The Reactions of Copper(I) Phenylacetylide with Nitrones

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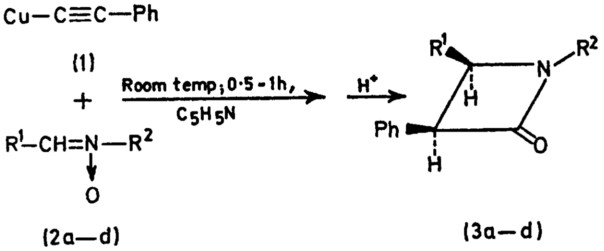
Summary Reactions of copper(I) phenylacetylide with nitrones give *cis*-β-lactams.

WE have investigated the reactions of copper acetylides with 1,3-dipoles,[1] and have found that with nitrones β-lactams are formed.

The reactions of copper(I) phenylacetylide (**1**) with nitrones (**2a**—**d**) were performed in dry pyridine under a nitrogen atmosphere. After hydrolysis, β-lactams (**3a**—**d**) were obtained in good yield.

The structures of (**3a**—**d**) were confirmed by n.m.r. and i.r. spectra. Yields and physical data of (**3a**—**d**) are given in the Table.

The configurations of (**3a**) and (**3c**) were shown to be *cis* from the following information. (**3a**) had m.p. and i.r., n.m.r., and mass spectra in agreement with those of a *cis*-β-lactam described in the literature.[2] It has been reported that the β-lactam produced from the reaction of *N*-(*o*-methylbenzylidene)aniline with phenylketen, the isomer of (**3c**), has a m.p. of 138°,[3] and its configuration was shown to be *trans* since the addition of a keten to an imine always gave a *trans*-β-lactam.[4] Therefore, (**3c**), with m.p. 213—214°, was deduced to exist in the *cis* form. It is possible that (**3b**) and (**3d**) formed in the same reaction, *i.e.*, "the



a; $R^1 = R^2 = Ph$
b; $R^1 = Ph$, $R^2 = p$-ClPh
c; $R^1 = o$-MePh, $R^2 = Ph$
d; $R^1 = o$-ClPh, $R^2 = Ph$

Yields and physical data of cis-*β-lactams* (**3a**—**d**)

Lactam[a]	Yield (%)	M.p. (°C)	ν(C=O) (Nujol)	δ (CDCl$_3$) H_A	H_B	J_{AB} (Hz)
(**3a**)	54·5	186	1750	4·95	5·43	6·5
		(182—183)[b]	(5·73 μm)[b]	(4·96)[b]	(5·44)[b]	(7·0)[b]
(**3b**)	60·2	156	1750	4·30	4·95	3·0
(**3c**)	50·6	213—214	1740	4·20	5·25	3·0
(**3d**)	51·2	190—192	1745	5·00	5·75	6·3

[a] Satisfactory analytical data were obtained on all β-lactams. [b] Values from ref. 2 are in parenthesis.

acetylide reaction," prefer the *cis* form. Also, the *trans* isomers of (**3b**) and (**3d**) have not been prepared by "the keten reaction."[3]

Although β-lactams have been synthesized in various ways,[5] no reaction giving only a *cis*-β-lactam has yet been reported. Hence, "the acetylide reaction" is useful as a stereoselective reaction and for the synthesis of *cis*-β-lactams.

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[5] L. L. Muller and J. Hamer, '1,2-Cycloaddition Reactions,' Wiley, New York, 1967, p. 173, and references therein.