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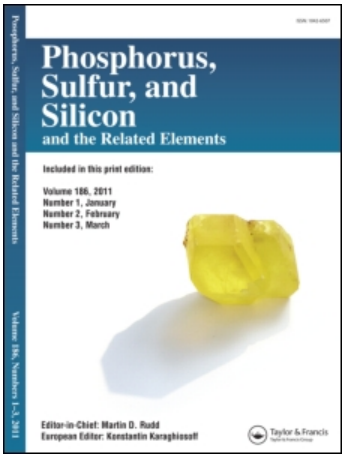

Phosphorus, Sulfur, and Silicon and the Related Elements

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Asymmetric Synthesis of α-Amino Phosphonic Acids Employing the Condensation of Nitrones with Phosphite Derivatives

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ASYMMETRIC SYNTHESIS OF α-AMINO PHOSPHONIC ACIDS EMPLOYING THE CONDENSATION OF NITRONES WITH PHOSPHITE DERIVATIVES

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Abstract Chiral α-amino phosphonic acid derivatives were synthesized by condensing an enantiomerically homogeneous diazasilyl phosphite with a series of prochiral nitrones. The reactions proceed under mild conditions with moderate to high enantioselectivities and good yields.

Key Words : α-amino phosphonic acid, asymmetric synthesis, silyl phosphite, nitrone.

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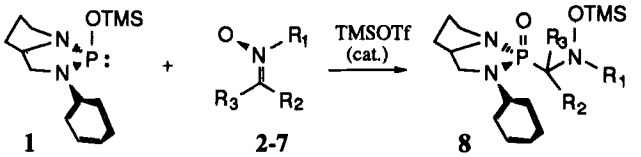
Increased interest in the synthesis of α-amino phosphonic acids as synthetic and structural analogs of α-amino carboxylic acids [1] have promoted considerable research activity in developing novel chirality transfer methods. In this light, we have examined the reactions of an enantiomerically-homogeneous silyl phosphite **1**, derived from L-glutamic acid [2], with nitrones as a synthetic approach to α-amino phosphonic acids. Our preliminary results show that the reactions between phosphite **1** and imines are slow even in the presence of trimethylsilyltriflate (TMSOTf) and the selectivities are poor. By contrast, nitrones (*e.g.* **2-7**) were more reactive and afforded higher selectivities.



1 **2-7** **8**

Nitrone	R_1	R_2	R_3	de% [a]	**8** (^{31}P-NMR δ, ppm) major	minor
2	Me	H	Ph	>98	17.16	-
3	t-Bu	H	Ph	>98	14.13	-
4	CH_2Ph	H	Ph	47	19.34	18.87
5	Me	H	iPr	43.6	22.55	24.05
6	$-CH_2-CH_2-CH_2-$		H	71.9	22.25	21.36
7	$-C(CH_3)_2-CH_2-CH_2-$		H	37.9	25.66	22.36

[a]- Determined from ^{31}P-NMR data.

Based on our mechanistic investigations and the resulting diastereoselectivities, we propose a stepwise mechanism where the active C=N moiety-containing species is the silylated nitrone (oxoiminium salt).

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