Integration of Aerobic Oxidation and Intermolecular Asymmetric Aza-Friedel–Crafts Reactions with a Chiral Bifunctional Heterogeneous Catalyst


Chiral Piperazines by Phosphoric Acid/Metal Nanoparticle Catalysis

**Significance:** Chiral phosphoric acids immobilized on polymer/carbon black-entrapped Au/Pd or Au/Pt nanoparticles (1 and 2, respectively) were prepared according to eq. 1. Catalysts 1 and 2 promoted an aerobic oxidation of benzyl alcohols and subsequent asymmetric aza-Friedel–Crafts reaction with N-(2-aminoethyl)pyrroles in one pot to give the corresponding chiral piperazines in ≤91% yield and ≤95% ee (eq. 2).

**Comment:** Benzyl methyl sulfide prevents oxidation of the resulting piperazine products to their imine forms. In the sequential reactions of benzyl alcohol and [2-(1H-pyrrol-1-yl)ethyl]amine, catalyst 1 was recovered by filtration and reused five times without significant loss of its catalytic performance (first run: 92% yield, 89% ee, sixth run: 85% yield, 84% ee).

**Key words**
gold catalysis
palladium catalysis
platinum catalysis
aza-Friedel–Crafts reaction
benzylic alcohols
aminoethylpyrroles

**Category**
Polymer-Supported Synthesis