A Synthetic Approach Towards Perhydro-1-azaphenantrenes

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Reactions of various dienes with compounds containing C-N double bonds to give six-membered azaheterocycles open up a wide variety of opportunities for construction of alkaloids and analogues thereof.

We found that the reactions of levopimaric acid (I) or its ester (II) with imines (IIIa-c), formed in situ from formaldehyde and amino acid esters [1], results in the formation of cycloaddition products (IVa-c, Va-c, III-V X = CH(COOR')R''', a R' = t-Bu, R''' = Bu; b R' = Me, R''' = i-Pr; c R' = Me, R''' = CH2Ph, IV R = H, V R = Me) (yield 32-62%). The influence of the reaction conditions and Lewis acid catalyst on the course of the reaction are investigated. By the increasing of the formaldehyde excess (> 6) in the reaction of (I) with (IIIc) the adduct (VI) was also obtained. Hetero-Diels-Alder reactions of (I) or (II) with imines, being derived from methylamine or 4-methoxy-benzylamine (VIIa,b), leads to compounds (VIIIa,b) or (IXa,b, VII-IX, a X = Me, b X = 4-MeOC6H4CH2, VIII R = H, IX R = Me) (yield 48-70%). The interaction of the diene (II) with bis-imine (X) (formed from hexamethylenediamine and formaldehyde) gave rise to compound (XI) in moderate yield.