PREPARATION OF ANABASINE HYDROCHLORIDE

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Anabasine hydrochloride (AHC) is a new drug for the treatment of chronic nicotinism [1], the raw material for its preparation being the epigeal part of *Anabasis aphylla*.

The industrial method of obtaining AHC is laborious, and includes a large number of stages [2-4], and the yield of the desired product from the beginning of the process does not exceed 25%. In view of this, we have performed investigations directed to improving the technology of obtaining ACH.

One of the promising directions in the technology of the production of alkaloids is the use of liquefied gases to extract them from the plant raw material. As our results have shown, in this case it is possible to use liquefied ammonia with success [5].

Many years' experience of working on the separation of a mixture of anabasine and lupinine by nitrosation have revealed serious defects of this method, which impelled us to investigate other methods for separating anabasine and lupinine. In the first place, we turned our attention to the fact that in a number of cases it is possible successfully to separate anabasine from the mixture via its hydrochloride. We have studied the solubility of the hydrochlorides of anabasine and of lupinine under various conditions. The results show that the use of the hydrochloride method is limited and is desirable only for a mixture of anabasine and lupinine containing not more than 15-18% of the latter components. The fluosilicate method [6] proved to be the most suitable one. On the basis of the results of the investigations performed and semiindustrial trials, we have proposed a simpler and more rational scheme for the production of AHC.

The *Anabasis* alkaloids were extracted from the plant raw material with liquefied ammonia at a ratio of raw material to extractant of 1:10. The ammoniacal extracts were evaporated, and a concentrate was obtained that was acidified with sulfuric acid to pH 1-2, after which it was kept at 85-90°C for 30 min. The resulting precipitate was filtered off, the solution was made alkaline to pH 9-10, and the alkaloids were extracted from the aqueous phase with chloroform. The solvents were driven off and the residue was distilled in vacuum, the anabasine-lupine fraction being collected at 115-140°C under a pressure of 8-12 mm Hg. Absolutized isopropanol was added to the mixture of anabasine and lupinine, and this was followed, with stirring and cooling, by 42% fluosilicic acid to pH 4.0-4.5. The anabasine fluosilicate was centrifuged off, washed with isopropanol, and dissolved in water. After the solution had been made alkaline, the anabasine was extracted with chloroform at pH 9.0-10. The extracts were evaporated until the chloroform had been eliminated completely, the base so obtained was dissolved in isopropanol, and anabasine hydrochloride was precipitated which was then recrystallized. The yield of desired product as a percentage of the amount of anabasine in the plant raw material was 48-52%.

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DYNAMICS OF THE ACCUMULATION OF ALKALOIDS IN *Datura stramonium*

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Datura stramonium L. (family Solanaceae) is an annual herbaceous plant about 1-1.5 m in height. It is distributed in the south and in the central zone of the European part of the USSR and in the Caucasus and is found occasionally in Siberia, Central Asia, and in the Far East. It grows preferentially on loose fairly moist chernozem soils in small clumps around houses and gardens.

This plant is a supplementary source of hyoscyamine and *l*-scopolamine, which are used in medical practice [1]. We have studied the dynamics of the accumulation of alkaloids in *D. stramonium* growing in the Kurgantepa region of the Andizhan province. The epigeal part and the roots of the plant were studied in three periods. Information on the determination of the sum of the bases and the amounts of the main alkaloids according to the phase of development is given below.

Phase of development	Plant organ	Total alkaloids, %	Amounts of the main alkaloids, % on the combined bases	
			hyoscyamine	l-scopolamine
Vigorous growth	Epigeal part	0,36	73,5	19,5
	Roots	0,12	66,2	18,5
Flowering	Epigeal part	0,26	69,3	17,2
	Roots	0,19	61,1	16,3
Fruit-bearing	Epigeal part	0,19	61,2	16,5
	Roots	0,22	55,2	15,5
	Seeds	0,42	72,5	20,5

The mixture of bases from each sample was separated by methods described in the literature [2, 3]. The total amount of alkaloids in the epigeal part proved to be the greatest in the period of vigorous growth, while in the roots it was during the period of whole fruit-bearing. The percentage of hyoscyanine and *l*-scopolamine in the combined bases changes insignificantly with the phase of development and according to the organ of the plant. At the beginning of the vegetation period the alkaloids accumulated mainly in the epigeal part, and at the end of vegetation they did so in the roots and seeds [4]. Regardless of the phase of development, hyoscyamine predominated in all the plant organs: 61.2-73.5% of the combined bases.

Thus, it may be concluded that to obtain hyoscyamine and *l*-scopolamine, it is desirable to collect the epigeal part in the phase of the vigorous growth of the plant, and the roots at the end of the vegetation phase.

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