Chiral Piperazines by Phosphoric Acid/Metal Nanoparticle Catalysis

Category

Polymer-Supported Synthesis

Key words

gold catalysis

palladium catalysis

platinum catalysis

aza-Friedel–Crafts reaction

benzylic alcohols

aminoethylpyrroles

Synfact
of the month

One-pot sequential reaction:

Selected examples:

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).

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Comment: Benzyl methyl sulfide prevents oxidation of the resulting piperazine products to their imine forms. In the sequential reactions of benzyl alcohol and [2-(1*H*-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).