

SYNTHETIC UTILITY OF 2-OXAZOLONES

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In connection with synthetic study on the 2-oxazolone-telomers and -polymers, 4,5-unsubstituted 2-oxazolone moiety, in contrast with 2-oxazolidinone, has proved of much synthetic potential as an excellent leaving group in carboxyl-activating process. Based on these findings, we have explored the synthetic utility of several types of 3-substituted oxazolones and polymers derived readily from such a parent heterocycle and newly developed versatile synthetic reagents, diphenyl 2-oxo-3-oxazolinylphosphonate (I) and 3-acyl-2-oxazolones (II) as well as 3-alkoxycarbonyl-2-oxazolones (III) including 3-t-butoxycarbonyl-2-oxazolone and the polymeric reagents (IV) for amino-protection.

Compound I served as a carboxyl-activating reagent to permit a one-step preparation of amides, thiol esters and esters from a wide variety of carboxylic acids, providing high-yield and convenient route to peptides, β -lactams and thiol esters.

Type II compounds prepared directly from I and carboxylic acids were successfully applied to chemo- and regio-selective acylation of amino-alcohols, amino-phenols, polyamines and poly-alcohols.

Alkoxycarbonylation of amines including α -amino acids with highly preservable reagents III smoothly proceeded under mild conditions to give good to excellent yields. Polymeric reagent IV was also effective for acylation of amines under heterogeneous conditions.

Scope and limitations of these "ready-to-use" type of reagents newly introduced will be discussed from a preparative point of view.

