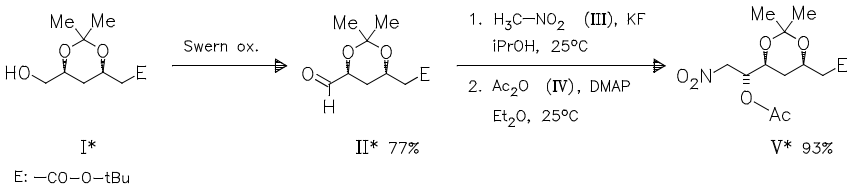
Dioxane derivatives

R 0470

42- 151

A New Way to tert-Butyl [(4,6R)-6-Aminoethyl-2,2-dimethyl-1,3-dioxan-4-yl] acetate, a Key Intermediate of Atorvastatin Synthesis. — A new simple and fast access to the title compound (VII), a key intermediate of atorvastatin synthesis, is described. The synthesis is based on the Henry reaction of nitromethane and the formyl derivative (II). The formed nitroaldol is then O-acetylated and the $NaBH_4$ reduction provides the nitro derivative (VI). Catalytic hydrogenation of the nitro group leads to the desired product (VII). All reaction steps are performed at room temperature; the overall yield is about 50—60 %. — (RADL, S.; Synth. Commun. 33 (2003) 13, 2275-2283; Res. Inst. Pharm. Biochem., Charles Univ., CZ-130 60 Prague, Czech Republic; Eng.) — H. Haber

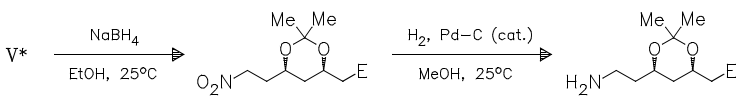


I*

E: —CO—O—tBu

II* 77%

V* 93%



VI* 92%

VII* 98%