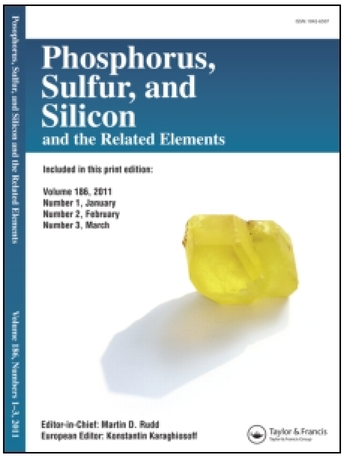

Phosphorus, Sulfur, and Silicon and the Related Elements
Publication details, including instructions for authors and subscription information:
http://www.informaworld.com/smpp/title~content=t713618290

N-SULPHONYL PYRIDINIUM SALTS IN THE HETARYLATION REACTION

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To cite this Article Skrypnik, Yu. G. , Sidorenko, L. M. , Sarmojlenko, G. V. and Sheinkman, A. K.(1979) 'N-SULPHONYL PYRIDINIUM SALTS IN THE HETARYLATION REACTION', Phosphorus, Sulfur, and Silicon and the Related Elements, 6: 1, 287 — 288

To link to this Article: DOI: 10.1080/03086647908080417

URL: http://dx.doi.org/10.1080/03086647908080417

Phosphorus and Sulfur
1979, Vol. 6, pp. 287–288

N-SULPHONYL PYRIDINIUM SALTS IN THE HETARYLATION REACTION

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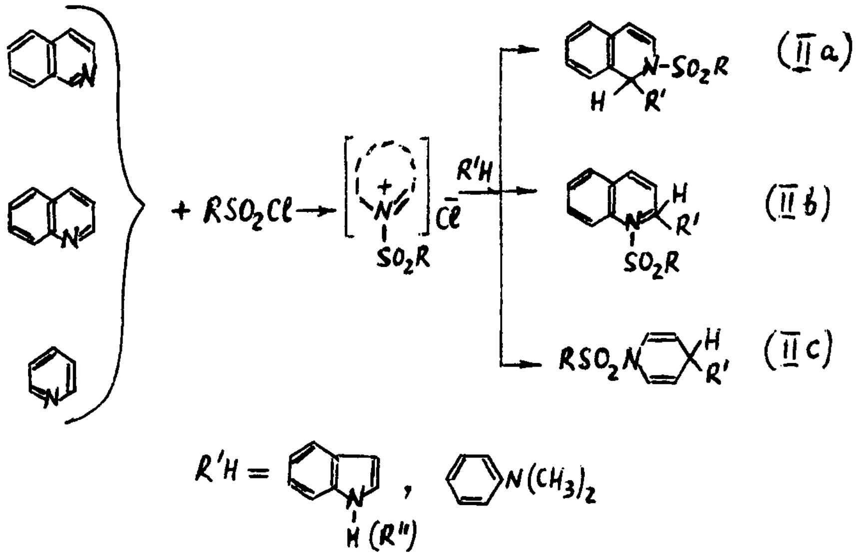
Hetarylation of organic CH-acids by a sumultaneous action on them with N-heteroaromatic compounds and acylating agents, carboxylic chlorides and chlorides of acids of phosphorus (III and V) is known.

The authors have shown that the hetarylation reaction proceeds in the presence of sulphonic-acid chlorides to form intermediate N-heteroaromatic sulphonyl salts (I). In the hetarylation of indole and dimethyl aniline by N-sulphonyl derivatives of isoquinoline (a), quinoline (b) and pyridine (c) in situe the reaction products are sulphonamide derivatives of 1,2-dihydro isoquinoline (- quinoline, - pyridine) (II a,b,c).



Both aromatic and aliphatic sulphonic-acid chlorides can be used in the reaction as hetarylating agents and in this case both the formation of side sulphenes and the sulphonylation of the nucleophilic substates are not observed. The N-sulphonil isoquinolinium salts are the most active in the reaction and they hetarylate indoles and dimethyl aniline even at room temperature to form (IIa) in high yields. Qinoline and especially pyridine are less active, the low yield of the reaction in the latter case evidently being due to the fact that the side polimerization of dihydro pyridines proceeds readily.

On raising the reaction temperature up to 50 - 80°C side oxida - tions of indole and dimethyl aniline develop in their turn; a dyestuff was isolated in the latter case, crystal violet. A complete fragmentation of the products takes place as a result of the acid hydrolysis of (II a-c) to form the initial heterocycles, indole or dimethyl aniline and sulphonic acids.

The structures of the compounds obtained were confirmed by the IR-, NMR- and mass-spectroscopy.