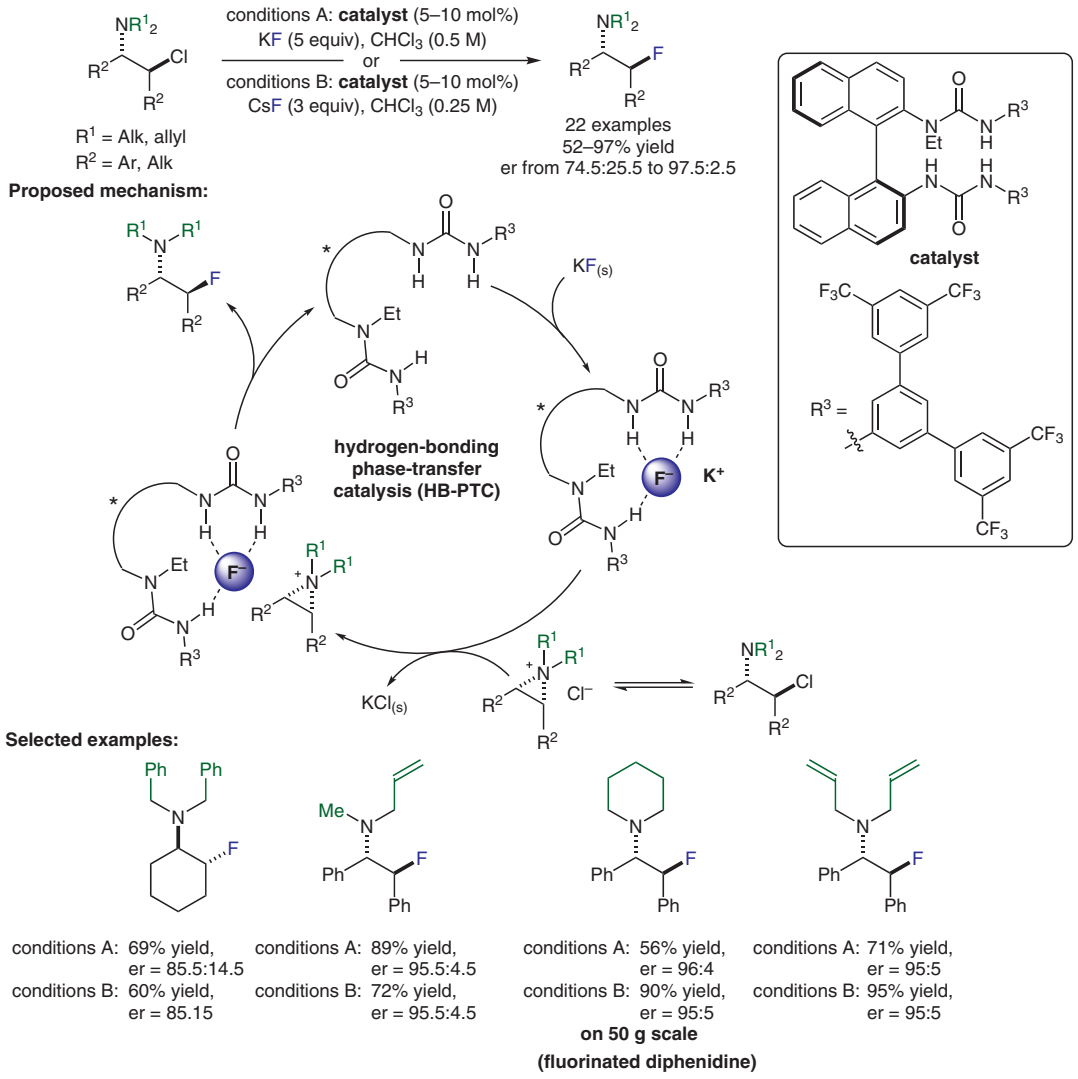
G. PUPO, A. C. VICINI, D. M. H. ASCOUGH, F. IBBA, K. E. CHRISTENSEN, A. L. THOMPSON, J. M. BROWN, R. S. PATON, V. GOUVERNEUR* (UNIVERSITY OF OXFORD, UK AND COLORADO STATE UNIVERSITY, FORT COLLINS, USA)

Hydrogen Bonding Phase-Transfer Catalysis with Potassium Fluoride: Enantioselective Synthesis of β-Fluoroamines
J. Am. Chem. Soc. **2019**, *141*, 2878–2883.

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.

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).

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Synfacts 2019, 15(05), 0545 Published online: 15.04.2019
DOI: 10.1055/s-0037-1612472; **Reg-No.:** B02619SF

Category
Organo- and Biocatalysis

Key words
hydrogen-bonding phase-transfer catalysis
chiral bisurea catalysts
β-fluoroamines
potassium fluoride

Synfact of the Month