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Aryne Mediated, Transition-Metal Free Arylations of Quinolines for Medicinal and Materials Applications

Authors : Rakesh Kumar, Shashi Janeoo, Ankit Dhiman, Siddharth Chopra

Abstract : Arynes are versatile reactive intermediates that offer broad opportunities in green organic synthesis. Arynes are potential aryl group surrogates for the transition metal-free environment friendly arylation reactions. Regioselective arylations of quinolines were achieved by the reactions of quinoline N-oxides with aryne intermediates generated in situ from the Kobayashi precursors. Various 2-substituted quinolines provided 3-arylated-2-substituted quinolines under ambient conditions. Acridine N-oxides also reacted well and provided unusual 4-arylacridines. Various fluorine containing 2,3-diarylquinaolines prepared using this approach were evaluated for antibacterial activity and two compounds inhibited the drug-resistant strains of S-aureus with a good selectivity index. Further, the 2,3-diarylquinolines as the potential optoelectronic materials were prepared by the aryne chemistry approach and their optical and electronic properties for such applications are under study. The aryne intermediates provide an effective Green Chemistry tool to achieve versatile arylated heteroarenes for diverse applications.

Keywords : arynes, arylation, quinolines, acridines.
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