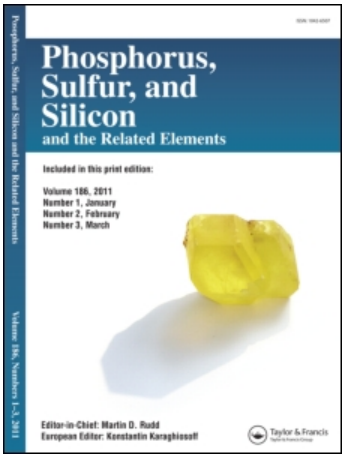

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Attempts to Obtain Optically Active Triflоromethyl Aryl Sulfoxides *via* Resolutions of the Racemates

Jozef Drabowicz[a]; Jerzy Luczak[a]; Marian Mikolajczyk[a]; Natasza V. Kondratenko[b]; Lew M. Yagupolski[b]
[a] Department of Heteroorganic Chemistry, Center of Molecular and Macromolecular Studies, Polish Academy of Sciences, Lodz, Poland [b] Institute of Organic Chemistry, National Academy of Sciences of Ukraine, Kiev, Ukraine

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Jozef Drabowicz
Jerzy Luczak
Marian Mikolajczyk
Center of Molecular and Macromolecular Studies, Department of Heteroorganic Chemistry, Polish Academy of Sciences, Lodz, Poland

Natasza V. Kondratenko
Lew M. Yagupolski
Institute of Organic Chemistry, National Academy of Sciences of Ukraine, Kiev, Ukraine

Keywords Optical activity; resolution of racemates; sulfoxides

The presence of perfluoroalkyl chains in the sulfoxide structure should create a very interesting group of chiral sulfinyl derivatives from optically active compounds. To the best of our knowledge, this kind of sulfoxides has not been reported so far as optically active species. Only recently one of our groups has reported the first successful attempts to prepare optically active trifluoromethyl phenyl sulfoxide **1a** based on asymmetric oxidation of the corresponding sulfide.[1] In this communication, we disclose the preliminary results of testing other protocols for the preparation of sulfoxides **1a–d** as optically active species.

$$\underset{\mathbf{1}\text{–}\boldsymbol{a}\text{–}\boldsymbol{d}}{\mathbf{4\text{-}X\text{-}C_6H_4\text{-}S(O)\text{-}CF_3}} \qquad \boldsymbol{a}, \mathbf{X{=}H}; \quad \boldsymbol{b}, \mathbf{X{=}Cl}; \quad \boldsymbol{c}, \mathbf{X{=}F}; \quad \boldsymbol{d}, \mathbf{X{=}OH}$$

SCHEME 1

We have found that the oxidative kinetic resolution procedure based on the partial oxidation of a starting sulfoxide to the corresponding sulfone does not work for racemic mixtures of **1a–c** because of their complete inertness to the Davis dichlorocamphorsulfonyloxaziridine.[2] Similarly, the nonclassical optical resolution *via* complexation with chiral

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Address correspondence to Jozef Drabowicz, Center of Molecular and Macromolecular Studies, Department of Heteroorganic Chemistry, Polish Academy of Sciences, 90-363 Lodz, Sienkiewicza 112, Poland. E-mail: draj@bilbo.cbmm.lodz.pl

host molecules cannot be applied to the sufloxides **1a–c** because of their inability to form supramolecular complexes with β-cyclodextrin[3] or mandelic acid.[3] With the enantiomers of 1,1-dihydroxy-2,2′-binaphthol, the sulfoxides **1a–c** form supramolcular complexes (^{19}F NMR assay) but with unknown ratio and selectivity. On the other hand, we have succeeded in the isolation of the enantiomers of these sulfoxides by enantioselective liquid chromatography on analytical Chiralcel OD or Chiralpak AS column with hexane-i-Pr-OH mixtures as a mobile phase.

It is of interest to note that phenyl trifluoromethyl sulfoxide **1a** could not be resolved on a Chiralpak OP column. The CD spectra of the isolated enantiomers [recorded in methanol solutions on a CD 6 dichragraph (Jobin–Yvon) using cells with 5-mm path length] are very similar to the CD spectra of optically active alkyl p-tolyl sulfoxides.[4] Taking into account this observation, we suggest that the dextrorotatory enantiomers of trifluoro-methyl aryl sulfoxides **1a–d** are of the (R) absolute configuration at the stereogenic sulfinyl sulfur atom.

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