

## SYNFACTS Highlights in Current Synthetic Organic Chemistry

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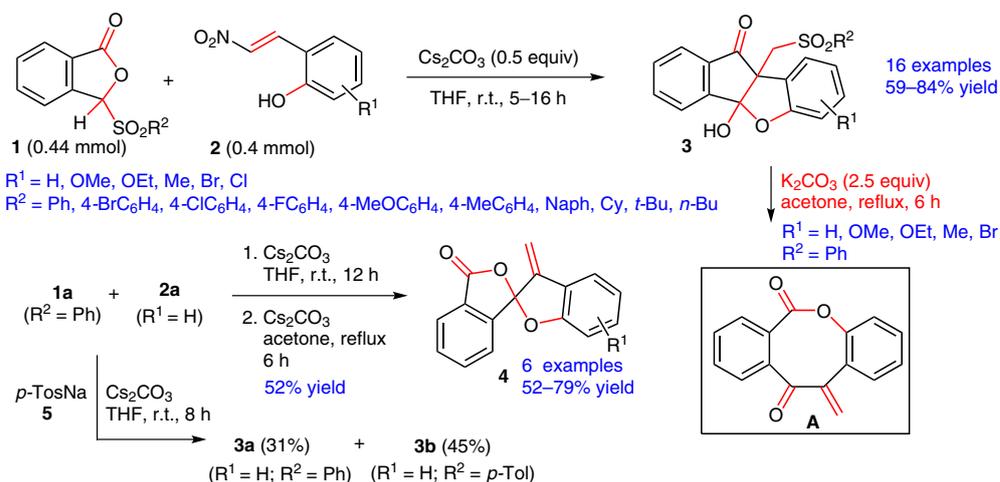
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T. KUMAR, N. SATAM, I. N. N. NAMBOOTHIRI\* (INDIAN INSTITUTE OF TECHNOLOGY BOMBAY, MUMBAI, INDIA)

Hauser–Kraus Annulation of Phthalides with Nitroalkenes for the Synthesis of Fused and Spiro Heterocycles  
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## Synthesis of Fused and Spiro Dihydrobenzofurans



**Significance:** A method was developed for synthesizing benzo[*d*]indeno[1,2-*b*]furans **3** and spiro[benzofuran-2,1'-isobenzofuran]-3'-ones **4** by the reaction of sulfonylphthalides **1** with *ortho*-hydroxy nitrostyrenes **2**. The method is based on the Hauser–Kraus [4+4]-annulation reaction. Compounds **1** and **2** bearing EDGs and EWGs on the arenesulfonyl moiety and on the aryl ring, respectively, gave products **3** in 59–84% yield. 1-(2-Nitrovinyl)-2-naphthol gave the corresponding product **3** in 50% yield. Interestingly, rearrangement of **3** to **4** was effected under base-catalyzed conditions (52–79% yield), and **4a** (R<sup>1</sup> = H) was also obtained in 52% yield by a one-pot transformation of **1a** with **2a**. The structures of **3a** (R = Ph; R<sup>1</sup> = H) and **4b** (R<sup>1</sup> = 6-OMe) were established by single-crystal X-ray analyses.

**Comment:** Fused and spiro heterocycles are present in many natural products and compounds that display biological and pharmaceutical activities (Y. Malpani, R. Achary, S. Y. Kim, H. C. Jeong, P. Kim, S. B. Han, M. Kim, C.-K. Lee, J. Kim, Y.-S. Jung *Eur. J. Med. Chem.* **2013**, 62, 534). The reported method employs sequential Michael addition, Dieckmann cyclization, elimination, and rearrangement reactions to give products **3**. A probable mechanism is proposed involving an overall [4+4]-annulation process and an eight-membered enone intermediate **A**; this was confirmed by the reaction of **1a** with **2a** in the presence of 4-TosNa (**5**) to give indenofurans **3a** and **3b** (combined yield: 76%; ratio: 2:3). The mechanism for the conversion of **3** into **4** follows a previous proposal (K. Debnath, S. Pathak, A. Pramanik *Tetrahedron Lett.* **2014**, 55, 1743).