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New Catalytic Asymmetric Formation of Oxygen Heterocycles Bearing Nucleoside Bases at the Anomeric Carbon
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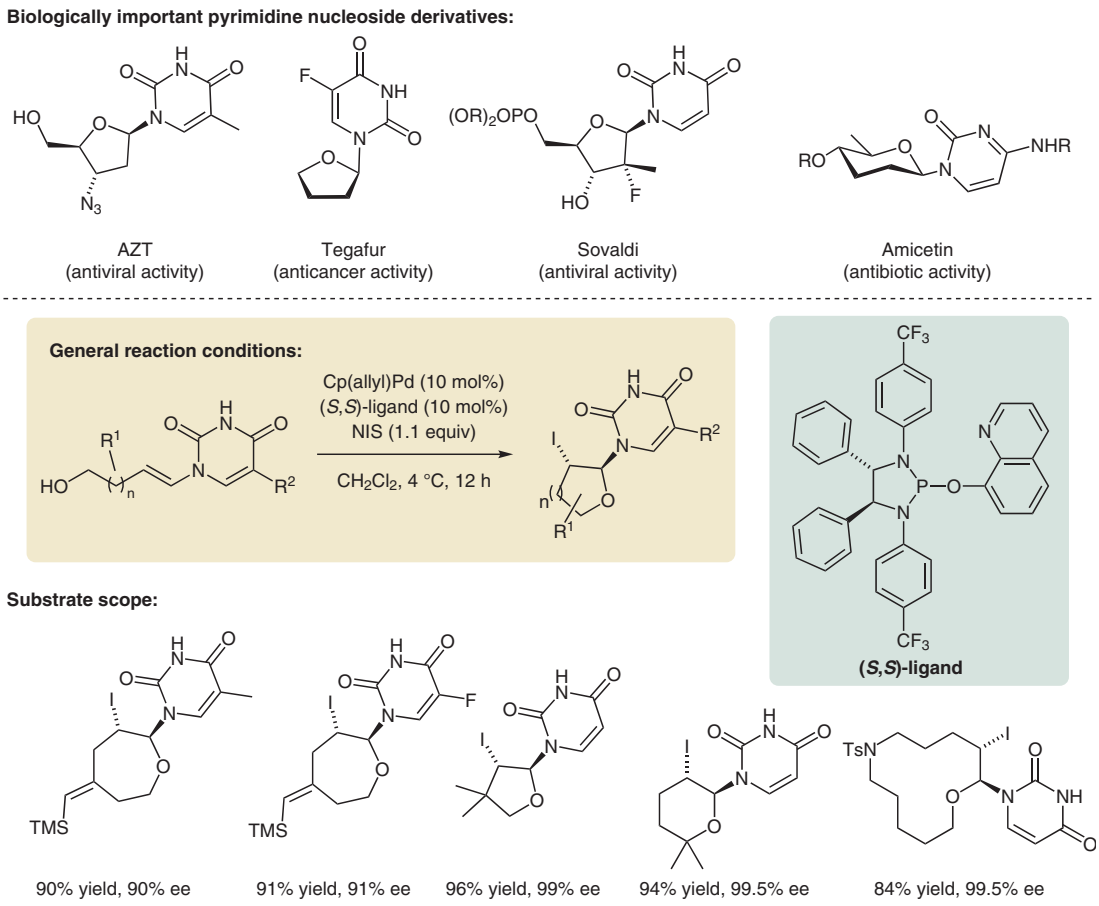
Palladium-Catalyzed Synthesis of Pyrimidine Nucleoside Analogs



Significance: Many FDA approved antiviral and anticancer drugs contain nucleoside analogs. The biologically active nucleosides are synthesized either by derivatization of an intact nucleoside or by coupling a nitrogenous base with a modified sugar (glycolsylation reaction). However, all previous methods suffered from poor yields and low diastereoselectivities.

Comment: Trost and co-workers reported a new Pd-catalyzed synthesis of pyrimidine nucleoside analogs bearing an iodide substituent. This process occurs in high yields as well as excellent enantio- and diastereoselectivity (dr >20:1). The products can be transformed into a variety of new pyrimidine nucleoside analogs. According to the proposed mechanism, the reaction proceeds through a Pd(IV) species, which undergoes reductive elimination to form a C–I bond.

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Synfact of the Month

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