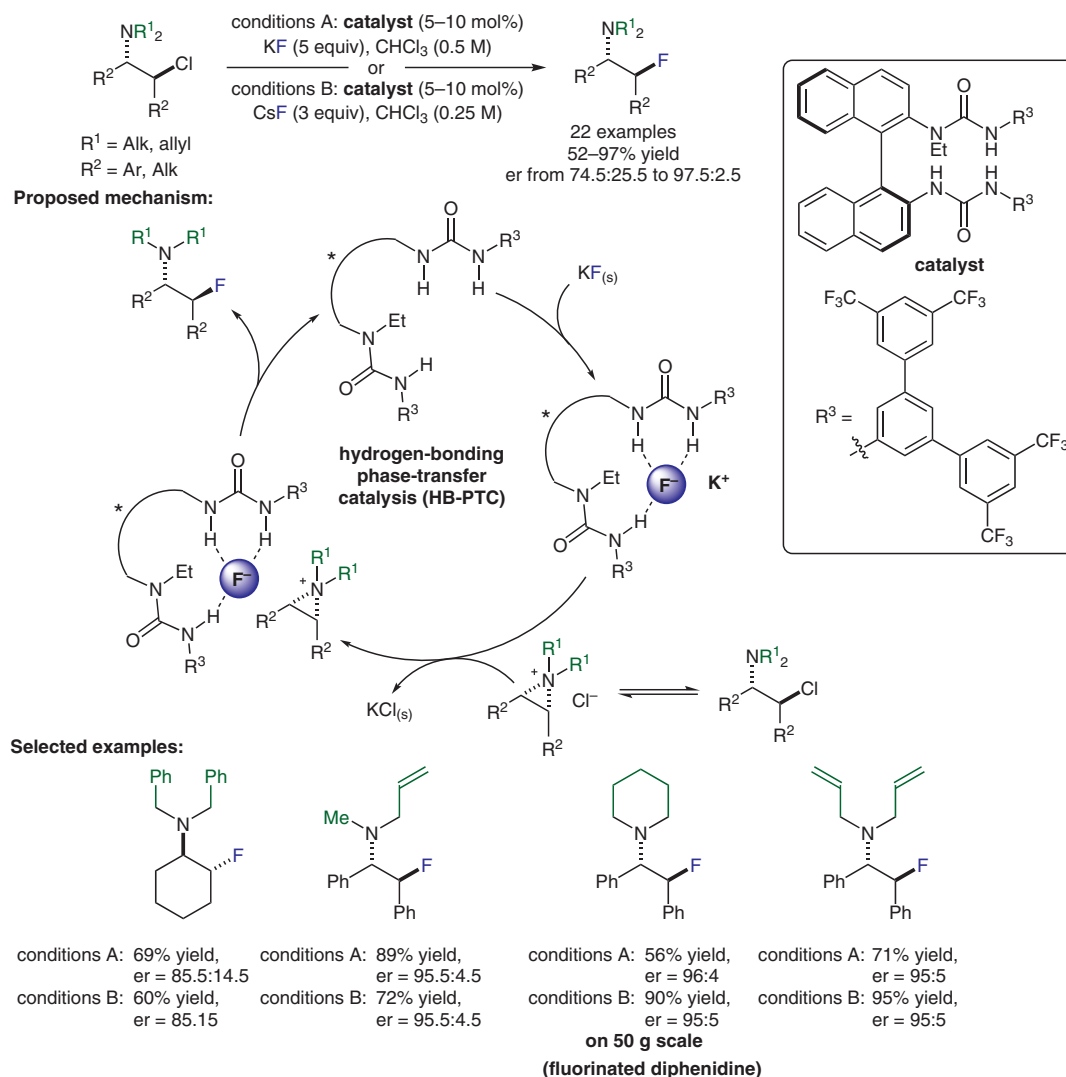


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Hydrogen Bonding Phase-Transfer Catalysis with Potassium Fluoride: Enantioselective Synthesis of β -Fluoroamines
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Hydrogen-Bonding Phase-Transfer Catalysis toward β -Fluoroamines



Significance: Gouverneur and co-workers report a nucleophilic fluorination of β -chloroamines by using a chiral bisurea catalyst and KF or CsF as a solid source of fluoride in hydrogen-bonding phase-transfer catalysis (HB-PTC). Both fluoride sources are easy to handle, nontoxic, and cheap in comparison with other fluorination reagents. The β -fluoroamines were obtained in high yields and high enantioselectivities, and, for some examples, on a large scale.

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Comment: The concept of HB-PTC was recently applied to nucleophilic fluorination reactions by the authors (*Science* **2018**, *360*, 638). On the basis of this work, they were able to further decrease the cost of the fluoride source, without loss of enantioselectivity, by using KF. Furthermore, the authors approached a broader scope by using aziridinium ion precursors, which led to the synthesis of several fluoro derivatives of approved drugs (e.g., diphenidine).

Category

Organo- and Biocatalysis

Key words

hydrogen-bonding phase-transfer catalysis

chiral bisurea catalysts

β -fluoroamines

potassium fluoride

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