Category

**Synthesis** 

Key words alkynylation

C-H activation palladium

**Metal-Mediated** 

Y. ANO, M. TOBISU,\* N. CHATANI\* (OSAKA UNIVERSITY, SUITA, JAPAN)

Palladium-Catalyzed Direct Ethynylation of C(sp<sup>3</sup>)-H Bonds in Aliphatic Carboxylic Acid Derivatives J. Am. Chem. Soc. 2011, 133, 12984-12986.

## **Pd-Catalyzed Direct Alkynylation of Aliphatic C-H Bonds**

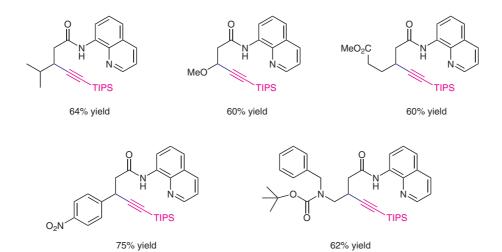
Pd(OAc)<sub>2</sub> (5 mol%) AgOAc (1 equiv) LiCl (1 equiv)

PhMe, 110 °C, 15 h

up to 75% yield

R = substituted Alk, Ar, CF<sub>3</sub>, OMe TIPS = triisopropylsilyl

## Selected examples:



Significance: The first palladium-catalyzed alkynylation of unactivated C(sp<sup>3</sup>)-H bonds in aliphatic carboxylic acid derivatives has been disclosed. 8-Aminoquinoline proved to be the best directing group to promote the desired alkynylation reaction.

Comment: Interestingly, replacement of the 8-aminoquinoline moiety with a 1-aminonaphthyl group or N-methylation of the amide group completely inhibited the ethynylation reaction, indicating that both, the nitrogen of the quinoline moiety and the NH group of the amide are essential for the reaction.

 $\textbf{SYNFACTS Contributors:} \ Paul \ Knochel, \ Andreas \ K. \ Steib$ Published online: 19.10.2011 Synfacts 2011, 11, 1223-1223

DOI: 10.1055/s-0031-1289194; Reg-No.: P12311SF