Utilization of ethanol as a C2 building block for catalytic ethylation reactions

Christophe Bour

ICMMO, CNRS UMR 8182, Univ Paris-Sud, Université Paris-Saclay, Bât. 420, Orsay, France.
christophe.bour@u-psud.fr

Tertiary amines have a wide range of applications as pharmaceuticals and in material science.\(^1\) Among them, some mono ethyl amines show prominent biological properties and are exploited as drugs and crop protecting agents. Classical methods for amine ethylation rely on the use of toxic reagents such as acetaldehyde, and ethyl halides.\(^2\) Herein, we report an efficient and straightforward Fe-catalyzed reductive ethylation of imines using ethanol as C\(_2\) building block via hydrogen borrowing strategy\(^3\) as an alternative. This approach opens new perspectives in this area, as it allows the synthesis of unsymmetric tertiary amines from readily available substrates and ethanol as C2-building block.\(^4\) A variety of imines bearing electron-rich aromatic or alkyl groups at the nitrogen atom could be efficiently reductively alkylated, without requiring the use of molecular hydrogen. The mechanism of this reaction, which shows a complete selectivity for ethanol, has been studied experimentally and by means of DFT computations.

References

3. C. Gunanathan, D. Milstein, Science 2013, 341, 1229712