STEREOSPECIFIC SYNTHESIS OF SOLENOPSIN A

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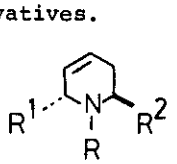
2,6-trans-Dialkyl-1,2,3,6-tetrahydropyridines (1) are important structural unit observed in Sedum and Lobelia alkaloids, e.g., sedinine (2), or in Cannabis alkaloids, e.g., anhydrocannabisativine (3). Cycloaddition reaction between 1-acyl-1,2-dihydropyridine derivatives (4) and methyl cyanodithioformate was investigated in hopes of approach to 1, affording epimers, 5 (60-68% yields) and 6 (19-25% yields). In order to clarify the regio- and stereochemical situation of the cycloadduct (5), 5b was transformed by three steps into solenopsin A (7), a well-known alkaloid having hemolytic, insecticidal, and antibiotic activities, isolated from the venom of the red fire ant, Solenopsis saevissima.[1] This work constitutes a completely stereoselective synthesis of 2,6-trans-dialkylpiperidine derivatives.



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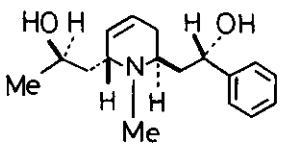

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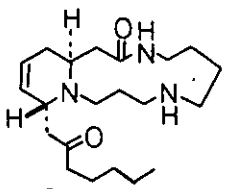

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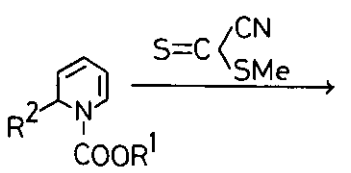

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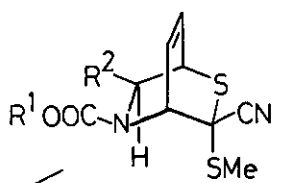

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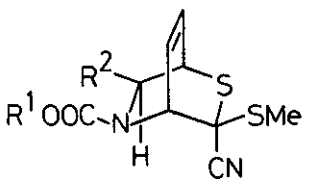

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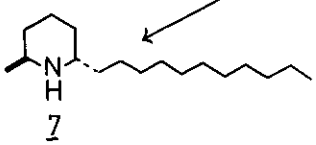

7

	R^1	R^2
a	Me	H
b	CH_2Ph	Me
c	CH_2Ph	$C\equiv C-Ph$

1) J. G. MacConnell, M. S. Blum, and H. M. Fales, Tetrahedron, 27, 1129 (1971).