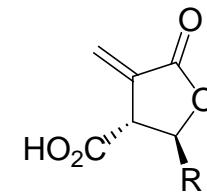


Convenient synthesis of functionalized α -methylenebutano-4-lactams or lactones.

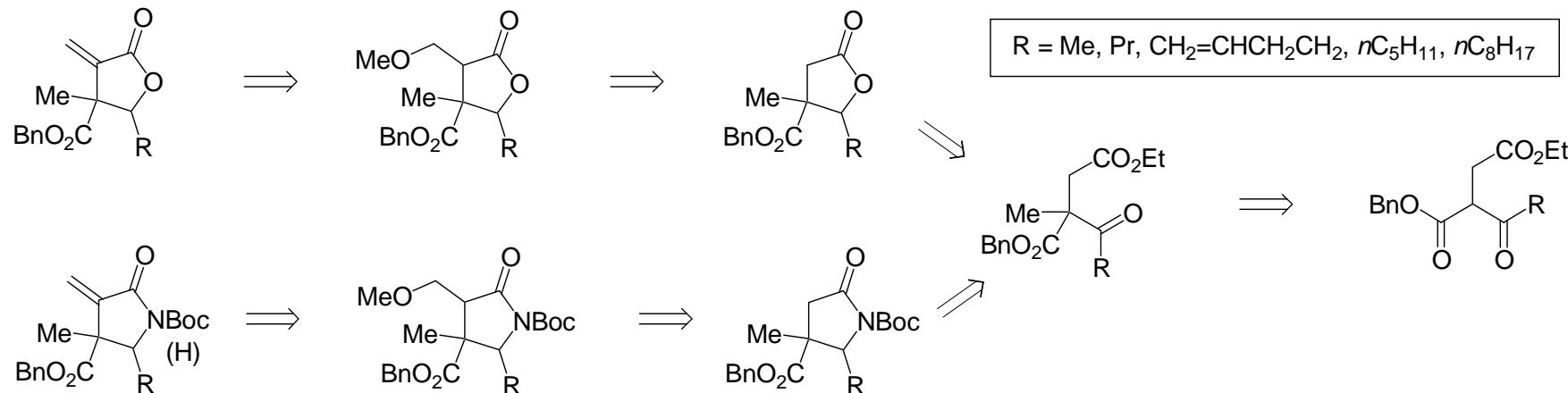
Gwenaëlle Liberge, Stéphane Lebrun, Axel Couture,*
Pierre Grandclaudon

Retrosynthetic analysis

α -Alkylidene- γ -lactones and lactams are the active constituents of many synthetic compounds exhibiting pronounced biological properties. For example C75 have been shown to be selective FAS inhibitors



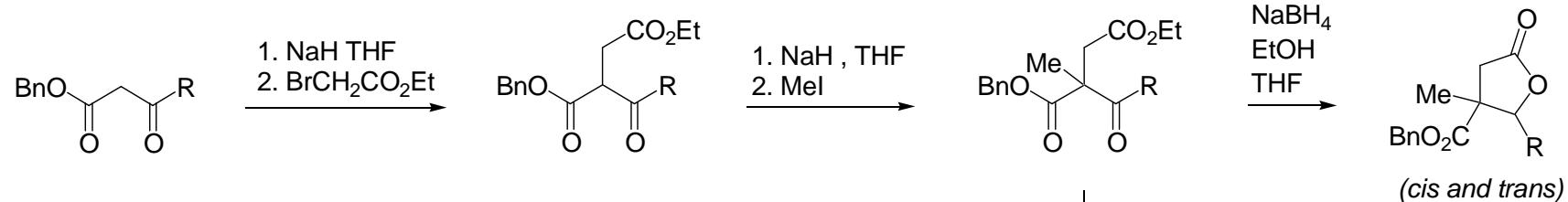
R = C₅H₁₁
R = C₁₃H₂₇
R = C₈H₁₇
Methylenolactocin
Protolichesterinic acid
C75



Convenient synthesis of functionalized α -methylenebutano-4-lactams or lactones.

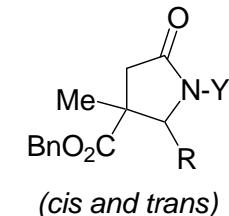
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Preliminary assembly of the lactam template



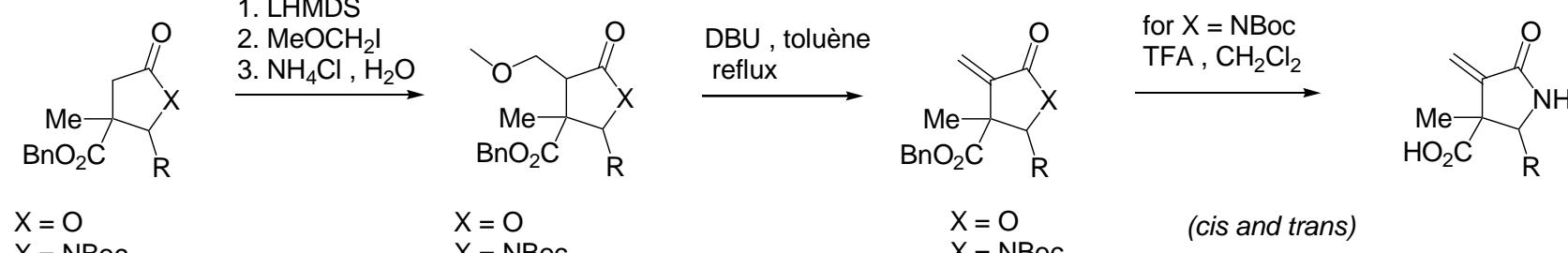
R = Me, Pr, $\text{CH}_2=\text{CHCH}_2\text{CH}_2$, $n\text{C}_5\text{H}_{11}$, $n\text{C}_8\text{H}_{17}$

(i) AcONH_4 , NaBH_3CN
 MeOH , reflux
(ii) toluene, reflux



Y = H then Y = Boc

Ultimate installation of the exocyclic methylene unit



X = O
X = NBOC

X = O
X = NBOC

X = O
X = NBOC

(cis and trans)