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Ruthenium-Catalyzed N-Alkylation of Amines and Sulfonamides Using Borrowing Hydrogen Methodology
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Ruthenium-Catalyzed Alkylation of Amines and Sulfonamides with Alcohols

$\text{R}^1 = \text{Alk, Ar, Hetar, etc.}$ $\text{R}^2, \text{R}^3 = \text{H, Alk, Ar}$ $\text{X} = \text{H, PhSO}_2\text{NH}$

Significance: This work describes a simple, highly versatile and practical method for the alkylation of primary and secondary amines as well as sulfonamides with various alcohols. A broad range of substrates can be used in this reaction that offers mostly excellent yields of products. This method is especially valuable for the modular synthesis of compounds libraries for pharmaceutical and agrochemical screening.

Comment: The reaction proceeds via the formation of an intermediate aldehyde or ketone from the starting alcohol and its subsequent conversion into an imine. Ruthenium plays the role of hydrogen carrier. Some β -alkoxyalcohols give product mixtures. Hindered amines like diisopropylamine do not react.

Review: For a review on the “borrowing hydrogen” methodology, see: M. H. S. A. Hamid, P. A. Stanford, J. M. J. Williams *Adv. Synth. Catal.* **2007**, *349*, 1555-1575.

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