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A novel and efficient one-pot synthesis of new α-aminophosphonates and evaluation of their biological activities

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In recent years, considerable interest has been focused on the synthesis of α -aminophosphonates, because they are considered to be structural analogues of the corresponding α -aminoacids. In these connections, the utilities of α -aminophosphonates as anticancer, antibiotics and pharmacologic agents [1-2].

The synthesis of some novel α -aminophosphonates throughan addition of diethyl phosphite to electro-rich aldehyde and different amines[3]. This study represents a three-componentreaction in which no intermediate formation of either an imine or α -hydroxyphosphonate was observed. In this protocol avoids the use of any catalyst, any solvents, and dry reaction conditions. The aminophosphonates have been characterized by elemental analysis, IR and NMR (1 H, 13 C and 3 1P) spectra and X-ray diffraction. Some of these α -aminophosphonates were found to have antitumor activity on the cell lines DU145 in vitro by the MTT method. (Scheme 1)

X ,Z =ERG, EWG

Scheme 1

References:

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210