The Reaction of *N*-Isopropylallenimine with Organic Azides

By JACK K. CRANDALL* and J. B. KOMIN
(*Department of Chemistry, Indiana University, Bloomington, Indiana* 47401)

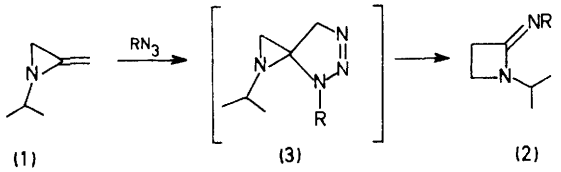
Summary *N*-Isopropylallenimine reacts with organic azides to yield *N*-isopropyl-β-lactamimides, the first examples of cyclic amidines in four-membered rings.

AZIDES bearing electron-withdrawing functions react with enamines to form amidines.[1] This reaction has been applied to *N*-isopropylallenimine (**1**) to produce a series of novel 4-membered ring amidines (**2**).

p-Toluenesulphonyl azide reacts with (**1**) to give a quantitative yield of *N*-isopropyl-*N'*-*p*-toluenesulphonyl-β-lactamimide (**2a**) [i.r. 6·11 μm]. Basic hydrolysis of (**2a**) gave *p*-toluenesulphonamide and *N*-isopropyl-β-aminopropionic acid.

Reaction of (**1**) with ethyl azidoformate gave a 60% yield of *N*-isopropyl-*N'*-ethoxycarbonyl-β-lactamimide (**2b**) [i.r. 5·96, 6·15 μm] while reaction with t-butyl azidoformate produced *N*-isopropyl-*N'*-t-butoxycarbonyl-β-lactamimide (**2c**) [i.r. 5·95, 6·12 μm]. Treatment of (**2c**) with ether–HCl gave a 78% yield of the parent *N*-isopropyl-β-lactamimide (**2d**)[i.r. 3·1, 5·97, and 13·3 μm; n.m.r. δ 1·12 (6H, d, *J* 6·5 Hz), 2·71 (2H, t, *J* 4·5 Hz), 3·30 (2H, t, *J* 4·5 Hz), 3·78 (1H, sept, *J* 6·5 Hz) and 4·07 [1H, s(br)]; m.s. *m/e* (rel. intensity) 112 (55), 97 (54), 85 (48), 84 (18), 83 (84), 69 (75) and 56 (100)].

Phenyl azide reacted with (**1**) to yield *N*-isopropyl-*N'*-phenyl-β-lactamimide (**2e**) [i.r. 6·0 μm] as one of the important products (*ca.* 30% yield). Amidine (**2e**) exhibits substantial stability toward acid, base, pyrolysis, photolysis or chemical reduction. This behaviour is characteristic of trisubstituted amidines.[2]



(1) (3) (2)

(a R = SO_2-*p*-C_6H_4Me)
(b R = CO_2Et)
(c R = CO_2Bu^t)
(d R = H)
(e R = Ph)

The formation of the amidine products is rationalized by stereospecific addition of the azide to (**1**) to form triazoline (**3**) which rearranges to the observed amidines with extrusion of molecular nitrogen.[1]

We thank the National Science Foundation for support.

(*Received, 25th February* 1975; *Com.* 243.)

[1] R. Fusco, G. Bianchetti, and D. Pocar, *Gazzetta*, 1961, **91**, 933.
[2] R. L. Shriner and F. W. Neumann, *Chem. Rev.*, 1944, **35**, 351.