



**HAL**  
open science

## Synthesis of Aromatic Sulfones from SO<sub>2</sub> and Organosilanes, under Metal-free Conditions

Joëlle Char, Niklas von Wolff, Thibault Cantat

► **To cite this version:**

Joëlle Char, Niklas von Wolff, Thibault Cantat. Synthesis of Aromatic Sulfones from SO<sub>2</sub> and Organosilanes, under Metal-free Conditions. ISGC2017, May 2017, La Rochelle, France. cea-02341588

**HAL Id: cea-02341588**

**<https://cea.hal.science/cea-02341588>**

Submitted on 31 Oct 2019

**HAL** is a multi-disciplinary open access archive for the deposit and dissemination of scientific research documents, whether they are published or not. The documents may come from teaching and research institutions in France or abroad, or from public or private research centers.

L'archive ouverte pluridisciplinaire **HAL**, est destinée au dépôt et à la diffusion de documents scientifiques de niveau recherche, publiés ou non, émanant des établissements d'enseignement et de recherche français ou étrangers, des laboratoires publics ou privés.

N°521 / PC

TOPIC(s) : Catalytic systems / Smart use of fossil

## Synthesis of Aromatic Sulfones from SO<sub>2</sub> and Organosilanes, under Metal-free Conditions

### AUTHORS

Joelle CHAR / CEA SACLAY, NIMBE,CEA, CNRS, UNIVERSITY PARIS-SACLAY, GIF SUR YVETTE

Niklas VON WOLFF / CEA SACLAY, NIMBE,CEA, CNRS, UNIVERSITY PARIS-SACLAY, GIF SUR YVETTE

Thibault CANTAT / CEA SACLAY, NIMBE,CEA, CNRS, UNIVERSITY PARIS-SACLAY, GIF SUR YVETTE

### PURPOSE OF THE ABSTRACT

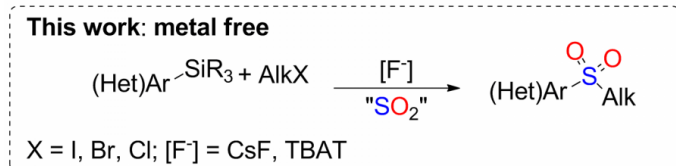
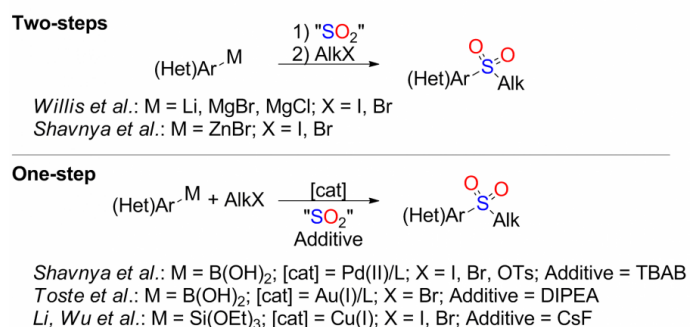
The sulfone functional group (RSO<sub>2</sub>R?) has found widespread applications in organic chemistry, due to its unique physico-chemical properties (stability, lipophilicity, H-bonding etc.) [1] and many aryl- or heteroarylsulfones derivatives are currently exploited as drugs (e.g. bicalutamide, eletriptan and Vioxx) or herbicides.

The presence of these structural motifs in pharmaceuticals asks for mild and step efficient synthesis methodologies. Classical synthetic routes to aryl- or heteroarylsulfones generally comprise the oxidation of sulfides or the sulfonylation of arenes with toxic reagents under harsh conditions. Recently several examples of sulfinate salts coupling leading to sulfones under mild conditions have been developed. These methods however require two steps with the use of strong nucleophiles such as organomagnesium or organolithium reagents [2]. In 2013, Shavnya et al. reported the one step reaction using milder nucleophiles such as organoboron reagents, but transition metals catalysts are necessary (Scheme 1) [3].

Among available nucleophiles, organosilanes are stable, easy to prepare and to handle and non-toxic. Their use might require activation by fluoride or alkoxide salts.

We herein report the one-step, metal free, synthesis of aryl and heteroarylsulfones from silanes, SO<sub>2</sub> and an alkylhalide, using fluoride anions as promoters at room temperature (scheme 2). Computational studies allowed proposing a mechanism and elucidating the experimentally observed difference in reactivity especially between pyridyl and phenyl silane derivatives, by highlighting the triple catalytic role of SO<sub>2</sub>.

## FIGURES



### FIGURE 1

#### Scheme 1

State of the art sulfone synthesis from SO<sub>2</sub>.

### FIGURE 2

#### Scheme 2

The one step, metal free, developed synthesis of aryl- and heteroarylsulfone from SO<sub>2</sub>.

## KEYWORDS

Sulfones | organosilane | metal free

## BIBLIOGRAPHY

- [1] Tanaka, K. and Kaji, A. Synthetic Uses of Sulfones, in Sulphones and Sulphoxides, 1988, John Wiley & Sons, Ltd, Chichester, UK.
- [2] A. S. Deeming, C. J. Russell, M. C. Willis, *Angew. Chem. Int. Ed.* 2015, 54, 1168-1171. B. N. Roche, K. B. Bahnck, M. Herr, S. Lavergne, V. Mascitti, C. Perreault, J. Polivkova, A. Shavnya, *Org. Lett.* 2014, 16, 154-157. M. W. Johnson, S. W. Bagley, N. P. Mankad, R. G. Bergman, V. Mascitti, F. D. Toste, *Angew. Chem. Int. Ed.* 2014, 53, 4404-4407.
- [3] A. Shavnya, K. D. Hesp, V. Mascitti, A. C. Smith, *Angew. Chem. Int. Ed.* 2015, 54, 13571-13575.