

SYNTHESES OF N-OXIDO-ISOQUINOLYL-1-DIAZOMETHANE
AND THEIR ALKYLATING PROPERTIES

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In addition to 1-oxido-pyrid-2-yl diazomethane I, which has been found to be useful for protection of hydroxyl functions of carboxylic and phosphoric acids, 1-oxido-3-methyl-II, 1-oxido-5-methylpyrid-2-yl III and 2-oxido-isoquinolyl diazomethane IV were synthesized. The effect of methyl and fused benzene of "critical pKa" values of acidic substances (2,4-dinitrophenol, pKa 4.1 and other phenols) were examined. The critical pKa-values were found to be 4.1-7.1 for III, 7.1-8.4 for I, 7.1-8.4 for IV and larger than 8.4 for II. Strengthening effect of the methyl in the ortho position with respect to the diazomethane group must be due to steric hindrance of the methyl on the resonating canonical forms carrying a (-)-charge on the 1-oxido-pyridine moiety. The alkylation of uridine with II in the presence of $\text{SnCl}_2 \cdot 2\text{H}_2\text{O}$ took place in the cis-glycol system in almost quantitative yield.