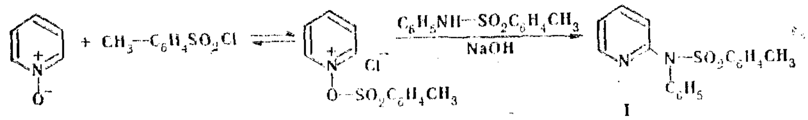
REDUCTIVE ACYLAMINATION OF PYRIDINE N-OXIDE

Yu. V. Kurbatov and M. A. Solekhova

UDC 547.821+542.958.3

We have found that acylamination of the pyridine ring is readily accomplished in the reaction of pyridine N-oxide with amides such as p-toluenesulfonic acid anilide and p-toluenesulfonyl chloride in an alkaline medium. The reaction proceeds at a high rate (in 2 h) at room temperature and leads to the formation of 2-(N-p-tosyl)anilinopyridine (I) in high yield, thus opening up new possibilities for the preparation of physiologically active sulfanilamides of the pyridine series.



I

A mixture of 0.48 g of pyridine N-oxide, 1.28 g of p-toluenesulfonic acid anilide, and 1.14 g of p-toluenesulfonyl chloride in 30 ml of chloroform and 1.14 g of sodium hydroxide (a 10% aqueous solution) was stirred at room temperature for 2 h, after which the aqueous layer was separated and extracted with chloroform. The usual workup of the chloroform solution gave 1.26 g (77%) of amide I with mp 151°C (from alcohol). Its structure was confirmed by acidic hydrolysis to the known anilinopyridine.

A. Navoi Samarkand State University, Samarkand 703000. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 9, pp. 1278-1279, September, 1982. Original article submitted February 24, 1982.

0009-3122/82/1809-0994$07.50