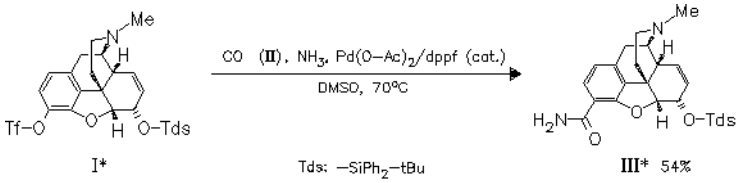
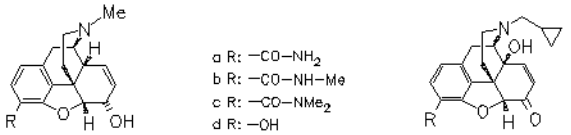
alkaloids
U 0600
47 - 180

3-Carboxamido Analogues of Morphine and Naltrexone: Synthesis and Opioid Receptor Binding Properties. — The synthesis of 3-carboxamido analogues of morphine and naltrexone is achieved by Pd-catalyzed carbonylation of the protected derivative [cf. (I)] of morphine (IVd) and naltrexone (Vd) in the presence of ammonia or amines, followed by desilylation. The receptor binding activity of the novel derivatives (IVa)–(IVc) and (Va) is reduced as compared to that of parent alcohols (IVd) and (Vd). Additionally, activity decreases with increasing methyl substitution. — (WENTLAND, MARK P.; LOU, RONGLIANG; DEHNHARDT, CHRISTOPH M.; DUAN, WENHU; COHEN, DANA J.; BIDLACK, JENA M.; Bioorg. Med. Chem. Lett. 11 (2001) 13, 1717-1721; Dep. Chem., Rensselaer Polytech. Inst., Troy, NY 12180, USA; EN)



I* Tds: $-SiPh_2-tBu$ **III*** 54%



IV* **V***a,d