

SYNLETT Spotlight 34

2-(Trimethylsilyl)phenyl Trifluoromethanesulfonate

Compiled by Diego Peña

This feature focuses on a reagent chosen by a postgraduate, highlighting the uses and preparation of the reagent in current research.

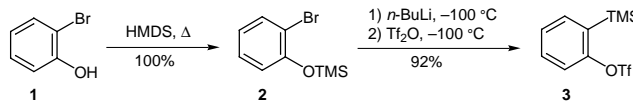
Diego Peña received his B.Sc. from the University of Santiago de Compostela in 1997. He is currently working on his Ph.D. thesis under the supervision of Prof. D. Pérez and Prof. E. Guitián at the University of Santiago de Compostela. His research involves palladium-catalyzed cyclotrimerization of arynes.

Departamento de Química Orgánica y Unidad Asociada al C.S.I.C, Facultad de Química, Universidad de Santiago de Compostela, 15782 Santiago de Compostela, Spain.
E-mail: qodpena@usc.es



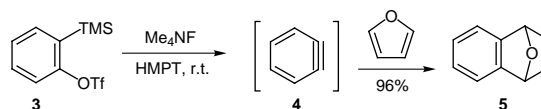
Introduction: Although benzyne (**4**) has been widely used in organic synthesis, there are relatively few ways of generating it under mild conditions from readily available chemicals. Subjecting 2-(trimethylsilyl)phenyl trifluoromethanesulfonate (**3**) to fluoride-induced 1,2-elimination generates benzyne conveniently at room temperature without the use of strong bases or oxidants.

Preparation: The benzyne precursor **3** was first reported by Himeshima, Sonoda and Kobayashi in 1983.¹ It is commercially supplied by Aldrich and can easily be obtained in high yield from 2-bromophenol (**1**).²

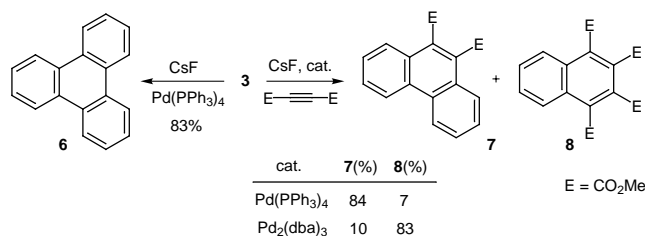


Abstracts

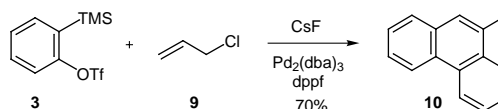
(1) The formation of benzyne (**4**) from triflate **3** was exploited in an usual aryne reaction by trapping benzyne with furan to afford **5**.¹ This mild method of generating benzyne has proved to be more powerful in some cases than classical methods.³



(2) Slow generation of benzyne by treatment of triflate **3** with CsF, in the presence of catalytic amounts of Pd(0), afforded triphenylene (**6**) as a result of the cyclotrimerization of benzyne.⁴ The cocyclization of alkynes with benzyne can also be catalyzed by palladium complexes: in this case phenanthrene **7** and naphthalene **8** were obtained.⁵ The course of the reaction can be controlled by the choice of catalyst.



(3) The palladium-catalyzed reaction of allyl chloride (**9**) with the benzyne precursor **3** produced phenanthrene **10** in good yield.⁶ This reaction is assumed to proceed by insertion of benzyne into the π -allylpalladium intermediate.⁷



References and Notes

- Himeshima, Y.; Sonoda, T.; Kobayashi, H. *Chem. Lett.* **1983**, 1211.
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- (a) Constable, K. P.; Blough, B. E.; Carroll, F. I. *Chem. Commun.* **1996**, 717. (b) Cobas, A.; Guitián, E.; Castedo, L. *J. Org. Chem.* **1997**, 62, 4896.
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