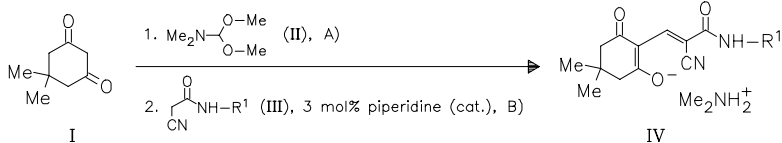


Quinoline derivatives

R 0410

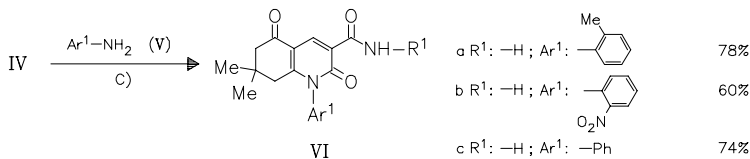
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An Efficient Synthesis of N1-Substituted 2,5-Dioxo-1,2,5,6,7,8-hexahydro-3-quinolinecarboxamide via Enolate Salts. — Reaction of cyanoacetamides (III) and (VII) with the adduct, in situ generated from dimedone (I) and acetal (II), provides access towards enolate salts (IV) and (IX), resp., in good yields. These enolates smoothly undergo cyclization with aromatic amines (V) and (X) to give the title hexahydroquinolinecarboxamides (VI) and (XI), resp., as potentially bioactive compounds. — (YERMOLAYEV, S. A.; GOROBETS*, N. Y.; LUKINOVA, E. V.; SHISHKIN, O. V.; SHISHKINA, S. V.; DESENKO, S. M.; *Tetrahedron* 64 (2008) 20, 4649-4655; Inst. Single Cryst., Natl. Acad. Sci. Ukraine, Kharkov 61001, Ukraine; Eng.) — Mischke



A): neat, 25°C, [5 min]

B): iPrOH, 25°C, [1–5 h]

a R¹: –H 90%b R¹: –Me 67%

C): AcOH, 25°C, [10–15 min]

