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Asymmetric Total Synthesis of (–)-Agelastatin A Using Sulfinimine (*N*-Sulfinyl Imine) Derived Methodologies
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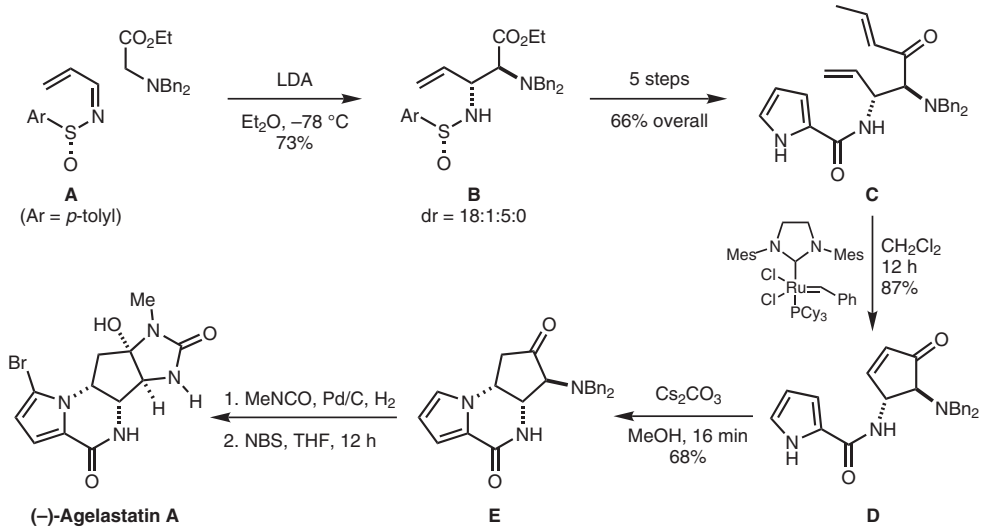
Total Synthesis of (–)-Agelastatin



Significance: The target molecule was isolated from the sponge *Agelas dedromorpha*. It is active against several tumour cell lines and it inhibits glycogen synthase kinase-3β. It has potential for the treatment of Alzheimer's disease, the prevention of neuronal apoptosis after stroke and it could function as an insulin mimetic.

Comment: The asymmetric synthesis of the 4,5-diaminocyclopenten-2-one derivative **D** entailed (a) nucleophilic addition of the lithium enolate of *N*,*N*-dibenzylglycine (5 equiv) to the homochiral sulfinimine **A** and (b) a ring closing metathesis of **C**. The nucleophilic addition step gave three of the four possible diastereoisomers (dr 18:1:5:0) with the major diastereoisomer **B** being the major product, isolated in 73% yield.

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