## **Key words**

spirotryprostatin A

Mizoroki-Heck reaction

diketopiperazines

spirocycles

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## **Total Synthesis of Spirotryprostatin A**

**Significance:** Spirotryprostatin A, a spirocyclic diketopiperazine natural product that was isolated in 1996, was found to be an inhibitor of the mammalian cell cycle in G2/M phase and thus an interesting lead in drug discovery. A number of syntheses of spirotryprostatin A have been disclosed, and Fukuyama now describes a synthetic strategy that relies on a Heck reaction for the elegant installation of the quaternary stereocenter.

Comment: A silyl enol ether derived from diketopiperazine A underwent a Mukaiyama aldol reaction with aldehyde B to afford enone C, which was converted into aldehyde **D** in six steps. Addition of aryl Grignard E followed by oxidation of the resulting secondary alcohol furnished ketone F, which gave spirocycle **G** in the key Heck reaction. The anilide was then introduced through Beckmann rearrangement ( $\mathbf{G} \rightarrow \mathbf{H}$ ).  $\mathbf{H}$  could be advanced into the target molecule in five additional steps.

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