

H. Oliver for the microanalyses, Miss E. M. Tanner for the optical rotations, and Mrs. P. Varner, Mr. M. D. Stephens, and Miss J. Wax for participation in the biological work.

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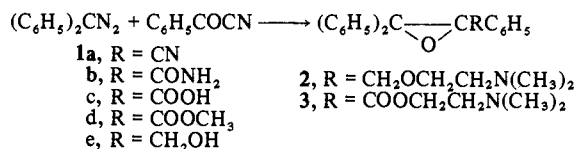
## New Compounds

### Synthesis of New Glycido Derivatives. 2-Dimethylaminoethyl Triphenylglycidate and 2-Dimethylaminoethyl 2,3,3-Triphenylglycidyl Ether

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Some time ago<sup>1</sup> one of us described the synthesis of triphenylglycidonitrile and derivatives (1a-d). Since many basic alkyl esters of diarylhydroxyacetic acid and many basic alkyl diaryl ethers are endowed with interesting biological activity, it seemed of interest to us to prepare 2 and 3 and to test them for antispasmodic, anticonvulsant, antitussive, analgetic, and antiinflammatory activities.



The title compounds revealed a good antiinflammatory activity not accompanied, however, by an equally good analgetic action. None of the other actions investigated showed anything of interest.

### Experimental Section†

Triphenylglycidonitrile (1a), triphenylglycidamide (1b), triphenylglycidic acid (1c), and methyl triphenylglycidate (1d) were prepared as previously described.<sup>1</sup>

**2,3,3-Triphenylglycidol (1e).** MeOH (10.6 g, 0.33 mole) was added dropwise at -5° into a stirred suspension of LAH (4.4 g, 0.11 mole) in anhyd THF (250 ml). After 15-min stirring, methyl triphenylglycidate (1d) (9.1 g, 0.027 mole) was added portionwise. The mixt was stirred at room temp for 3 hr and then moist Et<sub>2</sub>O and H<sub>2</sub>O were added cautiously. The sepd solid was washed (Et<sub>2</sub>O) and the aqueous layer was extd with Et<sub>2</sub>O. The combined organic solns were washed (H<sub>2</sub>O), dried, and evapd to dryness. The residue was recrystd from ligroin (bp 90-100°) to give 1e (7.3 g, 87.6% yield) as colorless crystals, mp 104°. *Anal.* (C<sub>21</sub>H<sub>18</sub>O<sub>2</sub>) C, H.

**2-Dimethylaminoethyl 2,3,3-Triphenylglycidyl Ether·HCl (2).**

Finely powdered NaNH<sub>2</sub> (1.35 g, 0.34 mole) was added to a soln of 1e (9.5 g, 0.031 mole) in PhH (95 ml) and the mixt was refluxed for 1 hr with stirring. After cooling to room temp, an 8.77% soln of dimethylaminoethyl bromide (0.04 mole) in PhH was added dropwise. After an addl 1-hr stirring, the mixt was dild with excess Et<sub>2</sub>O and then extd with 10% HCl soln. The oil which sepd from the acid soln was extd with CHCl<sub>3</sub>. The CHCl<sub>3</sub> soln was evapd to dryness and the residue was taken up with Et<sub>2</sub>O and filtered to give 2 (5.3 g, 41% yield) as a colorless solid, mp 159° dec. *Anal.* (C<sub>25</sub>H<sub>28</sub>ClNO<sub>2</sub>) C, H, Cl, N.

**2-Dimethylaminoethyl Triphenylglycidate·HCl (3).** Compound 1c (10 g, 0.031 mole) and dimethylaminoethyl chloride (5.6 g, 0.052 mole) were dissolved in Me<sub>2</sub>CHOH (95 ml) and the soln was refluxed for 3 hr. After cooling to room temp, excess H<sub>2</sub>O was added to the mixt. The resulting aqueous soln was basified with 10% NaOH soln and the basic material was extd with Et<sub>2</sub>O. The Et<sub>2</sub>O ext was washed (H<sub>2</sub>O) and evapd to dryness to give a waxy product which was converted to a cryst solid by addition of 10% HCl soln. The solid was filtered and recrystd from EtOH-Et<sub>2</sub>O to give 3 (6.3 g, 47% yield) as a colorless solid, mp 203° dec. *Anal.* (C<sub>25</sub>H<sub>26</sub>ClNO<sub>3</sub>) C, H, Cl, N.

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### Alkyl Derivatives of Tetrahydroisoquinoline, 1-Phenylpiperazine, and 4-Diphenylmethylpiperidine

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Many useful medicinal compounds<sup>1,2</sup> are based upon the isoquinoline, piperazine,<sup>3,4</sup> and piperidine<sup>5-7</sup> ring systems. As part of a general screening program we have prepared<sup>8</sup> some cyclopropylmethyl and cyclobutylmethyl derivatives of these systems<sup>9</sup> by reduction of the corresponding amides. These compounds show an increasing separation of the aromatic portion of the molecule from the *N*-cycloalkyl group.

Some preliminary screening results on mice, which also include 4-diphenylmethylpiperidine (3g, R = H), are presented in Table II. The diphenylmethylpiperidines and phenylpiperazines were found to have a CNS depressant action

†Melting points are uncorrected and were taken on a Büchi capillary melting point apparatus. All compounds were analyzed for C, H, N and the analytical results were within ±0.4% of the theoretical value.