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Stereoselective Synthesis of 2-Amino-4-phosphono-4-pentenoic Acid Derivatives (AP4 Analogues)

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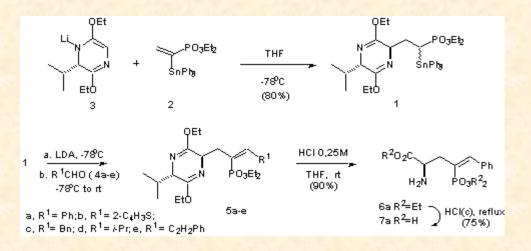
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a-Phoshono esters are widely employed substrates in olefin synthesis *via* Wadsworth-Emmons reaction.[1] Recently, Collignon and *et al* have described the organostannyl derivatives as useful intermediates in the synthesis of alkenylphosphonates.[2]

In this communication we report our preliminary results on the stereoselective synthesis of amino acids containing alkenylphosphonates by using the a-triorganostannylated bislactim ether **1**. In this way, slow addition of acceptor **2** to a solution of lithiated bislactim ether **3** at low temperature led to Michael adduct **1** in good yield.[3] After deprotonation of **1** with lithium diisopropylamide at -78 °C, aldehydes **4a-e** were added and the mixture was warmed to room temperature, providing the alkenylphosphonate derivatives **5a-e** in moderate to good yields and a high isomeric purity (>95%).



Mild acid hydrolysis of **5a** gave rise to the amino ester **6a** in a very good yield, after removing the L-valine ester by column chromatography. Vigorous acid hydrolysis of the amino ester allowed the isolation of the amino acid **7a** as their hydrochloride salt in good yield. Hydrolysis of the rest of the alkenylphosphonates **5b-e** is under progress.

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[3] Fernandez, M.C.; Ojea, V.; Ruiz, M.; Conde, S.; Díaz, A.; Quintela, J. M. Communication to *Tenth European Symposium on Organic Chemistry*, June **1997**.

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