

pound with the arrangement aee is possible, in the second case two different substances may arise.

We wish to thank cand. real. A. Munthe-Kaas for his valuable assistance in carrying out dipole moment measurements.

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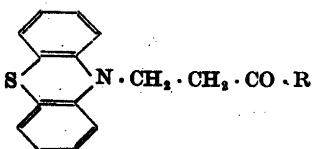
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Derivatives of β -10-Phenothiazine-propionic Acid

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Previous investigations in this Laboratory have shown that certain derivatives of phenothiazine-10-carboxylic acid containing basic substituents possess strong spasmolytic and nicotinic properties¹. As an extension of this work some new derivatives of the easily accessible β -10-phenothiazinepropionic acid were prepared (I—VI).



- I. R = Cl
- II. R = N
- III. R = O—CH₂—CH₂—N(CH₃)₂
- IV. R = O—CH₂—CH₂—N(C₂H₅)₂
- V. R = S—CH₂—CH₂—N(C₂H₅)₂
- VI. R = NH—CH₂—CH₂—N(C₂H₅)₂

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

Experimental. β -10-Phenothiazinepropionyl chloride (I). A mixture of β -10-phenothiazinepropionic acid² (5.42 g, 0.02 mole), pyridine (1.58 g, 0.02 mole), and ether (60 ml) was cooled to -5° and thionyl chloride (2.38 g, 0.02 mole) was added drop by drop with stir-

ring. 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, 93 %) was recrystallised twice from ether; m. p. 117—119°. (Found: C 62.6; H 3.97; Cl 12.0. C₁₄H₁₂ClNOS requires C 62.2; 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°. (Found: C 70.4; H 6.23; N 8.09. C₂₀H₂₂N₂OS 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 (2.2 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° after recrystallisation from ether. (Found: C 66.5; H 6.46; N 8.14. C₁₉H₂₁N₂O₂S requires C 66.6; H 6.48; N 8.18 %).

β -Diethylaminoethyl 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° (from acetone). (Found: C 59.8; H 6.21. C₂₅H₂₈N₂O₆S requires C 60.0; H 6.13 %).

β -Diethylaminoethyl β -10-phenothiazinepropionate oxalate (V). Prepared from I and β -diethylaminoethyl mercaptan³. Yield 89 %; m. p. 121—122° (dec.) after recrystallisation from ethyl acetate. (Found: C 58.5; H 6.08; N 5.84. C₂₃H₂₆N₂O₆S₂ requires C 58.0; H 5.92; N 5.88 %).

N-(β -10-Phenothiazinepropionyl)-*N*¹, *N*¹-diethylethylenediamine oxalate (VI). Prepared from I and *N*,*N*-diethylethylenediamine⁴ by the same method as for the esters. Yield 87 %; m. p. 130—131° (from acetone). (Found: C 59.8; H 6.14; N 8.78. C₂₃H₂₆N₂O₆S requires C 60.1; H 6.36; N 9.14 %).

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