

## Amidoalkylations with Allylic Silanes: a Facile Synthesis of the Carbapenem System

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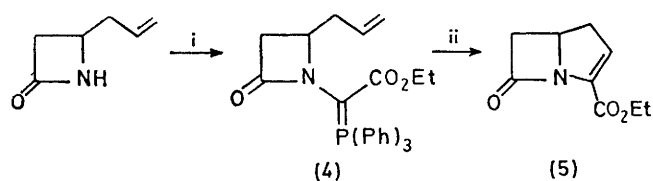
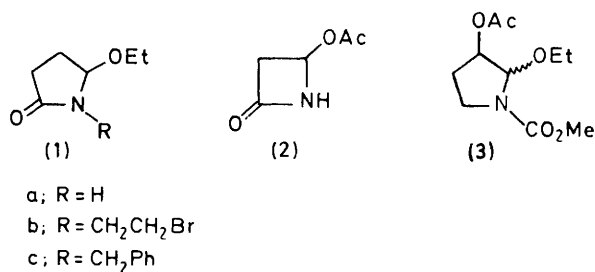
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Amidoalkylation reactions with allylic silanes provide a direct route to the carbapenem ring system.

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Allylic silanes are known to react with various electrophiles to afford products resulting from allylic substitution of the trimethylsilyl group.<sup>1</sup> Consequently, we have investigated the reaction of allyltrimethylsilane with acyl iminium ions derived

from alkoxy lactams or alkoxy carbamates and herein report both the results of our study and the transformation of one of the adducts into the carbapenem system. At the onset of our study, no examples of this reaction had been recorded.



Scheme 1. i, HCOC<sub>2</sub>Et, then SOCl<sub>2</sub>, then Ph<sub>3</sub>P; ii, O<sub>3</sub>-H<sup>+</sup>, then NaHCO<sub>3</sub>.

Table 1. Amidoalkylations with allyltrimethylsilane.<sup>a</sup>

Entry	Reactant	Lewis acid (%)	% Yield <sup>b</sup>
1	(1a)	SnCl <sub>4</sub> (100)	69
2	(1a)	SnCl <sub>4</sub> (10)	—
3	(1b)	SnCl <sub>4</sub> (100)	75
4	(1c)	SnCl <sub>4</sub> (100)	66
5	(2)	BF <sub>3</sub> ·Et <sub>2</sub> O (120)	63
6	(2)	SnCl <sub>4</sub> (10—100)	—
7	(3)	SnCl <sub>4</sub> (100)	—
8	(3)	SnCl <sub>4</sub> (10)	99

<sup>a</sup> Product has -OEt or -OAc replaced by the allyl group. To a solution of 1 equiv. of lactam or carbamate and 2 equiv. of allyltrimethylsilane in CH<sub>2</sub>Cl<sub>2</sub> at room temp. was added Lewis acid. The solution was poured into brine when t.l.c. indicated complete reaction. <sup>b</sup> Chromatographed or distilled yields. Products have consistent <sup>1</sup>H, <sup>13</sup>C n.m.r., i.r., mass spectral characteristics.

Recently, however, Hart and Tsai have reported one amidoalkylation reaction with allyltrimethylsilane.<sup>2</sup> Our previous experience with amidoalkylation reactions indicated that the choice of Lewis acid was crucial.<sup>3</sup> As the results of Table 1 illustrate, Lewis acid stoichiometry can also exert a strong influence on the course of the reaction. This is presumably a reflection of the different stabilities of the acyl iminium ion intermediates. With carbamate (3) a mixture of diastereomers was produced (65:35). The major diastereomer arises from reaction of the allylic silane with the face of the acyl iminium ion that is opposite the acetoxy group.

The reaction of (2) is significant since only a few successful carbon-carbon bond forming reactions at C-4 in *N*-unsubstituted azetidinones are known.<sup>4</sup> This product was efficiently transformed into the carbapenem skeleton by the reaction sequence depicted in Scheme 1. The amide function was introduced by the method of Woodward.<sup>5</sup> The product of the thionyl chloride reaction proved to be unstable and was converted without purification into ylide (4). Ozonolysis and base-induced cyclization by the procedure developed by Southgate<sup>6</sup> afforded (5) in 52% yield.

The compatibility of other oxygen-containing functional groups (entry 8) is notable.

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## References

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