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New (aminomethylene) bisphosphonates obtained from heterocyclic amines and their biological activity

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Bisphosphonic acids are stable analogues of inorganic pyrophosphate, wherein an oxygen bridge P-O-P is replaced by substituted carbon atom. (Aminomethylenebisphosphonates) have found wide applications as therapeutic agents in the treatment of diseases associated with dysfunction of the calcium metabolism, such as: osteoporosis, Paget's disease or hypercalcemia. Recently, most literature reports concentrate on new biological properties of these compounds, especially their evaluation as inhibitors of enzymes being the targets for drug development. The three-component condensation between amines, triethyl orthoformate and diethyl phosphate is perhaps the simplest and most commonly used preparation of N-substituted (aminomethylene) bisphosphonic acids. The studies have shown that application of heterocyclic amines. Such as triazole derivatives or purine base, in three-component condensation can give unexpected products having very interesting chemical structure. The biological studies have been shown that these compounds can play a role as potential antiosteoporotic agent in the treatment of diseases associated with calcium metabolism.

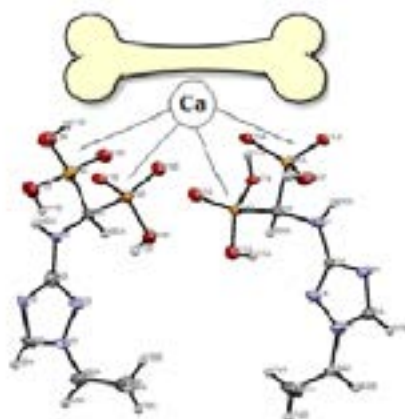


Figure 1 New bisphosphonate as antiosteoporotic agent

Recent Publications

1. Miszczyk P, Wiczorek D, Gałęzowska, Dziuk, Wietrzyk, et al. (2017) Reaction of 3-Amino-1,2,4-triazole with diethyl phosphite and triethyl orthoformate: acid-base properties and antiosteoporotic activities of the products. *Molecules* 22:254.
2. Chmielewska E and Kafarski P (2016) Physiologic activity of bisphosphonates-recent advances. *Open Pharm. Sci. J.* 3:56.
3. Dąbrowska E, Burzyńska, Mucha A, Matczak-Jon E, Sawka-Dobrowolska W, et al. (2009) Insight into the mechanism of three component condensation leading to aminomethylenebisphosphonates. *J. Organomet. Chem.* 694:3806.

Biography

Patrycja M Petruczynik is a PhD student in the Department of Bioorganic Chemistry at Wrocław University of Technology. She has her expertise in synthesis of biologically active (aminomethylene) bisphosphonates and aminophosphonates. She has tested and isolated unexpected products in three component condensation of amines, diethyl phosphate and triethyl orthoformate and explained of mechanism reaction.

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