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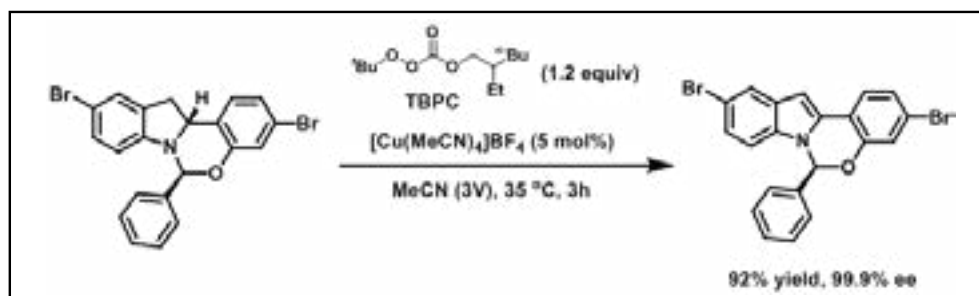
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## A mild Cu(I)-catalyzed oxidative aromatization of indolines to indoles

Mark McLaughlin  
Merck & Co., USA

Zepatier is a recently approved combination therapy developed at MSD for the treatment of hepatitis C virus. One component of Zepatier is Elbasvir, which acts as an NS5A inhibitor. Chemical process development of Elbasvir required innovative and practical solutions to a variety of synthetic challenges. One such challenge was the oxidation of a sensitive indoline intermediate to an indole, which necessitated careful selection of reaction conditions in order to avoid epimerization of a labile stereocenter present in the molecule. A novel method was developed employing a Cu(I) catalyst and a readily available organic percarbonate as the stoichiometric oxidant. The method was successfully applied to the Elbasvir indoline substrate, affording 92% yield of the indole with 99.9% ee.

Significantly, this oxidation method is environmentally benign and generates minimal waste, providing a “green” option for the oxidation of indolines to indoles.



## Biography

Mark McLaughlin has received his PhD from Strathclyde University under the joint supervision of Professor Kerr and Professor Pauson. He completed two Post-doctoral appointments from the University of California, Berkeley with Professor Rapoport and Professor Heathcock. He received further education from the ETH, Switzerland (Professor Diederich) and additional industrial experience at GSK (Medicinal Chemistry) and AstraZeneca (Process Chemistry). He joined Merck & Co., in the year 2003 as a Senior Research Chemist and is currently a Principal Scientist. He recently led the team responsible for chemical process development and NDA submission of Elbasvir, a new treatment for hepatitis C virus.

mark\_mclaughlin@merck.com

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