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A Sequential Pummerer-Diels-Alder Route for the Generation and Trapping of Furo[3,4-*c*]pyridines: Synthesis of Heterocyclic Analogues of 1-Arylnaphthalene Lignans.

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The Pummerer reaction of an *o*-benzoyl-substituted pyridylmethyl sulfoxide generates an α-thiocarbocation, the interception of which by a neighboring keto functionality produces an α-thio-substituted furo[3,4-*c*]pyridine as transient intermediate; the latter undergoes a Diels-Alder cycloaddition with an added dienophile. Base-induced ring opening of the cycloadduct followed by aromatization gives an isoquinoline derivative that may be looked upon as a heterocyclic analogue of 1-arylnaphthalene lignans. This procedure occurs readily with electron-poor dienophiles and the entire sequence can be run in one pot. The facility of the sequential Pummerer-Diels-Alder reaction hinges on the experimental conditions, the best results being obtained with heptafluorobutyric anhydride as the triggering agent in toluene containing a catalytic amount of *p*-toluenesulfonic acid. In the absence of a dienophile it is possible to isolate and characterize a rather unstable furo[3,4-*c*]pyridine derivative. An intramolecular variant of this protocol is also feasible with use of unactivated alkenyl tethers of variable length; however, the bridged cycloadducts are unisolable in these cases as they undergo spontaneous ring opening and aromatization to yield cycloalka[*h*]isoquinolines. The usefulness of the sequential Pummerer-Diels-Alder reaction is further demonstrated through the synthesis of a heterolignan with a built-in lactone ring via oxidation of the initial [4+2]-cycloadduct followed by extrusion of phenyl sulfinate and elaboration of the resulting hydoxylated isoquinoline derivative.

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