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Collective Total Synthesis of Aspidofractinine Alkaloids through the Development of a Bischler–Napieralski/Semipinacol Rearrangement Reaction

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Total Synthesis of (±)-Aspidofractinine

Significance: The authors report the total synthesis of (±)-aspidofractinine employing a Bischler–Napieralski/semipinacol sequence as key step. In combination with a Mannich reaction, four aspidofractinine alkaloids were synthesized, all containing a bicyclo[2.2.2]octane framework.

Comment: Treatment of formamide **D** with Tf₂O triggered the Bischler–Napieralski/semipinacol cascade furnishing hemiacetal **F**, which underwent a Mannich reaction to give ketone **G**. Ring expansion followed by glycol-cleavage and aldol condensation led to enone **N**, which was transformed to the natural product after functional group interconversions.

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