

## InCl<sub>3</sub> catalyzed one-pot synthesis of diethyl aryl (benzo[d]thiazol-2-ylamino)methyl phosphonate under solvent-free conditions

M. Lashkari,\* M.T. Maghsoodlou, N. Hazeri, A. Masoumnia, S. Kiai

*Department of Chemistry, Faculty of Science, University of Sistan and Baluchestan, Zahedan, Iran.*

**Background and Aims:**  $\alpha$ -Aminophosphonate is a biologically important compound as peptidomimetics, haptens design in antibody generation, and also in enzyme inhibitory activity. In addition,  $\alpha$ -aminophosphonate derivatives have broad applications such as herbicides, insecticides, fungicides, antibiotics and pharmacological agents. In recent years  $\alpha$ -aminophosphonates were synthesized containing benzothiazole moiety which have antitumor and antimicrobial activity.

**Methods:** To a mixture of 2-aminobenzothiazole (1.0 mmol), aldehydes (1.0 mmol), and triethyl phosphite (1.0 mmol), was added InCl<sub>3</sub> (10 mol %) and stirred at 110 °C for appropriate time. After completion of the reaction as monitored by TLC, the mixture was cooled to r.t., and the mixture washed with EtOAc (3 × 3 mL) to afford pure  $\alpha$ -aminophosphonates.

**Results:** In the absence of catalyst only 33 % of product could be obtained when the mixture of reaction was heated at 100 °C for 30. This indicated that the catalyst should be necessary for this transformation. The effect of amount of catalyst was also investigated along with effects of temperature and time on the yield. Herein, reaction occurred efficiently to afford the corresponding  $\alpha$ -aminophosphonates in 77 % yield when 10 mol% InCl<sub>3</sub> was used at 110 °C under solvent-free conditions.

**Conclusions:** we have developed a simple and convenient method for the synthesis of  $\alpha$ -aminophosphonates through the three-component reaction between 2-aminobenzothiazole, aldehydes and triethyl phosphite catalyzed by InCl<sub>3</sub> (10 mol %) at 110 °C under solvent-free conditions. The advantages of the present method are short reaction times, good yields, solvent-free conditions and easy work-up with the green aspects by avoiding toxic catalysts and solvents.

**Keywords:**  $\alpha$ -Aminophosphonates; 2-aminobenzothiazole; Aldehyde; Triethyl phosphite; Solvent-free Conditions