

A practical and eco-friendly synthesis of oxo-bile acids

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Oxo-bile acids are useful cholic acid derivatives with promising properties and have both medical and pharmaceutical applications. They are one of the most important intermediates for the synthesis of ursodeoxycholic acid (UDCA), which is currently the only product approved by the US FDA for the treatment of primary sclerosing cholangitis. However, large quantities of UDCA cannot be obtained from natural sources. For this reason, commercial UDCA is produced by the chemical manipulation of plentiful primary bile acids such as cholic acid and chenodeoxycholic acid. In these chemical transformations, critical oxidation steps are required for the practical syntheses of oxo-bile acid intermediates. In many cases, toxic heavy metal-based oxidizing agents have been used in spite of their toxicity. From the green chemistry standpoint, the utilization of less harmful agents is always desirable and indeed there have been efforts to develop more eco-friendly conditions for the synthesis of oxo-bile acid derivatives. In this connection, we describe the application of a cerium-catalyzed oxidation to secondary alcohol groups of bile acids in the hope of developing a more versatile and green method for the preparation of various oxo-bile acids.

Biography:

Hwayoung Yun did his undergraduate work at Seoul National University. He has intensively experienced the synthesis of complex small molecules through the studies on total synthesis of various natural products such as macrolides, alkaloids, iridoids, macrolactams and polypeptides. A range of academic training and an in-depth research experience have provided him with considerable expertise in biomedical disciplines including synthetic organic, medicinal chemistry and chemical biology. His ultimate research interests are the discovery of bioactive small molecules as selective regulators of intracellular signaling pathways and investigation of their biological mode of action.