

Reactions of α -Lactams with t-Butyl-lithium

By ERACH R. TALATY* and CLIFFORD M. UTERMÖHLEN

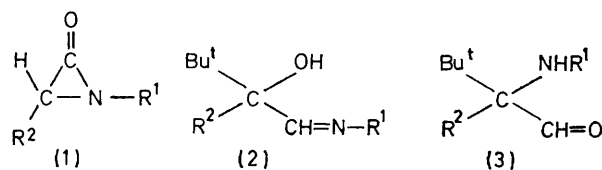
(Department of Chemistry, Wichita State University, Wichita, Kansas 67208)

Summary. Treatment of 1,3-di-t-alkylaziridin-2-ones (**1**) with t-butyl-lithium affords α -hydroxy-imines (**2**) containing geminal di-t-alkyl groups in good yields.

AMONG the few known reactions of α -lactams is an unsubstantiated¹ claim that alkylmagnesium halides effect an insertion-type alkylation of the acyl groups.² No other reactions of α -lactams with organometallic reagents have been reported. We now describe a reaction of α -lactams with t-butyl-lithium which yields a product totally unlike any produced in the known reactions of organolithium compounds with amides³ or aldehydes and ketones.⁴

Treatment of the α -lactam (**1a**)⁵ in pentane with t-butyl-lithium (1.1 equiv) under nitrogen for 4 h at 25–30° gave, after crystallization from methanol, a compound (77%), m.p. 100–102°, to which we assign the structure (**2a**) on the basis of the following spectral data: ν_{\max} (CCl₄) 3355 and 1660 cm⁻¹ (invariant with concentration); τ (CCl₄) 8.97 (s, 18H), 8.10 (m, 15H), ca. 5.6 br (d, 1H, *J* 1.3 Hz, exchanged with D₂O), 2.15 (d, 1H, *J* 1.3 Hz); *M*⁺ 305. The two t-butyl groups are isochronous in the ¹H n.m.r. spectra in various solvents at 60 and 100 MHz as well as in the ¹³C n.m.r. spectra in C₆D₆. These observations can be reconciled with an alternative structure (**3a**) in which a strong intramolecular interaction of the amino-group with the aldehyde group occurs, perhaps as a result of the 'gem-dialkyl effect' ('Thorpe-Ingold effect'). In particular,

the i.r. absorption at 1660 cm⁻¹ is reminiscent of that of carbonyl groups in which a similar interaction with an amino-group was postulated by Leonard and his co-workers.⁵ However, we believe that structure (**3a**) is ruled out by our failure to reduce the width of the signal at τ 5.6 on attempted decoupling of the ¹⁴N nucleus, and also by the absence of signals typical of aldehyde groups in the



- a**; R¹ = 1-adamantyl, R² = Bu^t
b; R¹ = Bu^t, R² = 1-adamantyl
c; R¹ = R² = Bu^t
d; R¹ = R² = 1-adamantyl

¹³C spectra (no signals at $\delta > 190$ relative to Me₄Si).⁷ On the other hand, the presence of the most downfield peak at δ 160.3 (25.2 MHz) in the decoupled ¹³C spectrum is indicative of a C=N linkage.⁷ Other α -hydroxy-imines (**2b–d**) were obtained in a similar fashion from (**1b–d**) in yields of 75–85% after crystallization.†

Few compounds having gem-di-t-butyl groups are known, despite their potential use in determining the role of steric factors in a variety of reactions and in structure-activity

† Some of these experiments were performed by Chiang-Chang Liao.

relationships. Often, such compounds are prepared either in very poor yields⁸ or in several steps⁹ from readily available substances. Since the compounds (**1a—d**) are the most stable α -lactams known, they promise to be useful starting materials for the synthesis of a variety of highly hindered compounds.

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