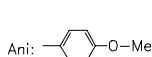
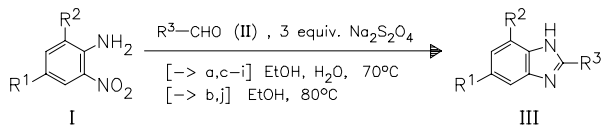
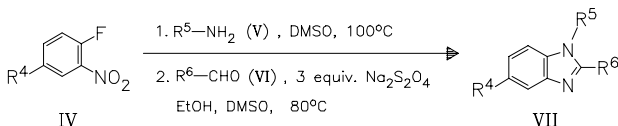


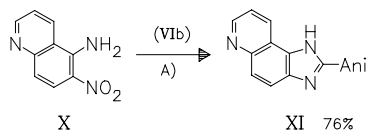
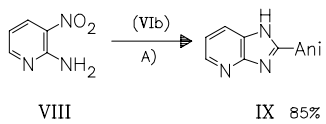
Benzimidazole derivatives

R 0200

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A Versatile Method for the Synthesis of Benzimidazoles from o-Nitroanilines and Aldehydes in One Step via a Reductive Cyclization. — Imidazole containing heterocyclic ring systems such as (IX) and (XI) can also be prepared efficiently under these mild conditions. — (YANG*, D.; FOKAS, D.; LI, J.; YU, L.; BALDINO, C. M.; Synthesis 2005, 1, 47-56; Dep. Chem., ArQule, Inc., Woburn, MA 01801, USA; Eng.) — Jannicke

a R¹, R²: -H; R³: -Cy 91%b R¹, R²: -H; R³: -Et 80%c R¹, R²: -H; R³: -Ph 93%d R¹, R²: -H; R³: 95%e R¹, R²: -H; R³: 68%f R¹, R²: -H; R³: 96%g R¹: -H; R²: -OH; R³: -Ani 80%h R¹: -COOH; R²: -H; R³: -Ani 90%i R¹: -Cl; R²: -H; R³: 88%j R¹: -CO-Ph; R²: -H; R³: 95%a R⁴: -H; R⁵: -Et; R⁶: 88%b R⁴: -H; R⁵: ; R⁶: -Ani 85%c R⁴: -CF₃; R⁵: ; R⁶: 90%d R⁴: -CF₃; R⁵: -(CH₂)₂-Ph; R⁶: 83%e R⁴: -CF₃; R⁵: -(CH₂)₂-Ph; R⁶: 85%



A): 3 equiv. $\text{Na}_2\text{S}_2\text{O}_4$, EtOH, H_2O , 70°C