Sydnone-Based Approach to Heterohelicenes through 1,3-Dipolar-Cycloadditions

A New Twist on Sydnones

Significance: While helicenes have held the attention of chemists for years, expedient, selective syntheses to access such architectures are few and far between. In this report, Audisio and co-workers disclose a two-step method to a variety of pyrazole-containing heterohelicenes through the cycloaddition of arynes and sydnones.

Comment: To form the helical product selectively, it was found that the phenanthryne cycloaddition partner was key. The use of naphthyne and benzene cycloaddition partners resulted in eroded selectivity. Computational studies revealed that a C–H···π interaction stabilized the transition state for the helicene.

Selected examples:

62% (66%) yield
79% (88%) yield
49% (16%) yield
60% (66%) yield
76% (70%) yield
18% (81%) yield
70% (56%) yield
73% (78%) yield
53% (63%) yield

*Yields in parantheses represent yield of intermediate sydnone.