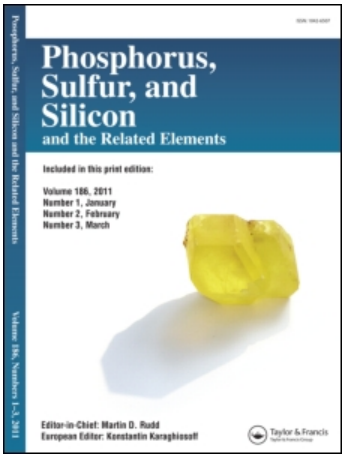

Phosphorus, Sulfur, and Silicon and the Related Elements

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A New Chemical Method to Desulfenylate Indol-3-yl Sulfides

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A NEW CHEMICAL METHOD TO DESULFENYLATE INDOL-3-YL SULFIDES.

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Desulfenylation of indol-3-yl sulfides liberates the most reactive position of the ring for further transformations. The usual procedure, utilizing Raney Nickel (P.G. Gassman, et. al., J. Am. Chem. Soc. 1974, 96, 5495) offers a limited scope due to incompatibility of a number of functional groups towards the reducing agent. Based on our recent mechanistic studies of the acid-catalysed rearrangement of indol-3-yl sulfides to indol-2-yl sulfides (P. Hamel, et. al., Chem. Commun. 1989, 63; J. Org. Chem. 1992, 57, 2694), we have developed a novel, non-reductive desulfenylation method which permits easy access to 3-unsubstituted indoles bearing a wide array of substituents. Thus, 3-indolyl sulfides, readily obtained from appropriate phenylhydrazines (via Fischer indolization) or anilines (Gassman method, vide infra) are smoothly desulfenylated in good yields in trifluoroacetic acid in the presence of an appropriate nucleophilic trapping agent. Thiols proved to be very effective trapping agents and thiosalicylic acid (TSA) is a thiol of choice, being non-volatile and easily separable from reaction products.