bound with the arrangement seen is possible, in the second case two different substances may arise.

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Derivatives of β-10-Phenothiazinepropionic Acid

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Previous investigations in this Laboratory have shown that certain derivatives of phenothiazine-10-carboxylic acid containing basic substituents possess strong spasmylytic and nicotinolytic properties 1. As an extension of this work some new derivatives of the easily accessible β-10-phenothiazinepropionic acid were prepared (I—VI).

\[
\begin{align*}
\text{I. } & \text{R = Cl} \\
\text{II. } & \text{R = } \text{N} \\
\text{III. } & \text{R = O—CH₃—CH₃—N(CH₃)₃} \\
\text{IV. } & \text{R = O—CH₃—CH₃—N(C₆H₅)₃} \\
\text{V. } & \text{R = S—CH₃—CH₃—N(C₆H₅)₃} \\
\text{VI. } & \text{R = NH—CH₃—CH₃—N(C₆H₅)₃}
\end{align*}
\]

The esters and amides were obtained via the acid chloride (I). The compounds III—VI were tested for cholinolytic and antihistaminic effect but their activity was rather weak.

Experimental. β-10-Phenothiazinepropionyl chloride (I). A mixture of β-10-phenothiazinepropionic acid 2 (5.42 g, 0.02 mole), pyridine (1.58 g, 0.02 mole), and ether (60 ml) was cooled to —5°C and thionyl chloride (2.38 g, 0.02 mole) was added drop by drop with stirring. The mixture was kept at room temperature overnight. The separated pyridine hydrochloride was then filtered off and the ether was evaporated in vacuo. The residue (5.4 g, 98%) was recrystallised twice from ether; m. p. 117 —119°C. (Found: C 62.6; H 3.97; Cl 12.0. C₁₉H₂₆N₂ClO₃ requires C 62.3; H 4.18; Cl 12.2%).

N-(β-10-Phenothiazinepropionyl)-piperidine (II). The acid chloride obtained above (1.45 g) was dissolved in ether (15 ml) and treated with piperidine (1.1 g) at room temperature. The mixture was filtered and the filtrate washed with water and evaporated to dryness. The residue (0.9 g, 53%) was recrystallised from ethanol; m. p. 127—128°C. (Found: C 70.4; H 6.23; N 8.09. C₁₉H₂₆N₂O₂ requires C 70.9; H 6.55; N 8.28%).

β'-Dimethylaminoethyl β-10-phenothiazinepropionate (III). A solution of I (2.9 g, 0.01 mole) and β-dimethylaminoethanol (3.2 g, 0.025 mole) in toluene (25 ml) was refluxed for two hours. After cooling the mixture was filtered and the filtrate washed with water and extracted with 2 N hydrochloric acid. The extract was made alkaline with sodium carbonate solution and the oily base extracted with ether. The ether was then evaporated giving a solid residue (2.0 g, 60%) which melted at 81—83°C after recrystallisation from ether. (Found: C 66.5; H 8.46; N 8.14. C₁₉H₂₆N₂O₂S requires C 66.6; H 6.48; N 8.18%).

β'-Diethylaminomethyl β-10-phenothiazinepropionate oxalate (IV). Prepared by the same method as III. The oily base was isolated as the oxalate. Yield 55%; m. p. 118—120°C (from acetone). (Found: C 59.3; H 6.21. C₁₉H₂₆N₂O₄ requires C 60.0; H 6.13%).

β'-Diethylaminomethyl β-10-phenothiazinepropionate oxalate (V). Prepared from I and β-diethylaminomethyl mercaptan 3. Yield 89%; m. p. 121—122°C (dec.) after recrystallisation from ethyl acetate. (Found: C 55.3; H 6.08; N 5.84. C₁₉H₂₆N₂O₄S requires C 58.0; H 5.92; N 5.88%).

N-(β-10-Phenothiazinepropionyl) N¹, N¹-diethylthiophenenediamine oxalate (VI). Prepared from I and N,N-diethylthiophenenediamine by the same method as for the esters. Yield 87%; m. p. 130—131°C (from acetone). (Found: C 59.8; H 6.14; N 8.78. C₁₉H₂₆N₂O₄S requires C 60.1; H 6.36; N 9.14%).


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