CICLOPENTADIENE AS SCAFFOLD FOR THE SYNTHESIS OF NEW ACTIVE COMPOUNDS

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Modern drug discovery takes advantage of the ideas and methodologies of combinatorial chemistry. The search of new lead compounds and the improvement of their pharmacological activities, requires accessible supporting structures to introduce a high variability through the attachment of diverse substituents. To complete this goal, base structures named "scaffolds", with geometrically defined attachment points, are required.

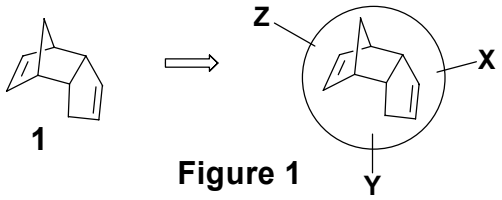
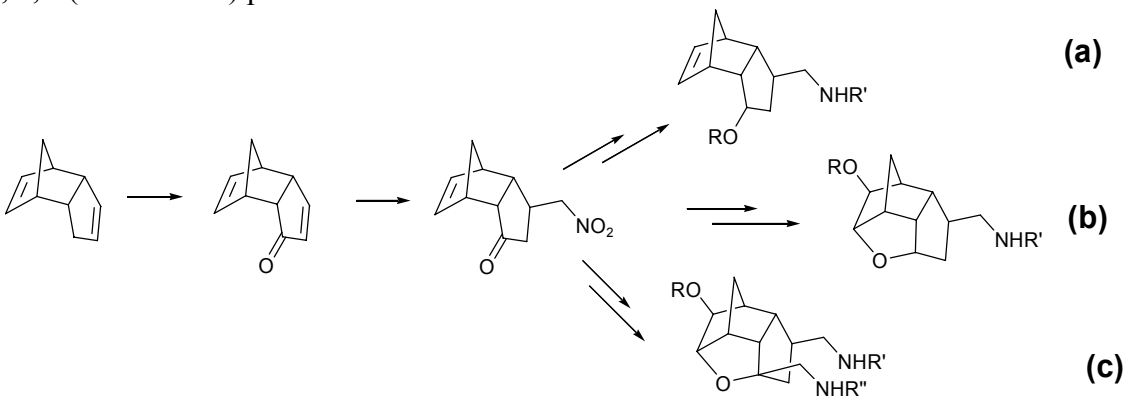


Figure 1

We have recently initiated a research line directed at the preparation of simple analogues of active diterpenoids[1], requiring the preparation of convex rigid core-substructures containing attachment points to introduce substituents with defined orientations. Dicyclopentadiene, a well know molecule finding application in the search of new polymeric materials, appears to fulfil these requirements, presenting two double bonds to introduce the functionalities and the convex rigid structure. Following this reasoning, we intend to prepare derivatives from dicyclopentadiene which contain the X, Y and/or Z points of attachment (**Figure 1**).

In this communication we present the initial transformations of dicyclopentadiene, producing three base structures with the X,Y (Scheme 1-**a**), or the X,Z (Scheme 1-**b**) or the X,Y,Z (Scheme 1-**c**) points of attachment.



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[1] Sevillano, L. G.; Melero, C. P.; Caballero, E.; Tome, F.; Lelievre, L. G.; Geering, K.; Crambert, G.; Carron, R.; Medarde, M.; Feliciano, A. S. *J. Med. Chem.* **2002**, *45*, 127-136.