

[J. Org. Chem., 56, 5511-5513 (1991)]

[Lab. of Pharm. Synthetic Chemistry]

Synthesis and Structure of 1-Alkynyl-1,2-benziodoxol-3(1*H*)-ones.

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1-Alkynyl-1,2-benziodoxol-3 (1 *H*)-ones were synthesized by the reaction of 1-alkynyltrimethylsilanes with 1-hydroxybenziodoxolone in the presence of BF₃-Et₂O. These iodoxolones are easily purified by silica gel chromatography. The IR and NMR spectra of these iodoxolones and the single-crystal X-ray diffraction analysis of 1-(cyclohexylethynyl) benziodoxolone are in a good agreement with the cyclic benziodoxolone structure in solution as well as in the solid state. Interestingly, in the solid state the ethynyl substituent occupies an axial position of the cyclohexane chair conformer. The *A* value of the substituted ethynyl group of 1-(cyclohexylethynyl) benziodoxolone was determined to be 1.33 kcal/mol by the dynamic ¹H NMR.

[Med. Chem. Res., 1991, 295-299]

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Different Mechanisms of Action of Long Chain Fatty Acid Esters of Podophyllotoxin and Esters of Epipodophyllotoxin against P388 Lymphocytic Leukemia in Mice.

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Among podophyllotoxin and epipodophyllotoxin esters of long chain unsaturated or polyhydroxy fatty acids, esters of the former exhibited significant or strong activity against P388 lymphocytic leukemia inoculated into mice. The most active compound was found to be *cis*-9,12-octadecadienoyl ester with T/C 219% at 100 mg/Kg.

[Tetrahedron: Asymmetry, 2, 179-182 (1991)]

[Lab. of Pharm. Synthetic Chemistry]

Asymmetric Diels-Alder Cycloaddition with Chiral 2-Alkylsulfinyl-1-nitroalkenes.

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Asymmetric Diels-Alder cycloaddition concomitant with elimination of the chiral auxiliary using optically active 2-alkylsulfinyl-1-nitroalkene was developed. The cycloaddition of a chiral 1-(2-phenylpropylsulfinyl)-2-nitrocyclopentene with the Danishefsky's diene proceeded smoothly to afford enones, where elimination of the chiral auxiliary occurred expectedly. High enantioselectivity were observed for the both *exo*- and *endo*-adducts, though the *endo/exo* selectivity was poor.