Category

Synthesis of Natural Products and Potential Drugs

Key words

sarpagine alkaloids

peraksine

haloboration

palladium catalysis

vinylation

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General Strategy for Synthesis of C-19 Methyl-Substituted *Sarpagine*/*Macroline*/*Ajmaline* Indole Alkaloids Including Total Synthesis of 19(*S*),20(*R*)-Dihydroperaksine, 19(*S*),20(*R*)-Dihydroperaksine-17-al, and Peraksine

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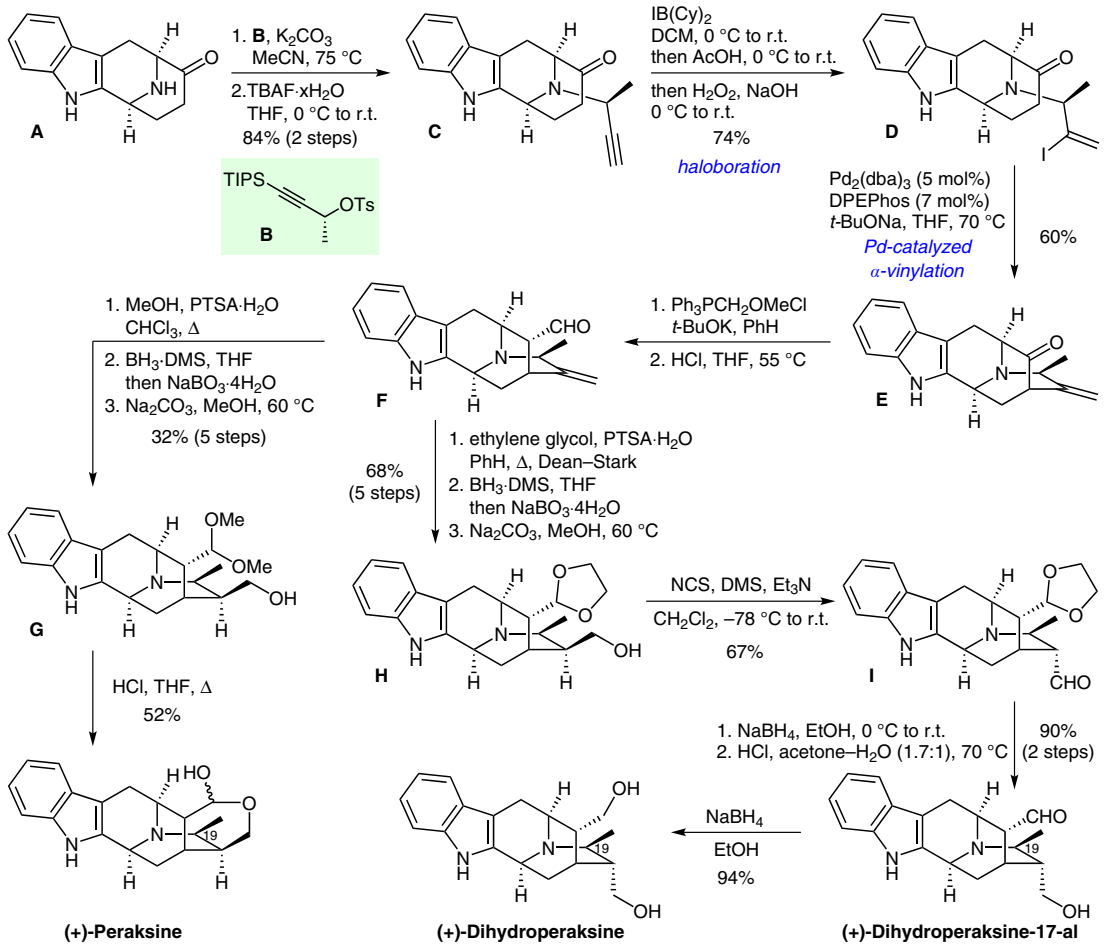
Total Synthesis of (+)-Dihydroperaksine-17-al, (+)-Dihydroperaksine, and (+)-Peraksine



Significance: The sarpagine alkaloids (+)-19(*S*),20(*R*)-dihydroperaksine-17-al, (+)-19(*S*),20(*R*)-dihydropraksine (both isolated from *Rauwolfia serpentina*) and (+)-peraksine (isolated from *Rauwolfia perakensis*) have in common the structural feature of a β-methyl group at C-19. Cook and co-workers report the first enantio- and stereospecific synthesis of all three alkaloids.

Comment: After introduction of the chiral methyl group by N-alkylation, the pentacyclic core was formed by haloboration followed by a palladium-catalyzed intramolecular α-vinylation of the ketone. Common intermediate **F** was then converted into (+)-peraksine, (+)-dihydroperaksine-17-al, and (+)-dihydropraksine by a specific acetal protection and hydroboration–oxidation sequence.

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