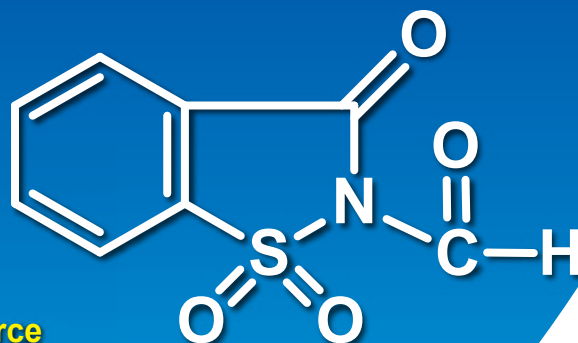


# Novel Powerful Formylating Reagent

## Advantages

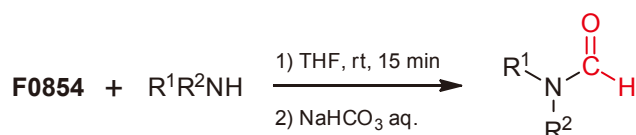
- Formylate Amines under Mild Conditions
- *N*-Formylation proceeds selectively in the case of Amino Alcohols
- Applicable as a Reactive Crystalline CO Source



[F0854]

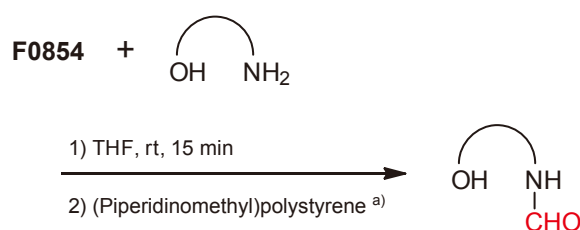
*N*-Formylsaccharin **F0854**, which was developed by Cossy *et al.*, is a novel powerful formylating reagent.<sup>1)</sup> The use of **F0854** makes it possible to formylate amines under mild conditions. In particular, the selective *N*-formylation proceeds in the case of using amino alcohols as substrates.

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



Amine	Product	Y. (%)
		quant.
		81
		75

## Selective *N*-Formylation of Amino Alcohols



Amine	Product	Y. (%)
		55
		90

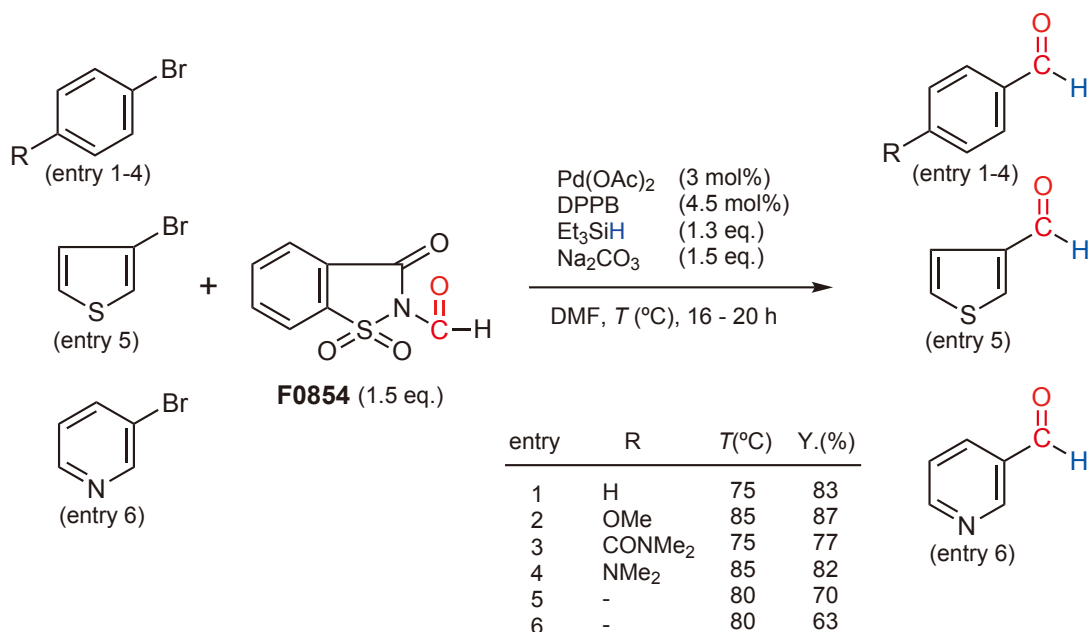
<sup>a)</sup> Due to the water solubility of *N*-amino alcohols, the polymer-supported base is used in order to trap the acidic byproducts.

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

# Novel Powerful Formylating Reagent

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*-Formylsaccharin as a CO source



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

## Other Formylating Reagents

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

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Formylating

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