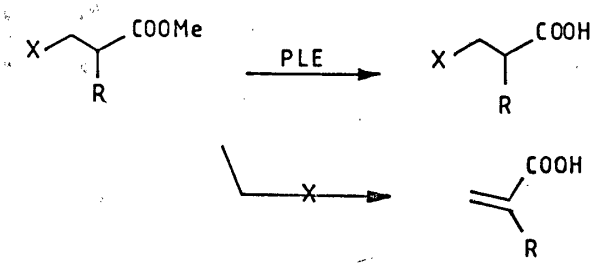
ABSTRACT

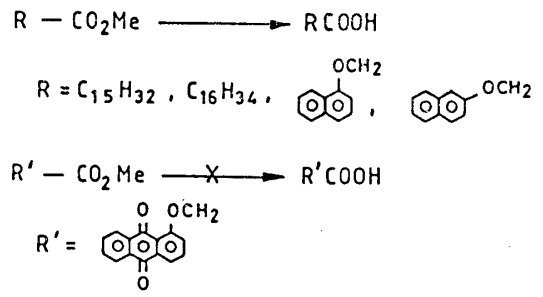
The hydrolase enzyme, Pig Liver Esterase (PLE) has been utilised to effect various transformations which were, otherwise difficult to achieve by chemical reagents. All the PLE-catalysed transformations described here, proceeded with a high degree of selectivity. Base-promoted hydrolysis of esters attached with good leaving groups at the β-position led to elimination accompanying hydrolysis. PLE, working in the vicinity of neutral pH, smoothly catalysed the hydrolysis of such types of esters without any elimination or stereochemical scrambling.



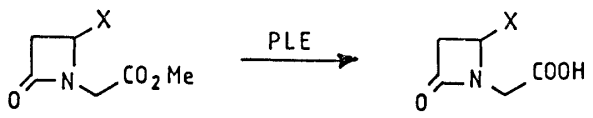
X = Br, I, SPh, SePh, SO_2Ph, OH
R = H, NHCOPh

The catalytic activity of PLE has been extended to the hydrolysis of long chain fatty esters. At the same time, it has been demonstrated that anthracene-based esters with a smaller dimension than long chain fatty esters, are not substrates for PLE. A comparatively smaller naphthalene-based

esters are however smoothly hydrolysed by PLE. These results have been explained on the basis of Jones' active-site model.

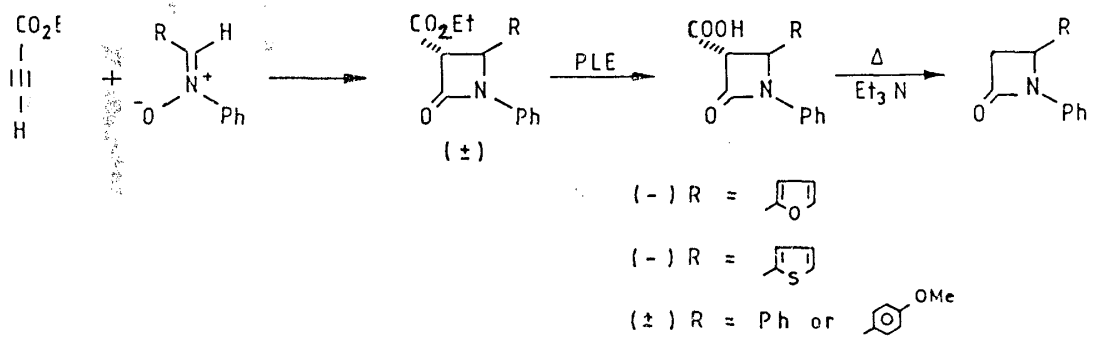


A series of β-lactam esters substituted with good leaving groups at C-4 (and hence more prone to ring opening) have been smoothly hydrolysed by PLE. No β-lactamase type activity has been observed.

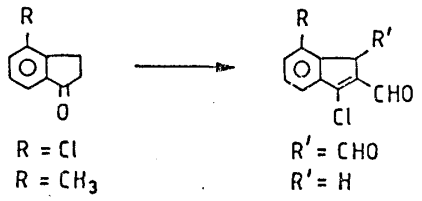


$X = SPh, SePh, SO_2Ph, OCH_2Ph, OCH_2Ph, OCH_2CH=CH_2, OCH_2C\equiv CH$

β-lactams substituted with a 2-furyl or 2-thienyl group at C-4 have been prepared in scalemic form (50% ee) via the hydrolysis of the corresponding 3-carboxyesters with PLE, followed by decarboxylation. No enantioselectivity was observed with a phenyl or a p-methoxy phenyl present at C-4. A related enzyme Pig Pancreatic Lipase (PPL) failed to produce any enantioselectivity in all the cases.



Parallel to the above transformations achieved through enzymes, reaction mediated by chemical reagents and proceeding with high degree of selectivity, has been done. The reaction chosen was the well-known Vilsmeier-Haack reaction. A novel chlorine-directed bis-formylation has been achieved in an indanone system.



β-chlorovinylaldehydes derived from benzosuberone, has been utilised to synthesize novel heterocyclic systems.