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Synthesis of 2' -Deoxyrihidine Nucleosides Via Copper (I) Iodide Catalysis

John N. Freskos^a

^a Ethyl Corporation, Ethyl Technical Center, Baton Rouge, Louisiana

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SYNTHESIS OF 2'-DEOXYPYRIMIDINE
NUCLEOSIDES VIA COPPER (I) IODIDE CATALYSIS

John N. Freskos
Ethyl Corporation, Ethyl Technical Center
P. O. Box 14799
Baton Rouge, Louisiana 70898

The high current interest in the use of 2'-deoxypyrimidine nucleosides as potential anti-viral agents has made a high-yield route favoring the biologically active β -anomers desirable. To this end the coupling of pyrimidine 1b with 2 in EDC or CHCl_3 , was studied using weak Lewis Acid catalysts. Of the catalysts studied only copper (I) iodide gave β -selective reactions.

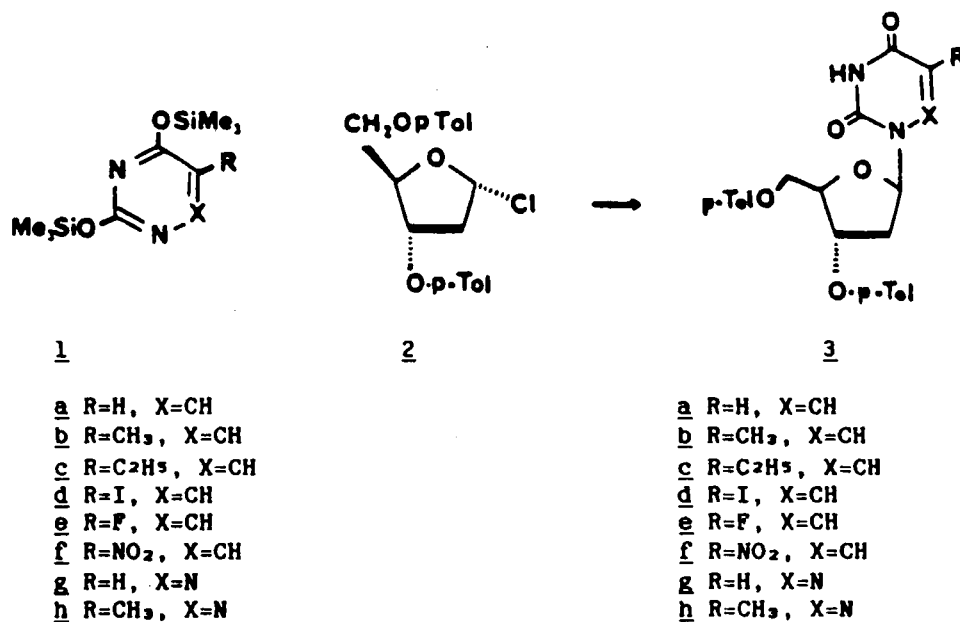


TABLE I. Yields and Anomeric Ratios of CuI Catalyzed Reactions of Protected Uracils with 2 in CHCl₃.

<u>Base</u>	<u>Overall Yield</u>	<u>B:α Ratio</u> ^a
1a	93	92:8
1b	92	93:7
1c	92	93:7
1d	b	88:12
1e	90	73:27
1f	b	mainly β
1g	92	92:8
1h	92	97:3

(a) determined by 360 MHz¹H-NMR
integration of anomeric protons

(b) Not determined

Thus, couplings of 2 with other silylated pyrimidines were conducted using CuI in CHCl₃. The results are shown in Table I. Generally, nucleosides were obtained in $\geq 90\%$ yields and high B:α ratios by using freshly prepared silyl bases and distilled CHCl₃. When reactive bases (i.e., 1a-c,g,h) were employed, fast reactions with high B:α selectivity were observed. However, like the uncatalyzed reaction, rate and selectivity decreases as the electronegativity of the substituent in the 5-position increases.

In summary, the use of CuI as a catalyst in the synthesis of various 2'-deoxypyrimidine nucleosides often results in improved B:α selectivity and increased reaction rates.