Transition Metal Catalyzed Novel Route to Quinoline Derivatives using Alcohols

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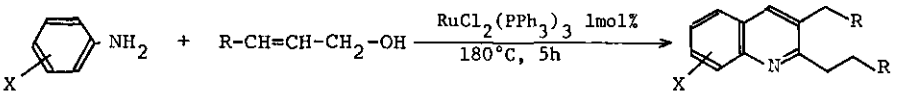
1. The Ruthenium Complex Catalyzed N-Heterocyclization of Aminoarenes to Quinoline Derivatives using Allylic Alcohols

Aminoarenes reacted with 2-propen-1-ol and 2-buten-1-ol at 180°C to give quinoline derivatives in fairly good yields in the presence of a catalytic amount of a ruthenium complex (Eq. 1). Dichlorotris(triphenylphosphine)ruthenium was the most effective catalyst. The aminoarenes having electron releasing groups favored the formation of quinolines. The reaction involves isomerization of the allylic alcohols to the corresponding aldehydes. The N-heterocyclization also proceeded using aliphatic aldehydes in place of the allylic alcohols. The employment of the allylic alcohols gave higher yields in several cases.

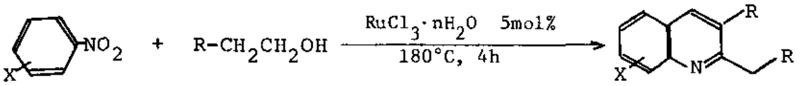


(1)

X=H,	R=H	49%
X=H,	R=Me	63%
X=6-Me,	R=Me	97%

2. The Ruthenium Complex Catalyzed Reductive N-Heterocyclization of Nitroarenes to Quinoline Derivatives using Aliphatic Alcohols

Nitroarenes were reductively converted to quinoline derivatives by the reaction with aliphatic alcohols in the presence of catalytic amount of ruthenium complex (Eq. 2). Ruthenium trichloride was the most effective catalyst. 2-Alkyl- or 2,3-dialkyl substituted quinolines were obtained with primary alcohols, such as ethanol and butanol. The reaction appears to include reduction of nitroarenes with the alcohols by hydrogen transfer reaction. The quinoline derivatives were formed from aminoarenes and aldehydes generated in-situ.



X=H,	R=Me	65%
X=H,	R=Et	70%
X=6-OMe,	R=Et	78%

(2)