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Telomeres are DNA-protein complexes at the ends of chromosomes, which play an essential protective role against DNA degradation and aberrant recombination during cell divisions. Several telomerase inhibitors have been reported as candidates for new antitumor drugs. Among them, 2-thiobenzylpyridines, developed by Geron. Co. Ltd. as a telomerase inhibitor, were chosen as lead compounds. Twenty-one pyridine-2-carboxylate derivatives were prepared by the coupling of 6-formyl-2-carboxylic acid with the corresponding phenol, thiophenol, and aniline, substituted with various functional groups. Among them, the 3,4-dichlorothiophenol ester showed the highest in vitro telomerase inhibitory activity and quite significant in vivo tumor suppression activity.

**[PD1-33] [ 2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function ]**

## Synthesis of Selenoflavonoids

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Flavonoids with oxygen atoms are known to have potent biological effect.They have been studied long as major antioxidants which protect cell membranes. Recent medical surveys show that increased intake of selenium decreases the risk of breast, colon, lung and prostrate cancer by preventing free radical generation. The flavonoids, isoflavonoids, and coumarins which form the bulk of these compounds are very polar and have limited use as drugs which have to pass through BBB(Brain Blood Barrier)The non-polar property is increased by exchange oxygen to selenium as a part of heterocyclic compound. Our group is focused on synthesizing selenoheterocyclic compound with the above property.Several compounds have been synthesized and monitored.

**[PD1-34] [ 2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function ]**

## Synthesis and biological evaluation of 4,7-benzimidazolediones that inhibit vascular smooth muscle cell proliferation

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The abnormal proliferation and migration of vascular smooth muscle cell (SMC) play an important role in the pathology of coronary artery atherosclerosis and restenosis. Platelet-derived growth factor (PDGF) is one of the most potent promoters of the proliferation and migration of the SMC. The heterocyclic quinones represent an important class of biologically active molecules. However, the inhibitory activity of quinone classes on the proliferation of the SMC has not been reported. Therefore, we synthesized and tested various quinone derivatives to elucidate their contribution to the antiproliferative effects on PDGF-stimulated SMC proliferation. Among the quinones tested, 4,7-benzimidazoledione derivatives showed the potent antiproliferative activity.

**[PD1-35] [ 2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function ]**

## Efficient Total Synthesis of (-)-Antofine by Using (R)-(E)-4-(tributylstannanyl)but-3-en-2-ol as a Chiral building block

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(-)-Antofine is phenanthroindolizidine alkaloid being isolated from Cynanchum vincetoxicum. It has powerful cytotoxicity toward drug-sensitive KB-3-1 and multidrug resistant KB-V1 cancer cell line. We have successfully accomplished stereoselective total synthesis by using palladium catalyzed Stille coupling of 10-bromomethyl-