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Microwave-Assisted Homogeneous Sonogashira Coupling Reactions

Arylalkynes are intermediates for the synthesis of a variety of compounds: heterocycles, cyclophanes, enediyne antibiotics, etc. Sonogashira coupling has provided these compounds via the palladium(0)-catalysed coupling of terminal alkynes and aryl iodides in the presence of copper(I) and a base. Weaknesses of this reaction include long reaction times and the limited choice of reaction medium.

In recent years, microwave heating has emerged as a technique to speed up organic reactions. Now, a microwave-enhanced, rapid and efficient homogeneous-phase version of the Sonogashira coupling reaction of aryl iodides, bromides, triflates and an aryl chloride with trimethysilylacetylene has been established by scientists from Sweden (M. Erdélyi and A. Gogoll, *J. Org. Chem.*, 2001, **66**, (12), 4165–4169). $Pd(PPh_3)_2Cl_2$ and copper(I) iodide were used as the catalyst system, in the presence of diethylamine. Excellent yields (80 to 95%) were obtained in 5 to 25 minutes.