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Gold-Catalyzed One-Step Practical Synthesis of Oxetan-3-ones from Readily Available Propargylic Alcohols
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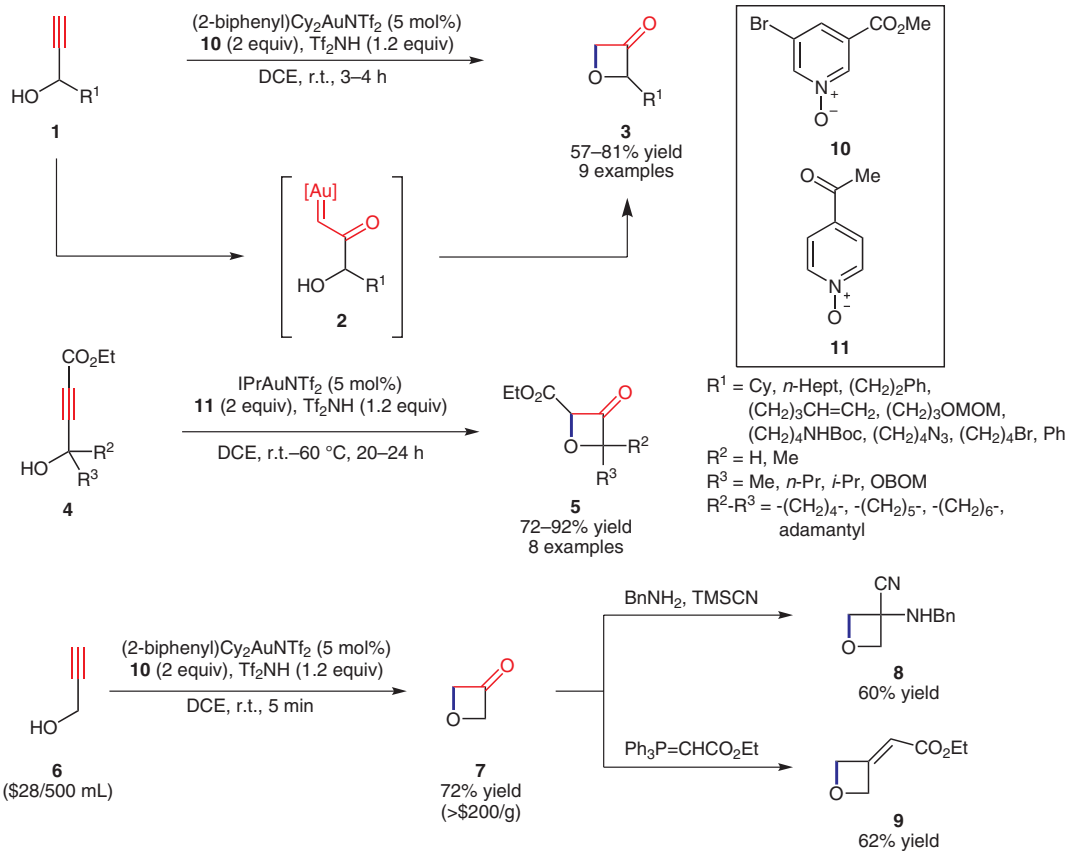
Gold-Catalyzed Synthesis of Oxetan-3-ones from Propargylic Alcohols



Significance: The gold-catalyzed synthesis of oxetan-3-ones **3** from propargylic alcohols **1** is reported. A range of substituents (R^1) are tolerated, including acid labile (OMOM, NHBoc) and reactive (N_3, Br) groups. Tertiary propargylic alcohols **4** are also suitable substrates, although the electron-withdrawing ester is required to prevent the formation of undesired propargylic cations under the acidic reaction conditions. This methodology may also be used to prepare the volatile and expensive oxetan-3-one **7**, which may be converted, without purification, into useful oxetane derivatives **8** and **9**.

Comment: Oxetan-3-ones serve as highly useful synthetic intermediates, as a surrogate for the *gem*-dimethyl group, and as mimics in drug discovery. Despite this utility, they typically require multi-step, low-yielding syntheses. The current process delivers oxetan-3-ones in one step from simple and readily available starting materials under mild conditions. The intermediate α-oxo gold carbene is generated from a simple alkyne, bypassing the traditional method for carbenoid generation using hazardous α-diazo ketones. Importantly, the commercially available (but expensive) parent oxetan-3-one may be prepared in high yield from inexpensive propargyl alcohol.

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Category
Synthesis of Heterocycles

Key words
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propargylic alcohols
gold