

Chemo-enzymatic synthesis of phospholipidyl- β -cyclodextrin

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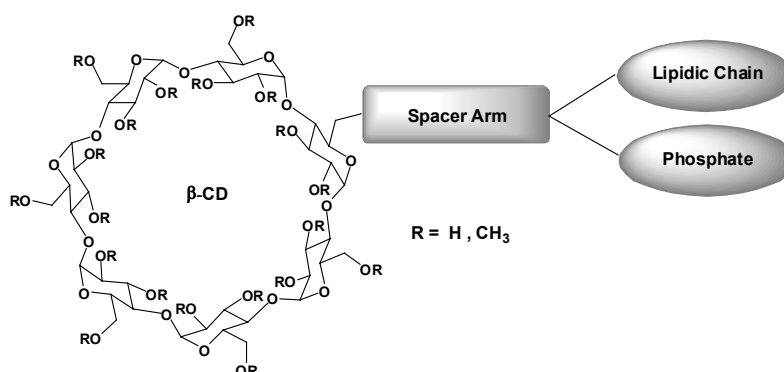
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β -cyclodextrins (CDs) are cyclic oligosaccharides composed of 7 glucosyl units linked by α -1,4 glycosidic bond. The cavity inside of the CD is able to encapsulate hydrophobic drugs. By modifying CD with phospholipidic moiety, it was shown as vector to pass through blood-brain barrier.¹ To overcome some difficulties encountered with phospholipidyl-cyclodextrins, namely expensive and tedious synthesis and their rather poor chemical stability, a chemo-enzymatic synthesis was investigated.

Enzymes are well known to catalyze reactions on cyclodextrins.² Among hydrolases, lipases are interesting to graft lipidic chain with CDs. In previous works, a new class of monosubstituted amphiphilic cyclodextrins has been synthesized in a one-step lipase catalyzed amidification from β -CDNH₂(OMe)₂₀ with various lipids and some of their derivatives.³

We report here the chemo-enzymatic synthesis of phospholipidyl-cyclodextrins using lipases and glycerolkinases on a key intermediate, the β -CDNH₂(OMe)₂₀ which was modified by appropriate spacer arm. The conditions of the reaction and the family of new compounds will be described here.



This work is supported by the Conseil Régional de Picardie under the scientific "IBFBio" program.

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