

calculated amount (+5% excess) of potassium cyanide dissolved in as little water as possible, for three hours. Then the ethyl alcohol was evaporated, the residue shaken with ether, the ethereal solution of the nitrile dried and the ether evaporated. The residue which crystallized on standing was purified by distillation in an oil-pump vacuum and recrystallized from dilute alcohol; yield, nearly quantitative.

Phenanthryl-2-, 3- and 9-Acetic Acids.—The hydrolysis of the phenanthryl methyl cyanides was carried out by refluxing them for four hours with a 25% alcoholic potassium hydroxide solution. The phenanthrylacetic acids obtained, as well as their methyl esters, were identical in every respect with the ones prepared from the acetylphenanthrenes according to Willgerodt's method.⁷

Summary

1. Phenanthrene-2-, 3- and 9-aldehydes have been prepared by Rosenmund's procedure, catalytic reduction of the corresponding acid chlorides with palladium-barium sulfate.

2. Phenanthryl-2-, 3- and 9-methyl alcohols and phenanthryl-2-, 3- and 9-acetic acids are described.

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Some New Local Anesthetics Containing the Morpholine Ring. II¹

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In a previous communication there were described several local anesthetics related to procaine and prepared from β -4-morpholine-ethanol and from γ -4-morpholine-propanol.² It has seemed of interest to extend this series to include other esters of these alcohols, hoping to obtain in this way compounds having more desirable properties. The first esters to suggest themselves were the cinnamates, forming analogs of apothesine (γ -diethylaminopropyl cinnamate).³ The aryl urethans are also worthy of consideration in view of the success of Rider with similar compounds.⁴

In this investigation, the morpholine-alkyl cinnamate hydrochlorides were prepared by the interaction of the morpholine alcohols with cinnamoyl chloride in benzene solution.⁵

The phenylurethans were prepared by treating ethereal solutions of the alcohols with phenyl isocyanate followed by precipitation as the hydrochlorides with hydrogen chloride gas. The α -naphthylurethans were prepared similarly using α -naphthyl isocyanate. In the case of β -4-mor-

(1) This work was made possible by assistance to the senior author from a grant made by the Rockefeller Foundation to Washington University for research in science.

(2) Gardner and Haenni, *THIS JOURNAL*, **53**, 2763 (1931).

(3) Wildman and Thorp, U. S. Patent 1,193,649; August 8, 1916.

(4) Rider, *THIS JOURNAL*, **52**, 2115, 2583 (1930).

(5) Since the completion of the experimental work on the preparation of these compounds, β -4-morpholine-ethyl cinnamate hydrochloride has been described by Leffler and Brill, *ibid.*, **55**, 365 (1933).

pholine-ethyl α -naphthylurethan, the hydrochloride was so hygroscopic as to preclude analysis or determination of its physical properties. In this case the free base was obtained as a crystalline solid. All of the hydrochlorides were obtained as white crystals.

All of the compounds described show decided anesthetic action when tested on nerve block and their toxicities appear to be rather low. A detailed report on their pharmacological properties is to be published elsewhere.

Experimental

The yields, physical properties, and composition of the new hydrochlorides are shown in the table.

TABLE I

-4-Morpholine-, hydrochloride	Yield, %	M. p., °C. (corr.)	Anal., %			
			Calcd.		Found	
			Cl	N	Cl	N
β -Ethyl cinnamate	70	215.2 ^a	11.92	4.71	11.80	4.60
γ -Propyl cinnamate	60	189.6 ^b	11.38	4.49	11.37	4.37
β -Ethyl phenylurethan	75	232.3	12.38	9.77	12.37	9.58
γ -Propyl phenylurethan	68	186.8	11.80	9.31	11.78	9.04
γ -Propyl α -naphthylurethan	74	170.7	10.12	7.99	10.25	8.11

^a Leffler and Brill (Ref. 5) give m. p. 211°. ^b If the crystals were melted without being previously pulverized, the m. p. was 178°.

β -4-Morpholine-ethyl α -Naphthylurethan.—A mixture of 6 g. of β -4-morpholine-ethanol and 10 g. of α -naphthyl isocyanate in 5 cc. of ether was heated gently for one hour and allowed to stand overnight. The product was extracted with benzene and recrystallized from benzene and from ether; yield, 6.5 g. (47%), m. p. 96.5°.

Anal. Calcd. for C₁₇H₂₀O₂N₂: C, 68.00; H, 6.66; N, 9.33. Found. C, 67.97; H, 6.71; N, 9.20.

Summary

Six new local anesthetics have been described. These compounds show considerable anesthetic activity and low toxicity.

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