PREPARATION OF ERVININE HYDROCHLORIDE

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Ervinine (copsinine) has been isolated from the plant Vinca erecta [1]. Ervinine hydrochloride is used as an alaleptic of the central nervous system with an antinarcotic action [2].

We have developed a method for obtaining ervinine hydrochloride from the epigeal part of V. erecta by extraction with aqueous solutions of acids followed by liquid-liquid extraction of the alkaloids.

The comminuted plant (50 kg) collected in May, 1970, in the Tashkent oblast was placed in a battery of five 10-kg extractors. It was extracted by the continuous-countercurrent-battery method with a 2% solution of sulfuric acid, which was passed at the rate of 10 liters/h. The extract was freed from suspended particles by centrifuging and was made alkaline to pH 8-9 with a 25% aqueous solution of ammonia. The alkaloids were extracted with chloroform in a packed column (Raschig rings) in which the chloroform was the continuous phase and the extract the disperse phase. The chloroform solution was washed with water and evaporated, the residue was dissolved in methanol, and the solution was brought to pH 1 with concentrated solution of nitric acid. The yield of alkaloid nitrates varied between 0.3 and 0.4% of the weight of the raw material according to the period and site of collection [3]. The mixture of copsinine and pseudocopsinine nitrates (150 g) was dissolved with heating in 14 liters of water, the solution was brought to pH 9-10 by the addition of 25% ammonia solution, and the alkaloids were extracted with petroleum ether (40-70°C fraction).

The petroleum ether solution was evaporated to dryness and the residue was dissolved in acetone. The addition of an ethanolic solution of hydrochloric acid to give a strongly acid reaction led to the formation of crystals of a mixture of copsinine and pseudocopsinine hydrochlorides (105 g).

The mixture of hydrochlorides (105 g) was washed with water (3 × 105 ml) and was filtered off. The insoluble fraction consisted of ervinine hydrochloride. The product obtained was recrystallized twice from a mixture of methylene chloride and methanol (92.7 : 7.3). This gave 55 g of ervinine hydrochloride with mp 242°C. The total yield of desired product was 0.1% on the weight of the raw material or 70% of the amount of it in the plant.

LITERATURE CITED

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