Synthesis of Antimicrobial *N*-Phthaloyl-alanyl-derived Amidophosphates and Triazoles

Wafaa M. Abdou, Neven A. Ganoub, and Eman Sabry

Chemical Industries Division, National Research Centre, Elbohouth St. D-12622, Dokki, Cairo, Egypt

Reprint requests to Professor Wafaa M. Abdou. E-mail: wabdou@intouch.com

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Dedicated to Professor Richard Neidlein on the occasion of his 77th birthday

N-Phthaloyl-alanylazide reacts smoothly with trialkyl phosphites producing the corresponding α-aminophosphates. With dialkyl hydrogenphosphonates in the presence of benzoyl peroxide, amidophosphates were the isolated products whereas the oxoaziridin-1-yl-phosphonic diamide was preferentially provided from the reaction of the azide with tris(dimethylamino)phosphine. The azide was also allowed to react with α-keto-, α-ethoxycarbonyl- and α-cyanomethylenetriphenylphosphorane to give the corresponding linear disubstituted 1,2,3-triazoles. Screening results of antibiotic potency for the products were discussed in terms of structure-activity relationship (SAR), and an attempt was made to define the structural features for lead compounds.

Key words: α-Aminophosphates, Amidophosphates, Disubstituted 1,2,3-Triazoles, *N*-Phthaloyl-alanylazide