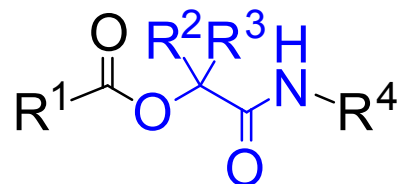
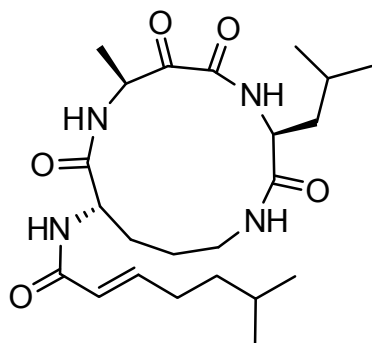


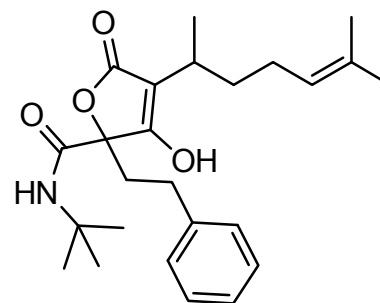
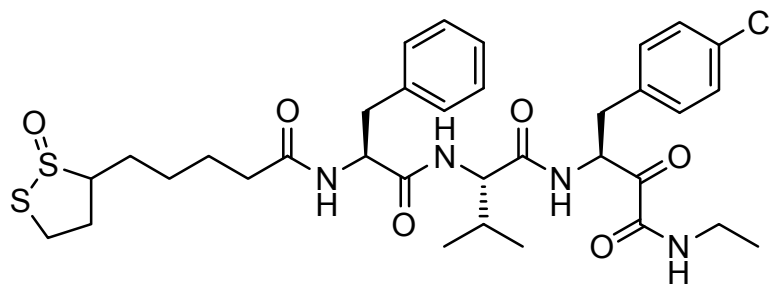
Synteza i wykorzystanie α -acyloksykarbamidów



Związki czynne biologicznie zsyntezowane w reakcji Passeriniego - przykłady

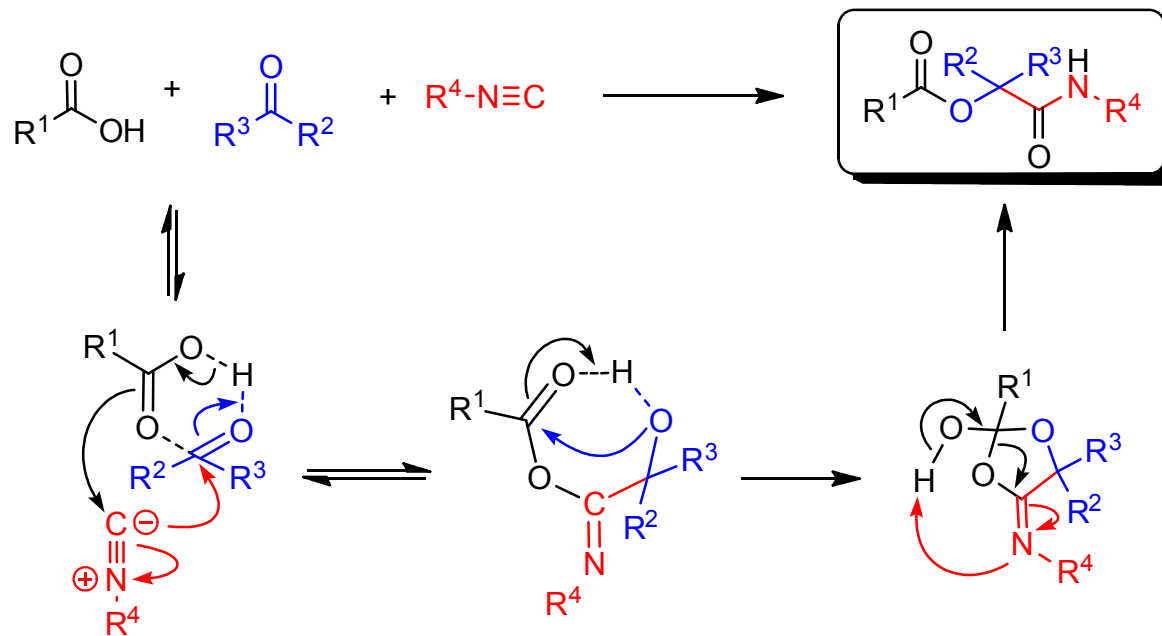


Eurystatyna A



Inhibitor proteazy HIV-1

Reakcja Passeriniego - mechanizm

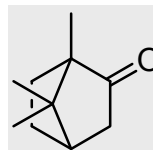


Warunki reakcji:

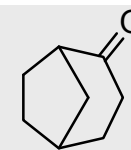
- rozpuszczalnik aprotowy,
- wysokie stężenie substratów,
- temperatura pokojowa lub obniżona.

Ograniczenia reakcji:

- ketony zatłoczone sterycznie oraz α,β -nienasycone ketony nie reagują.

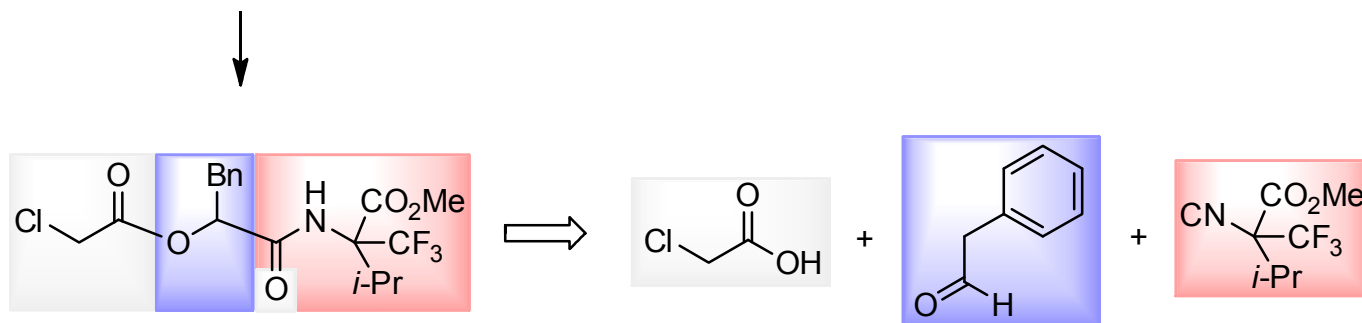
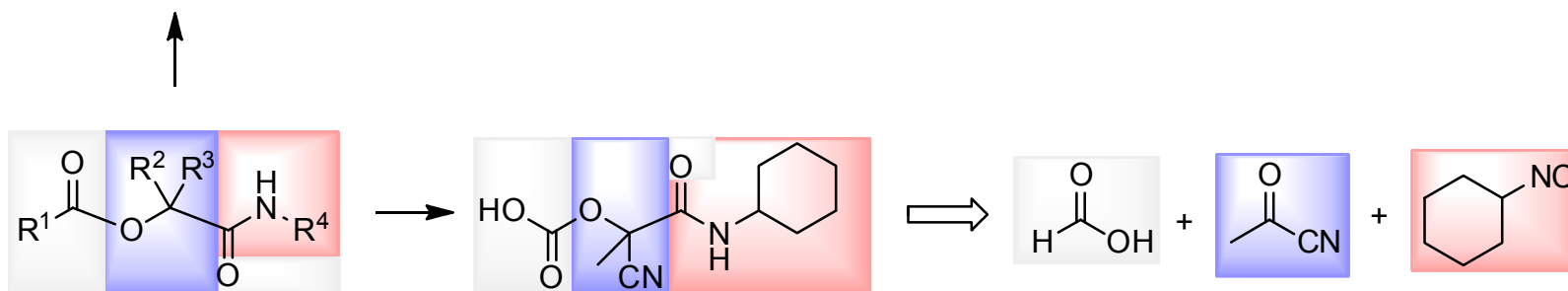
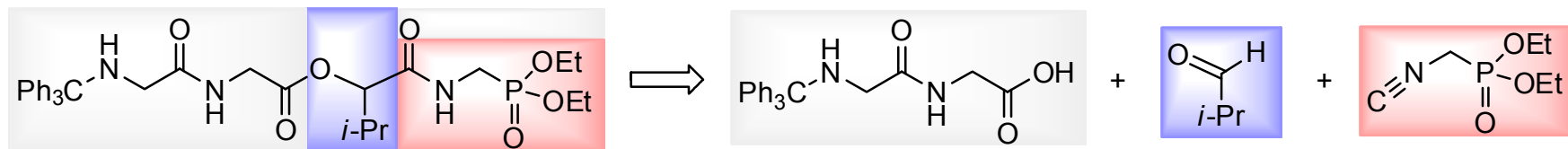


kamfora



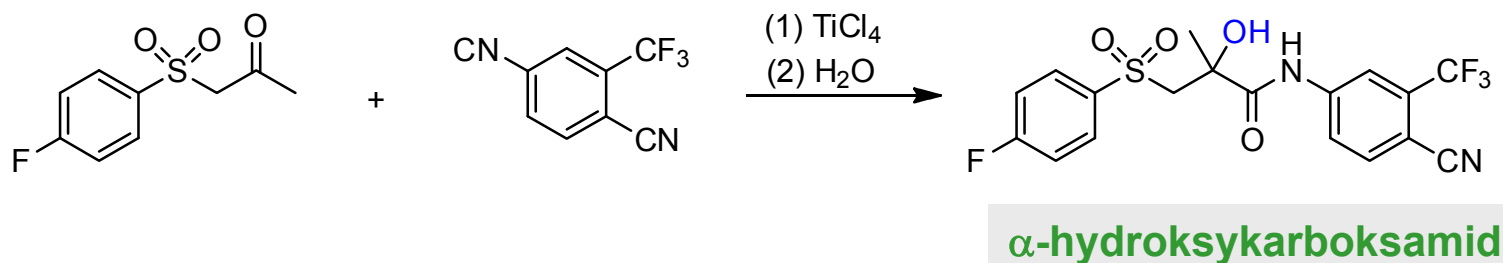
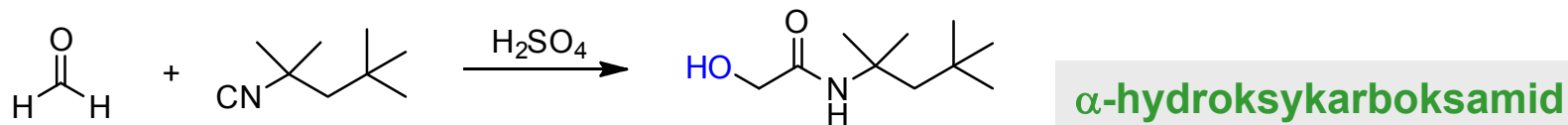
bicyclo[3.2.1]oktan-2-on

Reakcja Passeriniego - retrosynteza

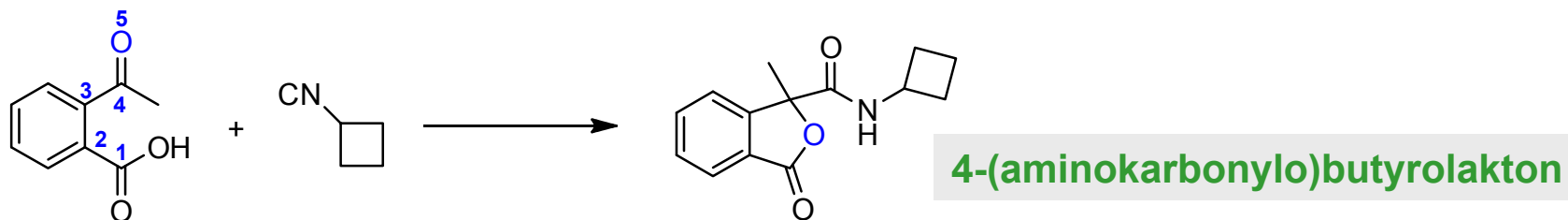


Reakcja Passeriniiego - modyfikacje

Zastąpienie kwasu karboksylowego kwasem mineralnym lub kwasem Lewisa



Użycie kwasu 4-oksokarboksylowego

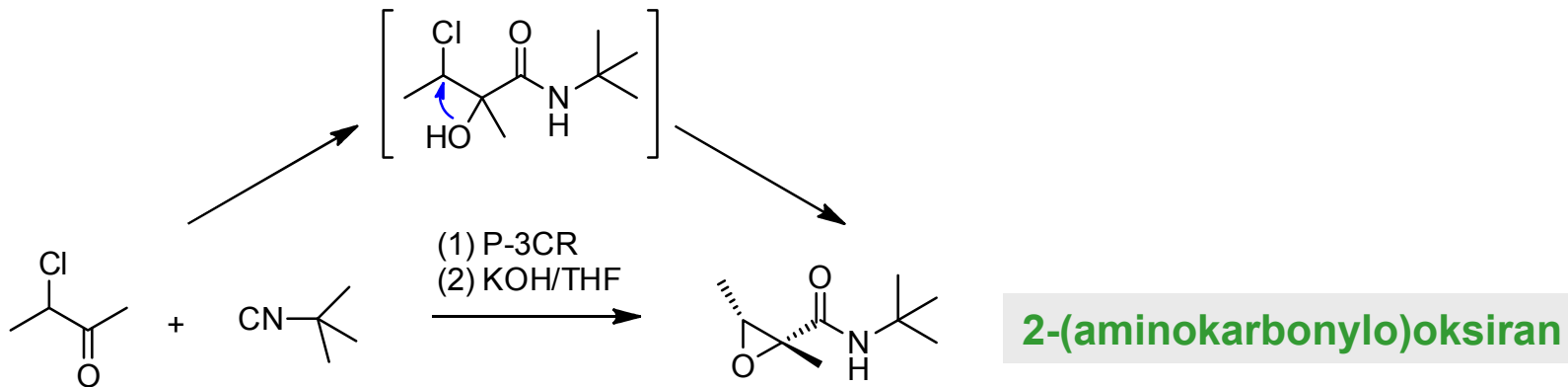
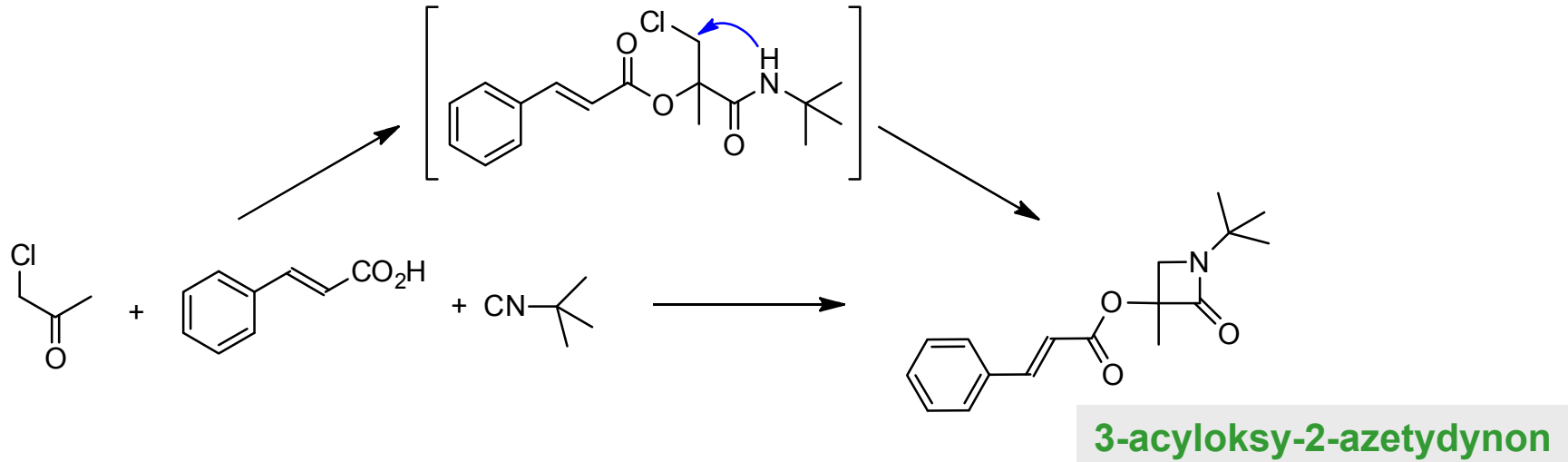


A. Dömling, I. Ugi *Angew. Chem. Int. Ed.* **2000**, 39, 3169.

P. Slobbe, E. Ruijter, R. V. A. Orru *Med. Chem. Commun.* **2012**, 3, 1189.

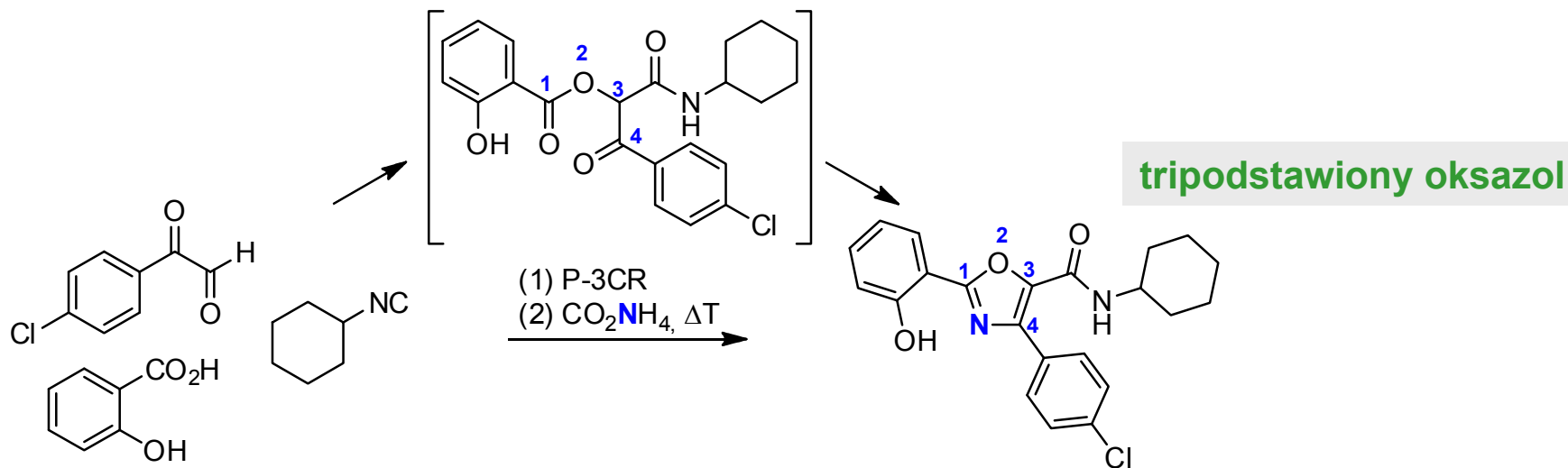
Reakcja Passeriniego - modyfikacje

Użycie α -chloro ketonu

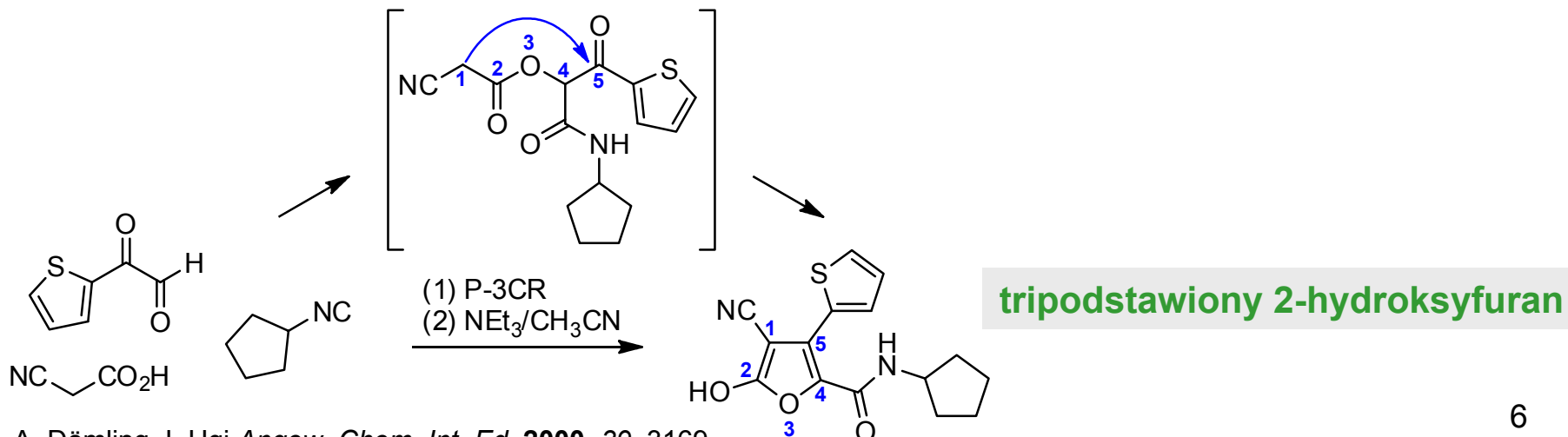


Reakcja Passeriniego - modyfikacje

Użycie α -oksoaldehydu

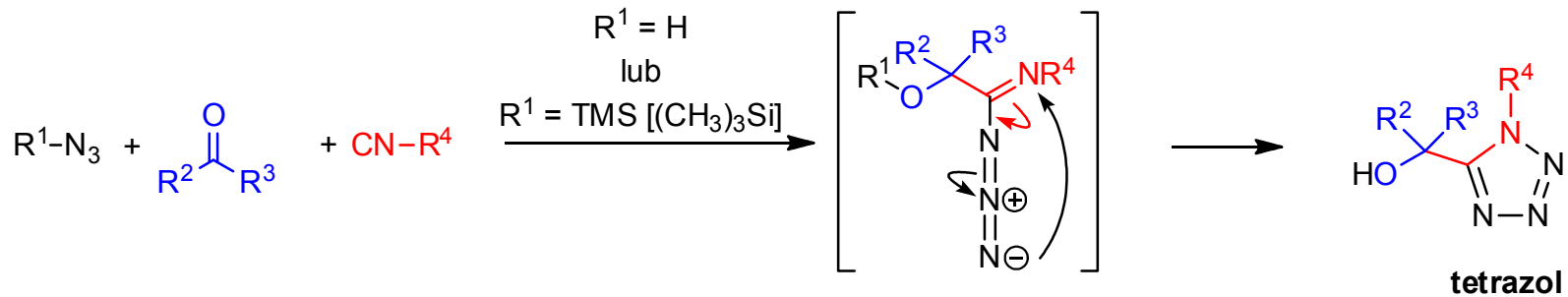


Użycie α -oksoaldehydu i kwasu cyjanooctowego

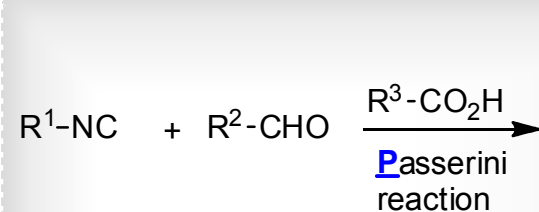
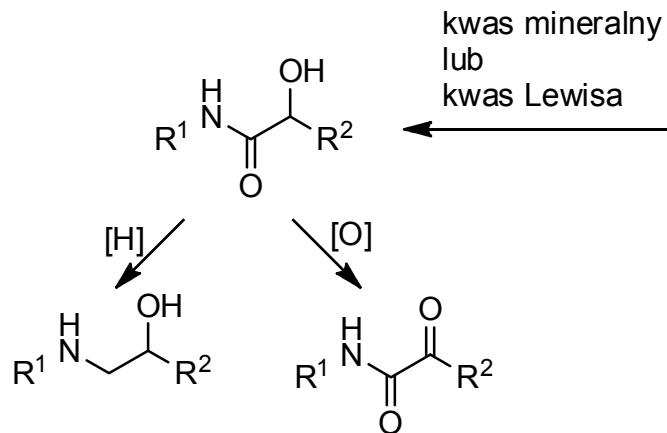


Reakcja Passeriniego - modyfikacje

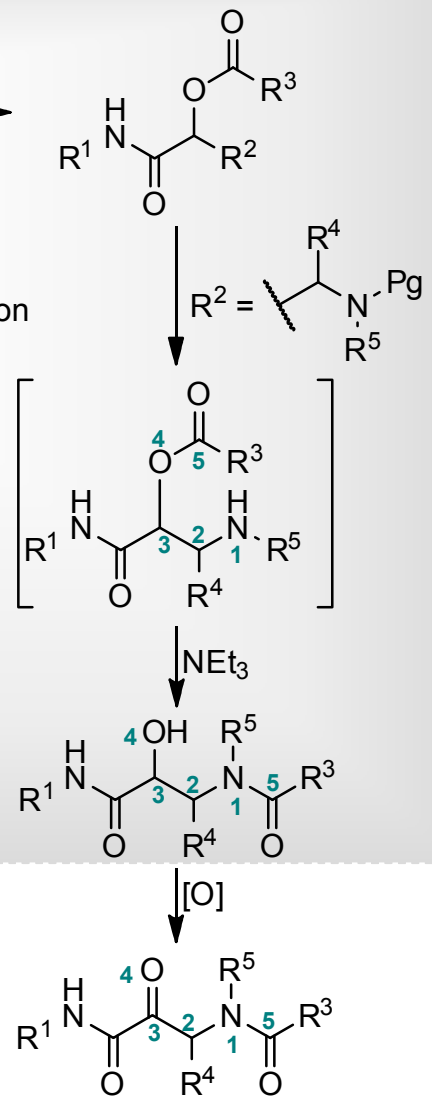
Zastąpienie kwasu karboksylowego kwasem azotowodorowym (HN_3)
lub jego prekursorem – azydkiem trimetylosililu (TMSN_3)



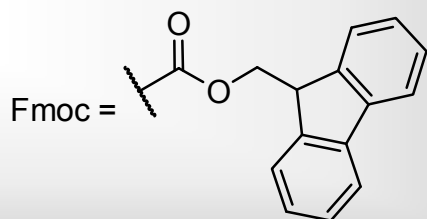
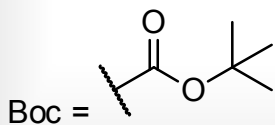
Reakcja Passeriniego - strategie syntetyczne



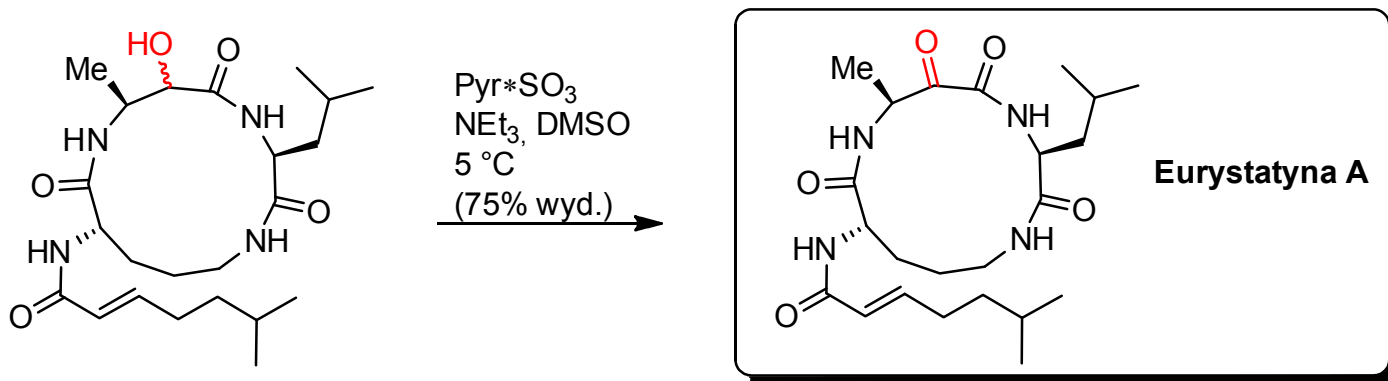
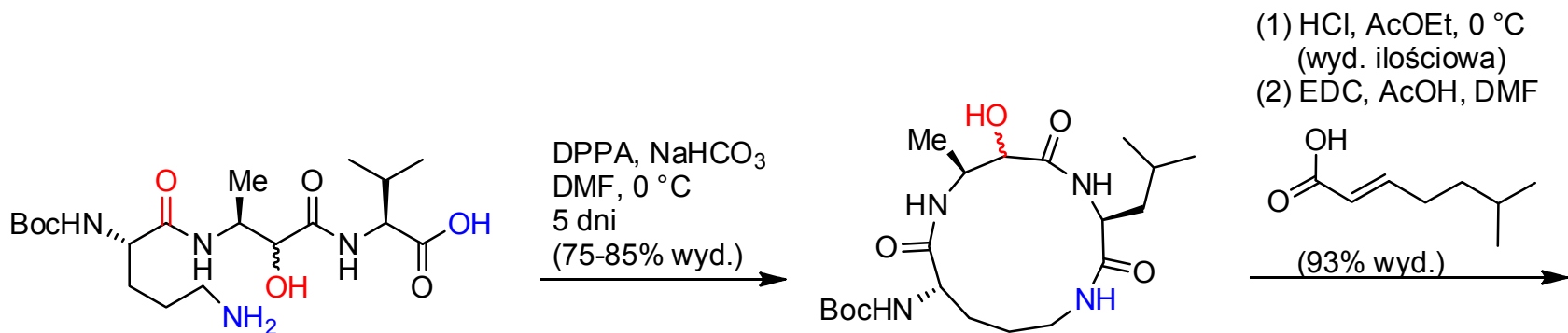
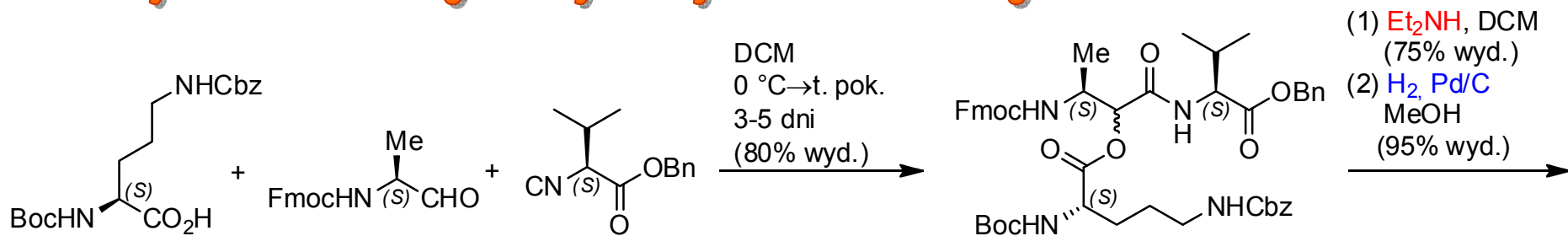
Strategia PADAM



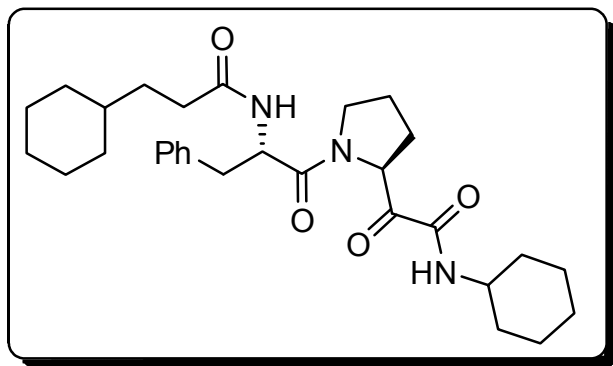
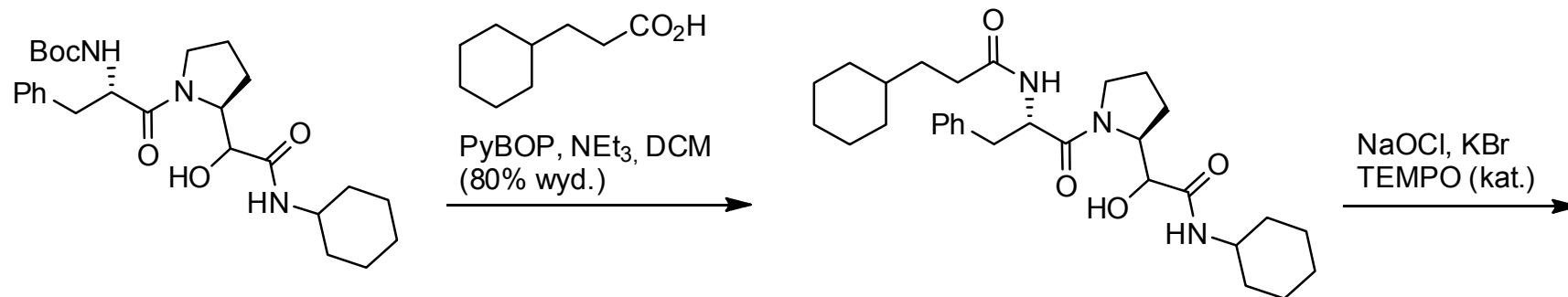
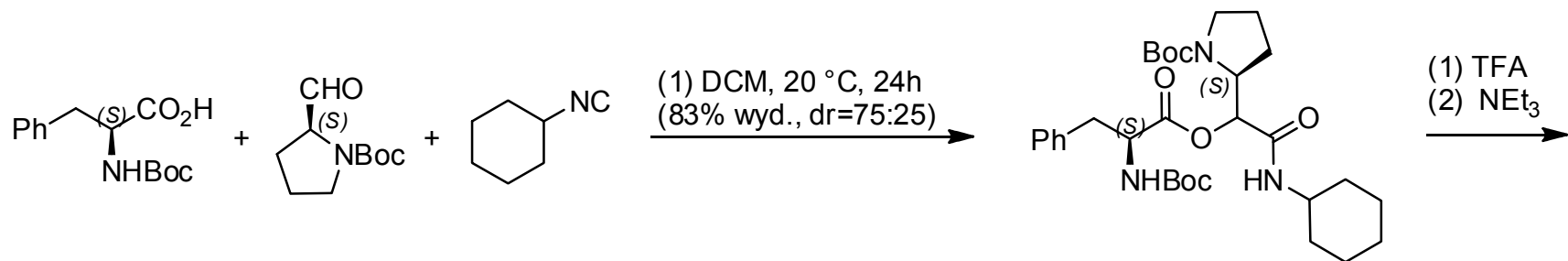
Pg (protective group) = Boc, Fmoc



Reakcja Passeriniiego - wykorzystanie strategii PADAM

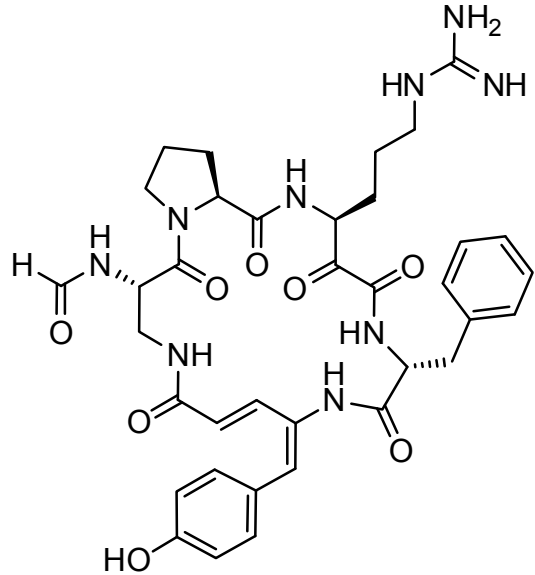


Reakcja Passeriniego - wykorzystanie strategii PADAM



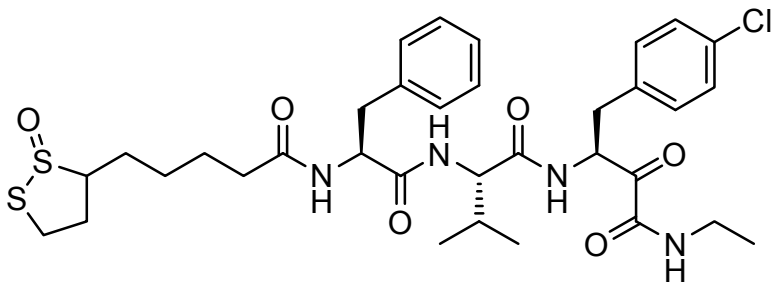
Reakcja Passeriniiego - wykorzystanie strategii PADAM

Zadanie do domu



S. Faure, T. Hjelmgaard, S. P. Roche, D. J. Aitken *Org. Lett.* **2009**, *11*, 1167.
T. D. Owens, J. E. Semple *Org. Lett.* **2001**, *3*, 3301.

Cyclotheonamide C



P. Weyermann, A. von Sprecher, M. Henneböhle, H. Herzner, C. Lescop,
H. Siendt *Alpha-keto carbonyl calpain inhibitors*. WO2006021413.