On the *Bis-Heteronucleophilic Michael Addition to Divinyl Sulfone: A New Efficient Access to Macrocycles* by Marie-Laure Teyssot, Martine Fayolle, Christian Philouze and Claude Dupuy

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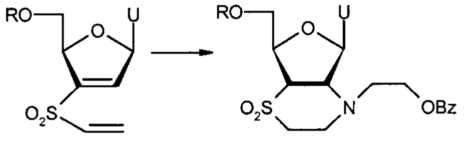
This is a comment on the paper by Dupuy et al.

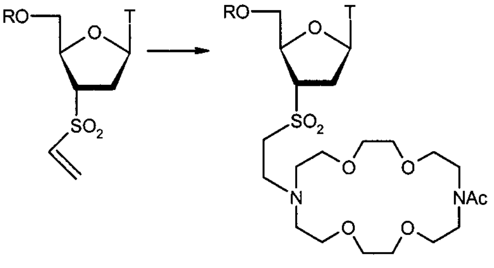
The above-mentioned paper[1] dealt with the reactions of bifunctional reagents and macrocycles with divinyl sulfone. Several years back we published a paper on the synthesis and reactions of nucleoside-based divinyl sulfone. Although we did not synthesise any macrocycle in this paper, we did synthesise several bicyclic derivatives by treating various primary amines with the nucleoside-based divinyl sulfone as shown below.[2]



(5 examples)

The first and a key observation related to the optimal experimental conditions reported by the authors of the paper published in the *Eur. J. Org. Chem.*[1] was that "the best results were obtained with protic solvents such as methanol ..." (Scheme 3, page 55).[1] In our paper,[2] we reported on the use of MeOH for the cyclisation reaction and observed (page 1755; ref. 15)[2] that the "selection of solvent was important" for this reaction and cyclisation did not occur in $CHCl_3$. In this connection, the authors referred to a rather remotely related work on the "addition of benzylamines to benzylidene-1,3-indandiones in acetonitrile", but did not discuss our results.

Interestingly, since the emphasis of this paper in the *Eur. J. Org. Chem.*[1] was also on "The Michael addition of bis(nitrogen or sulfur) nucleophiles, e.g. diaza-18-crown-6, to divinyl sulfone ...",[3] we would like to point out that we reported in an earlier paper[4] the attachment of a macrocycle, 1,4,10,13-tetraoxa-7,16-diazacyclooctadecane, to another organic moiety, namely a nucleoside, through an ethylenesulfone linker as shown below.



We are surprised not to see any mention of any of our papers[2,4] in their publication[1] although under the "Introduction" as well as the "Results and Discussion" sections there were in-depth discussions on "bis-Michael acceptors", "intramolecular Michael reaction" and "Michael addition to divinyl sulfone".

To the best of our knowledge, in the area of divinyl sulfone chemistry our paper[2] is the first to report on a new concept for constructing a divinyl sulfone on a chiral appendage to impose chirality on the cyclic product. Therefore, this paper is important in discussing the significance of divinyl sulfones in the synthesis of cyclic compounds. Although the authors referred to some papers on divinyl sulfone and on the reactions of the two vinyl groups with amines, published almost three decades ago, they did not refer to our paper.[2]

The authors also overlooked the existence of, perhaps, the only paper[4] prior to their paper[1] that dealt with the concept of attaching a macrocycle with another organic molecule by a Michael addition reaction to a vinyl sulfone functionality. A computer-based literature search using partial structure of the ethylenesulfone-linked macrocycle revealed two publications in this area − one is their paper in the *Eur. J. Org. Chem.*[1] and the other is our paper.[4]

[1] M.-L. Teyssot, M. Fayolle, C. Philouze, C. Dupuy, *Eur. J. Org. Chem.* **2003**, 54−62.
[2] S. Bera, G. J. Langley, T. Pathak, *J. Org. Chem.* **1998**, *63*, 1754−1760.
[3] *Chem. Abstr.* **2003**, *138*, 255218.
[4] S. Bera, K. Sakthivel, G. J. Langley, T. Pathak, *Tetrahedron* **1995**, *51*, 7857−7866.

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