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[Lab. of Biology]

The Activation and Nuclear Translocation of Extracellular Signal-regulated Kinases (ERK-1 and -2) Appear Not to Be Required for Elongation of Neurites in PC12D Cells.

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The outgrowth of neurites was induced in PC12D cells that were treated not only with NGF but also with dbcAMP, staurosporine or bFGF. Simultaneous activation and rapid nuclear translocation of MAPKs (ERK-1 and -2) were observed in cells treated with NGF or bFGF. But staurosporine and dbcAMP induced no or only slight activation of the kinases. The nuclear translocation of the MAPKs was not induced by the latter agents. These observations suggest a close relationship between the activation and the nuclear translocation of MAP kinases and, moreover, that stimulation and relocalization of MAP kinases might not be required for the outgrowth of neurites from PC12D cells.

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[Lab. of Inst. of Manufacturing Pharmacy]

Ring Transformation of the Adducts of the Polar Cycloadditions of 2-Benzothiopyrylium Salts.

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Ring transformation of the cycloadducts, **4b**, 5-dihydro-8*H*-8*a*-thionaphenanthrenes **1** derived from the polar cycloadditions of 2-benzothiopyrylium salts induced by a variety of bases and reducing agents has been investigated. Treatment of the 9-unsubstituted cycloadducts **1a** with strong bases such as LDA, NaH and K₂CO₃ afforded the vinylcyclopropane derivatives **2** and 1,5-methano-2-benzothionines **3**. In contrast, treatment of compound **1a** with weak bases gave no compounds **2** or **3**, but only ring-opened compounds **4** in high yields. The 9-benzoyl cycloadducts **1b** reacted with both weak and strong bases to give, mainly, the similar ring-transformed products **2**. A mechanistic interpretation of the above reactions is presented. When heated at high temperature, the vinylcyclopropanes **2** were converted into the cyclobutene derivatives **5**. Ring transformations of compounds **1** with reducing agents such as sodium borohydride and samarium diiodide are also described.

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[Lab. of Inst. of Manufacturing Pharmacy]

Synthesis and Properties of a Novel Cyclic Sulfilimines, 2-Methyl-2,4,1-benzodithiazin-2-ium-1-ide.

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A novel cyclic sulfilimine, 2-methyl-2,4,1-benzodithiazin-2-ium-1-ide **1** was synthesized by deprotonation of the corresponding azasulfonium salt **2** with base. The compound **1** was oxidized with potassium permanganate to afford the sulfoximine **3**, exclusively. On refluxing in several solvents, compound **1** underwent a ring contraction to afford benzothiazole **4** via the 1,2-imino shift. The reaction of **1** with a variety of electrophiles, such as dialkyl acetylenedicarboxylate, acylating agents, diphenylcyclopropenone, and phenyl isocyanate, afforded ring-opened adducts **5**. Synthetic approaches to cyclic disulfonium ylides are also described.