[*Chem. Pharm. Bull.*, **46**, 913-917 (1998)] [Lab. of Pharm. Chemistry]

Photochemical [3+2] Cycloaddition of 2'-Vinyl-2*H*-1,4-benzothiazin-3(*4H*)-one-2-spirocyclopropanes Catalyzed by Diphenyl Dichalcogenides.

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2'-Vinyl-2*H*-1,4-benzothiazin-3(*4H*)-one-2-spirocyclopropanes were irradiated with a tungsten lamp at room temperature in the presence of a catalytic amount of diphenyl dichalcogenides to provide 1,2-dioxolane derivatives in good yields. Diphenyl diselenide was more effective than diphenyl disulfide as a radical source. The photochemical [3+2] cycloaddition with electron-deficient alkenes proceeded smoothly under reflux in benzene to give spiro-cyclopentanes. Spiro-cyclopentenes were formed by the photochemical [3+2] cycloaddition with electron-deficient alkynes.

[*Chem. Pharm. Bull.*, **46**, 1039-1043 (1998)] [Lab. of Pharm. Chemistry]

Serotonin 5-HT$_4$ Receptor Agonistic Activity of the Optical Isomers of (±)-4-Amino-*N*-[2-(1-azabicyclo[3.3.0]octan-5-yl)ethyl]-5-chloro-2,3-dihydro-2-methylbenzo[*b*]furan-7-carboxamide.

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The enantiomers, (R)-(-)-**1** and (S)-(+)-**1**, of 4-amino-*N*-[2-(1-azabicyclo[3.3.0]octan-5-yl)ethyl]-5-chloro-2,3-dihydro-2-methylbenzo[*b*]furan-7-carboxamide were prepared from optically active benzyl 4-acetylamino-2,3-dihydro-2-methylbenzo[*b*]furan-carboxylate [(R)-(+)-**2**, (S)-(-)-**2**], respectively. The absolute configuration of (S)-(+)-**1** was determined by single crystal X-ray analysis. The serotonin 5-HT$_4$ receptor agonistic activity of (S)-(-)-**1** hemifumarate (SK-951) was about twice that of the other enantiomer (R)-(+)-**1** hemifumarate.

[*Chem. Pharm. Bull.*, **46**, 1265-1273 (1998)] [Lab. of Pharm. Chemistry]

Synthesis and Muscarinic Activity of a Series of Quinolines and Naphthalenes with a 1-Azabicyclo[3.3.0]octane Moiety.

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In order to discover a medicine effective against Alzheimer's disease, we synthesized a series of quinoline derivatives having a characteristic 1-azabicyclo[3.3.0]octane amine ring, and performed pharmacological evaluation of them. Tests for central nervous muscarinic cholinergic receptor binding affinity indicated that these compounds had higher affinities to muscarinic M_1 receptors than to M_2 receptors. A series of naphthalene derivatives substituted with the 1-azabicyclo[3.3.0]octane ring were also synthesized and muscarinic M_1 and M_2 receptor binding affinity determined. These compounds had much higher affinity for M_1receptors than the quinoline derivatives, and 1-[*N*-(1-azabicyclo[3.3.0]octan-5-yl)methyl-*N*-methylamino]-4-nitronaphthalene showed the highest affinity and selectivity.

[*Synthesis*, 423-426 (1998)] [Lab. of Pharm. Chemistry]

Preparation of Sulfonamides from Sodium Sulfonates: $Ph_3P{\bullet}Br_2$ and $Ph_3P{\bullet}Cl_2$ as a Mild Halogenating Reagent for Sulfonyl Bromides and Sulfonyl Chlorides.

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Aryl- and alkyl-sulfonamides were prepared by treatment of the corresponding sodium sulfonates with triphenylphosphine dibromide or dichloride followed by amines in the presence of triethylamine *via* sulfonyl halides. Reactions of sodium aminosulfonates gave cyclized products. Amidation of *p*-toluenesulfonic acid with triphenylphosphine dichloride was also examined to give *N*-benzyl-*p*-toluenesulfonamide. Methyl *p*-toluenesulfonate was obtained by esterification of sodium *p*-toluenesulfonate *via p*-toluenesulfonyl chloride.