

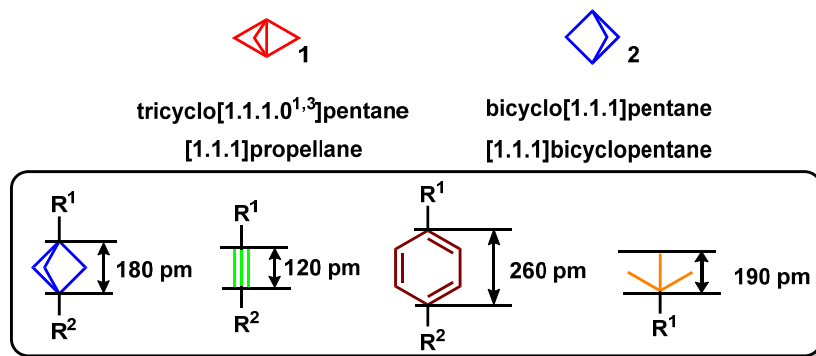
SYNTHESIS OF VERSATILE BICYCLOPENTANE BUILDING BLOCKS FROM [1.1.1]PROPELLANE

Dániel Máth,^a Dániel Lasányi,^a Dávid Pungor,^a Gergely L. Tolnai^{a,b}

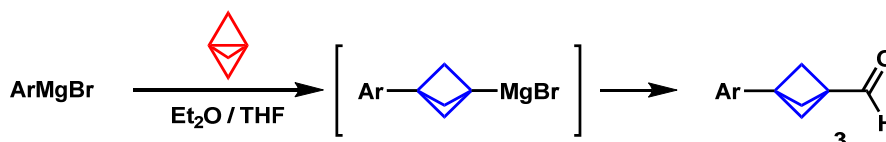
^aEotvos Lorand University, Institute of Chemistry, Budapest, Hungary

^bHungarian Academy of Science, Budapest, Hungary

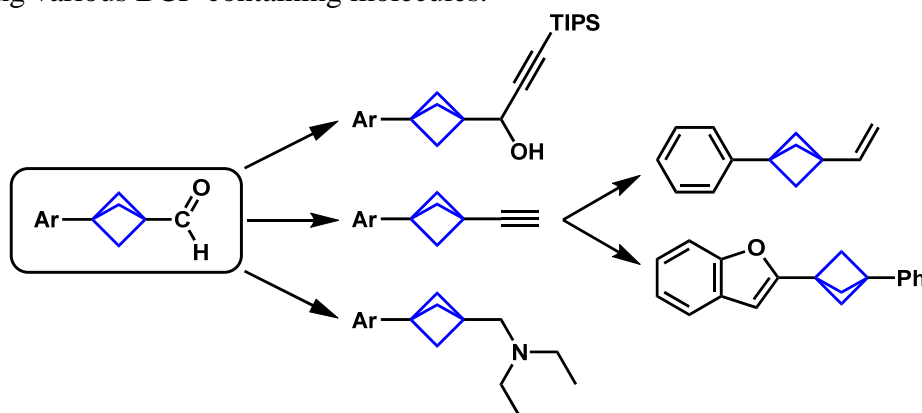
[1.1.1]Propellane (**1**) is a bridged polycyclic molecule, with direct bond between the bridgehead atoms. This interesting molecule is easy to synthesize and has a relatively practical half-life in dilute solutions.^[1]



In recent years, interest in synthesizing [1.1.1]bicyclopentane (**2**, BCP) containing molecules has grown exceedingly, because of the promising results in bioisosteric replacement of phenyl, alkynyl and ^tbutyl groups to BCP.^[2] One main pathway of their synthesis is through propellane.^[3] In contrast, there are no general, stable building blocks that can introduce BCP through C-C bond to molecules of biological importance. Therefore we planned to synthesize stable BCP reagents from [1.1.1]propellane, to make versatile building blocks. As opposed to recent literature example, with 4 step procedure,^[4] we were able to produce the BCP aldehyde (**3**) in one step.



The aldehyde was indeed successfully proven as a stable and versatile building block, providing various BCP-containing molecules.



[1] *Org. Synth.* **1998**, 75, 98.

[2] *Angew. Chem., Int. Ed.* **2017**, 56, 12774-12777.

[3] *J. Am. Chem. Soc.* **2017**, 139, 3209-3226. [4] *Eur. J. Org. Chem.* **2017**, 6450-6456