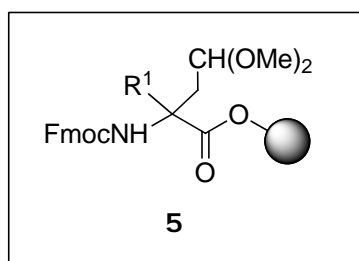


VERSATILE FMOC-ACETAL MERRIFIELD RESINS: SYNTHESSES OF BICYCLIC LACTAMS & LACTONES

J. Geno Samaritoni (Martin J. O'Donnell and William L. Scott),
Department of Chemistry & Chemical Biology, Indiana University Purdue University
Indianapolis, Indianapolis, IN 46202

Supported by the National Institutes of Health (GM 28193), the Central Indiana Community Foundation, and the Department of Chemistry & Chemical Biology, Indiana University Purdue University Indianapolis.

The preparation of Merrifield resins **5**, which represent versatile intermediates in the syntheses of lactones, lactams, and bicyclic, tricyclic, and tetracyclic scaffolds, is described. The presence of Fmoc and acetal protecting groups allows for the eventual incorporation of ozone-labile groups at R^2 (as in **III**) such as alkenes, alkynes, electron-rich aromatics and pi-excessive heterocycles whereas the previously reported route can only accommodate ozone-compatible groups.



An extension of the current methodology to include bicyclic lactams, which features elaboration at each of R^1 , R^2 , and R^3 of **III** including fragment condensation examples **10a-c**, is described. In all cases separation and characterization of two of the four possible diastereomers was achieved. Using 2-D NMR methods the relative configuration of the two diastereomers is being established. Structures such as **III** are of interest since the thiazabicycloalkane ring system is a known bioactive scaffold that mimics the beta-turn (reverse turn) in polypeptides and proteins.

