



DEVELOPMENT OF THE SCIENTIFIC BASED COMPOSITION AND TECHNOLOGY OF THE "ANTIVIRUS TABLET"

¹A.M. Usubbaev

²Sh.M.Usubbaeva

Tashkent Pharmaceutical Institute

Uzbekistan, Tashkent, oybek 45

e-mail: shahnozau.m@mail.ru

<https://www.doi.org/10.5281/zenodo.8005781>

ARTICLE INFO

Received: 27th May 2023

Accepted: 04th June 2023

Online: 05th June 2023

KEY WORDS

Rometin, antiviral, substance, tablet, technological indicator, fractional content, scattering density, dispersibility.

ABSTRACT

For the first time, on the basis of local raw materials, the technological properties of the active substance were studied using the methods and tools presented in the literature in order to develop the scientifically based moderate composition and technology of the antiviral tablet "Rometin". The conducted experiments serve to theoretically justify the selection of appropriate tablet preparation technology and the type and quantity of auxiliary substances that should be added to the tablet composition. Based on the results of scientific research, the scientifically based composition and technology of "Rometin" tablet was developed.

One of the urgent tasks of the pharmaceutical science is to develop and introduce into medical practice the technologies of non-toxic, import-substituting drugs, which are prepared on the basis of new, local raw materials, using the rich natural resources of Uzbekistan.

The domestic pharmaceutical market has a large assortment of ready-made drugs used in the prevention and treatment of viral diseases. However, creation of import-substitute anti-virus drugs with high bioefficiency based on local raw materials and organization of production by local enterprises is one of the urgent problems of the pharmaceutical industry today. Taking this into account, we found it necessary to develop the composition and technology of an anti-viral pill form using the rich natural resources of our Republic.

Scientists of the Institute of Bioorganic Chemistry of the Academy of Sciences of the Republic of Uzbekistan named after O.S. Sodikov obtained the compound "Rometin" formed by megacin with polyvinylpyrrolidone. Preliminary pharmacological studies have shown that the active substance "Rometin" is a drug with a highly effective antiviral effect at a dose of 100 mg [1].

Purpose of work: development of scientifically based composition and technology of "Rometin" tablet with antiviral effect. Studying the physico-chemical and technological properties of the active substance "Rometin", choosing the type and amount of auxiliary substances added to the tablet as a result of the experiments was determined as the goal of the research.

Experience part: in the development of tablet technology, in order to theoretically justify the type, quantity and preparation technology of auxiliary substances added to the

tablet, the technological properties of the substance were studied using the methods and laboratory equipment presented in the literature [2,3,5].

The obtained results are presented in Table 1, from which it can be seen that the active substance has negative indicators in terms of technological properties such as dispersion, dispersion density, density coefficient, which indicates that it is not possible to obtain presslab tablets directly from such substances.

Table 1

Technological properties of the active substance "Rometin".

Nº	Learned indicators	Unit of measure	The results obtained
1	Fractional content, μm : +2500 -2500 +1000 -1000 +500 -500 +250 -250 +150 -150	%	11.2 66.4 14.5 5.6 2.3 -
3	Spreading density	kg/m^3	440
4	Spreadability	$10^{-3}\text{kg}/\text{s}$	2.4
5	Natural deviation angle	Gradus	44
6	Compressibility	N	90
7	Density coefficient	-	3.7
8	Residual humidity, 70°C	%	5.8

The next stage of our work is devoted to the selection of the type and amount of appropriate excipients to be added to the tablet, as well as the development of a moderate technology. , magnesium stearate, stearic acids, 6 different compositions are prepared under standard conditions, and pressed using wet granulation method in the presence of purified water, ethyl alcohol, 3-5-7% starch slime, sugar paste, 2, 3% methylcellulose gels as a binding agent. masses were prepared. The obtained results are presented in Table 2.

Sample tablets were prepared from the prepared ingredients in a manual hydropress, and they were evaluated according to their appearance, breaking strength, and disintegration.

The results of the experiment showed that it is appropriate to use 96% ethyl alcohol as a binder in the preparation of tablets using the method of wet granulation. Because tablets prepared with the presence of purified water, different concentrations of starch mucilage and methylcellulose gels did not meet the requirements in terms of appearance, hardness and disintegration. The results of the conducted experiment showed that the most suitable binder is 96% ethyl alcohol. The obtained results are presented in Table 3 [4, 5].

Table 2

Tested compositions for making tablets by wet granulation method

Components	Purified water, ethyl alcohol, 3, 5, 7% starch mucilage, 64% sugar syrup, 1, 2% MTS gel.					
	Nº1	Nº2	Nº3	Nº4	Nº 5	Nº 6
Active substance, g;	0.100	0.100	0.100	0.100	0.100	0.100



Microcrystalline Cellulose (MCTS) "Cotton Cellulose"	-	-	-	-	0.100	
Sodium bicarbonate	-	-	-	-	0.067	-
Sucrose, g;	-	0.185	-	-	-	-
Milk sugar, g;	0.185	-	-		-	-
Citric acid, g;	-	-	-	-	0.03	-
Potato starch, g;	0.100	0.100	0.100	0.100	-	0.100
Calcium stearate, g;	-	-	-	-	0.003	-
Stearic acid, g;	0.003	-	-	-	-	-
Magnesium stearate, g;	-	0.003	-	-	-	0.003
Average mass, g	0.300	0.300	0.300	0.300	0.300	0.300

At the next stage of our work, pressable masses of 6 different compositions were prepared with the above auxiliary substances, in the presence of 96% ethyl alcohol. The quality of the tablets made from the prepared masses was evaluated and the technological properties of the pressed masses were studied using the methods presented in the literature. The obtained results are presented in Table 3, from which it can be seen that the technological properties of the pressed masses prepared using the method of wet granulation have changed sharply in a positive direction compared to those of the substance.

Table 3

The results of studying the technological properties of pressable masses prepared with 96% ethyl alcohol as a binder

№	Determinable indicators and units of measurement	The results obtained					
		№1	№2	№3	№4	№5	№6
1	Fractional content, μm , %	0.4	1.0	2.0	3.0	1.0	1.5
		+ 1000	19.6	24.0	28.3	30.5	23.2
		- 1000 + 500	35.3	25.5	31.9	33.8	29.0
		- 500 + 315	20.0	23.4	18.3	15.5	23.0
		- 315 + 250	7.7	10.7	7.6	8.3	9.9
		- 250 + 100	4.0	6.5	4.9	2.0	6.4
		- 100 + 50	13.0	8.9	7.0	6.9	7.5
		- 50					5.0
2	Spreadability, $\text{kg/s} \cdot 10^{-3}$	7.35	7.40	7.5	7.8	8.23	6.4
3	Angle of natural deviation, grad.	36.0	36.2	35.9	36.6	36.9	38.1



4	Spreading density, kg/m ³	686.9	686.0	670.0	677.0	669.0	665.0
5	Compressibility, N	100	95	69	90	50	100
6	Density coefficient	2.54	2.49	2.55	2.3	2.1	2.28
7	Residual moisture (700C), %	3.5	3.0	3.1	3.5	3.2	3.4
8	Appearance of the tablet	brown, large holder	brown, large holder	dark brown large holly	dark brown large holly	pale yellow color small hollar	brown large holly

As can be seen from the results presented in the table, the most appropriate composition was found to be 5. Because the tablets obtained according to other compositions did not meet the requirements for their appearance - color and disintegration in liquid.

Based on the conducted experiments, the following composition and technology of "Rometin" tablets were proposed:

Rometin substance	0.100 g
MKTS	0.100 g
Sodium bicarbonate	0.067 g
Citric acid	0.03 g
<u>Calcium stearate</u>	<u>0.003 g</u>

The average mass of the tablet is 0.300g

Technological process

Rometin substance, MKTS "Cotton cellulose", sodium bicarbonate and citric acid are separately sifted through a sieve with a hole diameter of 150 μm , mixed thoroughly, then moistened with 96% ethyl alcohol until a moderately wet mass is formed, the wet mass is spread thinly on parchment paper, 40-50°C temperature on a drying rack to moderate residual moisture. It is then passed through a 1000 μm sieve, granulated and coated with a mixture of calcium stearate sieved through a 100 μm sieve. The finished mass was pressed in a mold with a diameter of 9 mm, with an average mass of 0.3 g, in a tablet machine of the German company "Erveka". During the pressing process, the constancy of the average mass of the tablets was observed. The pressing process was normal, the tablets did not stick to the molds and punches.

Summary: Thus, for the first time, the technological properties of the active substance "Rometin" with antiviral effect were studied with the help of the methods and equipment presented in the literature. As a result of the conducted scientific research, the scientifically based type and amount of auxiliary substances included in the composition of the "Rometin" tablet were determined. The appropriate composition and technology of the tablet have been



developed. The proposed composition and technology provide the opportunity to obtain high-quality tablets in modern tablet machines[4,5].

References:

1. Borzunov E. E.Issledovaniya v oblasti physico-khimicheskoy mechanic tabletirovaniya lekarstvennyx poroshkoobraznyx veshchestv [Text]: Autoreferat dis. na soiskanie uchenoy stepi doctora farmatsevticheskikh nauk. (790) / Lvov., Gos. med., in-t. - Lviv: [b. i.], 1972. - 40 p.
2. Bulygina I.V., Vorobeva N.V., Egorova S.N., Gubaidullin A.T. Comparative analysis of the crystalline form of substance thioctoic acid // Sovremennye problemy nauki i obrazovaniya. - 2015. - No. 1-1.;
3. Makhkamov S.M. Accuracy in tablet quality indicators // Chemistry and Pharmacy. - Tashkent, 1995. - #3.- B. 24-28.
4. Gosudarstvennaya pharmacopoeia USSR.- XI izd. - Moscow.: Medicine, 1989.- Vyp. 2. - S. 154.
5. Kambarov Kh.J, Usubbaev A.M. Development and technology of tablets "Tselitel Vostoka"//Vestnik pharmacy.-2012.-№ 2 (56)
6. A.M. Usubbayev, Sh.M. Usubbayeva Determination of physico-chemical and technological parameters of "Lagovin" substation-2021-№2