Convergent Synthesis of a 5HT7/5HT2 Dual Antagonist

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**Significance:** The target pyrazolo[3,4-d]azepane is a 5HT7/5HT2 dual antagonist that was of interest for the treatment of depression, psychosis, anxiety and sleep disorders. This notably short synthesis features (1) the regioselective construction of pyrazole E by reaction of hydrazone D with nitroalkene C and (2) the four-step, one-pot reductive annulation sequence converting E into the target azepane.

**Comment:** Hydrazone D was prepared in 98% yield (crude) by the reaction of benzyl-N-(3-oxo-propyl)carbamate with isopropylhydrazine in the presence of Et₃N (1.2 equiv) in refluxing i-PrOH. The reaction of C and D was conducted in Et₃N as solvent in order to efficiently capture the HNO₂ eliminated during the pyrazole annulation.

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