

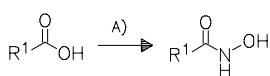
Urea derivatives

Q 0640

DOI: 10.1002/chin.201051082




51-082

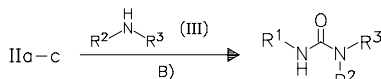
1-Propanephosphonic Acid Cyclic Anhydride (T3P) as an Efficient Promoter for the Lossen Rearrangement: Application to the Synthesis of Urea and Carbamate Derivatives. — A convenient procedure is presented for the conversion of aromatic acids and amino acids into hydroxamates using T3P as activator. Subsequent T3P-mediated Lossen rearrangement results in formation of isocyanate intermediates which are trapped by various nucleophiles to afford ureas, carbamates and thiocarbamates. — (VASANTHA, B.; HEMANTHA, H. P.; SURESHBABU*, V. V.; Synthesis 2010, 17, 2990-2996, DOI:10.1055/s-0030-1258158; Dep. Stud. Chem., Cent. Coll., Bangalore Univ., Bangalore 560 001, India; Eng.) — Mair







I

II

- a R¹: -CH₂-Ph 88%
 b R¹:  86%
 c R¹:  89%
 d R¹: -Ph 85%
 e R¹:  83%

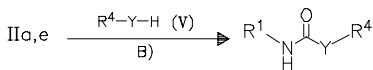
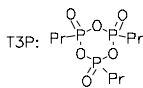


IV


- a R¹: -CH₂-Ph; R²: ; R³: -H 85%
 b R¹: ; R²: -Tol; R³: -H 86%
 c R¹: ; R²-R³:  91%

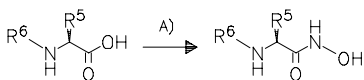
A): NH₂OH, T3P, ultrasound, NMM, MeCN, 0°C

B): T3P, NMM, THF, 0°C → reflux, [195 min]



VI

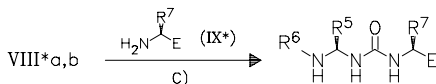
- a R¹: -CH₂-Ph; R⁴: -Cy; Y: -S- 75%
 e R¹: ; R⁴: -Bn; Y: -O- 79%



VII*

VIII*

- a R⁵: -(CH₂)₂-CO-O-tBu; R⁶: -Fmoc 95%
 b R⁵: -CH₂-Ph; R⁶: -Boc 89%
 c R⁵: -(CH₂)₂-CO-O-Bn; R⁶: -Boc 79%
 d R⁵: -Me; R⁶: -Fmoc 90%



X*

- a R⁵: -(CH₂)₂-CO-O-tBu; R⁶: -Fmoc; R⁷: -CH₂-Ph 84%
 b R⁵: -CH₂-Ph; R⁶: -Boc; R⁷: -iPr 85%

E: -CO-O-Me C): T3P, NMM, ultrasound, THF, 0°C, [2 h]

