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Facile Synthetic Process for Lamivudine and Emtricitabine

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Abstract : Cis-Nucleosides mainly lamivudine (3TC) and emtricitabine (FTC) are an important tool in the treatment of Human immune deficiency virus (HIV), Hepatitis B virus (HBV) and Human T-Lymotropoic virus (HTLV). Lamivudine and emtricitabine are potent nucleoside analog reverse transcriptase inhibitors (nRTI). These two drugs are synthesized by a four-stage process from the starting materials: menthyl glyoxylate hydrate and 1,4-dithane-2,5-diol to produce the 5-hydroxy oxathiolane which upon acetylation with acetic anhydride to yield 5-acetoxy oxathiolane. Then glycosylation of this acetyl product with silyl protected nucleoside to produce the intermediate. The reduction of this intermediates can provide the final targets. Although there are several different methods reported for the synthesis of lamivudine and emtricitabine as a single enantiomer, we required an efficient route, which was suitable for large-scale synthesis to support the development of these compounds. In this process, we successfully prepared the intermediates of lamivudine and emtricitabine without using any solvents and catalyst, thus promoting the green synthesis. All the synthesized compound were confirmed by TLC, GC, Mass, NMR and 13C NMR spectroscopy.

Keywords : emtricitabine, green synthesis, lamivudine, nucleoside
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