

# 8-(DIETHYLAMINOETHOXY) PSORALEN AND ITS SPASMOLYTIC ACTIVITY

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UDC 547.983

The spasmolytic activity of 8-O-substituted psoralen derivatives depends on the structure of the radical [1]. A high spasmolytic activity is possessed by 8-isopentenylloxypsoralen (imperatorin), which is 3.4 times more active than psoralen itself. Of the acyl derivatives, xanthotoxol acetate has a high activity.

Continuing investigations in this field, we have synthesized 8-(diethylaminoethoxy)psoralen and have determined the influence of the radical introduced on its spasmolytic activity. Xanthotoxol (5 g) was dissolved in 250 ml of absolute acetone, 4 g of potassium carbonate was added to the solution, and then it was heated to the boil and, with stirring, 4 g of diethylaminoethyl chloride was added over 30 min; after being heated and stirred for 4 h, the reaction mixture was filtered. The course of the synthesis was monitored by chromatography of the reaction mixture on paper in chloroform-formamide (25%) system every 0.5 h. The filtrate was evaporated to 10 ml and was purified on a column of alumina (h = 8 cm, d = 3 cm), the column being washed with acetone. Under these conditions, the unchanged xanthotoxol was sorbed on the alumina.



The substance synthesized,  $C_{17}H_{19}O_4N$  (6.8 g) crystallizes from ethanol in the form of colorless needles with mp 228-232°C. UV spectrum, nm:  $\lambda_{H_2O}^{max}$  215, 250, 263 (shoulder), 302 (log  $\epsilon$  3.93, 4.14, 3.98, 3.91, respectively).

On chromatography on paper in the chloroform-formamide (25%) system it gives  $R_f$  0.36 and is shown up in filtered UV light before treatment with an ethanolic solution of potassium hydroxide in the form of a bluish-yellow spot and after treatment as an orange spot. On hydrolysis by acetic acid with the addition of sulfuric acid it is cleaved [2] to xanthotoxol.

The spasmolytic activity of the substance synthesized was determined in acute experiments on white mice by the method of Stickney et al. [3]. It was found that the  $ED_{50}$  for its spasmolytic effect was 6.3 mg/kg, or 0.0209 mmole/kg. Consequently, 8-(diethylaminoethoxy)psoralen is 4.35 times more active than psoralen and is more active than any of the series of substances studied previously.

## LITERATURE CITED

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Khar'kov Scientific-Research Institute of Pharmaceutical Chemistry. Translated from *Khimiya Prirodnikh Soedinenii*, No. 1, p. 88, January-February, 1974. Original article submitted July 20, 1973.

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