1,3,4-thiadiazoline-2-tions was high. After 6 hours of incubation in these variants, the number of drooping seed leaf discs exceeded 50%. Other drugs did not significantly affect the process of photosynthesis of seed leaf discs.

Therefore, 2-methylthio-5-morpholino-1,3,4-thiadiazole, 2-*n*-butylthio-5-morpholino-1,3,4-thiadiazole and 3-allitio-5-morpholino-1,3,4- preparations based on thiadiazoline-2-tions are of interest for our further research as an inhibitor of photosynthesis. For this purpose, the inhibitory and plant growth control properties of alkylation, allylation and benzylation reaction products of 5-exchanged amino-1,3,4-thiadiazole-2-tions and 2-potassium-*p*-toluidino-1,3,4-thiadiazole salt were studied. The data obtained are presented in Table 2.

Table 2

Peraparatlarning brakes and inhibitory properties

№	Variant	Conc. (M)	Biotests	Plant sprouts (%)	Root length		Root length	
					mm.	%	mm.	%
1	Control	H ₂ O	Mung bean Wheat	50,0 50,0	• •	• •	• •	• •
2	2-Benzotitio-5-phenylamino-1,3,4-thiadiazole	10^{-5} M	Cotton Cocklebur	50,0 50,0	6 8	6,0 10,0	8 14	9,5 16,5
3	2-Benzotitio-5-morpholino-1,3,4-thiadiazole	10^{-5} M	Cotton Cocklebur	50,0 50,0	4 6	4,0 6,0	14 10	16,5 12,0
4	2-Propylthio-5-phenylamino-1,3,4-thiadiazole	10^{-5} M	Cotton Cocklebur	50,0 50,0	6 8	10,0 10,0	12 16	14,4 19,5
5	2-(3-Phenoxy-2-hydroxy-propyl) thio-5- <i>p</i> -toluidino-1,3,4-thiadiazole	10^{-5} M	Cotton Cocklebur	50,0 50,0	4 6	14,0 12,0	18 20	24,0 27,0
6	2-Methylthio-5-morpholino-1,3,4-thiadiazole	10^{-5} M	Cotton Cocklebur	50,0 50,0	6 8	18,0 22,0	22 24	34,8 38,9
7	2-Allitio-5- <i>p</i> -toluidino-1,3,4-thiadiazol	10^{-5} M	Cotton Cocklebur	50,0 50,0	4 10	14,0 15,0	14 16	18,0 21,0
8	2- <i>p</i> -Butitio-5-morpholino-1,3,4-thiadiazole	10^{-5} M	Cotton Cocklebur	50,0 50,0	16 18	24,0 26,0	28 39	44,0 63,0
9	2-Propylthio-5-morpholino-1,3,4-thiadiazole	10^{-5} M	Cotton Cocklebur	50,0 50,0	4 6	8,0 12,0	8 20	10,7 28,0
10	3-Allitio-5-morpholino-1,3,4-thiadiazolin-2-tion	10^{-5} M	Cotton Cocklebur	50,0 50,0	6 8	14,0 20,0	28 36	43,0 55,0



11	2-Potassium- <i>p</i> -toluidino-1,3,4-thiadiazole salt	10 ⁻⁵ M	Cotton Cocklebur	50,0 50,0	4 6	8,0 10,0	8 10	10,0 12,0
12	2-Benzothio-5- <i>p</i> -toluidino-1,3,4-thiadiazole	10 ⁻⁵ M	Cotton Cocklebur	50,0 50,0	7 6	8,0 8,0	12 14	15,4 18,1

Conclusions:

1. Preliminary test results showed that drugs based on derivatives of 5-exchanged amino-1,3,4-thiadiazoline-2-tions have a significant effect on the process of photosynthesis compared to the control solution.
2. Also 2-methylthio-5-morpholino-1,3,4-thiadiazole, 2-n-butylthio-5-morpholino-1,3,4-thiadiazole and 3-allylthio-5-morpholino-1,3,4-thiadiazoline-2-tions were found to exhibit high photosynthetic inhibitory activity. This requires a more extensive study of the photosynthetic inhibition and plant growth control properties of these compounds.

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