[*Chem. Pharm. Bull.*, **46**, 42-52 (1998)] [Lab. of Pharm. Chemistry]

Synthesis and Structure-activity Relationship of 3-Substituted Benzamide, Benzo[*b*]furan-7-carboxamide, 2,3-Dihydrobenzo[*b*]furan-7-carboxamide, and Indole-5-carboxamide Derivatives as Selective Serotonin 5-HT_4 Receptor Agonists.

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The title compounds were prepared and evaluated for serotonin 5-HT_4 agonistic activity in *in vitro* tests. Construction of the benzo[*b*]furan skeleton and 2,3-dihydrobenzo[*b*]furan skeleton caused a significant enhancement of the activity. 4-Amino-*N*-[2-(1-azabicyclo[3.3.0]octan-5-yl)ethyl]-5-chloro-2-methylbenzo[*b*]furan-7-carboxamide hemifumarate was as potent as cispride, and was free from dopamine D_1, D_2, serotonin 5-HT_1, 5-HT_2 and muscarine M_1, M_2 receptor binding activity in the *in vitro* tests.

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Chemical Behavior of 2'-Vinyl-2*H*-benzothiazine-2-spirocyclopropan-3(*4H*)-ones in Acidic Media.

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Reactions of 2'-vinyl-2*H*-benzothiazine-2-spirocyclopropan-3(*4H*)-ones with several proton acids were examined. Reactions of 2'-vinyl-2*H*-benzothiazine-2-spirocyclopropan-3(*4H*)-ones with HCl and HBr predominantly gave (*Z*)-allyl halide derivatives. In the cases of $HClO_4$ and HBF_4, 4,4a,5,6-tetrahydro-5-oxo-1*H*-thiopyrano[1,2-*a*]-1,4-benzothiazinium salts were isolated in good yields. Allyl halide derivatives were also obtained by treatment of the 1*H*-thiopyrano[1,2-*a*]-1,4-benzothiazinium salts with HCl and HBr.

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Conformational Effects on Photochemical Thiylation of 2'-Vinyl-2*H*-benzothiazine-2-spirocyclopropan-3(*4H*)-ones.

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Photochemical thiylation of 2'-vinyl-2*H*-benzothiazine-2-spirocyclopropan-3(*4H*)-ones was examined to form allyl sulfides. Although the reactions proceeded with complete regioselectivity because of the high stabilizing ability of the capto-dative substituents, geometrical selectivity of the olefinic moiety was dependent on the substituents on the cyclopropane ring. The conformation of 2'-vinyl-2*H*-benzothiazine-2-spirocyclopropan-3(*4H*)-ones probably plays an important role in the addition step of the thiyl radical to the double bond.

[*Chem. Pharm. Bull.*, **46**, 757-766 (1998)] [Lab. of Pharm. Chemistry]

Stereospecific C-N Bond Cleavage of 4-Silylated 1,2-Thiazetidine 1,1-Dioxides with $EtAlCl_2$ or $AlCl_3$: Formation of *(E)*-Vinylsulfonamides.

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Monosilylation of β-sultams gave *(3R*,4S*)*-4-monosilyl-β-sultams stereoselectively. Disilylated β-sultams were obtained in high yields by the use of trimethylsilyl chloride as a silylating reagent. Treatment of 4-monosilyl-β-sultams with $EtAlCl_2$ caused stereospecific C-N bond cleavage owing to β-cation stabilization of the silicon group to provide *(E)*-vinylsulfonamides. *(E)*-α-Silylstyrylsulfonamides were obtained in the reactions of 4,4-disilyl-β-sultams with $EtAlCl_2$. Reactions of 4-silyl-β-sultams with $AlCl_3$ afforded *N*-dealkylated *(E)*-vinylsulfonamides in good yields. An allyl alcohol was obtained from a reaction of an *(E)*-α-silylstyrylsulfonamide and benzaldehyde in the presence of TBAF and BF_3•Et_2O.