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50X1-HUM

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50X1-HUM

COUNTRY : East Germany

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THIS IS UNEVALUATED INFORMATION

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1. In 1951, Dr. Rer. Nat. Harald BRAEUNIGER, chief assistant (Oberassistent) in the Chemistry Institute of Rostock University and director (Leiter) of the pharmaceutical section of this institute suggested a research project, which would enable [redacted] to complete the requirements for a doctorate. The project was to investigate methods for qualitative and quantitative analysis of Falicain /Falicain is beta-piperidino, ethyl-4-propoxyphenyl-ketone hydrochloride./ and its degradation products. [redacted] the required research work on this project in the pharmaceutical section of the Chemistry Institute from October 1951 until March 1953 under Dr. BRAEUNIGER's technical direction. [redacted] doctorate thesis titled "Investigations on the Qualitative and Quantitative Analysis of Falicain and its Degradation Products" included our findings. A synopsis of this report is attached /pages 3 through 4 inclusive/. 50X1-HUM 50X1-HUM 50X1-HUM

2. Falicain was synthesized by Dr. Phil. Elmer PROFFT of Magdeburg. He had discovered that the propoxy-homolog of one-alkoxy, two-amino, four-nitrobenzene sweetening agents had anesthetic properties. While pursuing this investigation he prepared Falicain, which was found to have a strong surface anesthetic action.

3. This new product has been subjected to pharmacological testing at the Pharmacological Institutes in Halle and Rostock. [redacted] clinical trials are still being conducted. Outstanding contributors to the subject include Dr. HANNIG (Halle), Dr. PIETSCH (Eye Clinic, Leipzig University), Dr. GOTZEN (Eye Clinic, Halle University), Dr. SCHULTE (Magdeburg), Dr. ORTEL (Dental Clinic, Halle), and 50X1-HUM

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Prof. Dr. Peter HOLTZ (formerly of the Pharmacological Institute, Rostock, and presently at Frankfurt/M University).

4. Falicain was available on the market in the Soviet Zone of Germany under the names Dentofalicain, Ophthafalicain, Falicid and Rektafalicain.

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"Investigations on the Qualitative and Quantitative Analysis of Falicain and its Degradation Products"

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1. This thesis represented a report of work which under the technical guidance of Dr. H. BRAEUNIGER in the pharmaceutical section of the Chemistry Institute of Rostock University from October 1951 until March 1953.

2. In this work methods for the qualitative and quantitative analysis of Falicain and its degradation products. 50X1-HUM

3. the chemical identity of Falicain by preparing beta-piperidino-ethyl-p-propoxyphenylketone hydrochloride (which is the structure of Falicain as claimed by its original synthesizer Dr. Elmer PROFFT of Magdeburg), and comparing this product with Falicain. 50X1-HUM

   a. Preparation of beta-piperidino-thyl-p-propoxyphenyl-ketone hydrochloride (PPF) is as follows:

      A mixture of paraformaldehyde and piperidine hydrochloride in absolute alcohol is combined, according to the Mannich condensation, with p-propoxyacetophenone. The crystalline product obtained has a melting point of 163°C which, is not changed by recrystallization from alcohol-acetone. 50X1-HUM

   b. Prepared derivatives of PPK and Falicain, viz., oxime, two, four-dinitrophenylhydrazone, reineckate, and cobalt tetranitrosodiamine complex, showed identical properties after synthesis under the same conditions.

4. Falicain is decomposed by heating in aqueous solution, but inadequate amounts of degradation products can be isolated for identification. subjected Falicain to vacuum distillation and obtained the following compounds: 50X1-HUM

   a. Piperidine--demonstrated by boiling point determination, by preparation of the reineckate.

   b. p-Propoxyphenyl-vinyl ketone--demonstrated by preparation of the hydrazone, two, four-dinitrophenylhydrazone and bromination product.

5. The absorption curve of Falicain in the spectrum of a tungsten arc is measured with the aid of a Zeiss quartz spectrograph Q 24. The arc-generator is a Zeiss instrument of type FF 20 in which the number of arcs per second is maintained at 100 by means of a synchronic motor.

6. [redacted] applied the following quantitative titrimetric analysis to Falicain: 50X1-HUM

a. Argentometric, consisting of a simple Vollhard titration of the chloride ion in the hydrochloride salt.

b. Titration of Falicain-reineckate, consisting of a Vollhard potentiometric titration of the rhodanide of the complex released upon decomposition of the reineckate salt with potassium sodium tartrate.

c. Propoxyl determination of Falicain, consisting of a decomposition of the propoxyl compound by the Zeisel method and titration of the iodine released from the propyl iodide with sodium thiosulfate.

7. [redacted] with only moderate success, to apply iontophoresis to the separation of Falicain and degradation products. No practical application of this process was developed, other than to show that the Falicain solution had decomposed. 50X1-HUM

8. Colorimetric methods which [redacted] employed for the quantitative analysis of Falicain consist of the following (Lange-Colorimeter, Type IV was used): 50X1-HUM

a. Reineckate in acetone solution.

b. Cobalt complex in alcohol solution (Erdmann's salt).

c. Ferronitrososulfate produced by decomposing the Falicain-cobalt complex and adding ferrous ion to the released nitrite.

d. m-Dinitrobenzene reaction.

9. [redacted] polarographic analyses with some success for quantitative assay of Falicain. The compounds studied were the following: 50X1-HUM

a. Falicain

b. Falicain-reineckate and hyrolysis-products from this salt. (These were unsuitable for this assay.)

c. Falicain decomposed by subjection to heating in aqueous solution. This assay showed that Falicain can be polarographed quantitatively in the presence of its breakdown products.

d. Falicain hydrolyzed in the above fashion under conditions of complete absence of oxygen. This demonstrated that the hydrolysis of Falicain is independent of oxygen presence.

e. Falicain hydrolyzed in the above fashion under conditions of varying hydrogen ion concentration, elevated temperature and elevated pressure. This demonstrated that pH changes affected the rate of decomposition, i.e., the greater the acidity, the less decomposition occurred. The greater the amount of heat applied, the greater is the decomposition. Increase of pressure causes greater breakdown.