Insights: Publications

Gold-Catalyzed Hydrofluorination of Electron-Deficient Alkynes: Stereoselective Synthesis of β-Fluoro Michael Acceptors

ACS Catal., 8, 5947

June 4, 2018

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The gold(I)-catalyzed, stereoselective hydrofluorination of electron-deficient alkynes with triethylamine trihydrogen fluoride ($Et_3N{\cdot}3HF$) is described. Fluorinated α,β-unsaturated aldehydes, amides, esters, ketones, and nitriles were isolated in moderate to good yields as single diastereomers. In all but four cases, the (*Z*)-vinyl fluorides were initially formed in ≥97% diastereoselectivity. This work constitutes the first catalytic example of the diastereoselective preparation of a variety of β-alkyl, β-fluoro Michael acceptors from alkynes. Additionally, the described work expands access to β-aryl, β-fluoro Michael acceptors to the synthesis of β-fluoro-α,β-unsaturated amides and nitriles. The monofluoroalkenes formed through this strategy were readily transformed into other fluorine-containing compounds, and the developed method was applied to the synthesis of a fluorinated analogue of Exoderil, a topical antimycotic.

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