# EPOXIDATION OF 1,2-DIHYDROQUINOLINE DERIVATIVES

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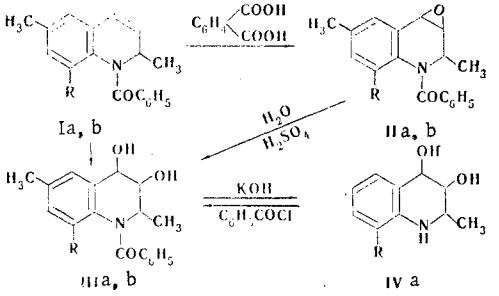
The α-oxide derivatives of 1,2-dihydroquinolines were synthesized and hydrated.

The benzoyl derivatives of 1,2-dihydroquinoline, which in contrast to the bases themselves are completely stable, are currently fully accessible compounds [1, 2]. However, the properties of the endocyclic double bond in them remain virtually uninvestigated, although this might have led to the most diverse derivatives of the tetrahydroquinoline series with substituents in the nitrogen-containing ring.

We have epoxidized the ethylene bond in Ia and Ib and have obtained the corresponding epoxides and glycols:




The α-oxides are converted to α-glycols IIIa, b on refluxing in ether with several drops of 20% sulfuric acid. 2,6-Dimethyl-3,4-dihydroxy-1,2,3,4-tetrahydroquinoline (IVa) was isolated from the hydrolysis of glycol IIIa in 10% alcoholic alkali. Schotten–Baumann benzoylation of IVa again gave IIIa.

## EXPERIMENTAL

1-Benzoyl-2,6-dimethyl-3,4-epoxy-1,2,3,4-tetrahydroquinoline (IIa). This compound was obtained in 71% yield by refluxing 1-benzoyl-2,6-dimethyl-1,2-dihydroquinoline [1] with monoperphthalic acid in ether solution for 1.5-2 h. The product had mp 174-175° (from alcohol). Found: C 77.2; H 6.1; N 5.0%; mol. wt. (Rast method) 267. $C_{18}H_{17}NO_2$. Calculated: C 77.4; H 6.1; N 5.0%; mol. wt. 279.

1-Benzoyl-2,6-dimethyl-3,4-dihydroxy-1,2,3,4-tetrahydroquinoline (IIIa). A. α-Glycol IIIa with mp 234-235° (from alcohol) was obtained in 58% yield by prolonged refluxing (for several hours) of Ia with monoperphthalic acid in ether solution. Found: C 72.7; H 6.4; N 4.9%. $C_{18}H_{19}NO_3$. Calculated: C 72.7; H 6.4; N 4.7%. The IR spectrum showed the presence of hydroxyl and carbonyl groups. The diacetyl derivative of IIIa had mp 153-154° (from alcohol). Found: N 4.0%. $C_{22}H_{23}NO_5$. Calculated: N 3.7%.

B. α-Glycol IIIa, which did not depress the melting point of the compound obtained via method A, was obtained by refluxing IIa in ether in the presence of several drops of 20% sulfuric acid for 2 h.

2,6-Dimethyl-3,4-dihydroxy-1,2,3,4-tetrahydroquinoline (IVa). Hydrolysis of IIIa by refluxing in 10% aqueous alcoholic alkali gave glycol IVa with mp 151-152° (from acetone–benzene). Found: C 68.7; H 7.8; N 7.2%. $C_{11}H_{15}NO_2$. Calculated: C 68.4; H 7.8; N 7.3%. The IR spectra did not contain a carbonyl group absorption band. Schotten–Baumann benzoylation of IVa gave IIIa.

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1-Benzoyl-2,6,8-trimethyl-3,4-epoxy-1,2,3,4-tetrahydroquinoline (IIb). This was obtained in the same way as IIa from 1-benzoyl-2,6,8-trimethyl-1,2-dihydroquinoline by refluxing it with perphthalic acid for 4-5 h. The product had mp 101-102° (from alcohol). Found: C 77.6; H 6.8; N 4.8%; mol. wt. (Rast method) 298. $C_{19}H_{19}NO_2$. Calculated: C 77.8; H 6.5; N 4.8%; mol. wt. 293.

1-Benzoyl-2,6,8-trimethyl-3,4-dihydroxy-1,2,3,4-tetrahydroquinoline (IIIb). $\alpha$-Oxide IIb was converted to glycol IIIb with mp 226-227° (from alcohol) by refluxing with several drops of 20% sulfuric acid in ether. Found: N 4.4%. $C_{19}H_{21}NO_3$. Calculated: N 4.5%.

## LITERATURE CITED


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2. A. K. Sheinkman, A. N. Kost, A. N. Prilepskaya, and Zh. V. Shiyan, Zh. Organ. Khim., 4 1286 (1968).