Chem. Pharm. Bull., 47, 718-719 (1999).

Site-Selective Trifluoroacetylation of Dimethylamino-Substituted Pyridines and Its Use as a Building Block for Trifluoromethyl-Containing Heterocycles

Masami Kawase (河瀬 雅美)*, Junichi Koyanagi (小柳 順一), and Setsuo Saito (齋藤 節生)

Abstract: Trifluoroacetyl pyridine derivatives (2a-c) are conveniently prepared form dimethylaminopyridines (1a-c) and applied to the synthesis of trifluoromethyl-substituted pyrazolo[4,3-c]pyridine derivatives (3a-c).

$$NMe_2$$
 R

1a:
$$R = H$$

2a: $R = COCF_3$ (y. 96%)

$$\underset{R}{\overbrace{\hspace{1.5cm}N\hspace{0.5cm}N\hspace{0.5cm}Me_2}}$$

1b:
$$R = H$$

2b: $R = COCF_3$ (y. 38%)

$$R$$
 N
 NMe_2

1c:
$$R = H$$

2c: $R = COCF_3$ (y. 81%)

NMe₂

$$COCF_3$$
 $RNHNH_2$ HCl
 In Butanol

3a: $R = H$ (y. 88%)
3b: $R = Ph$ (y. 42%)
3c: $R = Me$ (y. 77%)