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ALKALOIDS OF *Peganum harmala* SYNTHESIS OF DEOXYPEGANIDINE FROM PEGANOL

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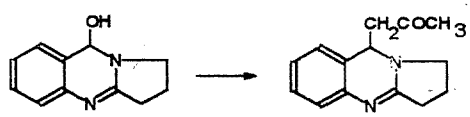
The plant *Paganum harmala* (fam. Zygophyllaceae) is known in folk medicine as the "remedy for 100 diseases" [1]. It is the raw material for obtaining the drug deoxypeganine hydrochloride [2], which is used for the treatment of diseases of the peripheral nervous system, for the sequelae to a disturbance of the cerebral blood circulation, and others. The alkaloid dexoypeganidine (**1**) isolated from this plant [3] is also a biologically active compound [4], which suggests the creation of new drugs from it. However, the amount of (**1**) in *Peganum harmala* does not exceed 0.001%, and we have therefore made an attempt at its synthesis. The shortest route is to obtain (**1**) from other quinazoline bases.

As the starting compound we used peganol (**2**), which is present in the plant in small amounts [5] and which we have synthesized from deoxypeganine [6].

When (**2**) was heated with acetone in the presence of anhydrous copper sulfate, a mixture of substances was obtained. After evaporation of the acetone, the residue was dissolved in 10% acid, and the acid solution was washed with chloroform, made alkaline with conc. ammonia, and extracted with chloroform. The residue after the solvent had been distilled off was treated with benzene. The latter was evaporated off and the residue was dissolved in ethanol. After the addition of an alcoholic solution of picric acid, the picrate of (**1**), with mp 169-170°C, deposited. By TLC and a mixed melting point, it proved to be identical with a picrate of natural deoxypeganidine. The base was obtained from the picrate on a column of alumina with elution by chloroform and chloroform—methanol (10:1). Yield, 30%, mp 76-79°C.



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REFERENCES

1. V. S. Sokolov, Plant Alkaloids of the USSR [in Russian], Moscow—Leningrad, Izd. Akad. Nauk SSSR (1952), pp. 230, 288; S. E. Zemlinskii, Medicinal Plants of the USSR [in Russian], Medgiz, Moscow (1958), p. 388; V. P. Makhlayuk, Medicinal Plants in Folk Medicine [in Russian], Privolzh. Kn. Izd., Saratov (1967), pp. 98, 455.
2. S. Yu. Yunusov, N. Tulyaganov, M. V. Telezhenetskaya, F. Sadritdinov, and Kh. Khashimov, USSR Inventors' Certificate 605,614 (1978), Byul. Izobret., No. 17, 14 (1978); Kh. N. Aripov, B. K. Mirzakhmedov, T. T. Shakirov, E. K. Dobronravova, M. V. Telezhenetskaya, S. Yu. Yunusov, and T. U. Rakhmatullaev, USSR Inventors' Certificate 878,295 (1979); Byul. Izobret., No. 41, 17 (1981).
3. B. Kh. Zharekeev, M. V. Telezhenetskaya, and S. Yu. Yunusov, Khim. Prir. Soedin., 279 (1973).
4. F. S. Sadritdinov and A. G. Kurmukov, The Pharmacology of Plant Alkaloids and Their Use in Medicine [in Russian], Meditsina, Tashkent (1980), p. 302.
5. M. V. Telezhenetskaya, Kh. N. Khashimov, and S. Yu. Yunusov, Khim. Prir. Soedin., 849 (1971).
6. M. V. Telezhenetskaya, A. L. D'yakonov, and S. Yu. Yunusov, Khim. Prir. Soedin., 857 (1989).

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