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COMPARATIVE STUDY OF THE TECHNOLOGICAL PROPERTIES OF EFAVIRENZ SUBSTANCE AND CAPSULATED MASS

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Introduction. Antiretroviral therapy is recommended to improve the quality of life and extend the life of HIV-infected patients. Over the past 18 years, this therapy has saved the lives of 13.6 million patients [1,2]. One of the most widely used drugs in this therapy is efavirenz tablets, and research is being conducted at the Tashkent Pharmaceutical Institute to develop its capsule form.

Purpose of the research. A comparative study of the technological parameters of the substance and the encapsulated mass to prove the scientific validity of the type of auxiliary substances selected for the development of efavirenz capsules.

Methods of the research. The object of the research work is the substance of efavirenz and the encapsulated mass prepared according to the composition determined by the method of mathematical modeling. Efavirenz - (4S) -4- (Triftormethyl) -6-chloro-4 (cyclopropylethynyl)-1,4-dihydro-2H-3,1-yenosazin-2-one, international transcription EFV, molecular weight - 315,675 g/mol.

The following technological parameters of the substance and encapsulated mass were determined: fractional composition, bulk density, natural angle of inclination, residual moisture, etc. In doing so, methods presented in the I edition of the State Pharmacopoeia of the Republic of Uzbekistan and the XIV edition of the State Pharmacopoeia were used [3]. The experiments were repeated three times and the average result was calculated.

Key results. The results obtained are presented in Table 1.

Table 1

Results of a comparative study of the technological parameters of the substance of efavirenz and the encapsulated mass

The studied indicators	Unit of measure	Obtained results	
		substance	encapsulated mass
Fractional composition:	%		
-1000 μm + 500 μm		17,46	8,31
- 500 μm + 355 μm		34,42	24,14
- 355 μm - 250 μm		28,15	31,09
- 250 μm + 180 μm		14,70	28,72
-180 μm + 63 μm		3,46	5,40
- 63 μm		1,81	1,53
Non-vibrational scattering	10^{-3} kg/s	0	5,72±0,61
Scattering with vibration	10^{-3} kg/s	0,971±0,023	6,28±0,94
Bulk density	kg/m^3	247,11±14,6	466,08±32,30
Natural angle of deviation	gradus	68±4	32±3
Density coefficient		2,70±0,21	1,91±0,16
Residual moisture	%	3,26±0,19	2,80±0,22

According to the results of fractional analysis of the encapsulated mass, an increase in particle size is observed. At the same time, 83.95% of the mass is practically uniformly distributed in the range of three fractions (- 500 μm + 355 μm, - 355 μm - 250 μm, - 250 μm + 180 μm) - 24.14%, 31.09%, 28.72%, respectively. The proportion of particles larger than 500 μm but smaller than 1000 μm was 8.311%. The number of particles smaller than 180 μm was 10% less (6.93%). Meanwhile, the average size of the encapsulated mass of efavirenz was 328.36 μm.

Changes in the fractional composition affected the bulk density of the prepared mass, which increased 5.72 times without vibration and 6.47 times with vibration, reaching 5.72±0.61 *10-3 kg/s and 6.28±0.94*10-3 kg/s, respectively. The bulk density of the mass is also determined by the natural angle of deviation: this technological indicator decreased by 36 degrees compared to the substance and amounted to 32±3 degrees.

A positive shift in the bulk density indicator was also observed. Compared to the substance of efavirenz, the bulk density of the encapsulated mass increased 1.89 times and amounted to 466.08±32.30 kg/m^3.

The compaction coefficient also decreased from 2.70±0.21 to 1.91±0.16, i.e. by 1.41 times. The residual moisture content did not exceed the specified 5% in both the substance and the encapsulated mass.

Conclusions. Based on the results obtained, it has been proven that the approximation of the encapsulated mass of efavirenz is chosen correctly and allows for the production of a high-quality product.

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