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AMIDO- AND AMINO-ALKYLATION OF SULFIMIDES, SULFOXIMIDES, AND SULFODIIMIDES

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AMIDO- AND AMINO-ALKYLATION OF SULFIMIDES, SULFOXIMIDES, AND SULFODIIMIDES

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Sulfimides, Sulfoximides and Sulfodiimides are polyfunctional compounds with nucleophilic/basic nitrogen and acidic hydrogen adjacent to sulfur. From these Mannich-type-reaction products 1 were prepared. For example, amidomethylation on nitrogen was achieved either directly via three-component condensation (pathway (a) or, after conversion of the S-Imide into the sodium salt by trea_tment with N-chloromethyl-amides (pathway (b)). With highly reactive methylene-iminium halides pathway (b) provided N-aminomethylated sulfoximides, the stability of which mainly depends on the substituents on sulfur. Surprisingly stable, however, are their monoquaternary salts. These are of pharmaceutical interest with respect to anticholinergic and antihistamine activity, which was found in this series and will briefly be discussed. Of similar interest but spasmolytically less active are C-Mannich-bases of type 2 as well as N-aminoalkylated sulfodiimides 3, which were synthesized by different reaction routes.