

МИНИСТЕРСТВО ЗДРАВООХРАНЕНИЯ И СОЦИАЛЬНОЙ ЗАЩИТЫ НАСЕЛЕНИЯ РЕСПУБЛИКИ ТАДЖИКИСТАН ТАДЖИКСКИЙ ГОСУДАРСТВЕННЫЙ МЕДИЦИНСКИЙ УНИВЕРСИТЕТ ИМЕНИ АБУАЛИ ИБНИ СИНО



# НАКШ ВА МАВКЕИ ТЕХНОЛОГИЯХОИ ИННОВАТСИОНП ДАР ТИББИ МУОСИР

## РОЛЬ И МЕСТО ИННОВАЦИОННЫХ ТЕХНОЛОГИЙ В СОВРЕМЕННОЙ МЕДИЦИНЕ

### **ROLE AND THE PLACE OF INNOVATIVE TECHNOLOGIES IN MODERN MEDICINE**

## TOM - II



Материалы 66-ой годичной научно-практической конференции ТГМУ им. Абуали ибни Сино с международным участием, в рамках которой проходят Симпозиум детских хирургов «Хирургия пороков развития у детей» и Веб-симпозиум по нормальной физиологии, посвященные «Году развития туризма и народных ремесел»



23 ноября 2018 Душанбе (Dushanbe)



МИНИСТЕРСТВО ЗДРАВООХРАНЕНИЯ И

СОЦИАЛЬНОЙ ЗАЩИТЫ НАСЕЛЕНИЯ РЕСПУБЛИКИ ТАДЖИКИСТАН



ТАДЖИКСКИЙ ГОСУДАРСТВЕННЫЙ МЕДИЦИНСКИЙ УНИВЕРСИТЕТ им. АБУАЛИ ИБНИ СИНО

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### TOM – II

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#### DIURETIC ACTIVITY OF 4-(FURAN-2-YLMETHYLENAMINO)-1-ALKYL-4H-1,2,4-TRIAZOLE HALIDES

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**Topicality.** Diuretic agents play an important role in the treatment of a number of diseases (arterial hypertension, chronic heart failure, and ascites in cirrhosis, acute and chronic renal failure, and other.) [1, 3, 4]. But a significant number of them does not always satisfy the needs of modern medicine [2]. First of all, this is due to the side effect that these drugs can display. Therefore, the search for new biologically active substances that improve the functional activity of the kidneys is one of the urgent problems of experimental pharmacology and corresponds to the strategy of modern pharmaceutical science [3]. According to literature data, nitrogen-containing heterocyclic compounds, such as 1,2,4-triazole derivatives [1, 3, 4] has deserve considerable attention to the study of diuretic activity.

**The aim of the study** was to experimentally investigate the effect of 3,5-R-4*H*-amino-R<sub>1</sub>-1,2,4-triazole on the functional activity of the kidneys and discuss the relationship of the "structure-action" with the iodide derivative halides.

**Materials and methods of the study.** To study diuretic activity, 16 compounds of the 3,5-R-4*H*-amino-R<sub>1</sub>-1,2,4-triazole derivatives of the ylidene derivatives halides were used. To determine the effect of the compounds studied on the excretory function of the kidneys, E. B. Berchin's method on white non-breeding rats of the Vistar line was used, which was kept on a constant diet with free access to water. Prior to the experiment, the rats were kept for 2 hours without food and water. The animals were then injected intragastrically with the help of a probe into the test substance. Urine was collected every hour for 4 hours [1]. The study and analysis of the experimental data obtained were compared with standard diuretics: hypothiazide and furosemide.

**Results and their discussion.** We investigated 16 compounds for diuretic activity, while it was found that 2 hours after administration, most compounds exhibit diuretic activity, and four of them (compounds 8, 9, 15, 16) detect it at the level of hypothiazide. It was noted that the strength of this group of compounds is influenced as substituents on the nucleus of 1.2.4-triazole, and substituents on the amino group. The results of experimental studies of the effects of the studied derivatives on the excretory function of the kidneys are presented in table 1.

Table 1

#### Influence of the investigated compounds on the excretory function of the kidneys



				N=C- H				
N₂	Compound				Diuresis			
3/П	R	R <sub>1</sub>		Hal	In 2 hours		In 4 hours	
			R <sub>2</sub>		(M±m), ml	%to control	(M±m), ml	% to control
1	2	3	4	5	6	7	8	9
Control					1,59±0,10	-	2,70±0,24	-
1	Н	$C_4H_9$	furan-2-yl	Br	2,26±0,17	42,3	3,59±0,13	32,8
2	Н	$C_{5}H_{11}$	furan-2-yl	Br	1,99±0,14	54,4	3,61±0,08	33,7
Control					$1,77\pm0,28$	-	3,64±0,43	-
3	Н	C <sub>6</sub> H <sub>13</sub>	furan-2-yl	Br	2,71±0,09	53,2	4,43±0,15	21,6
4	Н	C <sub>7</sub> H <sub>15</sub>	furan-2-yl	Br	2,00±0,12	12,9	3,01±0,18	-17,2
5	Н	C <sub>8</sub> H <sub>17</sub>	furan-2-yl	Br	2,10±0,14	18,6	3,40±0,10	-6,7
6	Н	C9H19	furan-2-yl	Br	1,61±0,13	-8,9	3,50±0,11	-3,9
Control					1,80±0,09	-	2,76±0,09	-
7	Н	$C_3H_7$	5-nitro- furan-2-yl	Br	2,73±0,09	51,6	3,69±0,09	33,7
8	Н	$C_4H_9$	5-nitro- furan-2-yl	Br	3,14±0,22	74,6	4,63±0,27	67,9
9	Н	C <sub>6</sub> H <sub>13</sub>	5-nitro- furan-2-yl	Br	3,41±0,08	89,7	5,11±0,24	85,5
Control					1,59±0,10	-	2,70±0,24	-
10	Н	$C_8H_{17}$	5-nitro- furan-2-yl	Br	2,21±0,12	39,6	3,96±0,26	46,8
Control					$1,69\pm0,10$	-	2,54±0,10	-
11	Н	$C_{5}H_{11}$	$4-O_2N-C_6H_4$	Br	2,00±0,15	18,6	3,23±0,13	27,0
12	Н	$C_{8}H_{17}$	$4-O_2N-C_6H_4$	Br	2,29±0,11	35,6	3,70±0,10	45,5
13	Н	$C_{10}H_{21}$	$4-O_2N-C_6H_4$	Cl	1,94±0,14	15,2	2,96±0,16	16,3
Control					1,53±0,15	-	2,49±0,13	-
14	CH <sub>3</sub>	C <sub>4</sub> H <sub>9</sub>	furan-2-yl	Br	1,79±0,18	12,6	2,57±0,15	-4,8
15	CH <sub>3</sub>	C <sub>5</sub> H <sub>11</sub>	furan-2-yl	Br	2,64±0,16	66,7	4,36±0,13	61,4
16	CH <sub>3</sub>	C <sub>10</sub> H <sub>21</sub>	furan-2-yl	Cl	2,70±0,24	70,2	4,44±0,10	64,6
Control					1,80±0,09	-	2,76±0,09	-
Furosemide					3,60±0,13	159,8	6,26±0,18	196,0
Hypothiazide					2,14±0,20	54,6	3,86±0,19	82,4

The analysis of the data in the table shows that 1-alkyl-4-(4-nitrobenzylidene) -4H-1,2,4-triazole (compounds 11-13) halides exhibit moderate diuretic activity with a two-hour action. It should be noted that at four hourly activities the activity of these compounds is maintained and somewhat increased, and the most active among them is compound 12.

Investigation of 4-(furan-2-ylmethyleneamino)-1-alkyl-4*H*-1,2,4-triazole halides (compounds 1-6) shows that this series of compounds exhibits moderate diuretic activity. Moreover, at two-hour action, the activity increases faster compared with four hours of action. At the same time, the introduction of nitro group into the nucleus of furan (compounds 7-10) somewhat increases the activity, which is also maintained at four hours, but is less.

Thus, studies have shown that derivatives of 4*H*-4-amino-1,2,4-triazole exhibit versatile diuretic activity, which depends on the nature of the substituent in the nucleus of this heterocyclic structure.

Conclusions

1. Investigated ylidene derivative halides of 3,5-R-4*H*-amino-R<sub>1</sub>-1,2,4-triazole affect the excretory function of the kidneys, confirming the data presented in the table.

2. The most pronounced diuretic activity is compounds 9, whose activity is at the level of the diuretic effect of hypothiazide and even slightly higher than it.

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## SCHOOL ANXIETY AS AN INDICATOR OF VIOLATION OF SHOOLCHILDRENS'ADAPTATION TO EDUCATIONAL ENVIRONMENT

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Much attention in the study of adaptation of schoolchildren to the educational environment is paid to emotional manifestations. New requirements and new tasks that the school puts before the child at each new stage of training, sometimes exceed its capabilities, changing the state of the emotional sphere and causing a non-specific stress reaction of the body [1].

In scientific literature there are different designations for sustainable experiences school emotional distress: "school neurosis", "school phobia", "didactically neurosis". However, there are some differences between these concepts. The term "school neurosis" is used mainly in those cases where fear of school, anxiety exist at an unconscious level, manifested in the form of somatic symptoms associated with a serious intrapersonal conflict (headache, fever, etc.) before attending school [2]. The term "school phobia" is used to refer to various forms of fear caused by school attendance. However, in the majority of cases we are talking about irresistible fear, the neurosis in the strict sense of the word [3].

Various causes of emotional disorders in school-age children, consider L. Thorpe. From his point of view, of particular pathogenic importance is the pressure exerted on children with the aim of improving school performance. This pressure should be viewed critically in terms of children's mental and physical health, as school performance "is often too high a price to pay". It also emphasizes the undesirability of stimulated individual rivalry between children, as they do not have equal potential. As a result of failure or fear of failure in such competition, some children often admit to be defeated, which undoubtedly hinders their development. In children, winning this competition, such qualities as the desire for domination, for self-affirmation instead of the joy of acquiring knowledge, a sense of their own growth and progress can be formed [1].

As noted by foreign and domestic scientists, increased anxiety may not manifest itself in violations of educational activities, but be the cause of serious internal conflicts among schoolchildren. It is often associated with an increased sense of responsibility and is experienced as a constant fear of failure at school. Schoolchildren with such traits usually study well, behave flawlessly, they can love at school, but they feel uncomfortable there. They are characterized by pronounced vegetative reactions, neurosis-like and psychosomatic disorders.

In the scientific literature it is noted that the occurrence of school anxiety is associated primarily with sociopsychological factors or the factor of educational programs. These include: the training overload, the inability of the learner to cope with the school program; inadequate expectations on the part of parents, adverse relations with teachers, a regularly recurring assessment of the examination situation, the change of the school community and (or) failure to take children's ensemble [4].

In our study, the level of school anxiety was determined using the anxiety scales of L. Phillips (6-7 years old schoolchildren) and A.M. Parishioners (11-12 and 15-16 years old schoolchildren). The obtained individual quantitative estimates