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Method for the Synthesis, Compositions and Use of 2'-Deoxy-5-fluoro-3'-thiacytidine and Related Compounds

US Patent 5814639, 2019.

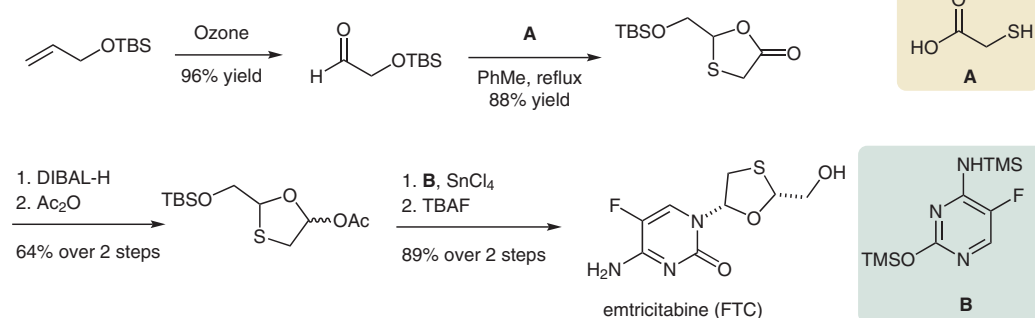
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PMPA Preparation

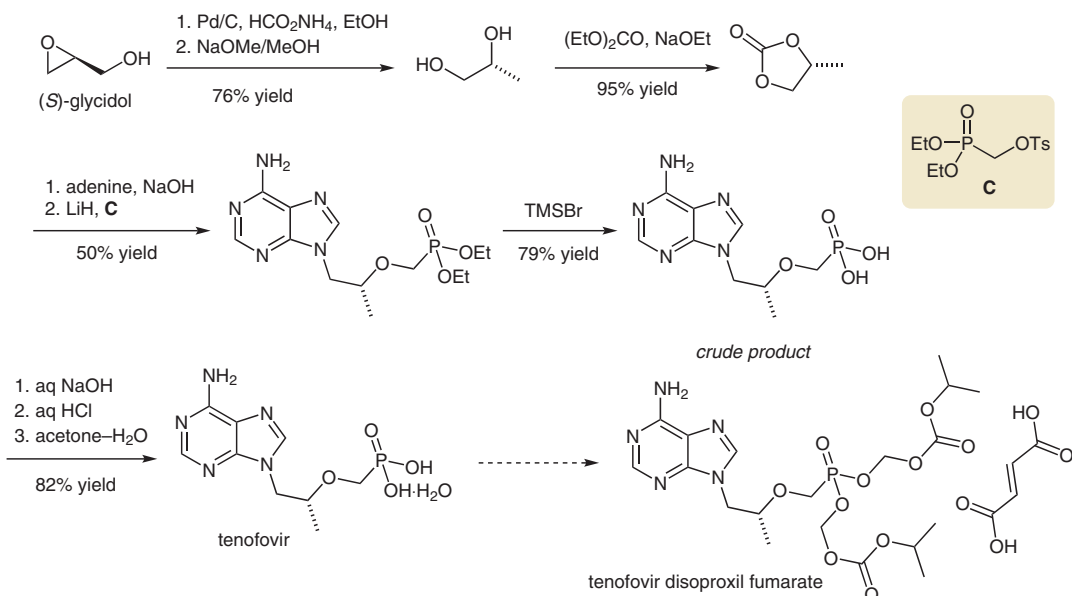
US Patent 5733788, 2019.

Pre-Exposure Prophylaxis (PrEP)

Synthesis of emtricitabine (2'-deoxy-5-Fluoro-3'-ThiaCytidine, FTC):



Synthesis of tenofovir:



Significance: Pre-exposure prophylaxis (PrEP) is a HIV prevention method for uninfected individuals. It virtually eliminates the risk of getting HIV if taken consistently and correctly. Truvada is the drug used for PrEP. It contains a combination of emtricitabine and tenofovir disoproxil fumarate (prodrug).

Comment: Emtricitabine was synthesized by nucleosidation of a readily available oxathiolane derivative with the silylated nucleobase **B**. The high level of stereoselectivity is provided by in situ complexation of the oxathiolane and the Lewis acid. Tenofovir was synthesized in just six steps starting from commercially available (S)-glycidol.