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Synthesis of 4-quinolone-α-aminophosphonate derivatives with antiviral profile

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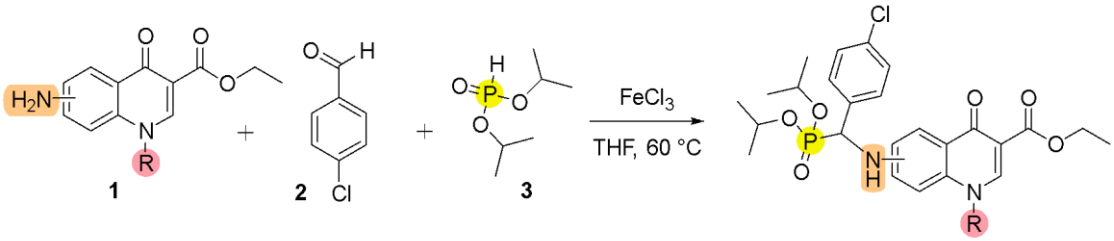
ABSTRACT

α-Aminophosphonates, natural analogues of amino acids, constitute an important class of compounds with diverse biological activities such as antiviral.[1,2] Recent studies indicates that incorporating heterocyclic moieties into α-aminophosphonates significantly enhances their biological activity.[3] As an notable class of heterocycles, 4-quinolone derivatives are associated with various bioactivities and can be synthesized by different synthetic methods, allowing the design and preparation of compound libraries with different structural variations designed to modulate their pharmacological effects.[4] Conjugating these fragments with known bioactive structural scaffolds, might, therefore, lead to more effective agents. Thus, this work aims to synthesize new 4-quinolone-α-aminophosphonate derivatives (**4**) in order to obtain substances with enhanced antiviral profiles. The proposed compounds were synthesized using the Kabachnik-Fields reaction, which involves the condensation of an amino-4-quinolone (**1**), chlorobenzaldehyde (**2**) and diisopropyl phosphite (**3**) in the presence of a Lewis acid catalyst. The resulting products had their structures confirmed by spectroscopic analysis methods.



4a. 6-NH-CH(C_6H_4Cl)$C_6H_{14}O_3P$; R = Et
b. 7-NH-CH(C_6H_4Cl)$C_6H_{14}O_3P$; R = Et
b. 6-NH-CH(C_6H_4Cl)$C_6H_{14}O_3P$; R = Bz
b. 7-NH-CH(C_6H_4Cl)$C_6H_{14}O_3P$; R = Bz

Scheme 1 - Synthetic route to obtain 4-quinolone-α-aminophosphonate derivatives (**4**).

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