



# Powerful *N*-Formylating Reagent

# Advantages

- Formylate Amines under Mild Conditions
- N-Formylation proceeds selectively in the case of Amino Alcohols
- Applicable as a Reactive Crystalline CO Source

# [F0854]

*N*-Formylsaccharin [F0854], which was developed by Cossy *et al.*, is a novel powerful formylating reagent.<sup>1)</sup> The use of F0854 makes it possible to formylate amines under mild conditions. In particular, the selective *N*-formylation proceeds in the case of using amino alcohols as substrates.

# **N-Formylation of Primary and Secondary Amines**

F0854 + R<sup>1</sup>R<sup>2</sup>NH 
$$\xrightarrow{1) \text{ THF, rt, 15 min}}$$
 R<sup>1</sup>N  $\xrightarrow{R^2}$  H

Amine	Product	Y. (%)
NH <sub>2</sub>	N, CHO	quant.
NH <sub>2</sub>	HN. CHO	81
O_NH	ON-CHO	75

## Selective N-Formylation of Amino Alcohols

Amine	Product	Y. (%)
OH	OH	55
OH NH <sub>2</sub>	CHO OH N CHO	90

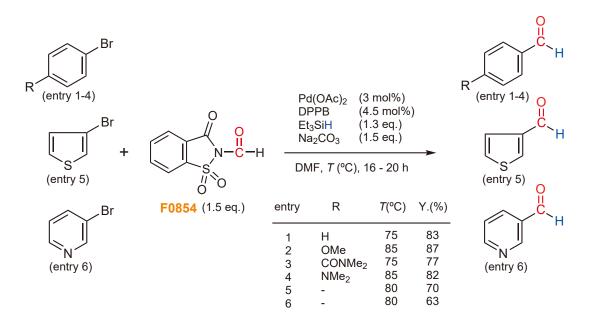
a) Due to the water solubility of *N*-amino alcohols, the polymer-supported base is used in order to trap the acidic byproducts.

Reference 1) T. Cochet, V. Bellosta, A. Greiner, D. Roche, J. Cossy, Synlett 2011, 1920.

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In addition, Manabe et al. have reported the Pd-catalyzed reductive carbonylation of aryl bromides with F0854, and aryl aldehydes are given without using CO gas.<sup>2)</sup> They use F0854 as an easily accessible and highly reactive crystalline CO surrogate. The reaction proceeds with a small excess amount of F0854 as a CO source at moderate temperature and is successfully applied to a wide range of aryl bromides.

# Palladium-Catalyzed Reductive Carbonylation Using N-Formylsaccarin as a CO source



Reference 2) T. Ueda, H. Konishi, K. Manabe, Angew. Chem. Int. Ed. 2013, 52, 8611.

# Other Formylating Reagents

1-Formyl-1*H*-benzotriazole 1g/5g [B3920] 25g/250g [C1545] **Vilsmeier Reagent** 25mL / 100mL / 500mL N,N-Dimethylformamide [D0722] **Dichloromethyl Methyl Ether** 25g/250g [D1645] N-(Diethylcarbamoyl)-N-methoxyformamide 1g [D2344] **Ethyl N-Phenylformimidate** 5g/25g [E0495] 25g/500g [F0157] 4-Formylmorpholine 1-Formylpiperidine 25mL/100mL/500mL [F0234] **Cyanomethyl Formate** 5g / 25g [F0356] **Formic Acid** 300mL [F0513] 25q/500q [H0093] Hexamethylenetetramine **N-Methylformanilide** 25g / 100g / 500g [M0552] **Triethyl Orthoformate** 25mL/500mL [O0066] **Trimethyl Orthoformate** 25mL/500mL [O0068] **Diethyl Phenyl Orthoformate** 5g / 25g [O0187] **Paraformaldehyde** 25g/500g [P0018] 2,2,2-Trifluoroethyl Formate 1g/5g [T2586]

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