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[Lab. of Herbal Garden]

Pharmacognostical Evaluation of *Arctii Fructus* (3) Discrimination of the Botanical Based on Morphological and Histological Differences

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One third of samples of *Arctii Fructus*, commercially obtained in China, were found to be adulterated with fruits of five other species, *Arctium tomentosum*, *Onopordum acanthium*, *Aucklandia lappa* and *Silybum marianum* of the family Compositae, possessing achenes, and *Amorpha fruticosa* of the family Leguminosae possessing a legume, *Ar. tomentosum* fruit was the most frequent adulterant. These five fruits were distinguished from the fruit of *Arctium lappa*, the original *Arctii Fructus*, by the macroscopic morphological characteristics such as the size, color, presence or absence of ridge, spots and pits, remains of the style and pappus scar circle at one end, and by the microscopic characteristics such as outline of outer pericarp, presence or absence and the shape of crystals in the epicarp and endocarp, presence or absence of oil sac in the mesocarp, size and color of the palisade cells in the outer seed coat, presence or absence and the shape of crystals in the inner seed coat and cotyledon.

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Diversity of Alkaloid and Mucilage in *Phellodendron* Barks from Different Habitats.

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The *Phellodendron* barks collected from various habitats were assayed for their alkaloid contents and the viscosity of water soluble mucilage. There were regional variations in the alkaloid contents, and in the viscosity. However, no relation was observed between the viscosity and the alkaloid content of the barks. Cultivated samples, grown from the seedlings of the same origin under the same conditions, showed little variation in the alkaloid contents, but they showed great variation in the viscosity. It may be that the great variation of viscosity is attributed to the individual variation

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[4⁺+2]-Type Polar Cycloadditions of 2-Benzothiopyrylium Salt with Alkenes.

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A [4⁺+2]-type polar cycloaddition of 2-benzothiopyrylium salt with electron-rich alkenes was found for the first time. Treatment of 2-benzothiopyrylium tetrafluoroborate with alkenes such as styrene, *p*-methylstyrene, *p*-methoxystyrene, α -methylstyrene, and *trans*-anethole in dry methanol afforded the corresponding cycloaddition products, respectively. The structures of these cycloadducts were assigned on the basis of spectral data and finally confirmed by X-ray crystal structure determination of the corresponding sulfone derivative prepared by *m*-chloroperbenzoic acid oxidation. On the contrary, the reaction of the tetrafluoroborate with several vinyl ethers as electron-rich alkenes afforded only acetal compounds, which, however, were cyclized to the corresponding cycloadducts by treatment with *p*-toluenesulfonic acid.

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[Lab. of Manufacturing Pharmacy]

Thermal Ring Transformation of 2,2-Disubstituted Benzothiazoline 1-Oxides.

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Thermal rearrangement of 2,2-disubstituted benzothiazoline 1-oxides in aprotic solvent (xylene) afforded two types of the corresponding 1,4-benzothiazines. These ring transformation was explained by the mechanism *via* sulfenic acid intermediate, proposed for the well-documented example, the penam-cephem conversion of penicillin S-oxides. The intermolecular addition of the assumed sulfenic acid intermediate to electron-deficient acetylenic compounds, dimethyl acetylenecarboxylate was found to afford novel tricyclic heterocycles in addition to the expected addition products. The structures of the tricyclic compounds have been established by an X-ray analysis.