

Synthesis of amino acid ester phosphordiamidate derivatives of chrysin

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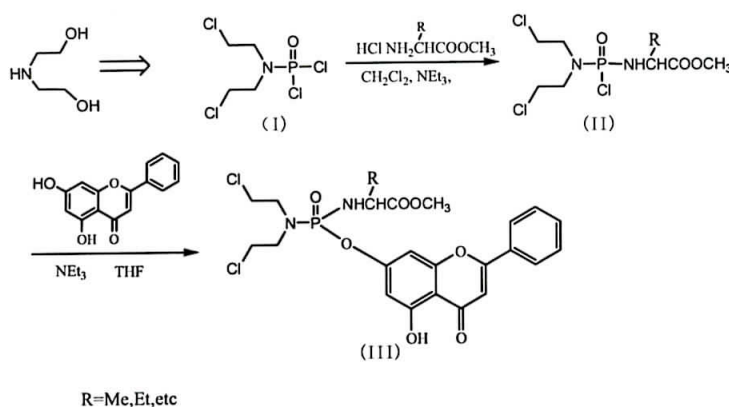
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Abstract

Chrysin, a widely distributed flavone in plants, was reported to have many biological activities including anticancer activity [1, 2]. 5, 7-OH of chrysin can be glycosylated in intestine and therefore poor absorption was resulted in. However the non-equivalent phenolic hydroxy groups are potential sites for phosphorylation, a modification that could lead to improved pharmacological properties. In the work described in this paper, novel amino acid ester phosphordiamidate derivatives of chrysin (III) were designed and synthesized as potential pro-drugs to changes the physical and chemical properties of the parent molecule and enhance its bio-availability. Amino Acid Esters first reacted with bis- β -chloroethyl dichlorophosphamide (I) to yield phosphonamidic chlorides (II), and then the resulting compounds (II) coupled with chrysin smoothly to afford corresponding target products (III) in high yields. All structures of the newly synthesized compounds were confirmed by ESI-MS, ¹H NMR, ¹³C NMR. The initial biological activitie screening tests will be carried out.



References

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