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Synthesis of Diversly Functionalized Hexahydropyrrolo[2,3-*b*]indoles Using Domino Reactions, Olefination, Isomerization and Claisen Rearrangement Followed by Reductive Cyclization
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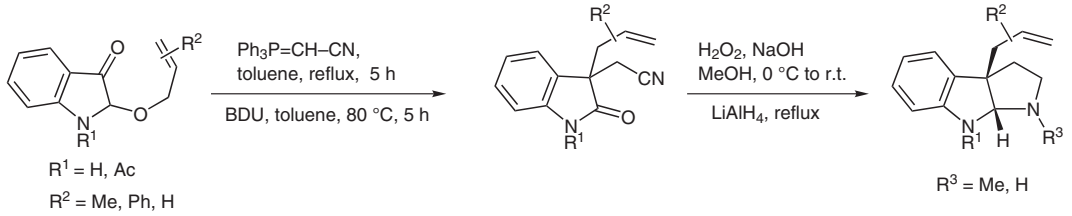
Synthesis of Diversely Functionalized Hexahydropyrrolo[2,3-*b*]indoles



Significance: The synthesis of hexahydropyrrolo[2,3-*b*]indoles from indolin-3-ones which incorporates a three-step domino sequence is reported. The sequence is a new, general, and reasonably efficient (48–88%) synthesis which allows introduction of elements of diversity at the quaternary carbon site of the pyrrolo[2,3-*b*]indole ring system.

Comment: The hexahydropyrrolo[2,3-*b*]indole ring containing a 3a-allyl substituent is a widely distributed structural motif present in a number of biologically active alkaloids. Previous routes to such systems have involved alkylation of indoles and indole-2-ones, direct substitution of 3a-phenylselenyl- or 3a-bromo-pyrrolo[2,3-*b*]indoles with allyl tributylstannanes, among others. The current route is a generalization of a synthesis previously disclosed in communication form. The advantage of Wittig olefination is to induce, by double bond isomerization, a Claisen rearrangement which, in addition to the bonus of a quaternary carbon site construction, posits a double bond primed for further manipulation. Rapid and general access to indoline building blocks as well pyrrolo[2,3-*b*]indole alkaloids and analogues appears to be feasible by this route (U. Anthoni, C. Christophersen, P. H. Nielsen In *Alkaloids*: *Chemical and Biological Perspectives*, Vol. 13; S. W. Pelletier, Ed.; Pergamon Press: New York, **1999**, pp 163-236).

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Category
Synthesis of Heterocycles

Key Words
functionalized indoles
reductive cyclization
Claisen rearrangement