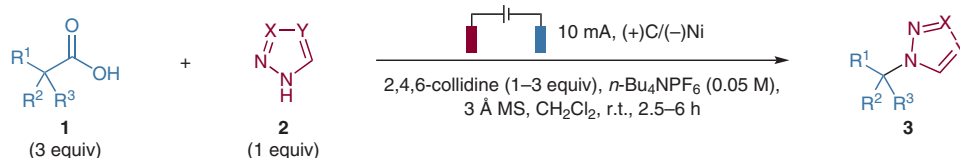
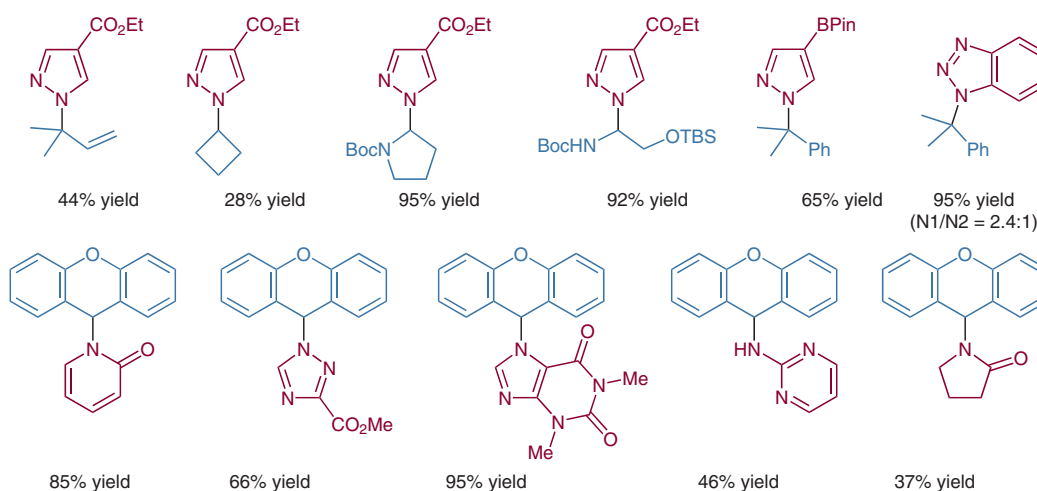


N-Alkylation of Heterocycles through Electrochemical Oxidation of Carboxylic Acids



Representative examples:



Significance: The alkylation of N-heterocycles is among the most widely employed reactions in medicinal chemistry. The current report details a new approach to this versatile reaction. Through the electrochemical anodic oxidation of abundant feedstock carboxylic acids **1**, carbocations were generated and subsequently intercepted by heterocycles **2**. The corresponding N-alkylated heterocycles **3** were obtained in moderate to high yields from a diverse range of substrate combinations.

Comment: The presented transformation is thought to proceed through the anodic oxidation of the carboxylic acid substrates **1**. Upon decarboxylation, the corresponding carbocations are generated, which readily react with various heterocycles **2**. Therefore, the scope of this reaction is limited to carboxylic acids **1** that can be converted into reasonably long-lived carbocations (i.e., secondary and tertiary carboxylic acids). In line with this mechanistic hypothesis, certain substrates **1** were shown to undergo cationic rearrangement to form more stable carbocations prior to the formation of the new C–N bond.