Pharmaceutical Production Methods

VCU Innovation Gateway
Office of Research and Innovation

Palladium Catalysts for C-H Reactions

Improved methods for API synthesis

The process of synthesizing Active Pharmaceutical Ingredients (APIs) often involves C-H activation reactions as they 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. While effective, this methodology does have some limitations, such as the need to remove residual palladium through purification steps which can be costly to pharmaceutical companies.

The technology

Researchers at VCU have developed a novel method of incorporating heterogeneous palladium catalysts in C-H activation reactions. Compared to conventional processes, toxicity is significantly decreased using this method due to a high removal of residual palladium via filtration (contamination < 250ppb). This method also allows for the conversion of C-H to the following: C-O, C-Cl/Br/I, C-C, C-N, C-F and C-CF3. Furthermore, the catalyst can be recycled (>16 times) for future reactions and has a high turnover frequency leading to improved reaction kinetics.

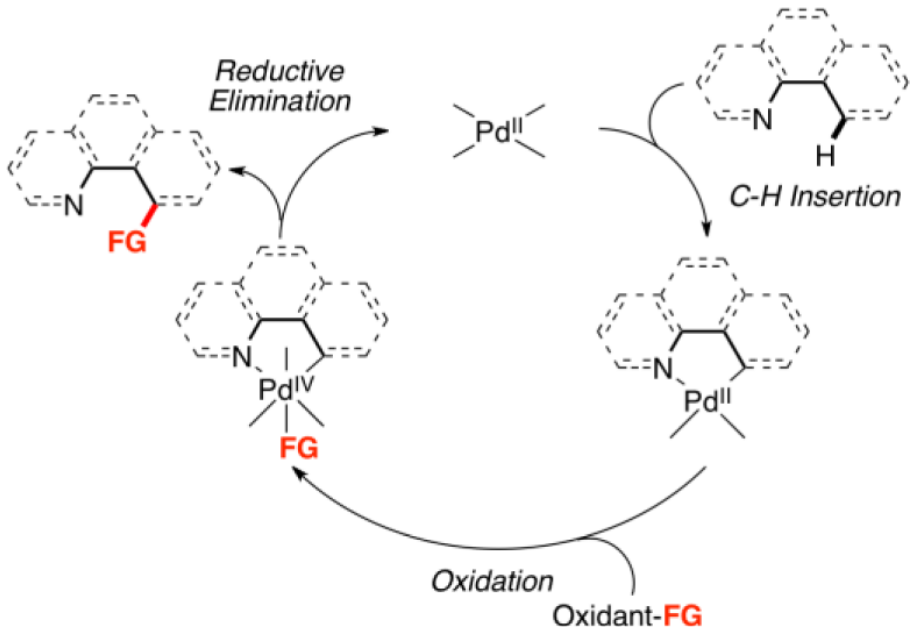

Figure 1. *Pd(II)/Pd(IV) Catalytic Cycle for N-Chelation directed C–H Activation Reactions*

Benefits

- 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)

Applications

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

Patent status:
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License status:
This technology is available for licensing to industry for further development and commercialization.

Category:
Biomedical

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External resources:
Korwar, S., et al. (2015)
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Contact us about this technology

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