

LETTERS
TO THE EDITOR

**Aminomethylation of Phenylacetylene
under Microwave Irradiation**

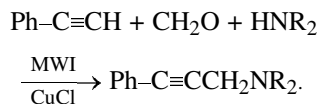
D. P. Khrustalev, G. T. Khamzina, S. D. Fazylov, and A. M. Gazaliev

*Institute of Organic Synthesis and Coal Chemistry of Kazakhstan,
ul. Alikhanova 1, Karaganda, 100000 Kazakhstan
e-mail: khrustalev@mail.kz*

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The procedure of Mannich aminomethylation of acetylenes is being permanently improved due to the great practical significance of the resulting products. According to Gevorkyan et al. [1], the principal disadvantage of this reaction is its long time, which was explained by the necessity of using a solvent. The referees carried out aminomethylation of phenylacetylene in the absence of solvent, which allowed the reaction time to be decreased from 60 to 4–6 h.



We found that under microwave irradiation (MWI) phenylacetylene can be successfully aminomethylated within 2–3 min in solvent-free conditions.

To this end, a mixture of 0.01 mol of phenylacetylene, 0.013 mol of Paraform, and 0.013 mol of a secondary amine was prepared in a 250-ml heat-resistant conical flask, and then 3 drops of a catalyst

(a suspension of 1 g of copper chloride in 3.5 ml of DMF) was added. The resulting mixture was carefully shaken and placed into a household microwave oven (350 W). The reaction duration was 3 min. The products were isolated by conventional methods.

This procedure was used to synthesize the following acetylenic amines: **3-(morpholin-4-yl)-1-phenylprop-1-yne**, bp 131–133°C (2 mm Hg), yield 78.4%; **3-phenyl-1-(piperidin-1-yl)prop-1-yne**, bp 132–135°C (2 mm Hg), yield 77.6%; **3-(diethylamino)-1-phenylprop-1-yne**, bp 150–155°C (5 mm Hg), yield 66.5%; **1-phenyl-3-[2-(3-pyridyl)piperidin-1-yl]prop-1-yne**, bp 205–207°C (2 mm Hg), yield 57%. The structure of the listed compounds was confirmed by independent synthesis by the procedure in [1].

REFERENCES

1. Gevorkyan, A.A., Arakelyan, A.S., Movsisyan, A.A., Dzhandzhulyan, L.Zh., and Petrosyan, K.A., *Zh. Obshch. Khim.*, 2006, vol. 76, no. 7, p. 1223.