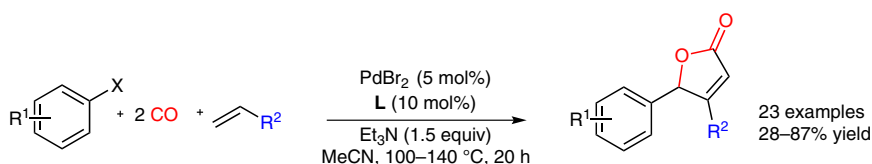


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A Novel Double Carbonylation Reaction of Aryl Halides: Selective Synthesis of 5-Arylfuranones

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## Synthesis of 5-Arylfuranones via a Carbonylative Heck Reaction

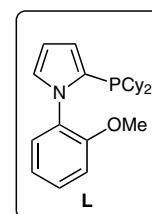
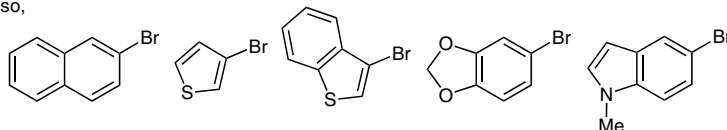


X = I, Br

R<sup>1</sup> = H, 2-Me, 3-Me, 4-Me, 4-OMe, 3,5-diOMe, 4-*t*-Bu, 4-CF<sub>3</sub>, 4-F

R<sup>2</sup> = *n*-Bu, *n*-Hex, Cy, CH<sub>2</sub>CH<sub>2</sub>Ph, TES

Also,



**Significance:** Reported is the synthesis of 5-arylfuranones by the three-component reaction of aryl halides, carbon monoxide, and alkenes in the presence of PdBr<sub>2</sub>, cataCXium POMEcy as ligand **L** and triethylamine as base. In the exploration of the scope of the reaction, substituted aryl bromides, aryl iodides, and different alkenes were tested. Aryl bromides bearing electron-donating groups (R<sup>1</sup> = *t*-Bu, 3-OMe, 4-OMe) led to furanones in 55–77% yield. However, aryl and alkyl bromides with electron-withdrawing groups, such as 4-bromoacetophenone, 4-bromoacetaldehyde and 4-bromobenzonitrile produced only trace amounts of products. Some bromo heterocycles including bromothiophene, bromobenzothio-*phene*, benzodioxole, and indole derivatives were also tolerated. Terminal alkenes with R<sup>2</sup> = *n*-Bu, Cy, TES afforded furanones in 54–73% yield, while an alkene with R<sup>2</sup> = CH<sub>2</sub>CH<sub>2</sub>Ph form the desired product in only 28% yield with a greater amount of the isomeric 3-alkyl-5-aryl-substituted furanone being formed.

**Comment:** Synthetic furanone compounds have been shown to inhibit bacterial quorum sensing in *P. aeruginosa* and to exhibit favorable therapeutic effects on *P. aeruginosa* lung infection (H. Wu et al. *J. Antimicrob. Chemother.* **2004**, *53*, 1054). In the present double carbonylative Heck reaction, optimization of the reaction using different ligands, solvents, and the effect of carbon monoxide pressure was explored; increasing the carbon monoxide pressure from 5 to 20 bar resulted in improved yield. Use of inorganic base in the reaction failed to give furanone products. Similar reactivity was observed when aryl iodides were employed in place of aryl bromides.

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