

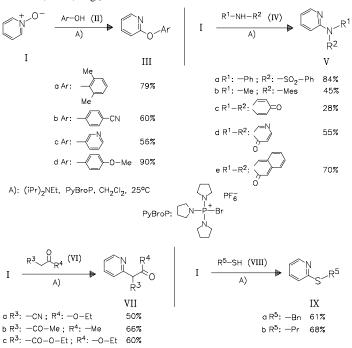


Pyridine derivatives R 0380

27- 157

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Mild Addition of Nucleophiles to Pyridine-N-Oxides. — 2-Substituted pyridines are prepared under mild conditions. The reaction is applied to phenols, thiols, amines, sulfonamides, various N-heterocycles as nucleophiles and various heterocyclic N-oxides. Aliphatic alcohols, amides, thiophenols, regardless of relative acidity and electronic character do not participate in the reaction. In the case of (XIIa) and (XIIb), change of the solvent to THF increases the yield. — (LONDREGAN*, A. T.; JENNINGS, S.; WEI, L.; Org. Lett. 13 (2011) 7, 1840-1843, http://dx.doi.org/10.1021/ol200352g; CVMED Med. Chem., Pfizer Inc., Groton, CT 06340, USA; Eng.) — R. Steudel







B): (iPr)2NEt, PyBroP, THF, 25°C