Combretastatin A-4 and Its Analogues as Antineoplastic Agents

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Combretastatin A-4 is a potent antineoplastic and antiangiogenesis natural substance isolated from the South African tree *Combretum caffrum*. This article concerns synthesis and biological activity of combretastatin A-4 and its analogues which are considered as prodrugs.
Synthesis, Crystal Structure and Magnetic Properties of \([\text{Mn}(L)_2(\text{N(CN)}_2)_2]\), a One-Dimensional Systems with End to End Single Dicyanamide Bridges (L = 4-Cyanopyridine N-Oxide)

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A new 1-D polymeric coordination compound \([\text{Mn}(L)_2(\text{N(CN)}_2)_2]\) (L = 4-cyanopyridine N-oxide) has been synthesized and characterized. The crystal structure of this compound was determined by X-ray diffraction analysis. In the crystal structure, the \([\text{Mn}(L)_2]\)\(^{2+}\) units are linked by \([\text{N(CN)}_2]\) \(\mu\)-bridging ligands to form one dimensional structure. The manganese ions display four coordination, with two terminal N atoms of different \([\text{N(CN)}_2]\) ligands and two O atoms of different 4-cyanopyridine N-oxide ligands. Analysis of variable temperature magnetic susceptibility (2–300 K) indicates that the polymer shows weak antiferromagnetic interaction.
Formation of Copper Sulfide Layers on the Surface of Polyethylene Films of Various Density by the Use of Polythionic Acids

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The kinetic study of sulfur adsorption-diffusion processes from higher polythionic acid, H₂S₃O₆, solution into polyethylene films of high, medium and low density showed that the sulfur concentration in polyethylene of various density and thickness increases with increasing temperature of the solution and the duration of treatment. Sulfur concentration in the film is related to the film density and thickness. An increase in the negative apparent adsorption heat of sulfur adsorption was observed with an increase of polyethylene films density. The estimated apparent sulfur diffusion coefficients in polyethylene increase with increasing temperature of the polythionic acid solution but decrease with growing crystallinity in the films. The concentration of sulfur in the film is limited by the stage of the acid decomposition, because the apparent activation energy of sulfur diffusion in polyethylene is nine to seventeen times lower than the energy needed for the polythionic acid decomposition. By treating polyethylene film sulfured in H₂S₃O₆ solution with copper(I–II) salt solution, copper sulfide (CuₓS) layers are formed in the surface matrix of a polymer. The amount of copper in these layers is related to the density and thickness of the polyethylene film and the sulfuration conditions. The amount of copper increases with a decrease in the density of the polyethylene film and with an increase in the temperature of H₂S₃O₆ solution used and the duration of the treatment. Initially, dielectric polyethylene films after formation of copper sulfide layers in their surface matrix become electrical conductors. Samples of low density polyethylene with the layers of CuₓS were the most conductive.
Synthesis of Naphtho[2,1-b]furanylium Cation and Its Reaction with Alcohols and Trialkyl Phosphites

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The reaction between dibenzoylacetylene and 2-naphthol in the presence of a catalytic amount of pyridine leads to 2-hydroxy-1-(2-oxo-2-phenylethylidene)-2-phenyl-1,2-dihydro-naphtho[2,1-b]furan in nearly quantitative yield. Treatment of this heterocyclic system with trimethyl chlorosilane in chloroform leads quantitatively to 1-(2-oxo-2-phenylethylidene)-2-phenyl-1H-naphtho[2,1-b]furanylium chloride. Addition of nucleophiles such as alcohols or trialkyl phosphites to this salt produces functionalized 1,2-dihydronaphthofuran derivatives in excellent yields.
Syntheses and *In Vitro* Antitumor Activity of 3-Amino-\(N\)-(4-chlorobenzenesulfonyl)guanidine Derivatives Containing \(N'\)-Arylidene Moiety

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The syntheses of new 3-arylideneamino-1-(2-alkythio-4-chloro-5-methylbenzenesulfonyl)guanidines 2–8 and 1-arylidene-2-(2-alkylthio-4-chloro-5-R'-benzenesulfonyl)-3-methylaminoguanidines 9–16 are described. The *in vitro* antitumor screening of compounds 2, 3, 9 and 10 was evaluated at the Institute of Pharmacy, University of Greifswald. The remaining compounds 5, 11–14 and 16 were screened at the National Cancer Institute (NCI) for their activities against a panel of 55 human tumor cell lines, and relationships between structure and anticancer activity *in vitro* are discussed. The highest anticancer activity was found for 2-(2-benzylthio-4-chloro-5-methylbenzenesulfonyl)-3-methylamino-1-(5-nitrothienylidene)guanidine (12) (GI\(_{50}\) in the range 0.3–0.6 \(\mu\)M), while other compounds exhibit reasonable (16) or moderate (9, 13) anticancer activities.
Mild Conditions Synthesis of Mixed Organic Peroxides from Alkyl Halides and Organic Hydroperoxides

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The synthesis of mixed organic peroxides by reaction of alkyl halides with tertiary hydroperoxides under basic phase-transfer catalysis conditions was described.
Efficient Synthesis of 3,4-Dihydropyrimidin-2(1H)-ones by Aluminum Hydrogensulfate

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3,4-Dihydropyrimidin-2(1H)-ones are synthesized by the three-component condensation of aromatic aldehydes, \( \beta \)-ketoesters and urea or thiourea in methanol or under solvent-free conditions, using aluminum hydrogensulfate as the catalyst.
X-ray, $^1$H/$^{13}$C 2D and 3D NMR Studies of the Structures of Davallene and Adipedatol, Two Triterpenes Isolated from American Adiantum capillus-veneris

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Two triterpenic compounds, Davallene 1 and Adipedatol 2 were isolated from the roots of Mexican Adiantum capillus-veneris L. (Adiantaceae). The structures of both compounds are discussed on the basis of new 2D and 3D NMR spectroscopic and single crystal X-ray diffraction data.
Synthesis of Conjugates of Muramyl Dipeptide and nor-Muramyl Dipeptide with Retro-Tufts
in (Arg-Pro-Lys-ThrOMe) as Potential Immunostimulants

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The synthesis of retro-tufts in analogue of sequence Arg-Pro-Lys-ThrOMe (Scheme 1) and its conjugates containing MDP (muramyl dipeptide) or nor-MDP (nor-muramyl dipeptide) (Scheme 2) are described.
Synthesis of 4,5-Dicyanoimidazoles

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The effective procedure of preparation of 2-trifluoromethyl-4,5-dicyanoimidazole (3a) from diaminomalonitrile (1) and trifluoroacetic anhydride has been elaborated. The syntheses of five other 2-substituted imidazoles from appropriate acyl derivatives of 1 have been attempted. Out of them only 4,5-dicyanoimidazole (3b) could be obtained in good yield.
Proctolin Analogues Modified at Position 4 of the Peptide Chain. Synthesis and Myotropic Effects in Insects

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The object of these investigations was synthesis and biological evaluation of new analogues of proctolin (H-Arg-Tyr-Leu-Pro-Thr-OH) modified at position 4 of the peptide chain by natural or non-natural amino acid residues, such as: Phe (1), D-Phe (2), Phg (3), D-Phg (4), N-Me-Ala (5), N-Me-Val (6), N-Me-Leu (7), Tyr (8), Arg (9), Lys (10), Nva (11), Acp (12), Ser (13), γ-Abu (14), and Δ¹³-Pro (15). Synthesis was performed by classical solid-phase method. Myotropic activity of proctolin analogues was assayed in vitro on the semi-isolated heart of the yellow mealworm Tenebrio molitor. Analogues 1, 9, and 14 retained about 50% of proctolin activity. Other analogues showed about 20% activity or were inactive. The importance of the hydrophobic amino acid residues at position 4 for the myotropic activity of proctolin was inferred.

Determination of Enantiomeric Excess of Cyclophosphamide by X-Ray Powder Diffraction (XRPD)

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The anticancer agent: cyclophosphamide was studied by X-ray powder diffraction. The linear correlations between intensity/spectra from X-ray powder diffraction and enantiomeric excess was observed.
Kinetics and Mechanism of Perborate Oxidation of Aromatic Aldehydes

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The kinetics of oxidation of benzaldehyde by sodium perborate in an acetic acid medium have been studied. The reaction is first order with respect to both oxidant concentration and to the substrate. Hydrogen ion accelerates the rate of reaction. A mechanism involving the formation of an unstable perborate ester, which decomposes to the reaction products, has been suggested. The activation parameters associated with the rate-determining step have been calculated. The effects of various functional groups on the ring at the meta, and para positions of benzaldehyde have also been examined.
Studies on the Controllable Luminescence Properties from Red to Green of Rare Earth Complexes

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Syntheses and Characterization of Transition Metal Doped Molybdovanadophosphoric Heteropoly Compounds


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Kinetics of Nitrito-O Substitution in a Macrocyclic Chromium(III) Complex in Alkaline Media

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