

REACTIONS OF PROPARGYL ALCOHOL WITH ARYL IODIDES

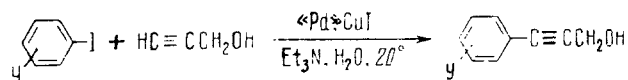
CATALYZED BY PALLADIUM COMPLEXES IN WATER

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The cross-coupling of propargyl alcohol with aryl iodides proceeds under mild conditions in the presence of base, CuI, and palladium complexes in various solvents such as Et₃NH, benzene, chloroform, and DMF [1,2]. Subsequent oxidation and decarboxylation of the 3-arylpropargyl alcohols formed leads to arylacetylenes [2].

We have found that this reaction may be carried out in water. Best results were obtained using PdCl₂[PPh₂(m-C₆H₄SO₃Na)]₂ as the catalyst in the presence of two equivalents of PPh₃ and triethylamine as the base.



The reaction is complete after 1 h at 25°C using 0.8 mole/liter ArI and ArI:HC≡CCH₂OH:Et₃N = 1:1.5:2.5 (1 mole % "Pd" and 3 mole % CuI) and leads to 3-arylpropargyl alcohols in high yield. Water-soluble iodobenzoic acids in the presence of base as well as water-soluble aryl iodides undergo this reaction. The yields of the cross-coupling products isolated were as follows in % (Y): 78 (p-CO₂H), 80 (m-CO₂H), 69 (p-NO₂), 70 (p-MeCO). The yield of the cross-coupling products is lower with other palladium catalysts (Pd(OAc)₂ and PdCl₂(PPh₃)₂) in the presence of Et₃N, Na₂CO₃, K₂CO₃, or NaOH in water or aqueous DMF.

LITERATURE CITED

1. K. Sonogashira, Y. Tohda, and N. Hagihara, Tetrahedron Lett., No. 50, 4467 (1975).
2. N. A. Bumagin, A. B. Ponomarev (Ponomarev), and I. P. Beletskaya, Synthesis, No. 9, 728 (1984).

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