# NEW SYNTHETIC APPROACH TO 5- AND 6-SUBSTITUTED URACILS

Isao Saito, Hideyuki Ikehira and Teruo Matsuura
Department of Synthetic Chemistry, Faculty of Engineering, Kyoto University, Kyoto 606, Japan

While many kinds of C-5 and C-6 substituted pyrimidine nucleosides have been prepared in view of their various biological activities, none of pyrimidine nucleosides bearing C-6 silicon functionality have been synthesized up to now.

6-Trimethylsilyluracil derivatives seem to be an important class of compounds in view of their potential high synthetic utilities, since they possess a synthetically versatile alkenylsilane moiety. We report here the first synthesis of 6-trimethylsilyluridine and 1,3-dimethyl-6-trimethylsilyluracil (1) and demonstrate that the 6-trimethylsilyluracil (2) is readily converted to synthetically useful 5,6-dihalouracils (3).

Lithiation of 1,3-dimethyluracil (1) and 2',3'-O-isopropylidene-5'-O-methoxymethyluridine with LDA at -78 °C followed by quenching with trimethylsilylchloride in the presence of a catalytic amount of 4-dimethylaminopyridine affords the corresponding 6-trimethylsilyluracil derivatives (2). A few examples of the synthetic application of these silyluracil derivatives will be presented.

Reaction of (2) with excess bromine in dichloromethane at room temperature provided (3) as a sole product. Treatment of (2) with NBS or NCS in DMF provided the corresponding 5,6-dihalogenated uracils (4). We also found that irradiation of 5,6-dihalouracils in the presence of N-phenylpyrrole produces the annulated bases (5). In addition, it was found that 5-iodouracil derivatives(6) undergo a photo-coupling reaction with allyltrimethylsilane to provide 5-allyluracil (7).