THE REACTION OF 2(1H)-PYRIMIDINONES WITH SOME ELECTROPHILES

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We have investigated the reactivities and properties of 2(1H)-pyrimidinones and pyrimidinethiones. The reaction of 1,6-diphenyl-4-methyl-2(1H)-pyrimidinethione with methyl iodide in the presence of sodium methoxide afforded the corresponding 2(1H)-pyrimidinone in quantitative yield. 1,4-disubstituted-6-methyl-2(1H)-pyrimidinones reacted with alkyl halides in the presence of sodium hydride in THF to yield the alkylated products on C-6 methyl group regioselectively. 1-Phenyl-4,6-dimethyl-2(1H)-pyrimidinones and pyrimidinethiones were hydrogenated with $NaBH_4$ or $LiAlH_4$ to the normal product and the mixture of normal and Michael addition products, respectively. We found that 1,4-diphenyl-6-methyl-2(1H)-pyrimidinone reacted with $NaBH_4$ or $LiAlH_4$ to afford only the normal product, while 1,6-diphenyl-4-methyl-2(1H)-pyrimidinone gave only the Michael addition product. This result may be attributable to steric hindrance effects upon the diphenyl moieties. 1-Phenyl-4,6-dimethyl-2(1H)-pyrimidinone reacted with methylmagnesium iodide to afford 1-phenyl-4,6,6-trimethyl-2(1H)-pyrimidinone, while 1-phenyl-4,6-dimethyl-2(1H)-pyrimidinethione gave the mixture of 1-phenyl-4,4,6- and 4,6,6-trimethyl-pyrimidinethiones Furthermore, we discussed the relationship between the reaction products and steric effects on the various Grignard reagents.