“Improved methods for API synthesis using heterogeneous palladium catalysts” VCU #14-089

Applications
- Synthesis of Active Pharmaceutical Ingredients (APIs)
- Highly sought chemical transformations for C-H activation

Advantages
- Physically easier to remove than homogeneous palladium catalysts
- Significant decrease in toxicity
- Higher turnover frequencies leading to improved reaction kinetics
- Can be recycled (>16 times)

Technology Summary
Synthesis of Active Pharmaceutical Ingredients (APIs) often involves C-H activation reactions since these are the most atom-economical route to build complexity into small molecules. Current methods to produce C-H activation reactions use soluble, homogeneous palladium catalysts. This methodology results in several limitations in the pharmaceutical industry, including the need to remove residual palladium through expensive purification steps. Researchers at VCU have developed a novel method of incorporating heterogeneous palladium catalysts in C-H activation reactions. Toxicity is significantly decreased compared to conventional processes through a high removal of residual palladium by filtration (contamination < 250 ppb). This invention allows conversion from C-H to the following: C-O, C-Cl/Br/I, C-C, C-N, C-F and C-CF3. The catalyst can be recycled (>16 times) for future reactions and has a high turnover frequency leading to improved reaction kinetics.

Technology Status
Patent Pending: U.S. and foreign rights available
This technology is available for licensing to industry for further development and commercialization.

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