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Total Synthesis of (+)-Azaspiracid-1. Part II: Synthesis of the EFGHI Sulfone and Completion of the Synthesis Angew. Chem. Int. Ed. 2007, 46, 4698-4703.

Synthesis of (+)-Azaspiracid-1

Significance: (–)-Azaspiracid 1 is a neurotoxin associated with seafood poisoning. The synthesis of the (+)-enantiomer depicted features the deft use of metallated sulfones in two of the key fragment linkage reactions (A+B) and (E+F) and the use of BOX catalysts J, K, and L in the synthesis of fragments F, G, I, and H, respectively.

Comment: A preceding paper (Angew. Chem. Int. Ed. 2007, 46, 4693) described the synthesis of fragment A. The entire synthesis required only 27 linear steps and gave the target in 2.7% overall yield. The first synthesis of (-)-azaspiracid-1 in 39 linear steps was reported by Nicolaou et al. (Angew. Chem. Int. Ed. 2004, 45, 2609).

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Key words

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