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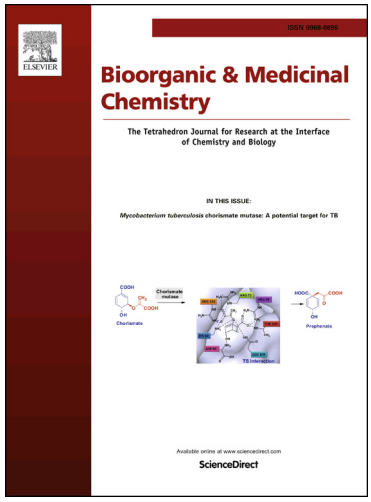

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Stereo-controlled synthesis of functionalized tetrahydropyridines based on the cyanomethylation of 1,6-dihydropyridines and generation of anti-hepatitis C virus agents

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Abstract

Densely functionalized tetrahydropyridines were stereoselectively synthesized from 1,6-dihydropyridines. Exploiting a carbonyl group installed at the C3 position of the 1,6-dihydropyridine system, we devised a strategy for cyanomethylation at C2/C6 and subsequent divergent installation of an allyl group at C3/C5 in a highly regio- and stereo-controlled manner. This versatile protocol for programmable functionalization of the 1,6-dihydropyridine system allows the divergent and streamlined synthesis of multiply-substituted tetrahydropyridines as an important class of biologically and medicinally relevant scaffolds. Two of the *N*-heterocyclic compounds bearing an alkyl nitrile group showed anti-hepatitis C virus (HCV) activity.

Keywords: Tetrahydropyridine, Dihydropyridine, Cyanomethylation, Allylation, Anti-hepatitis C Virus Activity