

Dearomatizing Anionic Cyclizations of *N*-Benzyl-*N*-methyldiphenylphosphinamides. Synthesis of γ -(*N*-Methylamino)phosphinic Acids

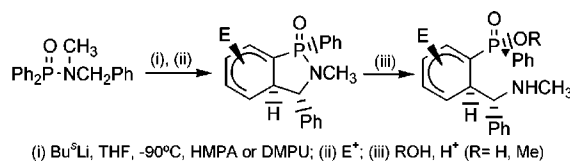
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ABSTRACT



The first dearomatizing anionic reaction of a phenyl ring promoted by an *N*-benzyl-*N*-methylphosphinamide group is described. The intermediate lithium species can be trapped with different electrophiles, affording tetrahydrobenzo[*c*]-1-aza-2λ⁵-phospholes with excellent diastereoselectivity. The new process is a simple and very efficient entry to the stereoselective synthesis of functionalized γ -(*N*-methylamino)phosphinic acids and esters.

Dearomatizing reactions through sequential addition of a nucleophile and an electrophile to activated benzenes is a very useful methodology for the synthesis of regio- and stereoselectively substituted cyclohexadiene derivatives. The activation may be achieved by transition metal coordination to the π system¹ or through classical electron-withdrawing groups: aldehydes, ketones, esters of carboxylic acids,² and imines.^{3,4} For phenyl sulfones⁵ and sulfonamides,⁶ the

nucleophilic dearomatization can be carried out intramolecularly. Very recently, Clayden et al. have extended this anionic cyclization to the dearomatization of lithium tertiary *N*-benzylbenzamides.⁷ The intermediate dearomatized enolate

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