palladium

M. WASA, K. M. ENGLE, J.-Q. YU* (THE SCRIPPS RESEARCH INSTITUTE, LA JOLLA, USA) Pd(II)-Catalyzed Olefination of sp³ C–H Bonds *J. Am. Chem. Soc.* **2010**, *132*, 3680-3681.

Amide-Directed Olefination of sp³ C-H Bonds

$$R^1$$
 N Ar CO_2Bn

$$Ar^{1} = \bigvee_{i=1}^{F} F_{i}$$

Selected examples:

N-Ar



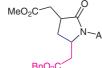
72% yield (inseparable mixture of cis/trans diastereomers)

BnO₂C

63% yield (inseparable mixture of cis/trans diastereomers)

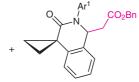
61% yield (inseparable mixture of cis/trans diastereomers)

61% yield (inseparable mixture of cis/trans diastereomers)









94% yield (87% yield with Ar¹)

66% yield (inseparable mixture of cis/trans diastereomers)

84% yield (inseparable mixture of cis/trans diastereomers)

71% yield (inseparable mixture of cis/trans diastereomers)

18% yield

Significance: A highly efficient protocol for the direct olefination of ${\rm sp^3}$ C–H bonds was developed. In this reaction, strongly electron-deficient amides serve as directing groups. The method could be applied to a wide variety of substrates, including even cyclopropyl methylene C–H bonds and compounds containing α -hydrogen atoms.

Comment: The scope of the Mizoroki–Heck reaction has been considerably enlarged with this method. Now, not only sp² C–H bonds but also sp³ C–H bonds can be efficiently and selectively olefinated giving an easy and straightforward access to variously functionalized lactams.

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