
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 naphthylene and benzylene 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.*

**SYNFACTS Contributors:** Timothy M. Swager; Samuel I. Etkind

*Synfacts 2019, 15(04), 0363 Published online: 19.03.2019 DOI: 10.1055/s-0037-1612324; Reg-No.: S01419SF ©Georg Thieme Verlag Stuttgart · New York*