

## Reusable silicon-based cross-coupling agents for the production of natural products, pharmaceuticals, and other organic materials

Cross-coupling reactions without the generation of waste products

Inventors

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### STATE OF DEVELOPMENT

Lab-scale synthesis of wide range of cross-coupled aryl compounds

### INTELLECTUAL PROPERTY

UP application ([US20150152216 A1](#))

PCT pending ([WO2016011231 A1](#))

### REFERENCE MEDIA

Martinez-Solorio D. et al. [JACS](#), 2016, 138, p. 1836-1839.

Nguyen M.H. et al. [Org. Lett.](#), 2014, 16(7), p. 2070-2073.

Nguyen M.H. et al. [Org. Lett.](#), 2013, 15(16), p. 4258-4261.

Martinez-Solorio D. et al. [Org. Lett.](#), 2013, 15, p. 2454-2457.

Smith A.B. et al. [JACS](#), 2012, 134, p. 4533-4536.

Smith A.B. et al. [Angew. Chem. Int. Ed.](#), 2011, 50, p. 8904-8907.

[Penn News](#) highlight.

### APPLICATIONS

- Cross-coupling synthetic reactions
- Natural products, pharmaceuticals, agrochemicals, small molecules, fine chemicals

### DESIRED PARTNERSHIP

License

Co-development

### LEARN MORE

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### Problem

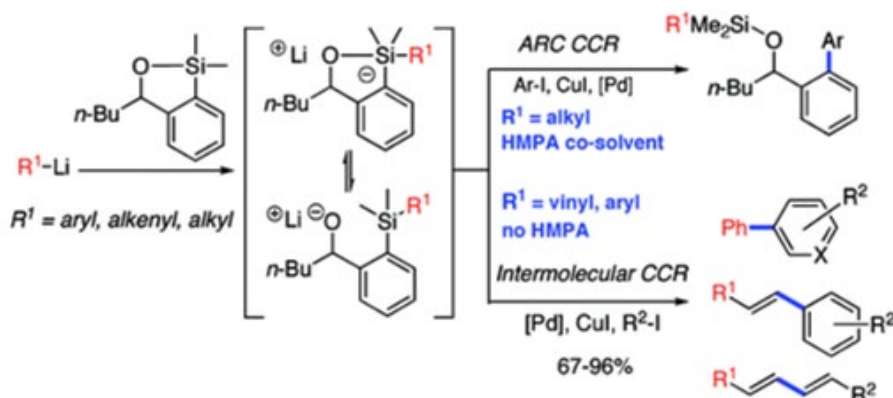
Catalytic carbon-carbon bond formation based on cross-coupling reactions (CCRs) plays a central role in the production of natural products, pharmaceuticals, agrochemicals, and organic materials. Coupling reactions with organometallic reagents and organic halides facilitate a plethora of bond-forming reactions in modern synthetic chemistry. The problem, however, with all current cross-coupling reactions is that they lead to the formation of the stoichiometric production of waste products. For example, in the Suzuki CCR boronic acid, in the Negishi CCR organozinc waste, in the Stille CCR organotin waste, and Kumada organomagnesium waste are formed.

### Solution

The Smith lab has developed silicon-based transfer agents for palladium-mediated cross-coupling processes to yield aryl-aryl, alkenyl-aryl, and alkenyl-alkenyl coupled products. This reaction can occur in one pot with high yield and purity of the product, while eliminating the problems of lithium-halogen exchange, homocoupling from other palladium-mediated methods, including the Suzuki organoboron, Negishi organozinc, Stille organotin, and Kumada organomagnesium and the stoichiometric production of waste products.

### Advantages

- High product yield
- No unwanted byproducts or homocoupling
- Excellent specificity
- Support catalyst on polymer that can be readily recovered without significant loss of cross-coupling activity
- Facile product purification
- Mild reaction conditions



Synthetic overview of silicon-based cross-coupling reaction. From Smith et al, 2012.