ABSTRACT

Title: Chemo and Enzymatic Synthesis of Functionalized Isoxazolidines and Oligomeric Polyphenols and Enediynes

Two regioisomeric isoxazolidine monoacetates 1 and 2 were synthesized from the corresponding diacetate 3 via Porcine Liver Esterase (PLE) or Porcine Pancreatic Lipase (PPL) catalyzed hydrolysis. With both the enzymes, the initial regioselectivity (3-4:1) was offset by an intramolecular acyl transfer. In addition to a non-enzymatic catalysis for the acyl transfer, preliminary experiments do suggest a definite but minor role of enzyme. Compounds 1 and 2 may serve as intermediates for nucleoside analogues.

PLE-catalyzed hydrolysis of 3, 5, 7, 3', 4'-pentaacetylated catechin **4A** was also studied. The selectivity of the enzyme in hydrolyzing the acetate moiety was found to be time dependent. Careful control of the duration of hydrolysis made it possible to isolate the differentially protected catechins. Similar result was also obtained in the epicatechin series starting from **4B**. These results are important for elaboration of epicatechin or catechin into different derivatives with defined regiochemistry. These include novel dimeric and trimeric architectures **5-8**.

A novel class of enediyne-based dendritic oligomers **9-12** were synthesized from the cyclic amine **13** with various acid chlorides. The thermal reactivity of these enediynes towards Bergman Cyclization, as studied by Differential Scanning Calorimetry, was found to be dependent upon the relative orientation of the enediyne units.

Key Words:

Isooxazolidine, Nitrone, Enediyne, Bergmann Cyclisation, Catechin, Epicatechin, Dimer, Trimer.